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APExBIO

Achieve Perfection, Explore the Unknown

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Product Catalog 2019-2021

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ABOUT US

APExBIO Technology LLC is a premier provider of Small Molecule Inhibitors/Activators, Compound Libraries, Peptides, Assay Kits, Fluorescent Dyes, Enzymes, Modified Nucleotides, Synthetic mRNA and various tools for Molecular Biology. We carry a broad product line in over 20 different research areas such as cancer, immunology, neurosciences, apoptosis and epigenetics etc. Based in USA (Houston, Texas), we have been serving the needs of customers across the world.

Qualities

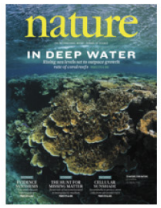
We pay the most careful attention to the quality of our products. All products are manufactured with rigorous guidelines and are accompanied with certificates of analysis, HPLC, Mass Spectrum, NMR, as well as in vitro validation. APExBIO products have been cited by many top peer-reviewed journals such as Nature, Cell and Science.

Services

Biologists and Chemists at APExBIO offer extensive tech support to our customers for using the products. You may expect personalized and attentive care from our support staff answering your order and technical inquiries. We also provide custom services including peptide synthesis, modified mRNA synthesis and assay development.

2018;563(7731) 407-411

2018;559(7714) 363-369



2018;558(7710)435-439

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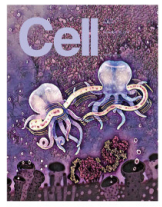
2017;549(7670) 96-100

2017;541(7637).417-420

2016;532(7599)398-401

2015;524(7565).309-14

2016;353(6299)594-8



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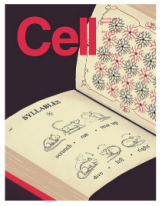

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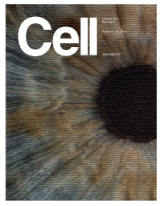
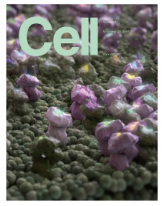
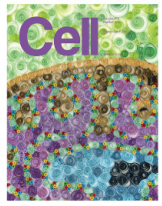


2018;172(5) 1007-1021



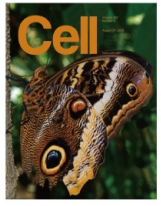
2017;171(6) 1284-1300

2017;170(2).312-323



2017;12. pii S0092-8674 (17)30869-3

20176;169(2).286-300



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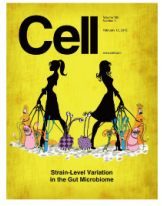

2015,160(4).729-744

2017;23(11)1342-1351

2018 Mar;24(3)360-367

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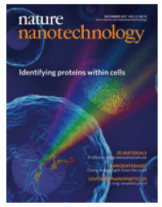
2018;24(10) 1599-1610



2017;12(12)1190-1198

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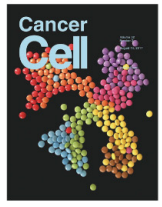

2017;32(2) 253-267

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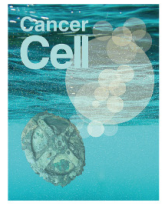
Selected Publications Citing APExBIO Products



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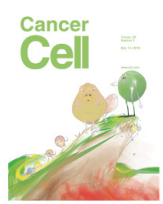

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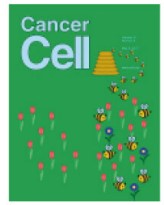

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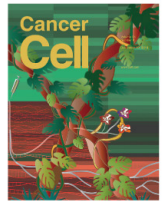

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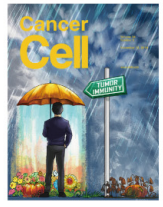

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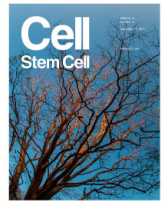
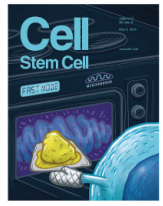


2017;pii S1934-5909(17) 30375-2



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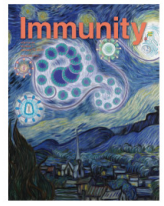

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2018;49(2) 235-246

2017;19(9) 1116-1129

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2018;20(2)186-197

2018;28(1) 130-144

2018;24(6) 791-803

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Contents

Ubiquitination / Proteasome

Cell Cycle / Checkpoint

GPCR / G protein

MAPK Signaling

Neuroscience

TGF-β / Smad Signaling

Metabolism

Stem Cell

Membrane Transporter / Ion Channel

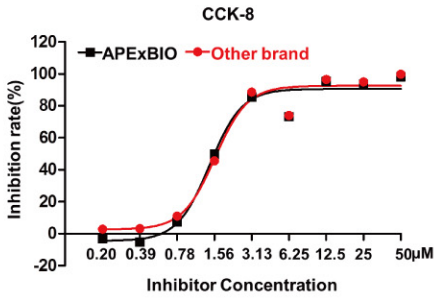
Angiogenesis

JAK / STAT Signaling

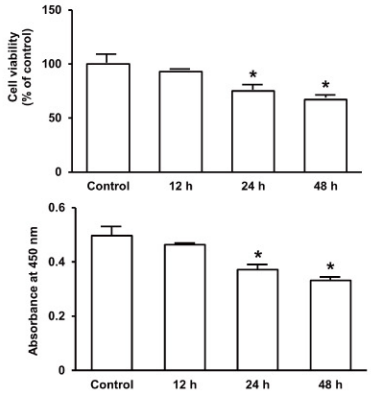
01 Cell Counting Kit-8 (CCK-8)

APExBIO provides a more convenient and sensitive way for the research of cell number determination and cell proliferation/cytotoxicity assay than traditional methods. **Cell Counting Kit (CCK-8) (Cat.No. K1018)** utilizes a highly water-soluble tetrazolium salt, WST-8, which produces a water- soluble formazan dye upon reduction in the presence of an electron mediator. The amount of the formazan generated by dehydrogenases is directly in proportion to the numbers of living cells. The detection sensitivity by CCK-8 is higher than other tetrazolium salts such as MTT, XTT, MTS and WST-1.

Validation



Cell growth inhibition detected by Cell Counting Kit-8 purchased from APExBIO and other major brand



Cell Proliferation Assay using APExBIO Cell Counting Kit-8

Features

- More sensitive than MTT, MTS or WST-1
- No toxicity to cell
- Simpler steps, no organic solvents required
- A stable one-bottle solution

Product

Size	Content
100 tests	1 ml x 1
500 tests	5 ml x 1
1000 tests	5 ml x 2
3000 tests	5 ml x 6
10000 tests	5 ml x 20

02 Inhibitor Cocktails

APExBIO offers high efficiency proteases/phosphatases inhibitor cocktail optimized to maintain protein function during cell lysis and prevent proteolytic degradation in almost any tissue or cell.

Features

- Protect integrity of proteins against multiple kinds of proteases/phosphatases
- Specific formulations optimized for various sample types and applications
- Just add the ready-made liquid format inhibitor cocktail directly to your sample

2.1 Protease Inhibitor Cocktails

APExBIO provides a wide range of individual protease inhibitors and protease inhibitor cocktails to protect the integrity of proteins from multiple proteases for different applications.

Products

Cat.No.	Product Name	Application
K1007	Protease Inhibitor Cocktail (EDTA-Free,100X in DMSO)	For use with mammalian cell and tissue extracts
K1019	Protease Inhibitor Cocktail (100X in DMSO, EDTA plus)	For use with mammalian cell and tissue extracts
K1009	Protease Inhibitor Cocktail (EDTA-Free,100X in DMSO)	For use with fungal and yeast extracts
K1010	Protease Inhibitor Cocktail (EDTA-Free, 100X in DMSO)	For use in purification of His-tag protein
K1011	Protease Inhibitor Cocktail (EDTA-Free, 100X in DMSO)	For use in plant cell and tissue extracts
K1008	Protease Inhibitor Cocktail (EDTA-Free, 200X in DMSO)	For use in tissue culture media
K1017	Deacetylase Inhibitor Cocktail (100X in 70% DMSO)	Maintain the acetylation state of proteins

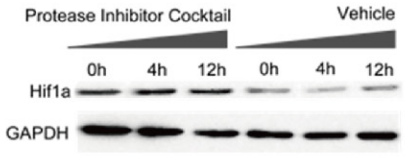
Cocktail Ingredients

Cat.No.	AEBSF. HCl	Aprotinin	Bestatin	E-64	Leupeptin	Pepstatin A	Phosphoramidon Disodium Salt	o-Phenanthroline
K1007	√	√	√	√	√	√		
K1008		√	√	√	√	√		
K1009	√			√		√		√
K1010	√		√	√		√	√	
K1011	√		√	√	√	√		√

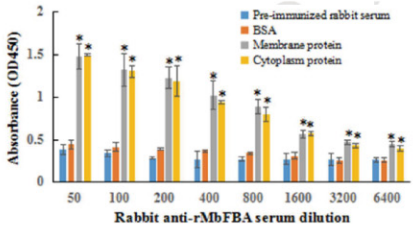
Cat.No.	Product Name	Summary
A2573	AEBSF.HCl	Serine protease inhibitor
A2574	Aprotinin	Inhibitor of bovine pancreatic trypsin
A2575	Bestatin	Aminopeptidase inhibitor
A2576	E-64	Cysteine protease inhibitor, irreversible
A2570	Leupeptin	Inhibitor of serine and cysteine proteases
A2571	Pepstatin A	Aspartic proteinases inhibitor
B4790	Phosphoramidon Disodium Salt	Metalloendopeptidase inhibitor
B7854	o-Phenanthroline	Metalloendopeptidase inhibitor

*APExBIO also provides cocktail ingredients separately

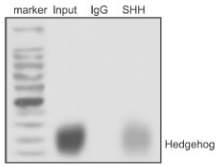
Validation



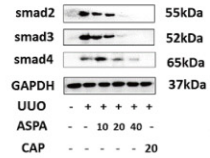
Protease Inhibitor Cocktail (K1007) was added at 1:100 (v/v) dilution to 293T cell lysates. Hif1α protein was detected using Rabbit-anti-Hif1α antibody and HRP conjugated anti-rabbit-antibody.



Immunofluorescence analysis and quantification of rMbFBA in M. bovis. Cells were resuspended in 0.6 mL PBS, **100 μL protease inhibitor**, and 2% Triton X-114. **Microb Pathog. 2018. PMID:30142464**



Silencing SHH downregulates the mRNA and protein expression of SHH, Ptch1, and Gli1. During the incubation, 2mL of ice - cold PBS was removed, followed by the addition of 10 μL **Protease Inhibitor Cocktail II**, and then placed on ice. **J Cell Biochem. 2018. PMID:30191602.**



Effect of asperusidic acid (ASPA) on regulation the TGF-β/smads pathway. The right kidney tissues of all rats were lysed with RIPA buffer in the presence of **cocktail protease inhibitor** in an ice bath, and were homogenized with a homogenizer. **Phytomedicine. 2018.**

2.2 Phosphatase Inhibitor Cocktails

In order to study the phosphorylation state of certain proteins, the phosphorylated residues must be preserved. APExBIO provides a series of phosphatase inhibitor cocktails to protect proteins from dephosphorylation.

Products

Cat.No.	Product Name	Application
K1012	Phosphatase Inhibitor Cocktail 1 (100X in DMSO)	Inhibits serine/threonine protein phosphatases and L-isozymes of alkaline phosphatases.
K1013	Phosphatase Inhibitor Cocktail 2 (100X in ddH2O)	Inhibits tyrosine protein phosphatases, acid phosphatases and alkaline phosphatases.
K1014	Phosphatase Inhibitor Cocktail 3 (100X in DMSO)	Inhibits serine/threonine protein phosphatases and L-isozymes of alkaline phosphatases.
K1015	Phosphatase Inhibitor Cocktail (2 Tubes, 100X)	K1012 + K1013 (Combo Pack)

Cocktail Ingredients

■ K1012 Ingredients

Cat.No.	Product Name	Description
N1686	Cantharidin	Protein phosphatases 1/2A inhibitor
B4750	(-)-p-Bromotetramis Oxalate	Alkaline phosphatase inhibitor
B3698	Microcystin-LR	Protein phosphatases 1/2A inhibitor

K1013 Ingredients

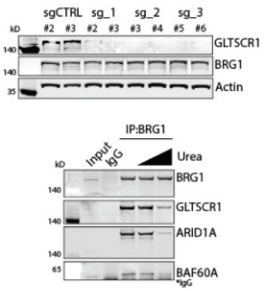
Cat.No.	Product Name	Description
A8524	Sodium Orthovanadate	PTP inhibitor
B7843	Sodium Molybdate	Acid & phosphoprotein phosphatases inhibitor
B7844	Sodium Tartrate	Acid phosphatases inhibitor
B7845	Imidazole	Alkaline phosphatases inhibitor
B7846	Sodium Fluoride	Acid phosphatases inhibitor

K1014 Ingredients

Cat.No.	Product Name	Description
N1686	Cantharidin	Protein phosphatases 1/2A inhibitor
B4750	(-)-p-Bromotetramisole Oxalate	Alkaline phosphatase inhibitor
A4533	Calyculin A	Protein phosphatases 1/2A inhibitor

*APExBIO also provides cocktail ingredients separately

Validation



The lysates were then dialyzed against chromatin IP buffer for 50 minutes, precleared and incubated with normal IgG or BRG1 antibodies. For on-bead alkaline phosphatase treatment during BRD4 IP, proteins were extracted in buffers with or without **1X phosphatase inhibitor cocktail 3** / 1 mM sodium orthovanadate and immunoprecipitated as described above. **J Biol Chem. 2018. PMID:29374058**

03 SYBR Safe DNA Gel Stain

SYBR Safe DNA Gel Stain (Cat.No. A8743) is a very sensitive stain for visualization of DNA/RNA in agarose/acrylamide gels. It is specifically developed as a safer alternative to mutagen ethidium bromide and can utilize both blue light and UV excitation. SYBR Safe stain is provided as 10,000X concentrate in DMSO and used in the same way as ethidium bromide solution.

Features

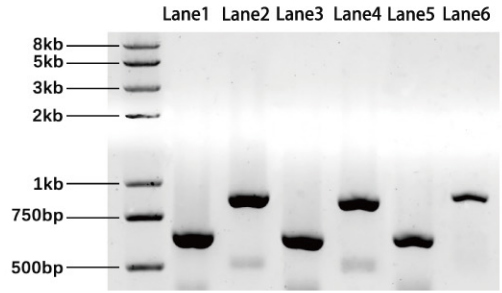
Less hazardous alternative to ethidium bromide, suitable for blue-light illumination

SYBR Safe DNA Gel Stain is less mutagenic than ethidium bromide. Exposure risk can be further reduced by using visible blue-light illumination instead of UV illumination. This is especially valuable when performing exposure-intensive protocols like cutting gels bands.

Improved sensitivity with reduced nonspecific background fluorescence

SYBR Safe DNA Gel Stain offers excellent sensitivity in nucleic acid visualization with either UV excitation or blue-light excitation. When bound to nucleic acids, the green-fluorescent SYBR Safe stain has fluorescence excitation max at ~280 and ~502 nm, and an emission max at ~530 nm. It also exhibits enhanced cloning efficiency and less damage to DNA when illuminated with blue-light.

Validation



04 PCR Enzyme and Master Mix

APExBIO provides high performance PCR enzyme and master mix. 2X Taq PCR Master Mix is a ready-to-use 2X premix solution, suitable for routine PCR applications with high consistency. It contains Taq DNA Polymerase, dNTPs, optimized buffer system and loading dye, thus save your time and minimize contamination as fewer pipetting steps are required. hyPerFUsion™ High-Fidelity DNA Polymerase consisted of a DNA-binding domain fused with a Pyrococcus-like proofreading polymerase. It is a superior choice for cloning, enables reliable amplification of long or GC-rich template. It can produce PCR products with high accuracy and speed.

Products Selection

PCR type	Standard PCR	High-Fidelity PCR
Recommended DNA Polymerase	2X Taq DNA Polymerase Master Mix with dye (Cat.No. K1034)	hyPerFUsion™ High-Fidelity DNA Polymerase Cat.No. K1032 hyPerFUsion™ High-Fidelity PCR Kit (Cat.No. K1032)
Applications	Routine PCR, genotyping, colony PCR	High-Fidelity PCR, cloning, template generation for sequencing, amplification of difficult (GC-rich) templates, high throughput PCR
Blunt or 3´-A end	3´-A end	Blunt
Target length	Up to 5 kb	Up to 10 kb
Fidelity (vs. Taq polymerase)	1X	50X

4.1 hyPerFusion™ DNA polymerases

APExBIO hyPerFUsion™ High-Fidelity DNA polymerases (Cat.No. K1032) consisted of a DNA-binding domain fused with a Pyrococcus-like proofreading polymerase. By using this special fusion technology, even for the most difficult-to-amplify target, hyPerFUsion High-Fidelity DNA Polymerase can produce PCR products with high accuracy and speed. Moreover, since hyPerFUsion High-Fidelity DNA Polymerase is tolerant to different PCR inhibitors, it requires minimal optimization for the amplification of PCR products. Thus, hyPerFUsion High-Fidelity DNA Polymerase is a superior choice for cloning, enables the amplification of long or GC-rich template, and high throughput PCR.

Features

- High Fidelity

  52X more accurate than Taq, 6X more accurate than Pfu

- Improved Yields

  High product yields with minimal enzyme amounts (0.5–1 U/50 µL reaction)

- Enhanced Robustness

  Fewer reaction failures and minimal optimization

- High Speed

  Shorter reaction times (extension 15–30 s/kb)

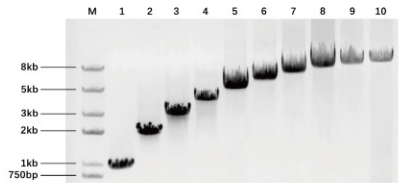
- Versatile

  Can be used for routine PCR and long or GC rich templates

Products

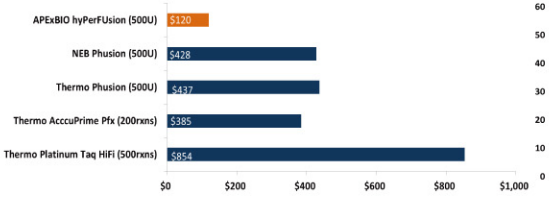
Product	Components	Size	
hyPerFUsion™ High-Fidelity DNA Polymerase Cat.No. K1032	hyPerFUsion™ High-Fidelity DNA Polymerase, supplied wth 5X hyPerFUsion™ Buffer	100 U 500 U 1000 U	100 µl 500 µl 1 ml
hyPerFUsion™ High-Fidelity PCR Kit Cat.No. K1033	hyPerFUsion™ High-Fidelity DNA Polymerase, supplied wth 5X hyPerFUsion™ Buffer and dNTP Mixture	100 U 500 U 1000 U	100 µl 500 µl 1 ml

Validation

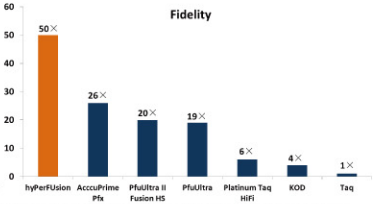


10 fragments (1-10 kb) were amplified with APExBIO hyPerFUsion™ High-Fidelity DNA Polymerases, producing PCR products with high specificity and yields.

Price Comparison



Fidelity Comparison



4.2 dNTP Mixture

APExBIO dNTP mixtures consists of four nucleotides (dATP, dCTP, dGTP, dTTP) , each at a concentration of 2.5 mM, 10 mM or 25 mM, in a solution of highly purified water (pH 7). The mixtures are suitable for use in PCR, sequencing, fill-in, nick translation, cDNA synthesis, TdT-tailing reactions, and dilution of radiolabeled dNTPs. The Mix offers the possibility to reduce the number of pipetting steps and the risk of reaction set up errors.

Features

- Greater than 99% purity confirmed by HPLC
- Free of human and *E. coli* DNA
- Stable for years at -20°C
- Stable after multiple freeze-thaw cycles

Applications

Standard PCR; Real-time qPCR; High fidelity and long template PCR; LAMP-PCR; cDNA synthesis; Reverse-Transcription PCR; RDA; MDA; DNA labeling; DNA sequencing

Products

Cat. No.	Product Name	dATP, dCTP, dGTP, dTTP each concentration	Size
K1040	2.5 mM dNTP Mixture	2.5 mM	1 ml x 5, 1 ml x 10
K1041	10 mM dNTP Mixture	10 mM	1 ml, 1 ml x 5, 1 ml x 10
K1042	25 mM dNTP Mixture	25 mM	1 ml, 1 ml x 5, 1 ml x 10

4.3 2X Taq PCR Master Mix

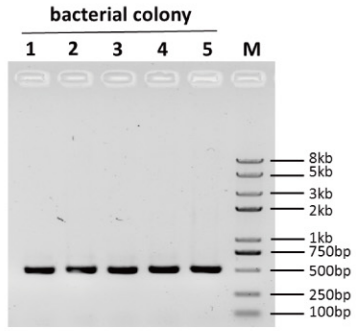
Taq Polymerase is a recombinant enzyme extracted from *E.coli* with thermu aquaticus DNA polymerase gene expressed. It synthesizes DNA under appropriate conditions from single-stranded templates in the presence of the gene-specific primers and dNTPs. It possesses a 5'→ 3' DNA polymerase and a 5' → 3' exonuclease activity but is missing a 3' → 5' exonuclease activity, which leads to a 3'-dA overhangs PCR product.

APExBIO **2X Taq PCR Master Mix (Cat.No.K1034) is a ready-to-use 2X premix solution containing Taq DNA Polymerase, dNTPs, optimized buffer system and loading dye.** Start the PCR reaction by simply adding the PCR master mix, primers, templates and ddH_2O, the following pcr product can be directly loading to the gel. Taq Polymerase Master Mix can save your time and minimize contamination /errors as fewer pipetting steps are required. Elongation rate of Taq DNA Polymerase is about 1-2 kb/min depending on the complexity of the gene. For most templates, using 1 kb/min.

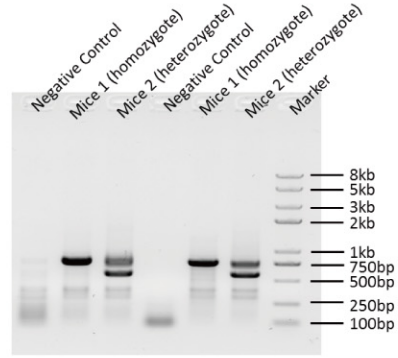
Products

Product	Components	Size	
2X Taq DNA Polymerase Master Mix with dye Cat.No. K1034	A ready-to-use mixture of Taq DNA Polymerase, dNTPs, optimized buffer system and loading dye	40 reactions	1 ml x 1
		200 reactions	1 ml x 5
		800 reactions	1 ml x 20
		2000 reactions	1 ml x 50
		4000 reactions	1 ml x 100
Taq DNA Polymerase Cat.No. K1035	Taq DNA Polymerase, supplied with10X PCR buffer (Mg^{2+} plus)	1000 U	1 ml
		5000 U	1 ml x 5
Taq DNA Polymerase Kit Cat.No. K1036	Taq DNA Polymerase, supplied with 10X PCR Buffer(Mg^{2+} plus), 2.5 mM dNTP mix	1000 U	1 ml
		5000 U	1 ml x 5

Validation



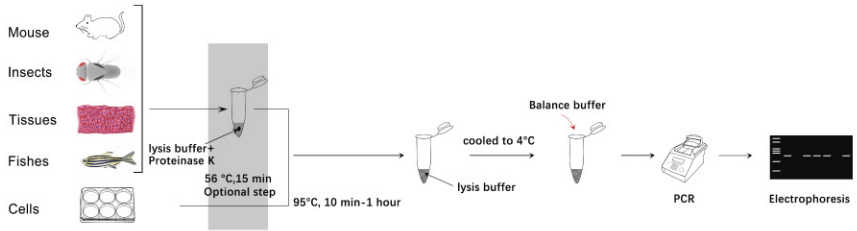
Colony PCR with APExBIO 2X Taq PCR Master Mix



Mouse Genotyping with APExBIO 2X Taq PCR Master Mix

05 Genotyping Kit

The Genotyping Kit is designed for fast extraction and amplification of DNA directly from mouse tissues, insects, fishes and cells. Optimized Lysis buffer and Balance buffer rapidly digest mouse tissue to release intact genomic DNA, which is ready to-use as PCR template without further extraction. Therefore, this kit can save your time and effort by minimizing the procedure and duration of tissue digestion. Moreover, the 2X PCR Master Mix (loading dye included) guarantees accurate and efficient amplification of DNA fragment.



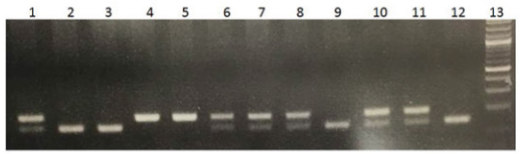
Products

Cat.No.	Product
K1025	Direct Mouse Genotyping Kit
K1026	Genotyping Kit (for target alleles of insects, tissues, fishes and cells)

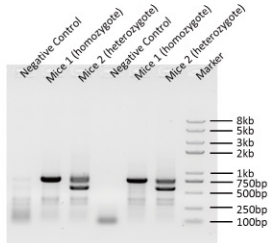
Products

Components	Volume
Lysis buffer	20 ml
Balance buffer	20 ml
2X PCR Master Mix (With Dye)	1 ml × 2
Protease K	200 μl

Validation



PCR Genotyping: Lane 1-12 represent different mouse samples. Lane 13 is DNA Marker. (Lane 1, 6, 7, 8, 10 and 11 represent heterozygous mice; Lane 2, 3, 9 and 12 represent wild-type mice; Lane 4 and 5 represent homozygous mice.) Therefore, **Mouse Direct PCR kit** is a very reliable and convenient tool for genotyping.



Mouse Genotyping with APExBIO Genotyping Kit

06 Phosbind Reagents

Protein phosphorylation is an important covalent post-translational modification that can alter the structural conformation of a protein, which then regulates the function, location and specific binding of the target protein. Methods for determining the phosphorylation status of proteins (i.e. phosphoproteomics) are thus very important with respect to the evaluation of diverse biological and pathological processes.

Phosbind reagents are products used for separation, purification, and detection of phosphorylated proteins or peptides. It is a novel phosphate-binding tag and functional molecule that specifically binds to phosphorylated ions at neutral pH (physiological pH). In addition, it is a dinuclear metal complex (Zn^{2+} or Mg^{2+}) acts as a selective phosphate-binding tag and with Kd value of 25 nM for phenyl phosphate dianion ($Ph\text{-}OPO3^{2-}$) in an aqueous solution at a neutral pH.

Phosbind reagents are used for the specific separation of phosphorylated proteins (Phosbind Acrylamide) as well as the detection of phosphorylated proteins using Western blot (Phosbind Biotin).

6.1 Phosbind Acrylamide

Phosbind Acrylamide provides a specific electrophoretic procedure [Manganese (II)-Phosbind SDS-PAGE] for the simultaneous analysis of a phosphoprotein isoform and its non-phosphorylated counterpart.

Features

- Recognition of all phosphorylated forms of Tyr/ Ser / Thr.
- Simultaneous detection of phosphorylated / non-phosphorylated proteins using total antibody without phospho-specific antibody.
- Followed by Western blotting and Mass analysis.
- Simply add Phosbind Acrylamide & $MnCl_2$ solution to acrylamide solution in the preparation of SDS-PAGE gel.

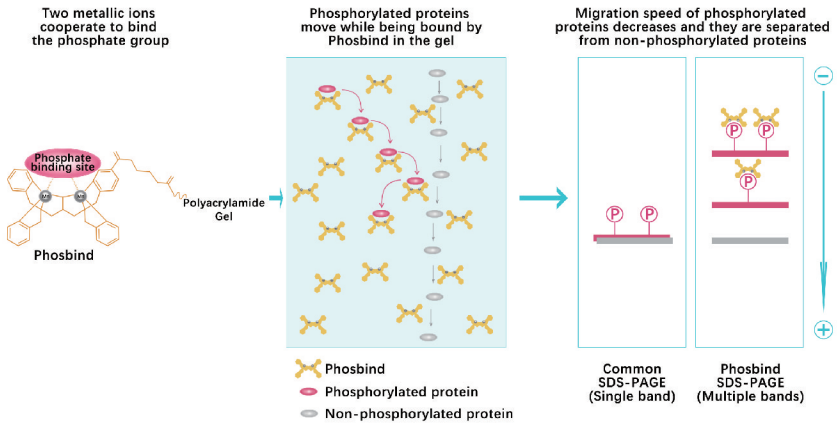
Product

Cat.No. F4002

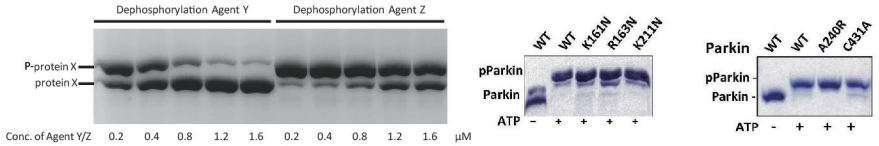
Separation of phosphorylated and non-phosphorylated proteins

Component	Size
Phosbind Acrylamide	5 mg/10 mg/50 mg
$MnCl_2$	100 mg

Principle

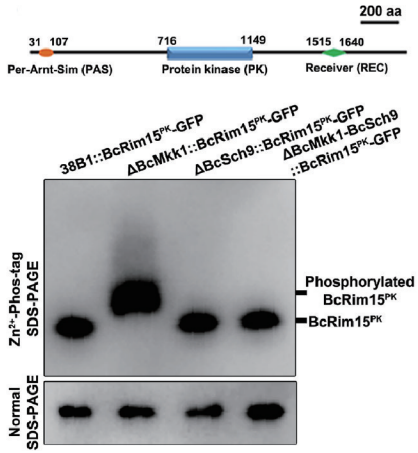


Validation



Phospho-protein X (P-protein X) were treated with Dephosphorylation Agent Y or Z for 10 min at 30°C. P-protein X and dephosphorylated protein (protein X) were then separated by 8% Phos binding SDS-PAGE gel (30 μM Phosbind Acrylamide and 120 μM $MnCl_2$ added).

Phosphorylation of parkin mutants for ubiquitin assays. The phosphorylation of the complex was verified with a 7.5% Tris-glycine gel containing 20 μM **Phosbind Acrylamide** and 40 μ M $MnCl_2$ and stained with Coomassie blue. **Nat Struct Mol Biol. 2018. PMID:29967542.**



BcMkk1 impedes BcRim15 phosphorylation mediated by BcSch9. The proteins were separated on 8% SDS-polyacrylamide gels prepared with 25 μM **Phosbind Acrylamide** and 100 μM $ZnCl_2$. Gels were electrophoresed at 20 mA/gel for 3-5 h. Prior to transfer, gels were first equilibrated in transfer buffer containing 5 mM EDTA for 5 min three times and then in transfer buffer without EDTA for 5 min two times. **PLoS Pathog. 2018. PMID:30212570.**

6.2 Phosbind Biotin

Phosbind Biotin provides a sensitive method for detection of phosphorylated proteins on a PVDF membrane. This method needs streptavidin-conjugated horseradish (HRP) and chemiluminescent detection reagent. The specific detection of phosphorylated proteins can be achieved without any phospho-specific antibodies using Western blot analysis.

Phosbind Biotin BTL-105 has a long hydrophilic spacer, possessing higher sensitivity than Phosbind Biotin BTL-104.

Products

Cat.No. F4004

Detection and purification of phosphorylated proteins

Phosbind Biotin BTL-105

Component	Size
Phosbind Biotin BTL-105	5 mg/10 mg/50 mg
$ZnCl_2$	100 mg

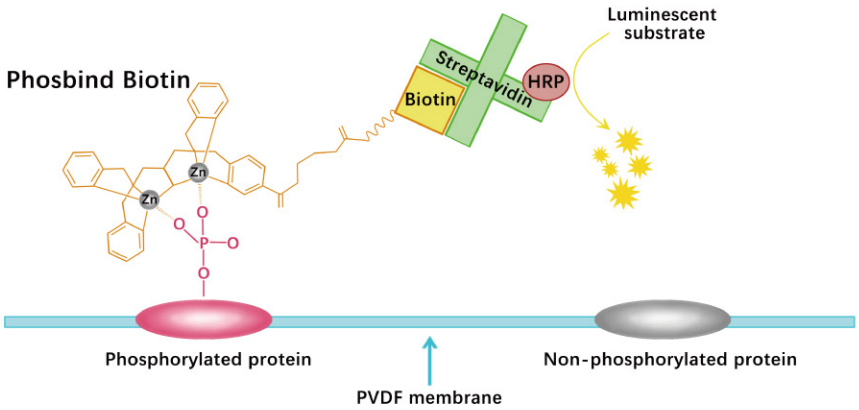
Cat.No. F4001

Detection of phosphorylated proteins

Phosbind Biotin BTL-104

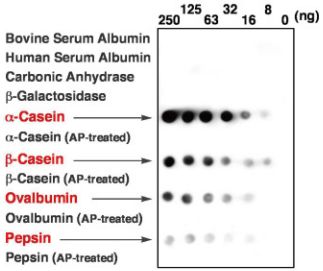
Component	Size
Phosbind Biotin BTL-104	5 mg/10 mg/50 mg
$ZnCl_2$	100 mg

Principle



- Blocking treatment of PVDF membrane is not necessary.
- Downstream procedure such as antibody reproving and MS analysis are applicable.
- The procedure is similar to those using a HRP-conjugated antibody.

Application

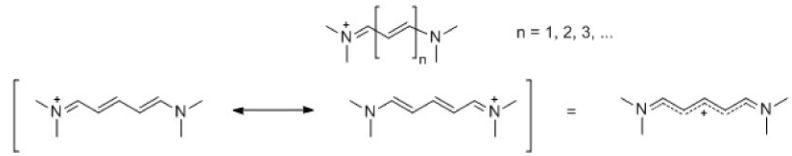


Dot-blotting Analysis with Phosbind Biotin.
The phosphorylated proteins spotted on a PVDF membrane were specifically detected at ng levels. No signal was detected on the spots of the corresponding dephosphoryated proteins and the nonphosphorylated proteins.

07 Cyanine Dyes

Cyanine dyes are an intensely bright and versatile family of fluorophores that widely used in various biological and photographic applications. It consists of a polymethine bridge linking two cationic nitrogenous ring with a delocalized charge.

Figure 1



The number of carbon atoms in the polymethine chain represents the first digit in a cyanine name, i.e. when n=1, it is Cy3; n=2, it is Cy5 (**Figure 1**). The suffix .5 is inserted for cyanine fused with a ring structure of benzo-indolium group, e.g. Cy3.5, Cy5.5. The longer the polymethine bridge is, the higher the absorbance and emission wavelengths are. In addition, cyanines usually have extremely high extinction coefficients that over 100,000 $M^{-1}cm^{-1}$.

Spectral Comparison of Cyanine Dyes

Cyanine Dyes (non-sulfonated)	Abs max (nm)	Em max (nm)	Extinction Coefficient ($M^{-1}cm^{-1}$)	Quantum Yield	Color of Fluorescence
Cyanine 3	555	570	150,000	0.04	
Cyanine 3.5	591	604	120,000	0.14	
Cyanine 5	646	662	250,000	0.27	
Cyanine 5.5	673	707	190,000	0.23	
Cyanine 7	750	773	200,000	0.28	

In the early 1990s, cyanine dyes were modified to be more compatible for biomolecules labeling and fluorescence detection. The developed reactive dye derivatives could covalently bind to a variety of proteins and other molecules .

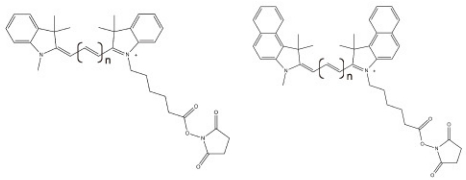
Features

- Low non-specific binding to biomolecules permits the use of standard buffer
- Large extinction coefficients and good quantum yields
- Bright fluorescence facilitates high labeling efficiency
- Excellent aqueous solubility provides simple labeling process
- pH insensitive between pH 3 and 10 allows the use of standard coupling reagents
- Red-shifted dyes minimize compound disturbance from endogenous autofluorescence
- Photostable and do not quickly bleach under fluorescence microscope

Sulfonated and Non-sulfonated Cyanines

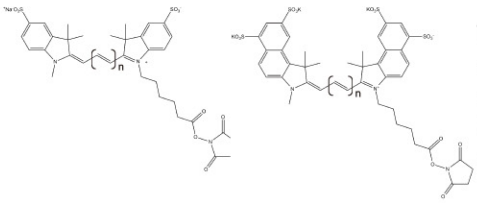
Adding sulfonate groups to cyanines gives a hydrophilic property to the molecule (**Figure 2.1-2.2**). It increases water solubility of cyanine dye and reduces their aggregation in aqueous solution. Therefore, sulfonated cyanines do not require any organic co-solvent for bioconjugation purpose. By contrast, non-sulfonated cyanines possess low water solubility and require 5-20% of DMF or DMSO to facilitate labeling in aqueous solution, but hydrochlorides of hydrazides and amines are two exceptions. Since sulfonated and non-sulfonated share almost identical spectral pattern, they are both applicable in conjugating different biomolecules.

Figure 2.1



The chemical structures of typical non-sulfonated cyanine dyes (Left: Cy3 NHS ester: n=1; Cy5 NHS ester: n=2; Cy7 NHS ester: n=3, Right: Cy3.5 NHS ester: n=1; Cy5.5 NHS ester: n=2; Cy7.5 NHS ester: n=3).

Figure 2.2



The chemical structures of sulfonated cyanine dyes (Left: Cy3 NHS ester: n=1; Cy5 NHS ester: n=2; Cy7 NHS ester: n=3. Right: Cy3.5 NHS ester: n=1; Cy5.5 NHS ester: n= 2; Cy7.5 NHS ester: n=3).

Applications of Cyanine Dyes

Biomolecules Labeling	Non-Sulfonated cyanines	Sulfonated cyanines
Soluble proteins, which are tolerant to addition of organic co-solvent	√	√
Antibodies (with 5-10% of DMSO/DMF)	√	√
DNA and oligonucleotides	√	√
Peptides	√	√
Many small molecules	√	√
Reactions in organic media (dichloromethane, acetonitrile)	√	
Sensitive proteins which are denatured by DMF or DMSO		√
Protein conjugation when purification is done by dialysis		√
Nanoparticles in aqueous solutions		√
Insoluble or hydrophobic proteins		√
Fluorescence polarization (FP)	√	√
Fluorescence resonance energy transfer (FRET)	√	√
Time-resolved fluorescence resonance energy transfer (TR-FRET)	√	√
Fluorescence intensity (FI)	√	√

7.1 Amine-reactive Cyanine Dyes

Amine-reactive cyanine dye is composed of an NHS ester group on the end of a short hydrocarbon spacer for coupling to biomolecules. The NHS ester can interact with amino groups on proteins to produce amide bond bridge. Since the amino groups are most abundant in protein and other materials, **APExBIO NHS ester-containing Cyanine Dye Series can be mainly employed for the labeling of proteins, peptides, ligands, synthetic oligonucleotides and other biomolecules.**

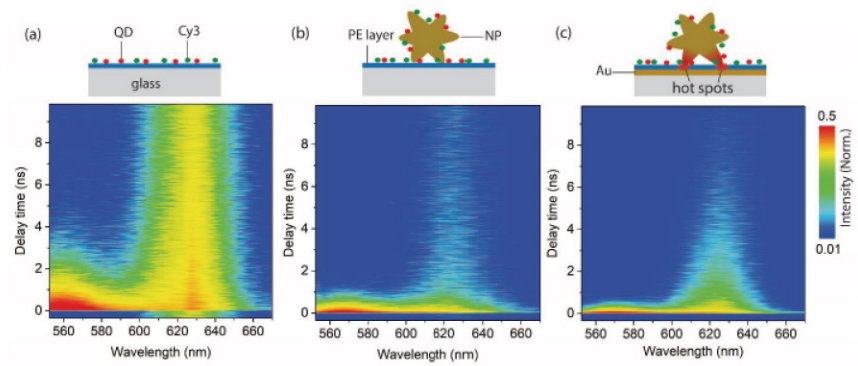
Both non-sulfonated and sulfonated Cyanine NHS esters are available from APExBIO. The water-soluble sulfo-Cyanine NHS ester does not require any co-solvent for the coupling.

APExBIO also provide Amine-containing Cyanine Dye – Cy5 amine (non-sulfonated) that can react with NHS esters, carboxy groups following carbodiimide activation and epoxides.

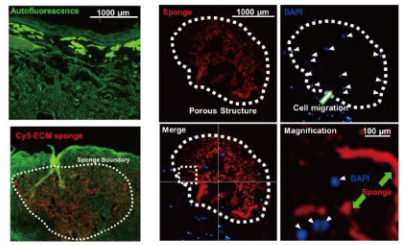
Products

Cat.No.	Product	Excitation max (nm)	Emission max (nm)	Extinction Coefficient ($M^{-1}cm^{-1}$)	Quantum Yield	CF_{260}	CF_{280}
A8100	Cy3 NHS ester (non-sulfonated)	555	570	150000	0.31	0.04	0.09
A8101	Cy3.5 NHS ester (non-sulfonated)	591	604	116000	0.35	0.29	0.22
A8102	Cy5 NHS ester (non-sulfonated)	646	662	250000	0.2	0.03	0.04
A8103	Cy5.5 NHS ester (non-sulfonated)	684	710	209000	0.2	0.07	0.03
A8104	Cy7 NHS ester (non-sulfonated)	750	773	199000	0.3	0.022	0.029
A8105	Cy7.5 NHS ester (non-sulfonated)	788	808	223000	N/A	N/A	N/A
A8107	Cy3 NHS ester	646	662	250000	0.2	0.03	0.04
A8108	Cy5 NHS ester	548	563	162000	0.1	0.03	0.06
A8109	Cy7 NHS ester	646	662	271000	0.28	0.04	0.04
A8143	Cy5 amine (non-sulfonated)	750	773	240600	N/A	0.04	0.04
A8772	Cy3 bis NHS ester	555	565	N/A	N/A	N/A	N/A
A8765	Cy3 NHS ester (Et)	N/A	N/A	N/A	N/A	N/A	N/A
A8773	Cy5 Bis NHS ester	646	662	271000	0.28	0.04	0.04
A8769	Cy5 NHS ester (Et)	N/A	N/A	N/A	N/A	N/A	N/A

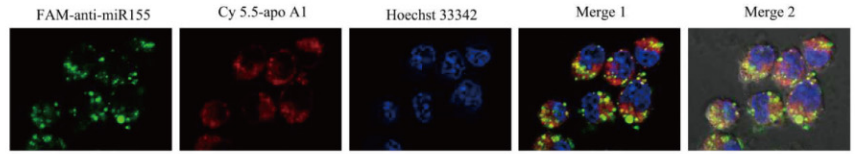
Validation



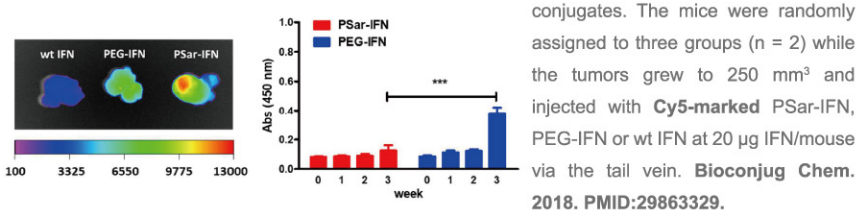
Decay dynamics of combined Cy3/QDs as functions of wavelengths in various configurations (a) on a glass slide (b) on a glass slide with NPs and (c) on a Au film with NPs. **Cy3 molecules** and CdSe QDs solutions were diluted to 0.1 mM each and mixed together with Au NPs prior to the deposition to Au coated polymer film. **arXiv preprint arXiv:1804.09637, 2018.**



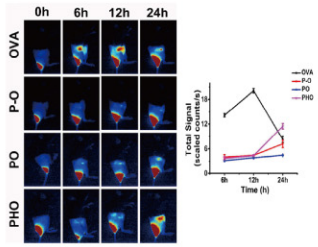
Fluorescent microscopic observations of **Cy5-NHS ester**-conjugated extracellular matrix (ECM) sponge 7 days after implantation.
We conjugated ECM sponges containing medium amount of GO with 0.3 mg/ml Cy5 NHS ester with a purification. **Journal of Materials Chemistry B.2018.**



Cy5.5-apo A1 and FAM-anti-miR155 are used to label the acid-labile/HNP for characterizing the uptake pathway. For the synthesis of Cy5.5-Labeled ApoA 1, 25 mg of apo A1 was dissolved in 9 mL of sodium bicarbonate solution (0.1 M, pH 8.3−8.5) to label apo A1. 5 mg of **Cy5.5 NHS ester** in 1 mL of DMSO was added to the apo A1 solution, and then the reaction was stirred for 12 h in the dark at room temperature. **Biomacromolecules. 2017. PMID:28738148.**



In vivo pharmacological evolution of the conjugates. The mice were randomly assigned to three groups (n = 2) while the tumors grew to 250 mm^3 and injected with **Cy5-marked** PSar-IFN, PEG-IFN or wt IFN at 20 μg IFN/mouse via the tail vein. **Bioconjug Chem. 2018. PMID:29863329.**



Antigen persistence at injection sites and transport into the right inguinal draining lymph node to determine in vivo tracking of OVA-Cy7 and OVA-Cy7 NPs. NPs loaded OVA conjugated **Cy7 NHS ester** (OVA-Cy7) were prepared using the above describe method for the purpose of tracking. **Mol Pharm. 2018. PMID:29323913.**

7.2 Thiol-reactive Cyanine Dyes

Thiol-reactive cyanine dyes usually contain maleimide derivatives. Maleimides are electrophilic groups that react with sulfhydryls of the thiol-containing molecules, and generate a thioether linkage under neutral pH. Since thiols are presented in the cysteine residues of protein and synthesized peptides, **APExBIO Cyanine Dye Maletimde Series are suitable for labeling protein, peptide and oligonucleotides which possess a thiol group.**

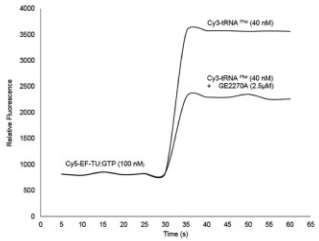
As cysteine residues are often present in proteins with low abundance, thiol-reactive cyanine dyes coupling with these residues often occurs at site-specific locations. In particular, **thiol-reactive dyes can be utilized in protein labeling for the detection of conformational changes, assembly of multisubunit complexes and ligand-binding processes.**

Products

Cat.No.	Product	Excitation max (nm)	Emission max (nm)	Extinction Coefficient ($M^{-1}cm^{-1}$)	Quantum Yield	CF_{260}	CF_{280}
A8138	Cy3 maleimide (non-sulfonated)	555	570	150000	0.31	0.04	0.09
A8264	Cy3.5 maleimide (non-sulfonated)	591	604	116000	0.35	0.29	0.22

Cat.No.	Product	Excitation max (nm)	Emission max (nm)	Extinction Coefficient ($M^{-1}cm^{-1}$)	Quantum Yield	CF_{260}	CF_{280}
A8139	Cy5 maleimide (non-sulfonated)	646	662	250000	0.2	0.03	0.04
A8140	Cy5.5 maleimide (non-sulfonated)	684	710	209000	0.2	0.07	0.03
A8141	Cy7 maleimide (non-sulfonated)	750	773	199000	0.3	0.022	0.029
A8142	Cy7.5 maleimide (non-sulfonated)	788	808	223000	N/A	N/A	N/A

Validation



Inhibitory effect of GE2270A on FRET. EF-Tu was treated with five molar excess of TCEP for 15 min at room temperature under vacuum. Further 20-fold molar excess of **Cy5 dye** from dimethylformamide stock was added to the TCEP treated EF-Tu. The reaction was carried out at 37 ℃ for 1 h in dark under nitrogen followed by purification of Cy5-EF-Tu using gel-filtration chromatography equilibrated with a basal buffer having 20% glycerol. **Assay Drug Dev Technol. 2018. PMID:29870274**

7.3 Carbonyl-reactive Cyanine Dyes

Carbonyl-reactive cyanine dyes possessing hydrazide groups can be used to label biomolecules that contain carbonyl groups, such as ketone and aldehydes which are generated on carbohydrates, sugars and glycans through periodate oxidation. As a result, hydrazide-containing cyanine dyes can react with glycoproteins and other glycoconjugates specifically via their carbohydrate groups without interfering binding site or active centers. Glycans that released by enzymatic ways can also be labeled at their reducing ends by hydrazide-containing cyanine dye.

APExBIO Carbonyl-reactive Cyanine Dye Series can be used to detect glycoproteins in cells, tissues, gels, or on Western blots after periodate oxidation. In additions, the dye can couple to oligonucleotides with aldehyde group and proteins under oxidative stress. Furthermore, hydrazide-containing cyanine dyes can be employed as general stains for protein-rich areas within cells, since they are reactive with common formaldehyde fixatives for cell and tissue studies.

Products							
Cat.No.	Product	Excitation max (nm)	Emission max (nm)	Extinction Coefficient ($M^{-1}cm^{-1}$)	Quantum Yield	CF_{260}	CF_{280}
A8144	Cy5 Boc-hydrazide (non-sulfonated)	646	662	250000	0.2	0.03	0.04
A8145	Cy5 hydrazide (non-sulfonated)	646	662	250000	0.2	0.03	0.04
A8261	Cy5.5 hydrazide (non-sulfonated)	684	710	209000	0.2	0.07	0.03
A8265	Cy3 hydrazide (non-sulfonated)	555	570	150000	0.31	0.04	0.09
A8266	Cy3.5 hydrazide (non-sulfonated)	591	604	116000	0.35	0.29	0.22

7.4 Alkyne-reactive Cyanine Dyes

Azide-containing cyanine dye can react with alkyne- or cyclooctyne-linked molecules by the copper-catalyzed Click Chemistry reaction. The dye-labeled molecules such as nucleic acids or proteins can then be detected via fluresencence spectroscopy.

Since azide and alkyne are not endogenously present in biomolecules, cells, tissues or model organisms, the in situ labeling of target molecule is specific and efficient with high sensitivity and low background. Moreover, azide and alkyne are stable and very small, so it allows the attached dye molecules to easily penetrate complex samples, such as intact and supercolided DNA, with mild permeabilization.

Both non-sulfonated and sulfonated Cyanine Azides are available from APExBIO.The water-soluble sulfo-Cyanine Azide does not require any co-solvent for the coupling. **APExBIO also provide non-sulfonated Alkyne-containing Cyanine Dyes that can react with azide-tagged nucleotide, nucleoside, amino acid, monosaccharide or fatty acid.**

Products							
Cat.No.	Product	Excitation max (nm)	Emission max (nm)	Extinction Coefficient ($M^{-1}cm^{-1}$)	Quantum Yield	CF_{260}	CF_{280}
A8111	Cy3 azide (non-sulfonated)	555	570	150000	0.31	0.04	0.09
A8112	Cy3.5 azide (non-sulfonated)	591	604	116000	0.35	0.29	0.22
A8113	Cy5 azide (non-sulfonated)	646	662	250000	0.2	0.03	0.04
A8114	Cy5.5 azide (non-sulfonated)	684	710	209000	0.2	0.07	0.03
A8115	Cy7 azide (non-sulfonated)	750	773	199000	0.3	0.022	0.029
A8116	Cy7.5 azide (non-sulfonated)	788	808	223000	N/A	N/A	N/A
A8127	Cy3 azide	548	563	162000	0.1	0.03	0.06
A8128	Cy5 azide	646	662	271000	0.28	0.04	0.04
A8130	Cy3 alkyne (non-sulfonated)	555	570	150000	0.31	0.04	0.09
A8131	Cy5 alkyne (non-sulfonated)	646	662	250000	0.2	0.03	0.04
A8262	Cy3.5 alkyne (non-sulfonated)	581	596	N/A	N/A	N/A	N/A
A8263	Cy5.5 alkyne (non-sulfonated)	684	710	209000	0.2	0.07	0.03

7.5 Non-reactive Carboxylic acid-containing Cyanine Dyes

APExBIO Cyanine Carboxylic Acid Series can be used as non-reactive dye for experiment control and equipment calibration.

Both non-sulfonated and sulfonated Cyanine Carboxylic Acids are available from APExBIO. The water-soluble sulfo-Cyanine Carboxylic Acid does not require any co-solvent for the coupling.

Products							
Cat.No.	Product	Excitation max (nm)	Emission max (nm)	Extinction Coeff-icient ($M^{-1}cm^{-1}$)	Quantum Yield	CF_{260}	CF_{280}
A8132	Cy3 carboxylic acid (non-sulfonated)	555	570	150000	0.31	0.04	0.09
A8133	Cy5 carboxylic acid (non-sulfonated)	646	662	250000	0.2	0.03	0.04
A8134	Cy5.5 carboxylic acid (non-sulfonated)	684	710	209000	0.2	0.07	0.03
A8135	Cy7 carboxylic acid (non-sulfonated)	750	773	199000	N/A	0.022	0.029
A8136	Cy7.5 carboxylic acid (non-sulfonated)	788	808	223000	N/A	N/A	N/A
A8137	Cy5 carboxylic acid	646	662	271000	0.28	0.04	0.04
A8776	Cy3 carboxylic acid (Et)	N/A	N/A	N/A	N/A	N/A	N/A
A8774	Cy3 Bis carboxylic acid	N/A	N/A	N/A	N/A	N/A	N/A
A8775	Cy5 Bis carboxylic acid	N/A	N/A	N/A	N/A	N/A	N/A
A8777	Cy5 carboxylic acid (Et)	N/A	N/A	N/A	N/A	N/A	N/A

08 Biotinylation Reagents

Biotin, formerly named vitamin H or coenzyme R, is a water-soluble B-vitamin. It is a coenzyme for carboxylase enzymes that are involved in the synthesis of valine, fatty acids and isoleucine etc.

In the late 1970s, Biotin-Avidin-System (BAS) is developed to be a new type of biological response amplifier system. Nowadays, the system is widely used in various fields of biology. It has become popular in tracing antigen and antibody. Once coupled to various reactive groups, it allows protein/antibody/peptide labeling for purification and detection as well as DNA/RNA/cell surface/intracellular labeling.

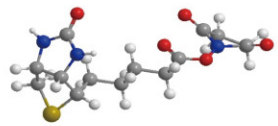
Moreover, adding sulfonate groups to biotin makes it soluble in water. NHS-biotin modification as a specific lysine probe coupled to mass spectrometry detection is increasingly used over the past years.

8.1 Amine Biotinylation Reagents

The amine group is the most common target for modifying protein molecules, as it is abundant in the majority of proteins either due to the presence of lysine bearing amino side chain functionality or the N-terminal-amine. Amine-reactive biotinylation reagents can be divided into two groups based on water solubility: NHS-esters and sulfo-NHS-esters.

NHS-esters of biotin are insoluble in water and membrane-permeable. They do not possess a charged group and can be used for biotinylating internal as well as external cellular components.

Sulfo-NHS-esters are soluble in water. They are suitable for the applications that are intolerant to organic solvents. Sulfo-NHS-esters of biotin are recommended for use as cell surface biotinylation reagents. Because of the charged sulfonate group, **sulfo-NHS-esters biotinylation do not penetrate the plasma membrane** and is restricted to the cell surface.



Products

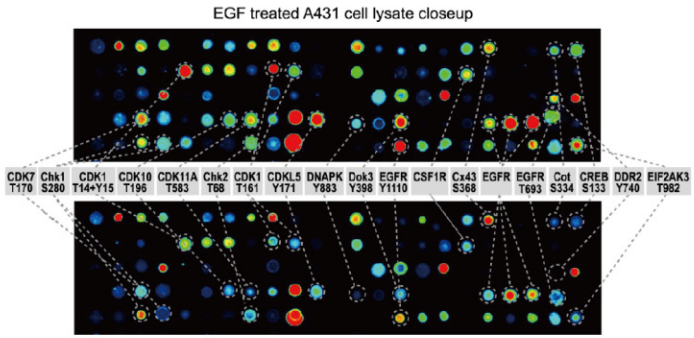
Cat.No.	Product Name	Reactive Group	Membrane Permeable	Reversibility	Water Solubility
A8002	NHS-Biotin	Primary amines, -NH_2	Yes	Irreversible	Insoluble
A8004	NHS-LC-Biotin		Yes	Irreversible	Insoluble
A8006	NHS-SS-Biotin		Yes	Reversible	Insoluble
A8001	Sulfo-NHS-Biotin		No	Irreversible	Soluble
A8003	Sulfo-NHS-LC-Biotin		No	Irreversible	Soluble
A8005	Sulfo-NHS-SS-Biotin		No	Reversible	Soluble

* LC stands for long chain, SS stands for mid length

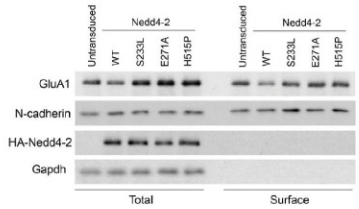
Related Amine-reactive Biotinylation Kits

Cat.No.	Product Name	Description
K1003	EZLink NHS-LC-Biotin Kit	Biotinyltation kit
K1004	EZLink NHS-SS-Biotin Kit	Biotinyltation kit
K1005	EZLink Sulfo-NHS-Biotin Kit	Biotinyltation kit, water soluble
K1006	EZLink Sulfo-NHS-SS-Biotin Kit	Biotinyltation kit, water soluble
K1002	EZLink NHS-Biotin Kit	Biotinyltation kit

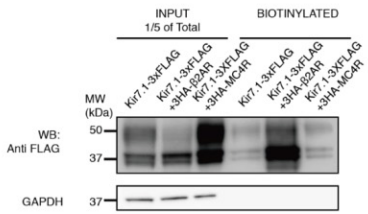
Validation



Visualization of cysteine chemically cleaved lysates from EGF-treated A431 cells subjected to antibody microarray analyses. Lysates that were chemically cleaved at time of homogenization were adjusted into ~pH 8 with 100 mM sodium bicarbonate, and incubated with either 40 µg of the 50/50 dye mixture for 1 hour or with 50 µg of **Sulfo-NHS-biotin** for 1 hour. **Clinical Proteomics & Bioinformatics. 2017**



Surface protein biotinylation is performed to obtain and measure surface GluA1. For Surface protein biotinylation, cultured cells were washed with PBS three times, **0.1 mg LLC NHS-LC-BIOTIN** was added to cultures for 30 min at room temperature. **PLoS Genet. 2017. PMID:28212375**



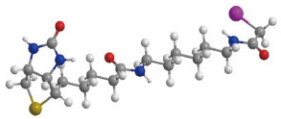
Coexpression of the β2AR does not reduce the total amount of Kir7.1 at the plasma membrane, but alters the ratio of mature glycosylated forms of Kir7.1 at the surface. Cells were incubated with **1mg/mL of Biotin-SS-sulfo** in PBS2+ twice for 15 minutes each. Excess biotin was quenched with two short washes followed by two 15-minute incubations with 100mM glycine in PBS2+.**J Biol Chem. 2018. PMID:30257863**

8.2 Sulfhydryl Biotinylation Reagents

Sulfhydryl Biotinylation Reagents are maleimide, iodoacetyl and cleavable pyridyldithiol activated biotin labeling reagents to specifically biotinylate antibodies and other proteins or peptides at sulfhydryl groups, such as reduced free thiols on cysteine residues.

Biotin-HPDP is a pyridyldithiol-activated, sulfhydryl-reactive biotinylation reagent that conjugates via a reversible disulfide bond to enable use in a variety of purification methods. **Iodoacetyl-LC-Biotin** is a mid-length, iodoacetyl-activated, sulfhydryl-reactive biotinylation reagent that forms stable, irreversible thioether bond at alkaline pH.

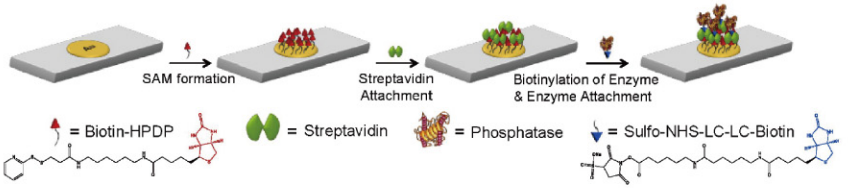
Both compounds are insoluble in water and requires organic solvents such as DMSO or DMF, prior to the addition into aqueous reactions.



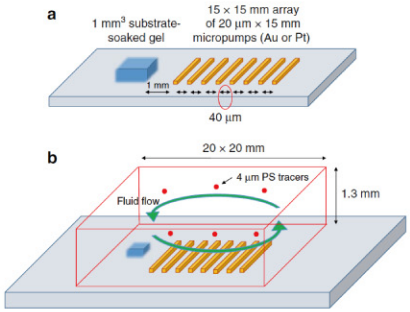
Products

Cat.No.	Product Name	Reactive Group	Membrane Permeable	Reversibility	Water Solubility
A8008	Biotin-HPDP	Sulfhydryls，-SH	Yes	Reversible	Insoluble
A8009	Iodoacetyl-LC-Biotin			Irreversible	

Validation



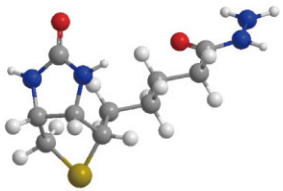
Schematic of the fabrication of acid phosphatase pumps using a biotin-streptavidin linkage. For the biotinylation of the Au surfaces, 1 mg of **biotin-HPDP** was dissolved in 8 mL of DMF and sonicated at 45 ℃ for 3 min, followed by the addition of 10 µL of 200 mM tributylphosphine solution in N-methyl-2-pyrrolidinone. **Soft Matter. 2017 Mar 27.**



Schematic of the pump arrangement. For enzyme immobilization on arrays, biotinylation of the Au arrays was achieved. **Biotin HPDP** (1 mg per 2 array assemblies) was dissolved in dimethyl formamide through sonication for 3 minutes at 45℃. In the case of the urease pump experiments, secure-seal hybridization chambers were used to create a closed system on top of the urease-immobilized arrays. **Nat Commun. 2017. PMID:28211454.**

8.3 Carbonyl Biotinylation Reagents

Biotin-Hydrazide is a protein modification reagent commonly used to **target glycans and glycoproteins**. Biotin-Hydrazide efficiently reacts with sodium periodate oxidized sugar residues or aldehydes by **forming stable hydrazone linkages** under mild reaction conditions.



Products

Cat.No.	Product Name	Reactive Group	Membrane Permeable	Reversibility	Water Solubility
A8007	Biotin-Hydrazide	Glycoproteins and Glycolipids	No	Irreversible	Insoluble

Features

- **Glycoprotein labeling:** biotinylate glycosylated proteins at sialic acid residues for detection or purification
- **Cell surface labeling:** biotinylate and isolate cell surface glycoproteins
- **Aldehyde-reactive:** reacts with aldehydes formed by periodate-oxidation of sugar groups
- **Hydrazide-activated:** perform reactions at pH 4 to 6 in buffers such as sodium acetate
- **Irreversible:** forms semi-permanent hydrazone bonds; spacer arm cannot be cleaved
- **Solubility:** usually dissolved in DMSO before further dilution in aqueous buffers

09 Modified Nucleotides

APExBIO provides over 180 modified nucleotides products, including aminoallyl, biotin, cyanine dyes, fluorescein, digoxigenin modified nucleotides, and several special chemical groups and elements modification. In addition, we offer specially modified nucleotides such as bisphosphonates, ARCA, and mCAP. Whether you are looking for direct or indirect DNA/RNA labeling, special cDNA/RNA synthesis, nuclease resistance, antiviral drugs or new applications, we have the right choice.

Most nucleotides are sold individually in 1, 5 and 10 µmole aliquots (10, 50 and 100 µL respectively) as 100 mM solutions. All nucleotides are analyzed by HPLC, MS and UV Spectroscopy. If you require specific concentrations or quantities, please contact us.

9.1 DNA/RNA Labeling

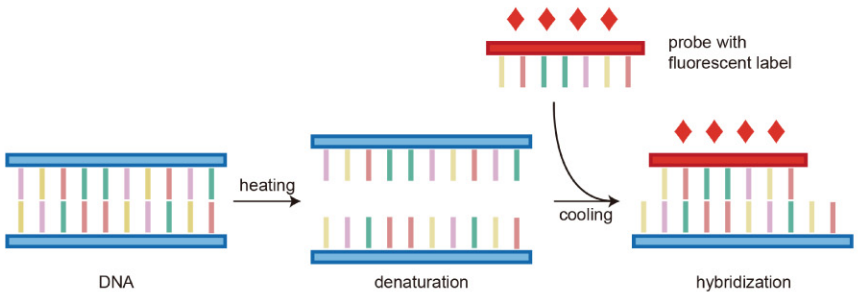
APExBIO offers various modified NTPs and dNTPs, including multiple fluorescent dyes, haptens, chemical groups and elements modification. The groups join the nucleotide with several different chemical bonds.

Aminoallyl modified NTPs and dNTPs provide efficient method for high density labeling of DNA. Following enzymatic incorporation of aminoallyl NTPs/dNTPs, amine reactive moieties, such as a fluorescent dye, biotin, hapten or protein, can be conjugated throughout the resultant DNA molecule. Aminoallyl NTPs can be used for indirect DNA labeling in PCR, nick translation, primer extensions and cDNA synthesis.

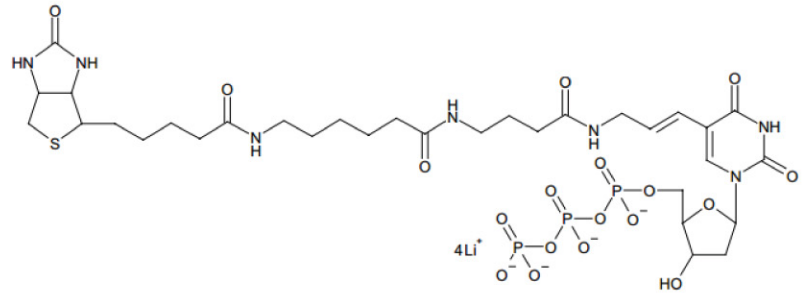
Products

Cat.No.	Product Name	Cat.No.	Product Name
B8108	Aminoallyl-dCTP	B8085	7-Deaza-dGTP
B8044	Aminoallyl-CTP	B8086	dITP, Hypoxanthine
B8159	Cyanine3-dCTP	B8087	5-Propynyl-dCTP
B8160	Cyanine3-dUTP	B8088	5-Propynyl-dUTP
B8161	Cyanine5-dCTP	B8089	dUTP
B8162	Cyanine5-dUTP	B8090	5-F-dUTP
B8163	Cyanine3-AA-CTP	B8091	5-Iodo-dCTP
B8165	Cyanine5-AA-CTP	B8092	5-Iodo-dUTP
B8167	Cyanine7-AA-UTP	B8093	N6-Methyl-dATP
B8207	Fluorescein-12-dUTP	B8094	5-Methyl-dCTP
B8332	Fluorescein-12-UTP	B8095	O6-Methyl-dGTP
B7952	Digoxigenin-11-dUTP	B8096	N2-Methyl-dGTP
B7954	Digoxigenin-11-ddUTP	B8097	5-Nitro-1-Indolyl-drTP
B7953	Digoxigenin-11-UTP	B8098	8-Oxo-dATP
B7951	Aminoallyl-UTP	B8099	8-Oxo-dGTP
B7950	Aminoallyl-dUTP	B8100	2-Thio-dTTP
B8333	Cy5-UTP	B8101	dPTP
B8331	Aminoallyl-UTP-X-Cy3	B8102	5-Hydroxy-dCTP
B8334	Aminoallyl-UTP-X-Cy5	B8110	N4-Methyl-dCTP
B8330	Cy3-UTP	B8112	5-hmdUTP
B8202	Cy3-dUTP	B8113	5-hme-dCTP
B7966	N6-Methyl-ATP	B8116	5-Carboxy-dCTP
B7967	5-Methyl-CTP	B8117	5-Formyl-dCTP
B7972	Pseudo-UTP	B8118	5-Indolyl-AA-dUTP
B7973	ITP	B8119	5-Carboxy-dUTP
B7999	Ara-ATP	B8120	5-Formyl-dUTP
B8079	2-Amino-dATP	B8121	7-Deaza-7-Propargylamino-dATP
B8081	5-Br-dCTP	B8122	7-Deaza-7-Propargylamino-dGTP
B8082	5-Br-dUTP	B8114	5-Propargylamino-dCTP
B8084	7-Deaza-dATP	B8115	5-Propargylamino-dUTP

Brief principle of FISH



Structure of Biotin-16-dUTP



9.2 Molecular Detection and Separation

The high affinity of streptavidin for the biotin ligand is one of the strongest and most widely utilized interactions in biology. The strength and specificity of this interaction has been exploited in many biological applications, including secondary label introduction and affinity isolation. In PCR, biotinylated dNTPs with shorter linker arms (i.e., biotin-4-dUTP) serve as better DNA polymerase substrates. However, biotinylated dNTPs with longer linker arms (i.e., biotin-11-dUTP or biotin-14-dUTP) are more commonly used because they improve detection by streptavidin-biotin complex formation. Focusing on biotinylated dNTPs suitable for strong postamplification detection, the extent of biotin16-AA-dNTP substitution was investigated.

Biotinylated nucleotides are readily incorporated during PCR amplification schemes.

Products

Cat.No.	Product Name	Cat.No.	Product Name
B8150	Biotin-16-dUTP	B8154	Biotin-16-AA-UTP
B8151	Biotin-16-dCTP	B8156	Desthiobiotin-6-dCTP
B8152	Biotin-16-AA-CTP	B8158	Biotin-16-dGTP
B8153	N4-Biotin-OBEA-dCTP	B8157	Desthiobiotin-16-UTP

9.3 mRNA Capping Reagent

A critical step in mRNA processing is the addition of a 5' cap structure, a 5'-5' triphosphate linkage between the 5' initiating terminal of the RNA and a guanosine nucleotide. mRNA capping is a critical aspect of creating viable mRNA constructs that will remain biologically active and avoid self/non-self intracellular responses.

The cap is then methylated enzymatically at the N-7 position of the guanosine to form a mature mCAP. And now, Anti Reverse Cap Analog (ARCA) is introduced. ARCA with the ability only inserting in the proper orientation, results in forming mRNAs that can be translated twice efficiently as those initiated with mCAP.

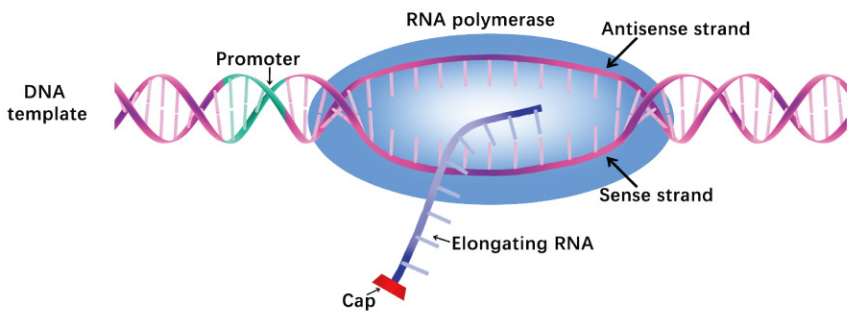
When preparing synthetic mRNA, the cap is often added prior to use in order to stabilize the mRNA and significantly enhance translation. Using a 4:1 mixture of a cap analog to GTP in transcription reactions will cap 80% of the resulting mRNAs.

APExBIO offers high quality mCAP and ARCA for researchers.

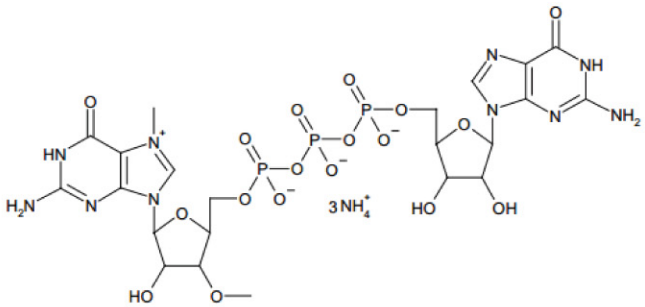
Products

Cat.No.	Product Name	Cat.No.	Product Name
B8174	mCAP	B8175	ARCA

Transcription of mRNA and the addition of a 5' cap



Structure of ARCA (Anti Reverse Cap Analog)



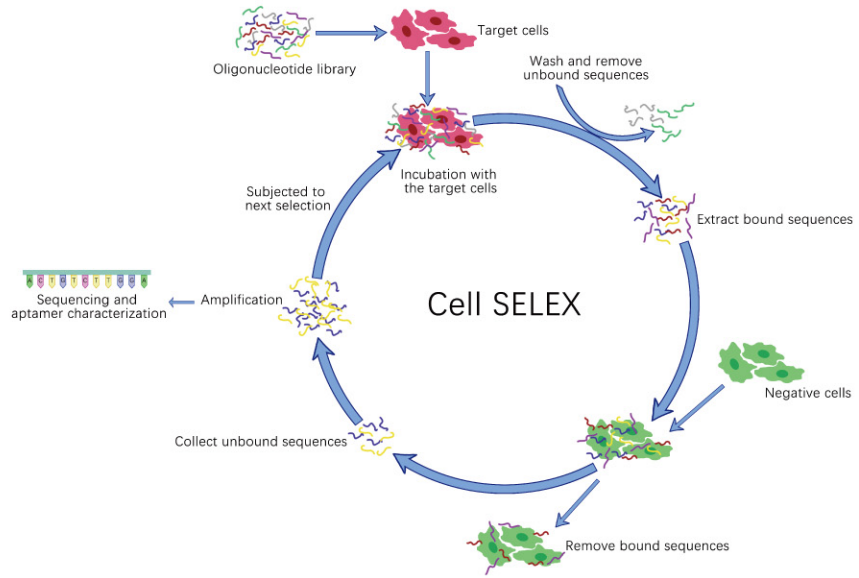
9.4 Drug Discovery

2' Fluoro and 2' O-Methyl NTPs are being utilized in an increasing number of applications in research and new drug development. The SELEX (Systematic Evolution of Ligands by Exponential Enrichment) is a key technique for the simultaneous screening of 1 x 10^{15} different oligonucleotides against a target of interest. 2' Fluoro and 2' O-Methyl NTPs are the components of the DNA/RNA SELEX pool and incorporated in both DNA and RNA constructs to improve in vivo stability. They are used in the design and synthesis of aptamers, antagomirs and siRNA, that's because they impart increased target affinity and nuclease resistance while reducing immune response.

Products

Cat.No.	Product Name	Cat.No.	Product Name
B7964	5-Iodo-CTP	B7993	2'-O-Methylpseudo-UTP
B7965	5-Iodo-UTP	B7995	2'-O-Methyl-5-methyl-UTP
B7959	2'-F-dATP	B7979	2'-Amino-dCTP
B7961	2'-F-dCTP	B7980	2'Amino-dUTP
B7962	2'-F-dGTP	B7998	2'-Amino-dATP
B7963	2'-F-dUTP	B8043	2'-Amino-dGTP
B8035	2'-F-dTTP	B8036	3'-O-Methyl-ATP
B7968	2'-O-Methyl-ATP	B8037	3'-O-Methyl-CTP
B7969	2'-O-Methyl-CTP	B8038	3'-O-Methyl-GTP
B7970	2'-O-Methyl-GTP	B8039	3'-O-Methyl-UTP
B7971	2'-O-Methyl-UTP	B7978	4-Thio-UTP
B7974	2'-O-Methyl-ITP	B8033	5-Br-CTP
B7992	2'-O-Methyl-2-Amino-ATP	B8034	5-Br-UTP

Iterative rounds of Cell SELEX



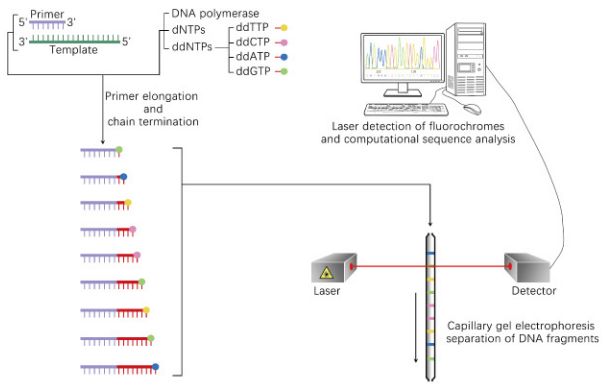
9.5 Chain Terminator

3'dNTP and 2', 3' ddNTP are known as chain terminators. When enzymatically preparing DNA and RNA in vitro, nucleotides are added to the 3' or hydroxyl terminus of the growing chain. Once the chain termination nucleotide is incorporated, it is impossible to add further nucleotides. This can be achieved by using our 3'-deoxy, 2', 3'-dideoxy, 3'-azido or 3'-amino nucleotide derivatives. Chain terminators have a wide range of applications including sequencing, enzymatic studies and therapeutic uses.

Products

Cat.No.	Product Name	Cat.No.	Product Name
B8131	3'-dATP	B8144	3'-Amino-ddATP
B8132	3'-dGTP	B8145	3'-Amino-ddCTP
B8133	3'-dCTP	B8146	3'-Amino-ddGTP
B8135	3'-dUTP	B8147	3'-Amino-ddTTP
B8136	ddATP	B8141	3'-Azido-ddATP
B8137	ddGTP	B8142	3'-Azido-ddGTP
B8138	ddUTP	B8143	3'-Azido-ddTTP
B8139	ddTTP	B8148	3'-Azido-ddCTP
B8140	ddCTP	B8294	3'-Azido-ddUTP
B8149	ddITP		

Sanger sequencing



9.6 Antiviral Agents

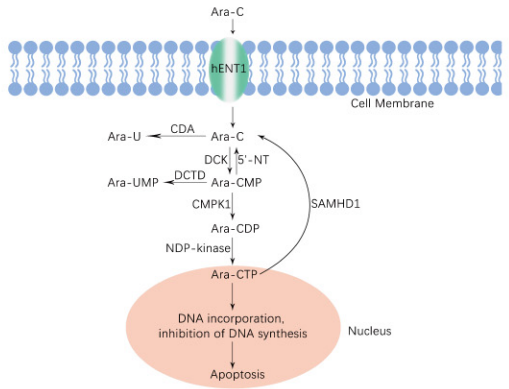
Nucleoside-based antiviral agents are synthetic agents whose structure is similar to the naturally occurring nucleosides of DNA and RNA. They are considered as the most common antiviral agents with immuno-modulating activity.

Antiviral nucleotides can used as competitive substrates for enzymes, chain terminators for DNA or RNA, relying on these features, they can suppress viral reproduction and treat HIV, AML, ALL, cancers, hepatitis and so on.

Products

Cat.No.	Product Name	Cat.No.	Product Name
B7985	Ara-CTP	A5275	Tenofovir
B7986	Ara-UTP	B2125	Ribavirin
B7999	Ara-ATP	A8530	Telbivudine
B2097	Ganciclovir	A8458	Lamivudine
B1864	Valganciclovir HCl	B2225	Stavudine (d4T)
B8068	Ara-GTP	B2221	Zidovudine
A5790	Cidofovir	B2062	Vidarabine
B1238	Cidofovir dehydrate	A8405	Cytarabine
B7989	6-Aza-CTP	B2222	Adefovir Dipivoxil
B7990	6-Aza-UTP		

Principle for antiviral function of Ara-C



9.7 Other Modified Nucleotides

We also provide a variety of other modified nucleotides with diverse structures and labels.

Products

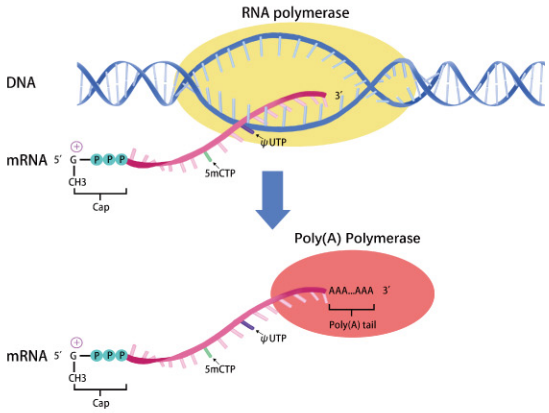
Cat.No.	Product Name	Cat.No.	Product Name
B7955	2-Amino-ATP	B8054	5-hme-UTP
B7956	2-Amino-6-Cl-purine-rTP	B8055	5-hme-CTP
B7957	8-Aza-ATP	B8056	Thieno-GTP
B7958	6-Cl-purine-rTP	B8057	5-Hydroxy-CTP
B7976	Xanthosine-TP	B8058	5-Formyl-UTP
B7977	5-Methyl-UTP	B8059	5-Carboxy-UTP
B7981	2'-Azido-dCTP	B8060	5-Hydroxy-UTP
B7982	2'-Azido-dUTP	B8061	5-Methoxy-UTP
B7983	O6-Methyl-GTP	B8062	5-Methoxy-CTP
B7984	2-Thio-UTP	B8063	Thieno-UTP
B7987	5,6-Dihydro-UTP	B8064	5-Carboxymethylester-UTP
B7988	2-Thio-CTP	B8065	Thieno-CTP
B7994	N1-Methyl-ATP	B8066	8-Oxo-ATP
B7996	7-Deaza-GTP	B8067	Iso-GTP
B7997	2'-Azido-dATP	B8069	N1-Ethylpseudo-UTP
B8032	8-Azido-ATP	B8070	N1-Methyl-2'-O-Methylpseudo-UTP
B8040	7-Deaza-ATP	B8071	N1-Propyl-Pseudo-UTP
B8042	2'-Azido-dGTP	B8072	2'-O-Methyl-N6-Methyl-ATP
B8045	8-Oxo-GTP	B8078	2-Amino-6-Cl-purine-drTP
B8046	2-Aminopurine-rTP	B8083	6-Cl-purine-drTP
B8047	Pseudoisocytidine-5'-Triphosphate	B8103	4-Thio-dTTP
B8048	N4-Methyl-CTP	B8105	6-Aza-dUTP
B8049	N1-Methylpseudo-UTP	B8106	6-Thio-dGTP
B8050	5,6-Dihydro-5-Me-UTP	B8104	2-Thio-dCTP
B8051	N6-Methyl-Amino-ATP	B8107	8-Chloro-dATP
B8052	5-Carboxy-CTP	B8111	2'-Deoxyzebularine-TP

Products

Cat.No.	Product Name	Cat.No.	Product Name
B8053	5-Formyl-CTP	B8123	3'-O-(2-nitrobenzyl)-2'-dATP
B8124	3'-O-(2-nitrobenzyl)-2'-dITP	B8296	N1-MOM-Pseudo-UTP
B8134	5-Methyl-3'-dUTP	B8297	5-MOM-CTP
B8080	2-Aminopurine-drTP	B8298	5-MOM-UTP
B8295	5-Br-ddUTP		

10 Custom mRNA Synthesis

The ability to synthesize RNA in the laboratory is critical to many techniques. Synthesis of RNA transcripts containing modified nucleotides can be used for various biochemical and molecular biology studies. Large scale transcription reactions, generating up to 200 μg of RNA per reaction can be used for RNA amplification, expression studies (microinjection, infection with viral transcripts, in vitro translation), structural analysis (protein-RNA binding), and mechanistic studies (ribozyme analyses). We can provide milligram scale RNA synthesis service.



Modified Nucleotide-containing mRNA Synthesis

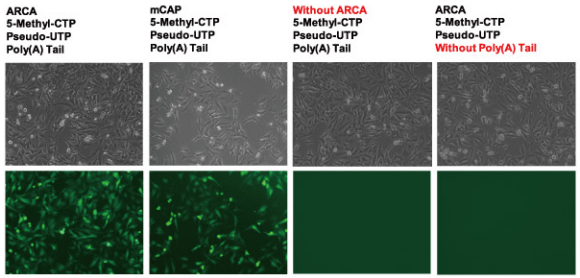
10.1 In Vitro Synthesis of mRNA (In vitro transcription, IVT)

A 7-methyl guanosine (m7G) cap structure at the 5′ end and a poly(A) tail at the 3′ end are required for mRNA to be translated efficiently in vitro. Capped mRNAs are synthesized by co-transcriptional incorporation of Anti-Reverse Cap Analog (ARCA) via T7 RNA Polymerase. DNase I is used to remove the template DNA, so Poly(A) Polymerase can attach poly(A) tail to capped mRNA. 5-Methyl-CTP, Pseudo-UTP and other modified nucleotides can also be incorporated into mRNA. Synthetic mRNAs are applicable in cell transfection, microinjection, in vitro translation and RNA vaccines etc.

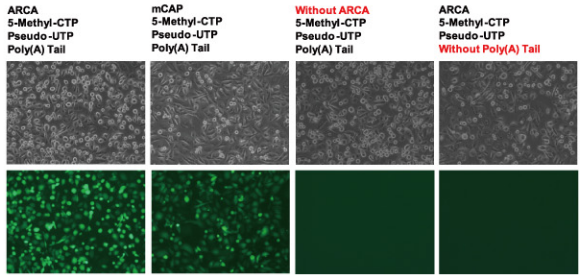
Our custom synthesis mRNA covers a wide range of applications

- mRNA for genome editing, e.g. Zinc-finger Nuclease mRNA, TALEN mRNA, Cas9 mRNA and Recombinase mRNA.
- Reporter gene mRNA, such as EGFP mRNA and Luc mRNA, for fluorescence microscopy, flow cytometry and bioluminescent imaging.
- Reprogramming mRNA, i.e mRNA for non-integrating generation of iPSC.

Validation



EGFP mRNA in Hela cells; 24 hours post transfection



EGFP mRNA in PC3 cells; 48 hours post transfection

For more updated validation, please visit www.apexbt.com/rna.html

Related Products

Cat.No.	Product Name	Cat.No.	Product Name
B8175	ARCA	B8174	mCAP
B7972	Pseudo-UTP (ψUTP)	B7967	5-Methyl-CTP (5mCTP)
K1044	*T7 RNA Polymerase Mix	K1043	*T7 RNA Polymerase
K1046	RNase Inhibitor	K1045	Poly(A) Polymerase, E.coli. (EPAP)

***To maximize the mRNA yield, we use our proprietary engineered T7 RNA polymerase which outperforms its wild type form.**

10.2 mRNA Purification

mRNAs transcribed in vitro by T7 RNA polymerase may contain various contaminants, such as short RNAs produced by abortive initiation events, double-stranded (ds)RNAs generated by self-complementary 3'extension, as well as unincorporated nucleoside triphosphates, small abortive transcripts and plasmid template. Certain RNA sequences even induce high levels immunogenicity.

APExBIO offers purification service to remove the contaminants of modified nucleotide-containing mRNA, thus increase the processing efficiency for downstream applications.

Silica-gel Membrane Spin Column Purification

It is a solid phase extraction technique for fast nucleic acid purification. mRNA can be bound to solid phase of silica-gel membranes under certain conditions, with subsequent washing and elution steps in water or TE pH 7. This method eliminates most proteins, DNA and NTPs.

HPLC purification by ÄKTA avant system

mRNA can be purified by HPLC (ÄKTA avant system) using column matrix of alkylated non-porous polystyrene-divinylbenzene copolymer microspheres and optimized buffer system, followed by mRNA analyses and mRNA isolation from column fractions.

HPLC purification removes dsRNA and other contaminants from in vitro synthesized modified nucleotide-containing mRNAs, yielding mRNA with the high level of translation without generation of immunogenicity or RNA sensor activation.

10.3 mRNA and long RNA products

APExBIO supplies the best quality mRNA and long RNA. This new product lines involve custom synthesis of mRNA and long RNA (up to multiple kilobases) with a wide array of modification services at scales ranging from micrograms to milligrams. The mRNA can be generated from DNA templates provided by our customers or we can provide a full service from the ground up. We offer mCAP or ARCA capping or modified nucleotides implication for all our standard mRNA transcripts.

All of our mRNA products offer

- Incorporates an anti-reverse cap analog (ARCA) into the transcript to increase translation efficiency
- Reduces host cell immune response and enhances stability by incorporating modified nucleotides (5mCTP and ψUTP) and a poly(A) tail
- Degrades the DNA template after RNA synthesis with DNase
- Removes the 5' triphosphates at the end of the RNA with phosphatase to further reduce innate immune responses in mammalian cells
- Employs a robust clean-up spin column system that delivers high yields of mRNAs that are ready for most downstream applications

Related Products

Cat.No.	Product Name	Cat.No.	Product Name
R1001	ARCA EGFP mRNA	R1003	mCAP EGFP mRNA
R1002	ARCA EGFP mRNA (5mCTP, ψUTP)	R1004	mCAP EGFP mRNA (5mCTP, ψUTP)

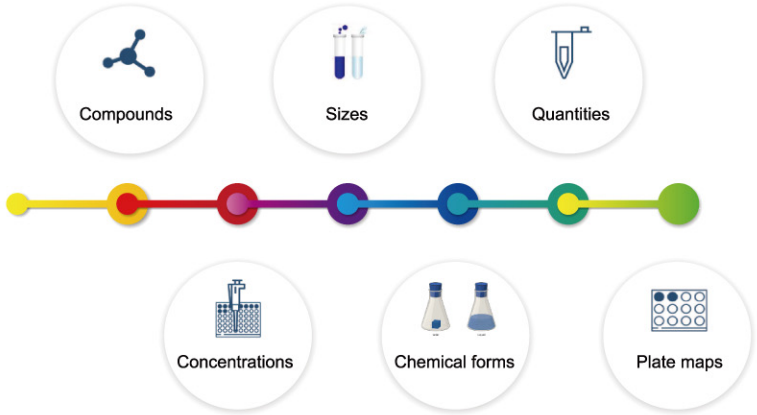
11 Screening Libraries

Bioactive Screening Libraries are ready-to-use chemical libraries used for drug discovery, lab drug screening, drug target identification, and other pharmaceutical-related applications. They are ideal for high-throughput screening (HTS) and high-content screening (HCS).

The libraries consist of **over 3000 small molecules** with validated biological and pharmacological activities. The potency, selectivity and solubility of the compounds are also provided. Safety and effectiveness of the compounds have been confirmed by literature, preclinical and clinical research; many compounds are FDA-approved.

The compounds include inhibitors, antagonists which covers hundreds of biomolecule targets and **more than 20 major signaling pathways and latest research areas**, such as DNA Damage/DNA Repair, Cell Cycle/-Checkpoint, JAK/STAT Signaling Pathway, MAPK Signaling Pathway, GPCR/G protein, Angiogenesis, Immunology/Inflammation, Endocrinology and Hormones, Cancer Biology, Metabolism, Stem Cell, etc.

Customize the library with your own choices



To customize your own library please visit http://www.apexbt.com/screening-library.html or contact sales@apexbt.com .

Features

- Available in stock with overnight delivery and free shipping over $500
- Cost-effective and competitive price to save your fundings
- Potent, selective and cell-permeable in inhibiting or activating target molecules
- Diverse in chemical structure and route of administration (oral/i.m/i.v injection etc.)
- Detailed files describing potency, selectivity and applications etc.
- Supported by published data from top peer-reviewed journals
- Guaranteed high quality with NMR and HPLC validation

Applications

- Old drugs, new uses

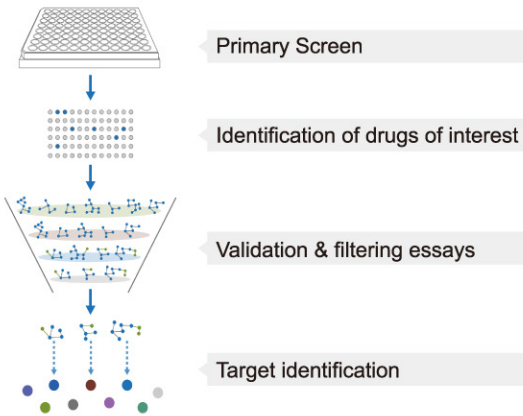
  Repurposing known drugs and compounds to treat new indications (i.e., new diseases). Repurposing can save time and money, and the side effects of the 'old' drug are already well-known.

- Drug screening

  It is the process by which potential drugs are identified and optimized before selection of a candidate drug to progress to clinical trials.

- Inhibitors/Activators discovery

  Some proteins are attractive targets for pharmacological intervention and may play important roles in signaling pathways. When inhibitors or activators against these targets are absent, compounds screening become necessary.



* A cell-based assay to screen for compounds of interest.

Product List

L1021
DiscoveryProbe™ FDA-approved Drug Library
1496 compounds

L1022
DiscoveryProbe™ Bioactive Compound Library
3317 compounds

L1023
DiscoveryProbe™ Anti-cancer Compound Library
1164 compounds

L1024
DiscoveryProbe™ Kinase Inhibitor Library
616 compounds

L1025
DiscoveryProbe™ GPCR Compound Library
528 compounds

L1026
DiscoveryProbe™ Neuronal Signaling Library
556 compounds

L1027
DiscoveryProbe™ Anti-infection Compound Library
367 compounds

L1028
DiscoveryProbe™ Tyrosine Kinase Inhibitor Library
270 compounds

L1029
DiscoveryProbe™ Epigenetics Compound Library
281 compounds

L1030
DiscoveryProbe™ Ion Channel Compound Library
199 compounds

L1031
DiscoveryProbe™ Autophagy Compound Library
486 compounds

L1032
DiscoveryProbe™ Metabolism-related Compound Library
493 compounds

L1033
DiscoveryProbe™ DNA Damage/ DNA Repair Library
146 compounds

L1034
DiscoveryProbe™ PI3K/Akt/mTOR Compound Library
145 compounds

L1035
DiscoveryProbe™ Protease Inhibitor Library
130 compounds

L1036
DiscoveryProbe™ Apoptosis Compound Library
166 compounds

L1037
DiscoveryProbe™ Cell Cycle Library
132 compounds

L1038
DiscoveryProbe™ Histone Modification Library
143 compounds

L1039
DiscoveryProbe™ Natural Product Library
550 compounds

L1040
DiscoveryProbe™ Stem Cell Compound Library
169 compounds

L1041
DiscoveryProbe™ JAK/STAT Compound Library
98 compounds

L1042
DiscoveryProbe™ Immunology/ Inflammation Compound Library
295 compounds

L1043
DiscoveryProbe™ MAPK Inhibitor Library
92 compounds

L1044
DiscoveryProbe™ NF-κB Signaling Library
73 compounds

L1045
DiscoveryProbe™ TGF-beta/Smad Compound Library
60 compounds

L1046
DiscoveryProbe™ Anti-diabetic Compound Library
29 compounds

L1047
DiscoveryProbe™ Angiogenesis Library
18 compounds

More compounds are being added to our libraries, please visit our website for updated info.

11.1 DiscoveryProbe™ Bioactive Compound Library

The DiscoveryProbe™ Bioactive Compound Library **(Catalog No. L1022)** contains 3317 bioactive compounds supplied as lyophilized powder or pre-dissolved DMSO solutions. It covers a wide range of targets such as DNA Damage/DNA Repair, Cell Cycle/Checkpoint, JAK/STAT Signaling Pathway, MAPK Signaling Pathway, GPCR/G protein, Angiogenesis, Immunology/Inflammation, Endocrinology and Hormones, Cancer Biology, Metabolism, Stem Cell, etc. The Bioactive Compound Library is ready-to-use chemical library for drug discovery, lab drug screening, drug target identification, and other pharmaceutical-related applications.

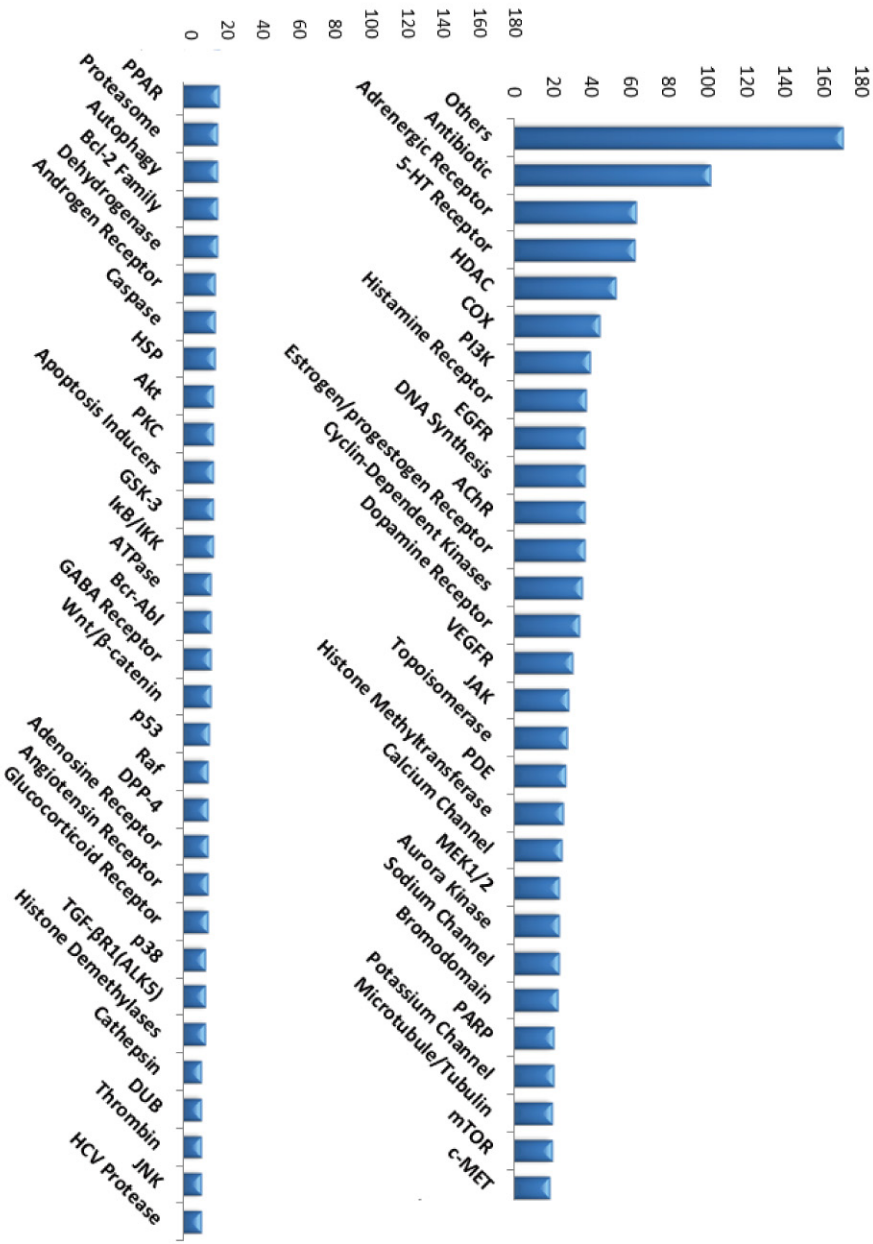
Features

- A unique collection of 3317 bioactive compounds for high throughput screening
- Including inhibitors, activators, natural products, and chemotherapeutic agents
- Cost-effective and competitive price to save your funding
- Ideal for drug screening and inhibitors/activators discovery

Target Information

Format	Quantity	Format	Quantity
Others	171	VEGFR	31
Antibiotic	102	Cyclin-Dependent Kinases	36
5-HT Receptor	63	Topoisomerase	28
HDAC	53	Dopamine Receptor	34
Adrenergic Receptor	64	Estrogen/progestogen Receptor	37
PI3K	40	Calcium Channel	25
EGFR	37	MEK1/2	24
AChR	37	Aurora Kinase	24
DNA Synthesis	37	JAK	29
COX	45	PDE	27
Histamine Receptor	38	.....	.....

DiscoveryProbe™ Bioactive Compound Library



11.2 DiscoveryProbe™ FDA-approved Drug Library

The DiscoveryProbe™ FDA-approved Drug Library **(Catalog No. L1021)** contains 1496 bioactive compounds supplied as lyophilized powder or pre-dissolved DMSO solutions. These compounds are applicable for diverse drug discovery in the fields of cardiology, neuropsychiatry, immunology, and oncology etc. This drug library is ideal for high throughput screening (HTS) and high content screening (HCS). It can be used to identify new targets for old drugs. The bioactivity and safety of these drugs were confirmed by clinical trials. Since these are FDA-approved drugs, the identified drug candidates are suitable for direct clinical testing.

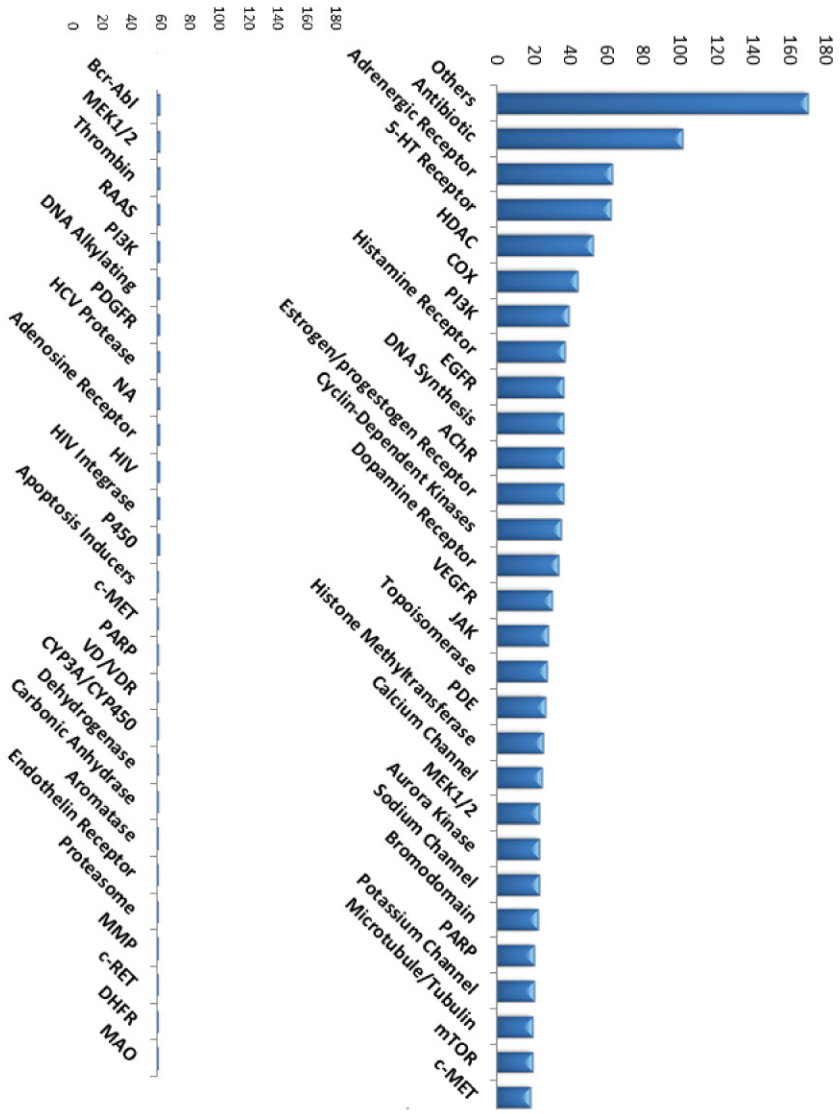
Features

- A unique collection of 1496 FDA-approved drugs for high-throughput screening
- Bioactivity and safety confirmed by preclinical research and clinical trials
- Cost-effective and competitive price to save your fundings
- Ideal for identify new targets for old drugs

Target Information

Target	Quantity	Target	Quantity
Others	108	Topoisomerase	19
Antibiotic	84	Estrogen/progestogen Receptor	28
Adrenergic Receptor	53	Sodium Channel	16
5-HT Receptor	48	PDE	19
AChR	33	VEGFR	13
DNA Synthesis	30	EGFR	12
Histamine Receptor	33	Potassium Channel	14
COX	36	HDAC	13
Dopamine Receptor	26	Glucocorticoid Receptor	12
Calcium Channel	21	……	……

DiscoveryProbe™ FDA-approved Drug Library



11.3 DiscoveryProbe™ Natural Product Library

Natural products are a supreme source of chemical diversity and an ideal starting point for any screening program of pharmacologically active small molecules. Historically, studying natural products have been a most successful way in discovery of new drugs.

DiscoveryProbe™ Natural Product Library **(Catalog No. L1039)** contains 550 bioactive compounds supplied as lyophilized powder or pre-dissolved DMSO solutions. It is suitable for high throughput screening (HTS) and high content screening (HCS).

Features

- A unique collection of 550 natural compounds for high throughput screening
- Cost-effective and competitive price to save your findings
- Ideal for drug screening and inhibitors/activators discovery

11.4 DiscoveryProbe™ Kinase Inhibitor Library

DiscoveryProbe™ Kinase Inhibitor Library **(Catalog No. L1024)** contains 616 bioactive compounds supplied as lyophilized powder or pre-dissolved DMSO solutions. The library is an ideal tool for chemical genomics, assay development and other pharmacological applications. It includes inhibitors of these important kinases: Insulin/IGF Receptors, PI3-Kinase, CaM Kinase II, JAK, PKA, CDK, JNK, PKC, CKI II, MAPK, RAF, EGFR, MEK, SAPK, GSK, MLCK, Src-family, IKK, PDGFR, VEGFR and many more. It is available for high throughput screening (HTS) and high content screening (HCS).

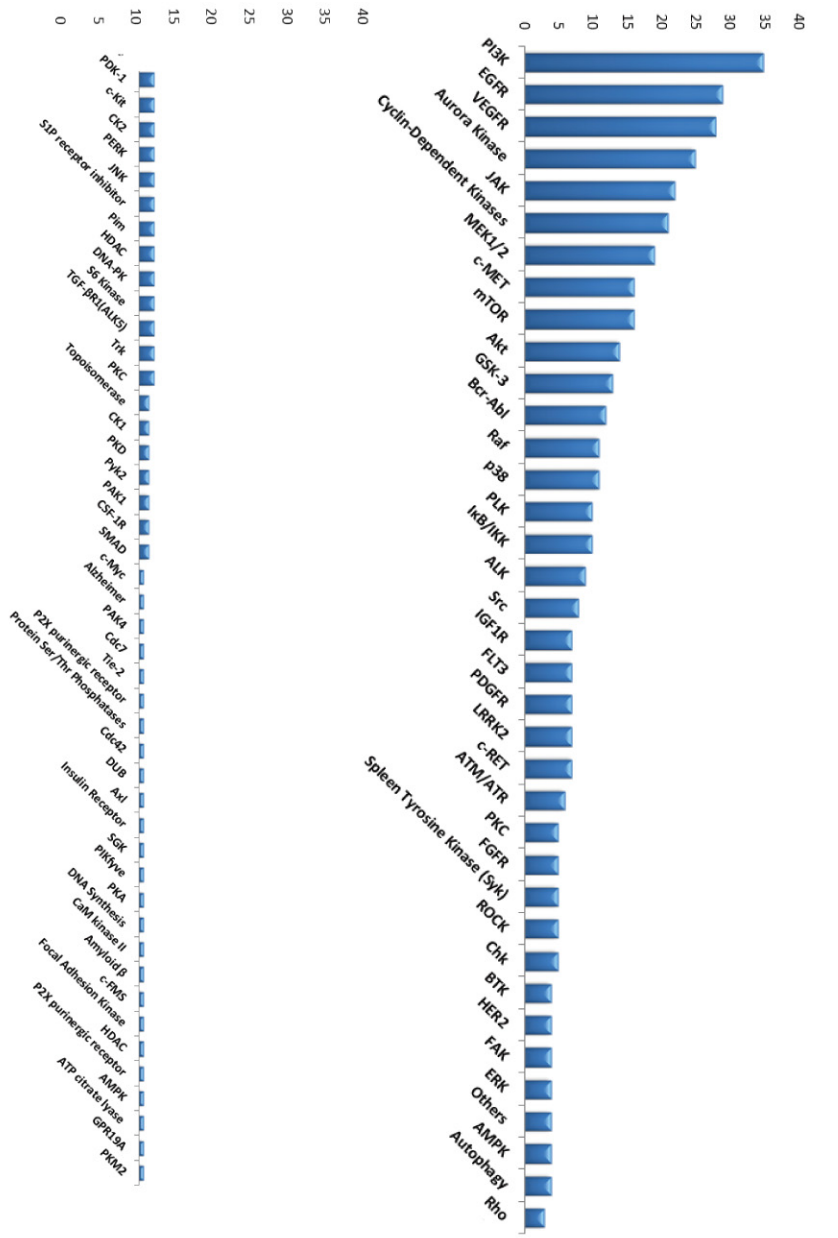
Features

- A unique collection of 616 kinase inhibitors for high throughput screening
- Targets kinases such as RTKs, PI3K, Aurora Kinase, CDK, and MEK, etc.
- Cost-effective and competitive price to save your fundings
- Ideal for drug screening and inhibitors/activators discovery

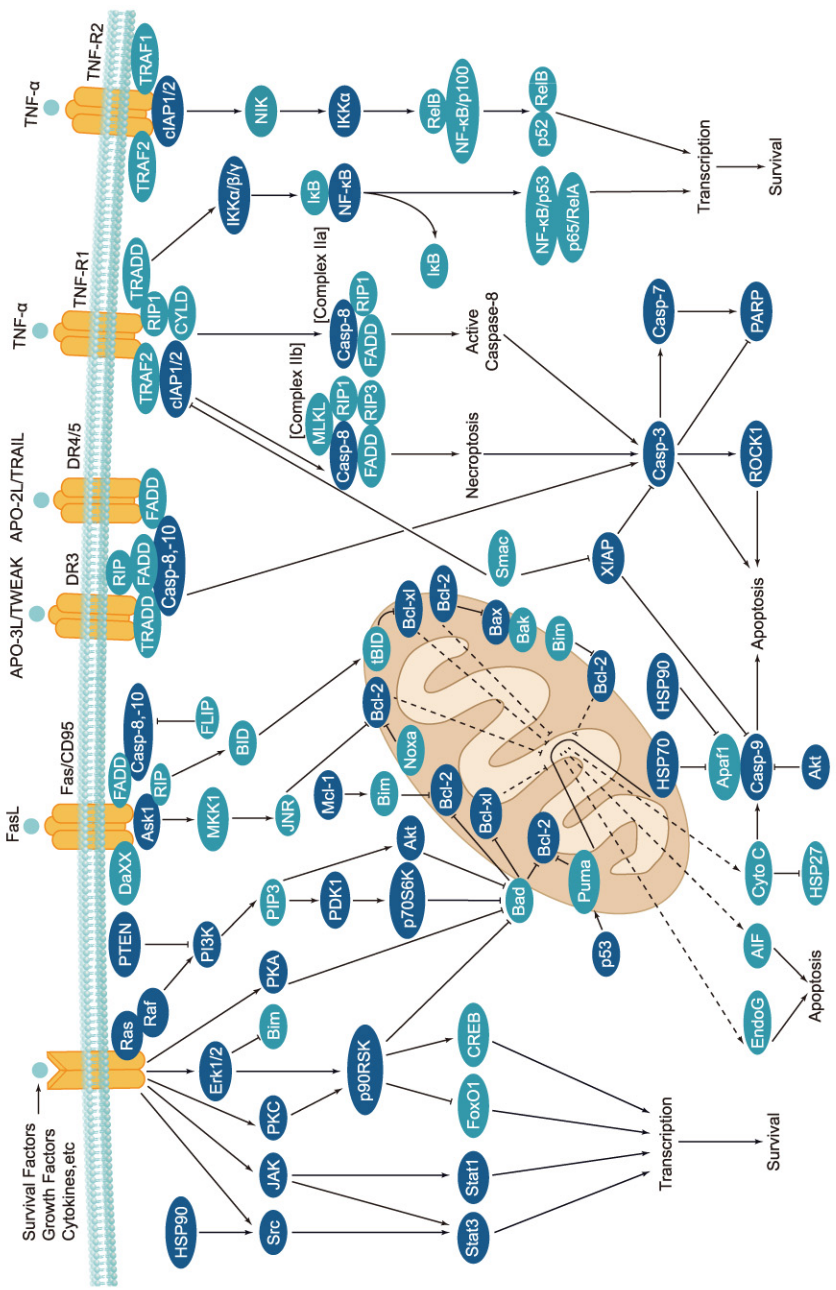
Target Information

Target	Quantity	Target	Quantity
PI3K	35	p38	11
EGFR	29	Bcr-Abl	12
VEGFR	28	GSK-3	13
Aurora Kinase	25	Raf	11
MEK1/2	19	PLK	10
Cyclin-Dependent Kinases	21	ALK	9
c-MET	16	IGF1R	7
JAK	22	Src	7
mTOR	16	c-RET	7
Akt	14	……	

DiscoveryProbe™ Kinase Inhibitor Library



Apoptosis



Introduction

Apoptosis, also known as programmed cell death, is rigorously controlled process of cell death that leads to phagocytosis of unwanted cell. It is triggered after sufficient cellular damage and activated through extrinsic or intrinsic pathways. The intrinsic pathway is mainly occurs via release of cytochrome c from the mitochondria and regulates mitochondrial outer membrane permeabilization by Bcl-2 family proteins. The extrinsic pathway is induced by ligand binding to death receptor, such as Fas, TNFαR, DR3, DR4, and DR5. Caspases then cleave target proteins and nuclear lamins to promote DNA degradation, resulting apoptotic cells undergo phagocytosis. In addition, p53 has the ability to activate intrinsic and extrinsic pathways of apoptosis by inducing transcription of several proteins like Puma, Bid, Bax, TRAIL-R2, and CD95.

Some Inhibitors of apoptosis proteins (IAPs), such as XIAP/BIRC4 and Bruce/BIRC6, can block casapse activity through direct binding, while other IAPs, such as cIAP1/BIRC2, cIAP2/BIRC3, act as ubiquitin ligases that target caspases for ubiquitin-mediated degradation. Apoptosis is essential for growth, development and aging in multicellular organisms. Any alterations or abnormalities occurring in apoptotic processes contribute to development of human diseases, including cancer.

Apoptosis Inducer

Featured Products

APExBIO provides over 9000 products, for all the available compounds in this category, please visit our website.

Cat.No.	Product Name	Short Summary	CAS	Solubility
A8244	Cycloheximide	Antibiotic, inhibitor of protein synthesis in eukaryotes	66-81-9	≥14.1 mg/mL in DMSO
A4448	Actinomycin D	RNA polymerase inhibitor	50-76-0	≥62.8 mg/mL in DMSO
A4452	Mitomycin C	Inhibits DNA synthesis, antibiotic and antitumor agent	50-07-7	≥16.7 mg/mL in DMSO
A3265	Brassinolide	Plant growth regulator	72962-43-7	≥48.1 mg/mL in DMSO
A4457	Streptozocin	Antibiotic and antitumor agent	18883-66-4	≥10.3 mg/mL in DMSO, ≥53.2 mg/mL in H_2O
A3278	Capsaicin	TRPV1 receptor agonist	404-86-4	≥15.3 mg/mL in DMSO
A3583	Matrine	Alkaloid found in Sophora plant	519-02-8	≥12.4 mg/mL in DMSO
A4453	NSC 687852 (b-AP15)	19S regulatory particle Inhibitor	1009817-63-3	≥21 mg/mL in DMSO

Product Citations

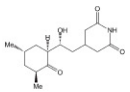
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

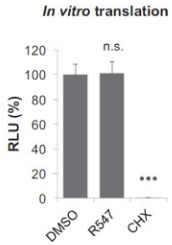
A8244 Cycloheximide

Cycloheximide is an inhibitor of protein biosynthesis in eukaryotic organisms.

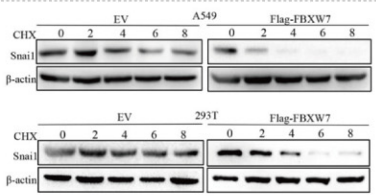
Size 200 mg, 500 mg, 1 g, 5 g

6 citations

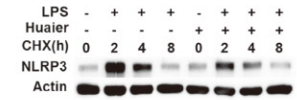




CDK activity is required for IFN-β mRNA translation. In vitro translation was performed for a control luciferase mRNA in rabbit reticulocyte lysates pretreated with DMSO, R547 (10 nM), or cycloheximide (CHX; 10 μg/mL). Reactions were assayed for luciferase activity 30 min later. **Proc Natl Acad Sci U S A. 2018. PMID:29507205.**



FBXW7 binded to Snai1 and induced its ubiquitination and proteasomal degradation. The protein half-life of Snai1 was analyzed following treatment with cycloheximide. Cell **Prolif. 2018. PMID:30094882.**



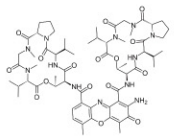
Huaier promotes NLRP3 degradation via promoting autophagy. Mouse peritoneal macrophages were pretreated with Huaier (8 mM) for 2 h, then stimulated with LPS for 4 h, and subsequently treated for various times with cycloheximide (CHX) (10 μM). **Oncotarget. 2017. PMID:28380426.**

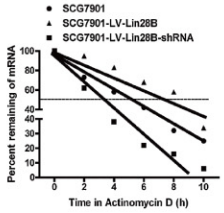
A4448 Actinomycin D

Actinomycin D is RNA polymerase inhibitor with the IC50 of 0.42 μM.

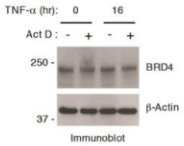
Size 5 mg, 10 mg, 50 mg

10 citations

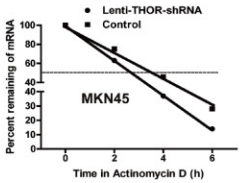




Lin28B directly binds to NRP-1 and activates downstream Wnt/β-catenin signaling. Cells with Lin28B overexpression or knockdown were seeded into 6-well plates, and followed by 5 μg/ml actinomycin D treatment to block novo RNA synthesis. **Biomed Pharmacother. 2018. PMID:29787985**



eRNA depletion reduces the expression of corresponding mRNAs and impacts BRD4 binding.
SW480 cells were treated with a final concentration of 500 nM JQ1, 2 μg/ml Act D, or vehicle (DMSO). **Nat Struct Mol Biol. 2018. PMID:30076409**



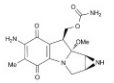
Knockdown of THOR decreases SOX9 expression via directly binding to its 3'UTR. Gastric cancer cells with THOR knockdown or not were treated with actinomycin D (2.5 μg/ml) for the indicated times. **Biomed Pharmacother. 2018. PMID:30227327**

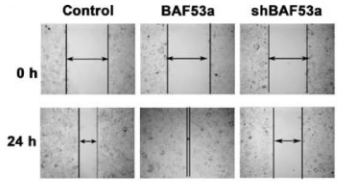
A4452 Mitomycin C

Mitomycin C is an antibiotic and antitumor agent,which inhibits DNA synthesis.

Size 5 mg, 10 mg

2 citations



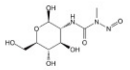


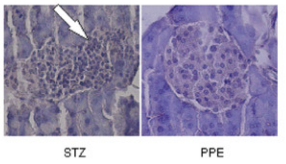
BAF53a promotes proliferation, migration and invasion of glioma cells. When grew to 90% confluence, cells were incubated with mitomycin-C (10 μg/ml) for 1 h to suppress proliferation, and starved in serum-free medium for 24 h. **Oncol Rep. 2017.PMID:290395840**

A4457 Streptozocin

Streptozocin is antibiotic and antitumor agent, which alkylates DNA and induces diabetes mellitus via reduction of nicotinamide adenine dinucleotide in pancreatic β-cells in vivo.

Size 100 mg, 500 mg



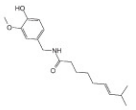


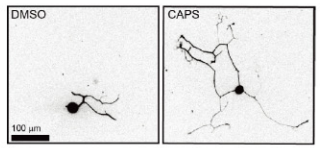
PPE given prophylactically in the streptozotocin-treated mice successfully prevents infiltration of immune cells into the pancreatic islets. Representative histological images of pancreatic islets isolated from STZ or STZ + PPE-treated mice on day 28th after diabetes induction. **Journal of Functional Foods. 2017.**

A3278 Capsaicin

Capsaicin is an anti-proliferation agent with IC50 value of 100 μM in A172 cells.

Size 50 mg, 100 mg





Capsaicin pulse induces axon outgrowth. Capsaicin (10 μM) was applied for 10 minutes or 24 hours. **eNeuro. 2018. PMID:29854941**

Bcl-2 Family Inhibitors

Featured Products

APExBIO provides over 9000 products, for all the available compounds in this category, please visit our website.

Cat.No.	Product Name	Short Summary	CAS	Solubility
A3007	ABT-263 (Navitoclax)	Potent Bcl-2 family inhibitor, inhibits Bcl-2, Bcl-xL, and Bcl-w	923564-51-6	≥48.7 mg/mL in DMSO
A8737	S63845	MCL1 inhibitor	1799633-27-4	≥41.45 mg/mL in DMSO
A8193	ABT-737	Bcl-2 inhibitor	852808-04-9	≥40.7 mg/mL in DMSO
A8194	ABT-199	Bcl-2 inhibitor, potent and selective	1257044-40-8	≥43.4 mg/mL in DMSO
B6011	A-1210477	MCL-1 inhibitor	1668553-26-1	<1.7 mg/mL in DMSO
A4199	Sabutoclax	Pan-Bcl-2 inhibitor	1228108-65-3	≥205.6 mg/mL in DMSO
A4194	Obatoclax mesylate (GX15-070)	Potent Bcl-2 inhibitor	803712-79-0	≥20.7 mg/mL in DMSO

Product Citations

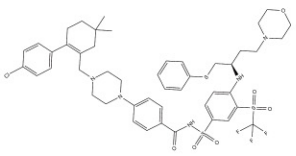
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

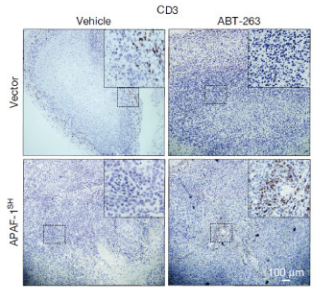
A3007 ABT-263 (Navitoclax)

ABT-263 (Navitoclax) is a potent inhibitor of Bcl-xL, Bcl-2 and Bcl-w with Ki of ≤ 0.5 nM, ≤1 nM and ≤1 nM

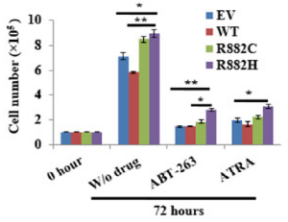
Size 5 mg, 10 mg, 50 mg, 100 mg

12 citations

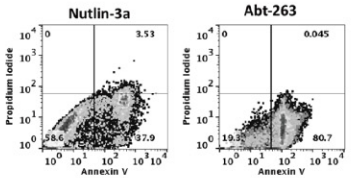




CICD displays enhanced anti-tumorigenic effects versus apoptosis. Representative immunohistochemistry images (nD3 mice) of CD3 staining (T cells), taken from control (pLKO1) or pLKO1-shAPAF-1 (APAF-1SH) BCL-2-dependent CT26 cell tumour sections, following vehicle or ABT-263 (100 mg/kg) treatment, twice in a week. **Nat Cell Biol. 2017. PMID:28846096**



DNMT3A R882H/C mutants impair apoptosis through attenuation of DNA damage signaling. Cell proliferation of stably transduced U937 cells treated with 300 nM ATRA and 300 nM ABT-263 for 72 hours or no drug. **Neoplasia. 2018. PMID:30245403**



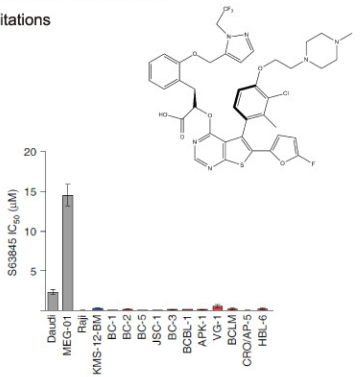
RHSA-p53i induces apoptosis in SJSA-1 and MDA-MB-231 cells. SJSA-1 cells were treated for 48 hours with the indicated amount of rHSA (5 μM), rHSA-p53i (5 μM), Nutlin-3a (5 μM), or ABT-263 (1.5 μM for SJSA-1). **Mol Pharm. 2018. PMID:30226785**

A8737 S63845

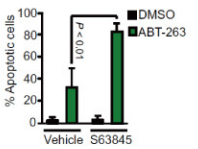
S63845 is a small molecule MCL1 inhibitor with Ki < 1.2 nM.

Size 1 mg, 5 mg, 10 mg, 25 mg

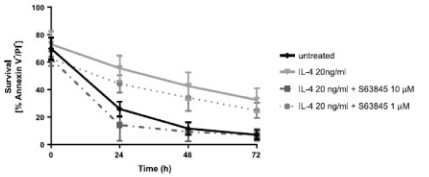
6 citations



Pharmacological inhibition of MCL1 in PEL and control cell lines and MCL1 expression in PEL tumors. Calculated IC50 values of S63845 in different cell lines. **Nat Commun. 2018. PMID:30111820**



Pharmacological inhibition of MCL-1 with S63845, a MCL-1–selective BH3 mimetic, restores sensitivity of SSc6 HDFs to ABT-263–induced apoptosis. **Sci Transl Med. 2017. PMID:29237758**



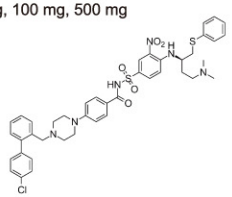
IL-4 triggers rapid changes in AKT-mediated protein phosphorylation pattern. (c) S63845 administration at indicated concentrations with and without cytokines. **Cell Death Dis. 2018. PMID:29915306**

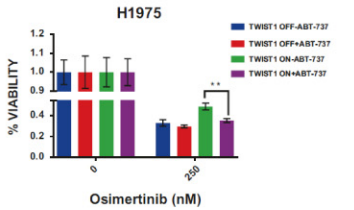
A8193 ABT-737

ABT-737 is a BH3 mimetic inhibitor of Bcl-xL, Bcl-2 and Bcl-w with EC50 of 78.7 nM, 30.3 nM and 197.8 nM, respectively; no inhibition observed against Mcl-1, Bcl-B or Bfl-1.

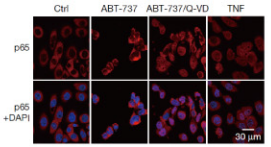
Size 5 mg, 10 mg, 50 mg, 100 mg, 500 mg

13 citations

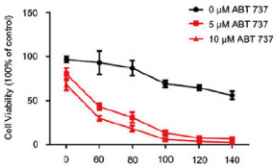




TWIST1 suppresses BIM expression. H1975 TRE3G-TWIST1 cells were pretreated with doxycycline for 72 h and then co-treated with osimertinib and ABT-737 (1 μM) ± doxycycline for 72 h. **Oncogene. 2018. PMID:30171258**



Mitochondrial permeabilization activates NF-κB. BCL-xL-dependent SVEC cells were treated with ABT-737 (10 μM) ± Q-VD-OPh (30 μM) for 1 h, immunostained for p65 and analysed by confocal microscopy. **Nat Cell Biol. 2017. PMID:28846096**



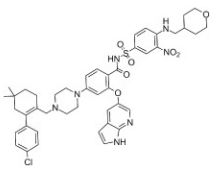
Bcl-2 inhibitors synergized the cytotoxicity of PPI. AGS cells were pretreated with various concentrations of PPI for 24 h in pH 7.4 condition, and then incubated with two different doses of ABT-263/ABT-737 for another 24 h. **Cell Death Dis. 2018. PMID:29789637**

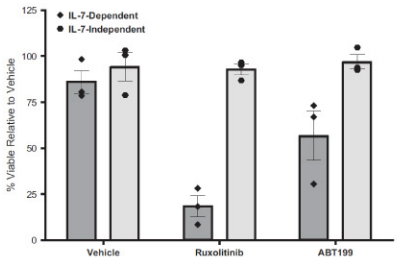
A8194 ABT-199

ABT-199 (GDC-0199) is a Bcl-2-selective inhibitor with Ki of <0.01 nM, >4800-fold more selective versus Bcl-xL and Bcl-w, and no activity to Mcl-1.

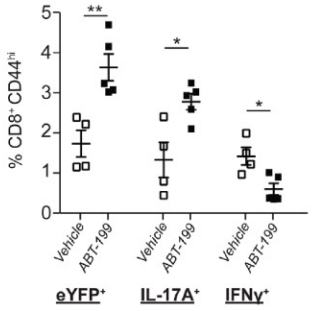
Size 1 mg, 5 mg, 50 mg

11 citations

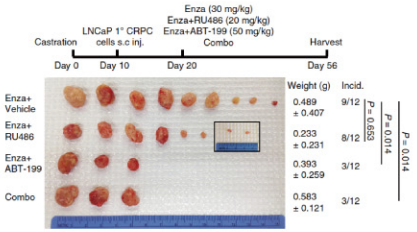




IL7R signaling prevents a dexamethasone-induced increase in apoptotic priming. Cell viability of IL7-dependent and -independent samples with the addition of 2.5 μM dexamethasone relative to vehicle, 500 nM ruxolitinib, or 200 nM ABT-199 alone. **Leukemia. 2017. PMID:28484265**



Role of Bcl-2 for memory Tc17 cells. IL17aCreR26ReYFP mice were vaccinated and rested for ~90 days. Mice were treated with either vehicle or Bcl-2 inhibitor ABT-199 (20 mg/kg body weight) for 10 days. **PLoS Pathog. 2017.PMID:28542595**

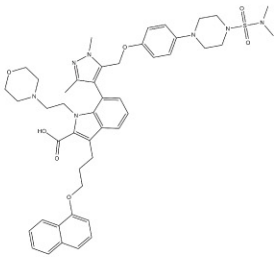


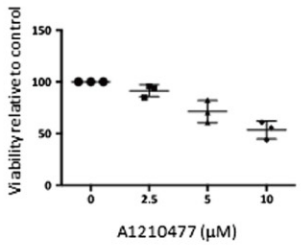
BCL-2 inhibitor prevents AR+/hi LNCaP 2° CRPC. (3) Enza (30 mg/kg) + ABT-199 (50 mg/kg, oral gavage, 5 times per week26) (n = 12); (4) Combo: Enza (30 mg/kg) + RU486 (20 mg/kg) + ABT-199 (50 mg/kg) (n = 12). **Nat Commun. 2018. PMID:30190514**

B6011 A-1210477

A-1210477 is an effective and specific MCL-1 inhibitor with an EC50 value below 5 μmol/L.

Size 5 mg, 25 mg





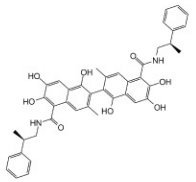
MCL-1 is required for breast cancer cell-line survival in vitro. Western blot analysis following 48 h treatment with 5 μM A1210477 (f) and 0.1 μM S63845 (g) in the presence or absence of 10 μM Q-VD-OPh caspase inhibitor. **Cell Death Dis. 2018. PMID:29339815**

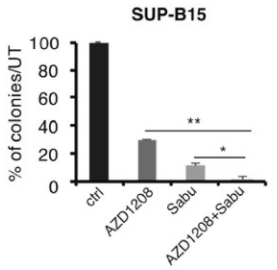
A4199 Sabutoclax

Sabutoclax is an inhibitor of pan-Bcl-2 family with IC50 values of 0.32, 0.31, 0.20 and 0.62 μM for Bcl-2, Bcl-xL, Mcl-1 and Bfl-1, respectively.

Size 5 mg, 50 mg

2 citations



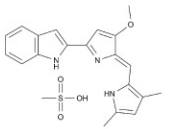


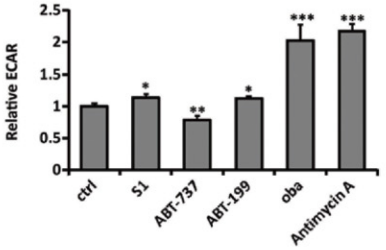
Effect of AZD1208 and Sabutoclax on colony formation of Ph+ leukemia cell lines and primary Ph+ ALL cells. Methylcellulose colony formation of SUP-B15, untreated or treated with AZD1208 (3 μM), Sabutoclax (80 nM), or a combination of AZD1208 and Sabutoclax. **Cancer Res. 2018. PMID:30154155**

A4194 Obatoclax mesylate (GX15-070)

Obatoclax (GX15-070) is an antagonist of Bcl-2 with Ki of 0.22 μM, can assist in overcoming MCL-1 mediated resistance to apoptosis.

Size 5 mg, 10 mg, 25 mg, 50 mg





S1 induces apoptosis and interrupts glucose metabolism in SKOV3 cells. Extracellular acidifcation rates were measured in the presence of Bcl-2 inhibitors ABT-737, ABT-199, and obatoclax mesylate (Oba) or antimycin A (2.5 μM). (n=4). **Int J Oncol. 2016.PMID:27277143**

Bcl-xL Inhibitors

Featured Products

APExBIO provides over 9000 products, for all the available compounds in this category, please visit our website.

Cat.No.	Product Name	Short Summary	CAS	Solubility
A3935	WEHI-539	Bcl-xL inhibitor, potent and selective	1431866-33-9	<1.17 mg/mL in DMSO
B6163	A-1155463	Bcl-XL inhibitor, potent and selective	1235034-55-5	≥67 mg/mL in DMSO
B6164	A-1331852	Bcl-XL inhibitor, potent and selective	1430844-80-6	Soluble in DMSO
A8634	WEHI-539 hydrochloride	Bcl-xL inhibitor,high affinity and selective	2070018-33-4	≥28.55 mg/mL in DMSO

Product Citations

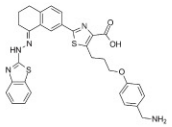
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

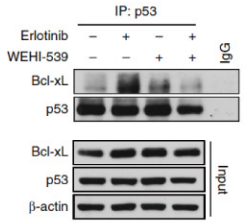
A3935 WEHI-539

WEHI-539 is a small-molecule inhibitor of Bcl-xL with an IC50 value of 1.1 nM.

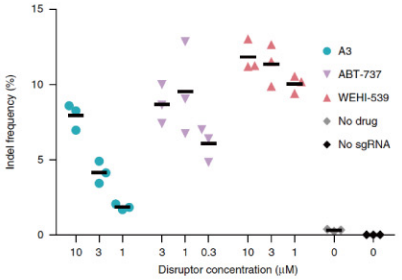
Size 5 mg, 10 mg, 50 mg

15 citations

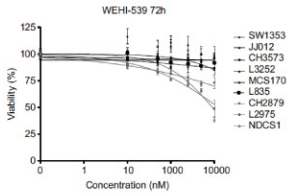




Bcl-xL prevents GBM cell death by binding to and sequestering cytoplasmic p53. HK301 was treated for 24 h with 1 μM erlotinib, 1 μM WEHI-539, or both, and immunoprecipitation and immunoblotting were performed as described previously. **Nat Med. 2017. PMID:29035366**



CiCas9 can be activated by a variety of BCL-xL disruptors. Editing at the AAVS1 locus 24 h after ciCas9 activation with different concentrations of the three disruptors. **Nat Methods. 2017. PMID:28737741**

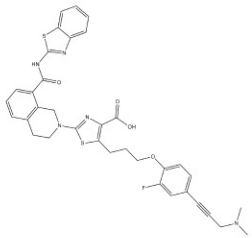


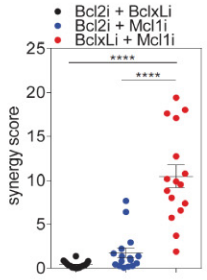
Bcl-xl can sensitize for chemotherapy in a subset of chondrosarcoma cell lines. Dose response viability curves of chondrosarcoma cell lines after 72 h treatment with Bcl-xl inhibitor WEHI-539. **Oncogenesis. 2018. PMID: 30242253**

B6163 A-1155463

A-1155463 is a potent and selective Bcl-xL inhibitor with Ki of 19 nM.

Size 5 mg, 25 mg



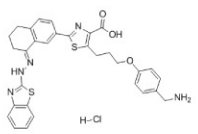


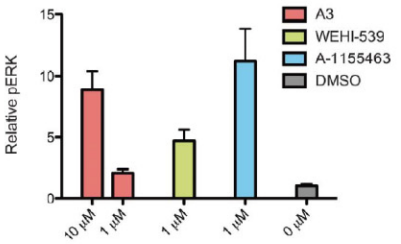
GBM depend on Bcl-xL and Mcl-1 for survival.
Dose-titration of ABT-199 (Bcl-2 inhibitor; Bcl2i), A-1155463 (Bcl-xL inhibitor, BclxLi), and S63845 (Mcl-1 inhibitor, Mcl1i) was conducted across all GBM cells and the synergy score was calculated. **UNIVERSITY OF CALIFORNIA.2018.**

A8634 WEHI-539 hydrochloride

WEHI-539 hydrochloride is a small-molecule inhibitor of Bcl-xL with IC50 value of 1.1 nM.

Size 5 mg, 10 mg, 50 mg





Diverse BCL-xL/BH3 disruptors are capable of activating CIAR in cells. WEHI-539 was a several-fold more effective activator of CIAR than A3, when tested at the same concentration (1 μM). Cells were incubated for one hour before lysis. **Small GTPases. 2018. PMID:29634387**

Potency Comparison

Inhibitors	Bcl-2	Bcl-xl	Bcl-w	Mcl-1	Bax
ABT-199	***** (Ki:<0.01 nM)				
ABT-263 (Navitoclax)	***** (Ki:≤1 nM)	***** (Ki:≤0.5 nM)	***** (Ki:≤1 nM)		
ABT-737	**** (IC50:30.3 nM)	**** (IC50:78.7 nM)	*** (IC50:197.8 nM)		
Apogossypolone (ApoG2)	**** (Ki:35 nM)	*** (Ki:660 nM)		**** (Ki:25 nM)	
Bax inhibitor peptide P5					*
Bax inhibitor peptide V5					*
Gossypol	*	*			
HA14-1	** (IC50:9 μM)				
MIM1				*	
Obatoclax mesylate (GX15-070)	*** (Ki:0.22 μM)				
TW-37	*** (Ki:0.29 μM)	** (Ki:1.11 μM)		* (Ki:0.26 μM)	
UMI-77				* (Ki:0.49 μM)	

Activators	Bcl-2	Bcl-xl	Bcl-w	Mcl-1	Bax
iMAC2					*** (IC50:0.68 μM)
Muristerone A		*			**
BAM7					(IC50:3.3 μM)

Notes: "*" represents potency. The higher the number of "*" is, the more potent an inhibitor or activator is. For more products information, please visit our website.

Caspase Inhibitors/Activators

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Cat.No.	Product Name	Short Summary	CAS	Solubility
A1902	Z-VAD-FMK	Cell-permeable, irreversible pan-caspase inhibitor	187389-52-2	≥23.4 mg/mL in DMSO
A1901	Q-VD-OPh hydrate	Cell-permeable, irreversible pan-caspase inhibitor	1135695-98-5	≥25.7 mg/mL in DMSO
A1920	Z-DEVD-FMK	Caspase-3 inhibitor	210344-95-9	≥60 mg/mL in DMSO
A8321	Cisplatin	Inhibits DNA synthesis, chemotherapy drug	15663-27-1	≥12.5 mg/mL in DMF. It is best to prepare and use the solution on the same day.
A8955	Z-YVAD-FMK	Caspase-1 inhibitor	N/A	≥31.6 mg/mL in DMSO
B3232	Z-IETD-FMK	Caspase-8 inhibitor	210344-98-2	≥32.7 mg/mL in DMSO
A1925	Caspase-3/7 Inhibitor I	Caspase-3/7 inhibitor	220509-74-0	≥16.2 mg/mL in DMSO
A8238	VX-765	Caspase-1 inhibitor, potent and selective	273404-37-8	≥313 mg/mL in DMSO
B3233	Z-LEHD-FMK	Irreversible Caspase-9 inhibitor	210345-04-3	Soluble in DMSO
A1922	Z-VDVAD-FMK	Caspase-2 inhibitor	N/A	≥34.8 mg/mL in DMSO
A1923	Z-VEID-FMK	Caspase-6 inhibitor	N/A	≥113.4 mg/mL in DMSO
A8165	Q-VD(OMe)-OPh	Pan-caspase inhibitor	N/A	≥26.4 mg/mL in DMSO
A1904	Boc-D-FMK	Pan-caspase inhibitor	187389-53-3, 634911-80-1	≥11.65 mg/mL in DMSO
A8177	PAC-1	Procaspase-3 activator	315183-21-2	≥13.4 mg/mL in DMSO
A8170	Z-FA-FMK	Cysteine proteases inhibitor	105637-38-5; 197855-65-5	≥13.45 mg/mL in DMSO
A3424	Gambogic Acid	Caspase activator and apoptosis inducer	2752-65-0	≥22.45 mg/mL in DMSO
C5524	Ac-DEVD-AFC	Fluorogenic substrate for activated caspase-3	201608-14-2	≥73 mg/mL in DMSO

Product Citations

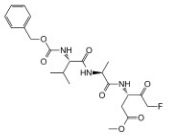
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

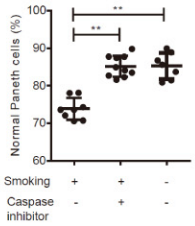
A1902 Z-VAD-FMK

Z-VAD-FMK, an inhibitor of ICE-like proteases, inhibits apoptosis in THP.1 cells.

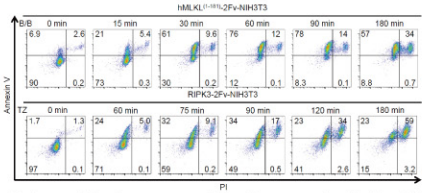
Size 1 mg, 5 mg, 10 mg, 25 mg

88 citations

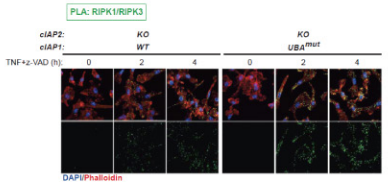




Paneth cell defects were mediated by apoptosis. The mice were administered either pan-caspase inhibitor Z-VAD-FMK 10 mg/kg/day intraperitoneally or Ultra-LEAFTM anti-mouse TNF-α antibody 0.5 mg/mouse/injection, 2 injections per week. **J Clin Invest. 2018. PMID:30137026**



Cells rapidly become positive for annexin V (AnnV) staining prior to uptake of Sytox Green upon addition of tumor necrosis factor (TNF) plus zVAD-fmk (TZ). Flow cytometric analysis of RIPK3-2Fv-NIH 3T3 cells treated with 20 ng/mL TNF-a plus 100 μM zVAD-fmk (TZ) (lower panels). **Cell. 2017. PMID:28388412**



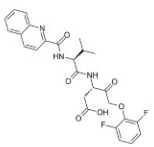
Mutation in the UBA Domain Switches the TNF Response to Cell Death. For complex-II purification cells were seeded in 10 cm dishes and treated as indicated using media containing 1x FLAG-TNF (100 ng/ml) and zVAD (10 μM). **Mol Cell. 2018.PMID:29452637**

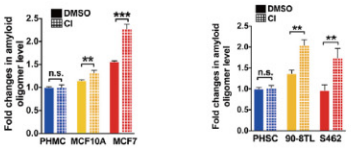
A1901 Q-VD-OPh hydrate

The broad spectrum caspase inhibitor, Q-VD-OPh, provides a cost effective, non toxic, and highly specific means of apoptotic inhibition.

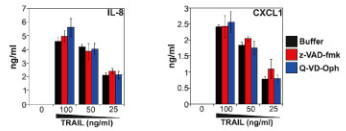
Size 1 mg, 5 mg, 10 mg, 25 mg

42 citations

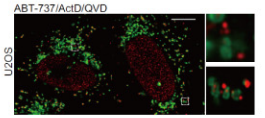




MEK and Proteasome Inhibition Provoke Protein Aggregation and Amyloidogenesis. Cells were treated with 50 μM Q-VD-OPh overnight and AOs were quantitated. **Cell. 2015. PMID:25679764**



Q-VD-Oph fail to suppress TRAIL-induced cytokine/chemokine production. HT-29 cells were treated with indicated concentrations of TRAIL in the presence or absence of z-VAD-FMK or Q-VD-Oph (10 μM) for 24 hr. The cytokine concentrations in the culture supernatants were determined by ELISA. **Mol Cell. 2017.PMID:28212752**



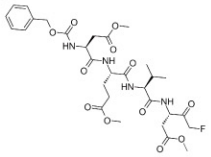
mtDNA is released from mitochondria following MOMP in a BAX/BAK-dependent manner. Airyscan images of U2OS cells treated with 10 μM ABT-737, 1 μM ActD and 20 μM qVD-OPh for 3 h. **EMBO J. 2018.PMID:30049712**

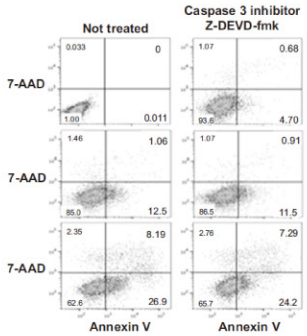
A1920 Z-DEVD-FMK

Z-DEVD-FMK is a tetrapeptide caspase inhibitor that is considered relatively selective for caspase-31, 2

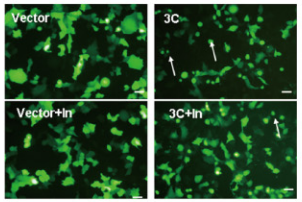
Size 1 mg, 5 mg, 10 mg, 25 mg

10 citations

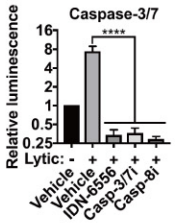




L67 induces caspase 1–dependent apoptosis in HeLa cells; attenuation of L67-induced apoptosis. Where indicated, a caspase 3 inhibitor (50 umol/L Z-DEVD-fmk) was added to the media with L67 prior to incubation for 24 hours. **Cancer Res. 2016.PMID:27503931**



Caspase-3 inhibitor inhibits caspase-3 activation and cytopathy in 3C-transfected cells. Cells were pre-treated with 20 μM caspase-3 inhibitor Z-DEVD-FMK. **Front Microbiol. 2018. PMID:29755438**



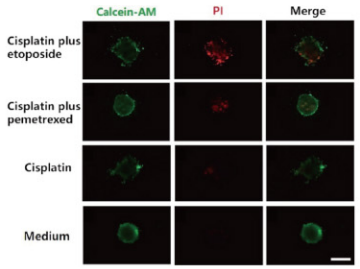
We also confirmed that caspase-8 and caspase-3/7 were enzymatically active in reactivating cells. ISLK.219 cells were lytically reactivated using doxycycline (lytic) and treated with DMSO, IDN-6556 (10 μM), Z-DEVD-FMK (casp3/7i, 10 μM), or Z-IETD- FMK (casp8i, 100 μM) for 4 days. **J Virol. 2018.PMID:29514903**

A8321 Cisplatin

Cisplatin is a highly effective and broad-spectrum chemotherapeutic agent.

Size 100 mg

4 citations



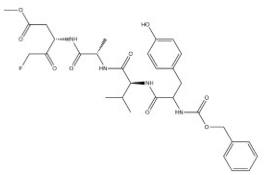
A group of normalized impedance curves of A549 spheroids response to cisplatin and combined anticarcinogens therapeutic regimens. We chose two most frequently used combined anticarcinogens therapeutic regimen, cisplatin (10 μM) plus etoposide (10 μM) and cisplatin (10 μM) plus pemetrexed (100 μM). **Biomed Microdevices. 2018.PMID:30220069**

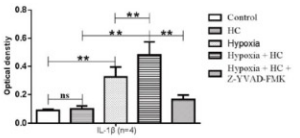
A8955 Z-YVAD-FMK

Z-YVAD-FMK is a potent cell-permeable and irreversible inhibitor of caspase-1.

Size 1 mg, 5 mg

4 citations





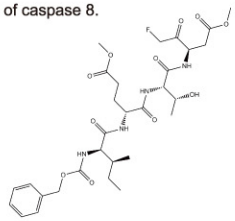
The protein expression of IL-1β was markedly enhanced by high concentration of CO2 in hypoxic BV-2 microglia; it was significantly suppressed with the treatment of 10 μM Z-YVAD-FMK. **J Neuroinflammation. 2018. PMID:29304864**

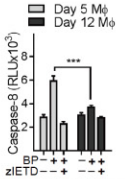
B3232 Z-IETD-FMK

Z-IETD-FMK is an inhibitor of caspase 8.

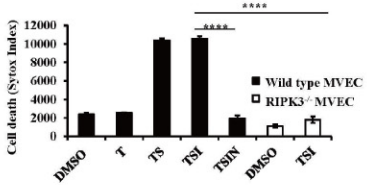
Size 1 mg, 5 mg

11 citations

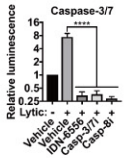




Macrophage differentiation results in impairment in RipK1 phosphorylation and caspase-8 activation. **J Biol Chem. 2018.PMID:29899110**



Mitochondrial permeability participates in MVEC necroptosis. B6 MVEC and RIPK3-/- MVEC were treated with 100 ng/mL TNFα (T), 100 nM Smac mimetic (S) with or without 30μM IETD(I) and 10 μM Nec-1s (N) with addition of SYTOX green. **Am J Transplant. 2018. PMID:30203531**

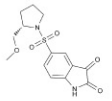


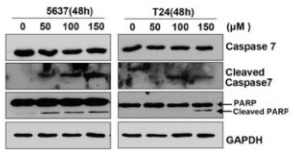
We also confirmed that caspase-8 and caspase-3/7 were enzymatically active in reactivating cells. ISLK.219 cells were lytically reactivated using doxycycline (lytic) and treated with DMSO (vehicle), IDN-6556 (10 μM), Z-DEVD-FMK (casp3/7i, 10 μM), or Z-IETD- FMK (casp8i, 100 μM) for 4 days. **J Virol. 2018.PMID:29514903**

A1925 Caspase-3/7 Inhibitor I

Caspase-3/7 inbibitor I is a potent reversible isatin sulfonamide-based inhibitor of caspase-3 and caspase-7 with Ki values of 60 nM and 170 nM, respectively.

Size 1 mg, 5 mg, 10 mg, 25 mg





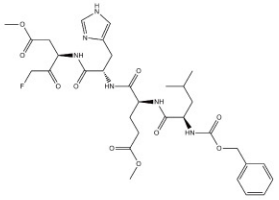
Kaempferol effect on inducing apoptosis of bladder cancer cells. Cells were treated with 100 μM of kaempferol (kap 100μM) and/or 100μM of caspase 7 inhibitor 1 for 48 h; in combination treatment, caspase 3/7 inhibitor 1 was added 1 h prior to kaempferol treatment. **Mol Carcinog. 2015. PMID:24700700**

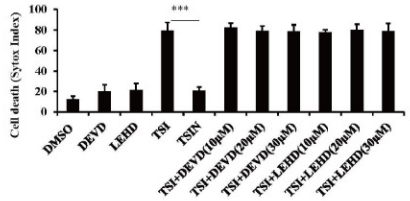
B3233 Z-LEHD-FMK

Z-LEHD-FMK is a specific and irreversible inhibitor of caspase-9.

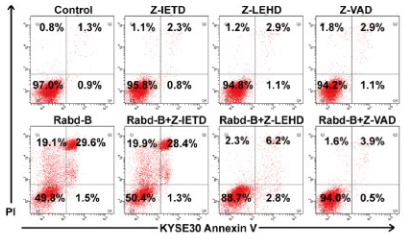
Size 1 mg, 5 mg

8 citations

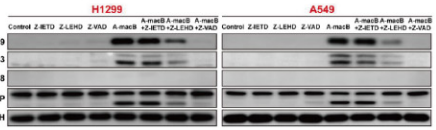




ROS, caspase-3 and caspase-9 do not participate MVEC necroptosis. B6 MVEC were treated with or without caspase-9 inhibitor LEHD (0-30 μM). **Am J Transplant. 2018. PMID:30203531**



Rabd-B induces ESCC cell apoptosis via the caspase-9-dependent intrinsic pathway. For pretreated groups, KYSE30 (a) and KYSE450 (b) cells were incubated with 20 μM of Z-IETD-FMK, Z-LEHD-FMK or Z-VADFMK for 2 h and then incubated with 10 μM Rabd-B for another 24 h. **Cancer Chemother Pharmacol. 2018. PMID:29308536**



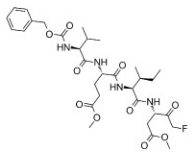
A-macB induces NSCLC apoptosis through the p38 MAPK-caspase 9-mediated apoptosis pathway. H1299 and A549 cells were incubated with 20 μM of Z-IETD-FMK (caspase-8 inhibitor), Z-LEHD-FMK (caspase-9 inhibitot) or Z-VAD-FMK (pan-caspase inhibitor) for 2 h. **Cancer Biol Ther.2018. PMID:29565730**

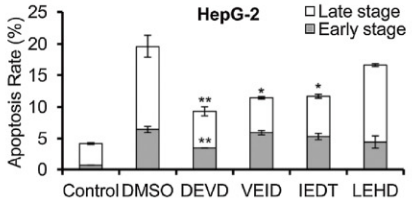
A1923 Z-VEID-FMK

Z-VEID-FMK is an irreversible caspase-6 inhibitor.

Size 1 mg, 5 mg, 10 mg, 25 mg

2 citations





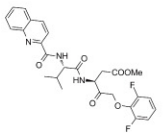
SM-1 induced cell apoptosis through the activation of procaspase- 3 to caspase-3. The inhibitions of 50 μM caspase-3 inhibitor (Z-DEVD-FMK), caspase-6 inhibitor (Z-VEIDFMK), caspase-8 inhibitor (Z-LETD-FMK) and caspase-9 inhibitor (Z-LEHD-FMK) on apoptosis induced by 10 μM SM-1 in HepG-2 and A549 cell lines. **Cancer Chemother Pharmacol. 2016. PMID:27488460**

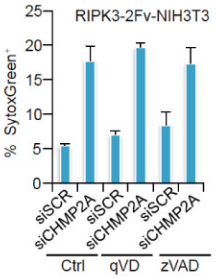
A8165 Q-VD(OMe)-OPh

Q-VD-OPh (quinolyl-valyl-O-methylaspartyl-[-2,6- difluoro-phenoxy]-methyl ketone) is a broad spectrum caspase inhibitor.

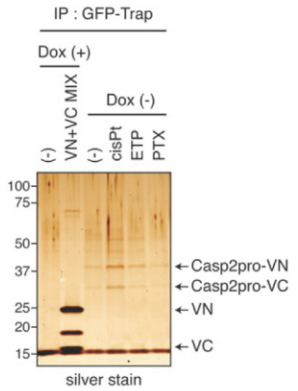
Size 1 mg, 5 mg, 10 mg, 25 mg

6 citations

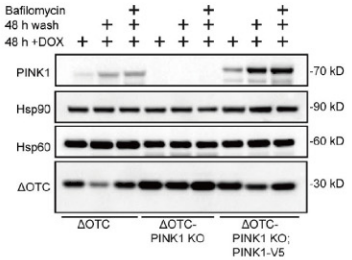




The caspase inhibitors qVD-oph and z-VAD have no effect on cell death induced by silencing of CHMP2A in NIH 3T3 cells. 40 μM Q-VD(OMe)-OPh (qVD) and 50 μM zVAD-fmk were added at 48 hr post siRNA transfection. **Cell. 2017.PMID:28388412**



GFP-Trap immunoprecipitation of caspase-2 BiFC dimers identifies active caspase-2-interacting proteins. Casp2pro BiFC cells were treated with mock, 20 μM cisplatin, 50 μM etoposide, or 100 nM paclitaxel for 24 h in the presence of 10 μM Q-VD(OMe)-OPh. **EMBO J. 2018. PMID:29875129**

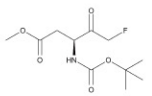


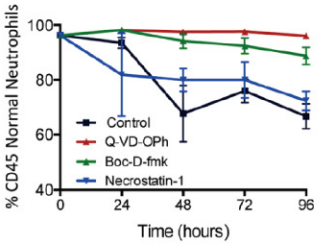
PINK1–Parkin regulate misfolded protein clearance from mitochondria. Tet-ON: ΔOTC-expressing HeLa cells without Parkin expression, with or without a PINK1 KO background, and with or without PINK1-V5 expression were treated with 20 μM QVD treatment. **J Cell Biol. 2017. PMID:28893839**

A1904 Boc-D-FMK

Boc-D-FMK is a cell-permeable broad-spectrum caspase inhibitor that fully inhibits the pro-apoptotic effect of TNFα with the IC50 value of 39 μM.

Size 1 mg, 5 mg, 10 mg, 25 mg





Evaluation of preservatives to stabilize neutrophils. 1 mL aliquots were then treated with 5 μM Q-VD-OPh, 50 μM Necrostatin-1, 50 μM Boc-D-FMK or vehicle control (1.25 μL DMSO) and purged with 5%CO_2/5%O_2. **Sci Rep. 2017.PMID:28720788**

Potency Comparison

Inhibitors	Pan-caspase	Caspase-1	Caspase-3	Caspase-5	Caspase-6	Caspase-7	Caspase-8	Caspase-9
Apoptosis Inhibitor			*					
Boc-D-FMK	*							
Caspase-3/7 Inhibitor I			**** (Ki:60 nM)			*** (Ki:170 mM)		
Q-VD(OMe)-OPh	*							
Q-VD-OPh hydrate		**** (IC50:50 nM)	**** (IC50:25 nM)				*** (IC50:100 nM)	*** (IC50:430 nM)
Z-DEVD-FMK			*		*	*	*	*
Z-FA-FMK	*							
Z-IETD-FMK							*	
Z-VAD-FMK	* (IC50:0.0015 - 5.8 mM)							
Z-YVAD-FMK		*						
AZ 10417808			* (IC50:14.9 μM)					
Ivachtin			**** (IC50:23 nM)					

Activators	Pan-caspase	Caspase-1	Caspase-3	Caspase-5	Caspase-6	Caspase-7	Caspase-8	Caspase-9
Cisplatin			*					
Gambogic Acid	*** (EC50:0.78-1.64 μM)							
PAC-1			*** (EC50:0.22 μM)			** (EC50:4.5 μM)		

Notes: "*" represents potency. The higher the number of "*" is, the more potent an inhibitor or activator is. For more products information, please visit our website.

IAP Inhibitors

Featured Products

APExBIO provides over 9000 products, for all the available compounds in this category, please visit our website.

Cat.No.	Product Name	Short Summary	CAS	Solubility
B4653	BV6	Selective inhibitor of IAP proteins	1001600-56-1	≥60.3 mg/mL in DMSO
A4219	Birinapant (TL32711)	Potent XIAP/cIAP1 antagonist	1260251-31-7	≥40.3 mg/mL in DMSO
A8815	SM-164	Anticancer agent	957135-43-2	≥56.1 mg/mL in DMSO
A3541	LCL161	Antagonist of IAPs inhibitor	1005342-46-0	≥25.1 mg/mL in DMSO
A4221	YM155	Survivin suppressant, apoptosis inhibitor	781661-94-7	≥22.2 mg/mL in DMSO
A3019	AT-406 (SM-406)	IAP inhibitor	1071992-99-8	≥27.65 mg/mL in DMSO
A4224	GDC-0152	IAP antagonist	873652-48-3	≥25 mg/mL in DMSO

Product Citations

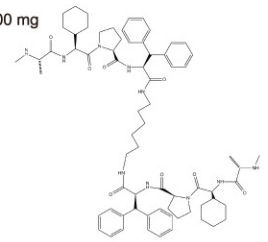
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

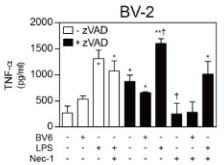
B4653 BV6

BV6 is a selective inhibitor of IAP family with IC50 value of 7.2 μM when tested with H460 cells.

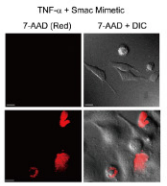
Size 5 mg, 25 mg, 100 mg

8 citations

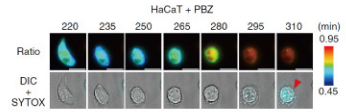




Evaluation of TNF-α secretion, cell death and viability in microglial cell lines and in primary microglia cells. BV-2 and N9 microglia cells were exposed for 5 h to combinations of the following stimuli: 0.5 μM BV6. **Mol Neurobiol. 2018. PMID:30074231**



SARS 3a induces cell death in a human lung cell line with an intact necroptotic pathway. Confocal microscopy to evaluate cell death in DMSO- or 5-AD (2 μM)-treated A459 cells after necroptotosis-inducing treatment [TNF-α (25 ng/mL), Z-VAD-FMK (20 μM), BV6 (2 nM)] overnight. **Cell Death Dis. 2018.PMID:30185776**



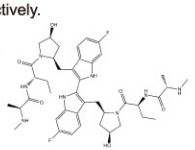
SMART monitors poly(I:C)-induced necroptosis. HaCaT cells were stimulated with: murine TNF (10 ng/ml), human TNF (30 ng/ml), poly(I:C) (20 μg/ml), zVAD (20 μM), Nec-1 (20 μM), BV6 (1 μM), GSK'872 (5 μM), and NSA (5 μM). **Nat Commun. 2018. PMID:30367066**

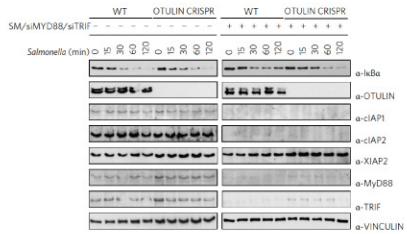
A4219 Birinapant (TL32711)

Birinapant is an antagonist of XIAP and cIAP1 with Kd value of 45 nM and <1 nM, respectively.

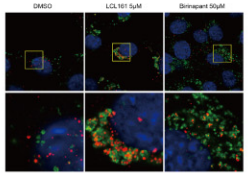
Size 5 mg, 10 mg, 25 mg, 50 mg

8 citations

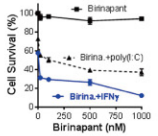




OTULIN, by controlling linear Ub levels, regulates NF-κB activation from the surface of cytosolic S. Typhimurium and mediates bacterial clearance. Cells were treated with the indicated siRNAs and with the SMAC mimetic birinapant (1 μM) for 30 min before. **Nat Microbiol. 2017. PMID:28481361**



Endogenous LC3 accumulates around lysosomes. Wild type MEFs were treated with LCL161 (5 μM) or birinapant (50 μM) or DMSO as a control for 6 h. **Cell Death Dis. 2018.PMID:29743550**



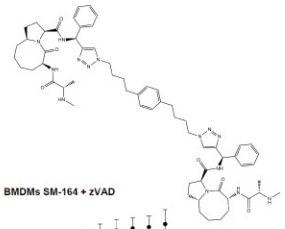
IFNγ and Smac mimetics synergistically induce cell death in the H1975 NSCLC cell line. H1975 cells were incubated with 10 ng/ml IFNγ or 250 ng/ml poly(I:C) plus different doses of AZD5582 (c), SM164 (d), BV6 (e) or Birinapant (f) for 48 h. **Cancer Cell International.2018.PMID:29946223**

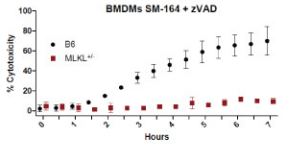
A8815 SM-164

SM-164 is a bivalent mimetic of Smac with Ki values of 0.31 nM, 1.1 nM and 0.56 nM for cIAP-1, cIAP-2 and XIAP, respectively.

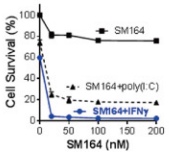
Size 5 mg, 10 mg, 25 mg, 200 mg

7 citations

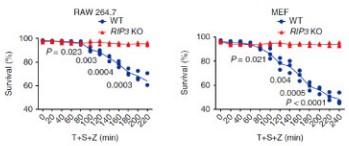




Critical threshold of MLKL expression determines oligomerization. Z-VAD-fmk was purchased from ApexBio and used at 50 μM. SM-164 was purchased from ApexBio and used at 1μM. **Cell Death Differ. 2018. PMID:29786074**



IFNγ and Smac mimetics synergistically induce cell death in the H1975 NSCLC cell line. H1975 cells were incubated with 10 ng/ml IFNγ or 250 ng/ml poly(I:C) plus different doses of AZD5582 (c), SM164 (d), BV6 (e) or Birinapant (f) for 48 h. **Cancer Cell International.2018.PMID:29946223**

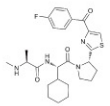


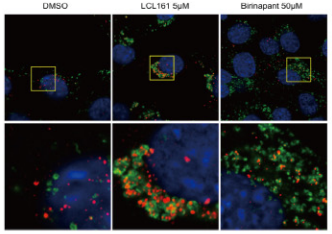
The drop in the OCR in RIP3-expressing cells at late time points after TNF treatment is most probably due to the loss of living cells. Cells were treated with TNF (30 ng/ml) + Smac mimetic (1 μ M) + zVAD (20 μ M). **Nat Cell Biol. 2018.PMID:29358703**

A3541 LCL161

LCL161 is a small molecular antagonist of the inhibitor of apoptosis (IAP) with IC50 value of 10.23 μM in Hep3B cells.

Size 5 mg, 10 mg, 50 mg, 100 mg





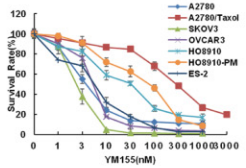
Endogenous LC3 accumulates around lysosomes. Wild type MEFs were treated with LCL161 (5 μM) or birinapant (50 μM) or DMSO as a control for 6 h. **Cell Death Dis. 2018. PMID:29743550**

A4221 YM155

YM155 (Sepantronium Bromide) is a potent inhibitor of Survivin promoter activity with IC50 of 0.54 nM.

Size 5 mg, 10 mg, 25 mg, 100 mg

2 citations



YM155 inhibits the growth of ovarian cancer cells. Cells were grown in 96-well plates for 24 h and treated with the indicated concentrations of YM155 or docetaxel for 72 h. **Am J Transl Res 2018. PMID:29636860**

Potency Comparison

Inhibitors	IAP	XIAP	c-IAP1	c-IAP2	Survivin	ML-IAP
Birinapant (TL32711)		*** (Kd:45 nM)	***** (Kd:<1 nM)			
BV6	* (IC50:7.2 μM)					
Embelin		* (IC50:4.1 μM)				
LCL161	* (IC50:10.23 μM)					
SM-164		***** (Ki:0.56 nM)	***** (Ki:0.31 nM)	**** (Ki:1.1 nM)		
YM155					***** (IC50:0.54 nM)	
GDC-0152		*** (Kd:28 nM)	*** (Ki:17 nM)	*** (Ki:43 nM)		*** (Ki:14 nM)
Triptolide			*	*		

Notes: "*" represents potency. The higher the number of "*" is, the more potent an inhibitor or activator is. For more products information, please visit our website.

MDM2 Inhibitors

Featured Products

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Cat.No.	Product Name	Short Summary	CAS	Solubility
B4984	MI-77301 (SAR405838)	Orally available MDM2 antagonist	1303607-60-4	≥17.2 mg/mL in DMSO
A3762	RG7112	MDM2 inhibitor	939981-39-2	≥36.4 mg/mL in DMSO

Product Citations

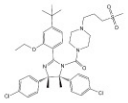
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

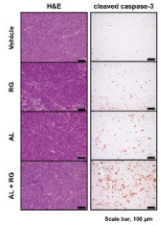
A3762 RG7112

RG7112 is a selective inhibitor of p53-MDM2 binding that frees p53 from negative control, activating the p53 pathway in cancer cells leading to cell cycle arrest and apoptosis.

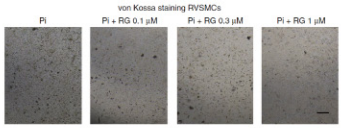
Size 10 mg, 100 mg

5 citations

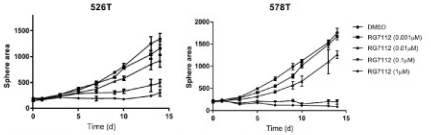




Combination treatment induces tumour regression and suppresses the relapse in vivo. **Cell Death Discov. 2018. PMID:29760954**



MDM2 induces vascular calcification. RG 7112 (0.1 μM) blocked the Pi-induced reduction of HDAC1 protein amount in A10 cells. Pi-containing media with either RG or vehicle were replaced every 2 days for 6 days and von Kossa staining was performed. **Nat Commun. 2016. PMID:26832969**



AMG232 suppresses Nestin, ZEB1 and stemness of patient-derived glioblastoma cells. The sphere images were taken at 2-day intervals up to 14 days and the sizes were measured and analyzed. **Cell Death Dis. 2018. PMID:30022047**

P53 Inhibitors

Featured Products

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Cat.No.	Product Name	Short Summary	CAS	Solubility
A4206	Pifithrin-α (PFTα)	p53 inhibitor	63208-82-2	≥17.45 mg/mL in DMSO
A4228	Nutlin-3	MDM2 antagonist,inhibits MDM2-p53 interaction	890090-75-2	≥58.2 mg/mL in DMSO
A3671	Nutlin-3a chiral	MDM2 inhibitor, antiproliferative and antiproapoptotic	675576-98-4	≥29.1 mg/mL in DMSO
A4484	PRIMA-1MET	Restore mutant p53 activity, induce BAX and PUMA	5291-32-7	≥19.9 mg/mL in DMSO
A3763	RG7388	MDM2 antagonist, oral, selective	1229705-06-9	≥30.8 mg/mL in DMSO
A4202	RITA (NSC 652287)	Mdm2-p53 interaction and p53 ubiquitination blocking	213261-59-7	≥14.6 mg/mL in DMSO
A4203	Tenovin-1	SIRT2 inhibitor, activates p53	380315-80-0	≥15 mg/mL in DMSO

Product Citations

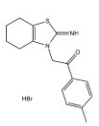
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

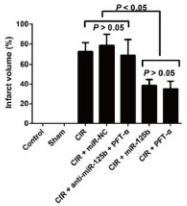
A4206 Pifithrin-α (PFTα)

Pifithrin-α is a synthetic, water-soluble and stable inhibitor of p53.

Size 5 mg, 10 mg, 25 mg, 50 mg

2 citations





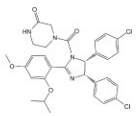
Effects of miR-125b on neurological score (A), infarct size (B), and brain water content (C). 24 h after CIR induction, rats injected (i.p.) with p53 inhibitor PFT-α (2.2 mg/kg). **Neurol Res. 2018. PMID:29956588**

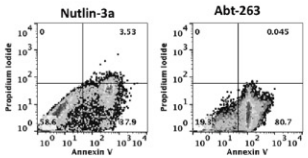
A3671 Nutlin-3a chiral

Nutlin-3 is a small-molecule inhibitor of mouse double minute 2 (MDM2) with IC50 value of 0.09 μM.

Size 10 mg, 50 mg, 200 mg

2 citations





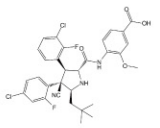
RHSA-p53i induces apoptosis in SJSA-1 and MDA-MB-231 cells. SJSA-1 cells were treated for 48 hours with the indicated amount of rHSA (5 μM), rHSA-p53i (5 μM), Nutlin-3a (5 μM), or ABT-263 (1.5 μM for SJSA-1). **Mol Pharm. 2018. PMID:30226785**

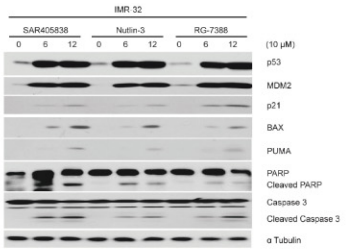
A3763 RG7388

RG7388 is a second generation clinical MDM2 inhibitor with IC50 values of 6 nM and 0.03 μM in HTRF binding assays and MTT proliferation assays in human cancer cell lines.

Size 5 mg, 10 mg, 25 mg, 50 mg

2 citations





The effect of three MDM2 antagonists SAR405838, RG7388 and Nutlin-3 on the proliferation of a p53 WT NB cell line. IMR-32 cells were treated with an identical 10 μM concentrations of SAR405838, Nutlin-3 and RG7388 for 12 hours. **Oncotarget. 2016. PMID:27764791**

Potency Comparison

Inhibitors	p53	MDM2/p53	MDM2	HDM2	HDM2/p53	MDMX
JNJ-26854165 (Serdemetan)		*				
Nutlin-3	*	*** (IC50:90 nM)				
Nutlin-3a chiral			*** (IC50:0.09 μM)			
Pifithrin-α (PFTα)	*					
RG7388		*	*** (IC50:30 nM)			
AMG232		**** (IC50:9.1 nM)				
Cyclic Pifithrin-α hydrobromide	*					
HLI 373				*		
NVP-CGM097		*	*		*	
p53/MDM2 Set I		*	*			
SJ 172550						* (EC50:2.3 μM)

Activators	p53	MDM2/p53	MDM2	HDM2	HDM2/p53	MDMX
JNJ-26854165 (Serdemetan)	*					
NSC 319726	**** (IC50:8 nM)					
Pifithrin-μ	*					
PRIMA-1	*					
PRIMA-1MET	*					

Activators	p53	MDM2/p53	MDM2	HDM2	HDM2/p53	MDMX
RG7388	*					
RITA (NSC 652287)	*					
Tenovin-1	*					
Tenovin-3	*					

Notes: "*" represents potency. The higher the number of "*" is, the more potent an inhibitor or activator is. For more products information, please visit our website.

TNF-α Inhibitors

Featured Products

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Cat.No.	Product Name	Short Summary	CAS	Solubility
A4213	Necrostatin-1	RIP1 inhibitor	4311-88-0	≥13 mg/mL in DMSO
A4211	Lenalidomide (CC-5013)	Antineoplastic agent, inhibits angiogenesis	191732-72-6	≥13 mg/mL in DMSO
A4212	Pomalidomide (CC-4047)	Immunomodulator, antumor/anti-angiogenic	19171-19-8	≥7.5 mg/mL in DMSO

Product Citations

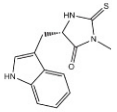
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

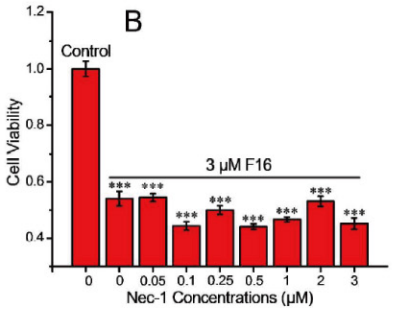
A4213 Necrostatin-1

Necrostatin-1 is a specific inhibitor of RIP1 and inhibits TNF-α-induced necroptosis with an EC50 value of 490 nM.

Size 10 mg, 100 mg

2 citations





Nec-1 did not increase the viability of SGC-7901 cells upon the treatment of F16. In rescue experiments, ZVAD-fmk, Nec-1, DTT, GSH, NAC and VC were added 2 h before the addition of F16 or PVI. **Toxicol Sci. 2017. PMID:29069523**

Other Inhibitors/Activators

Featured Products

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Cat.No.	Product Name	Short Summary	CAS	Solubility
B7812	Selonsertib (GS-4997)	Apoptosis signal-regulating kinase 1 (ASK1) inhibitor	1448428-04-3	≥88.8 mg/mL in EtOH, <2.23 mg/mL in H_2O
C4733	Sulforaphane	Inducer of chemopreventative enzymes via Keap1-Nrf2 signaling	4478-93-7	≥67.6 mg/mL in DMSO
B3576	Omaveloxolone (RTA-408)	Nrf2 activator	1474034-05-3	≥55.5 mg/mL in DMSO
A3343	D609	PC-PLC inhibitor	83373-60-8	≥12.7 mg/mL in DMSO
B6023	PD-1/PD-L1 inhibitor 2	PD-1/PD-L1 interaction inhibitor	1675203-84-5	≥42 mg/mL in DMSO
A8542	Triflurdine (Viroptic)	Anti-herpesvirus antiviral drug	70-00-8	≥14.5 mg/mL in DMSO
A4472	Mdivi 1	Selective DRP1/Dnm1 inhibitor, cell-permeable	338967-87-6	≥17.7 mg/mL in DMSO
B7731	Necrosulfonamide	Necroptosis inhibitor	1360614-48-7	≥46.1 mg/mL in DMSO

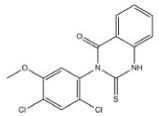
Product Citations

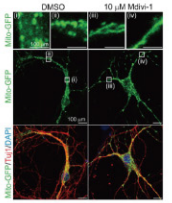
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

A4472 Mdivi 1

Mdivi 1 is a selective cell-permeable inhibitor of DRP1 and Dnm1.

Size 10 mg, 50 mg



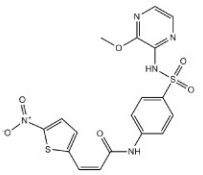


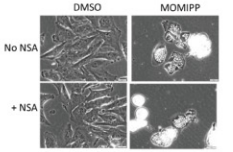
Effect of Mdivi-1 inhibition on regeneration of hippocampal neurons. Hippocampal neurons were injured on DIV8 and treated with 10 μM Mdivi-1. **Biochim Biophys Acta. 2018. PMID:29913215**

B7731 Necrosulfonamide

Necrosulfonamide (NSA) is a pharmacological inhibitor of mixed lineage kinase-like protein (MLKL) .

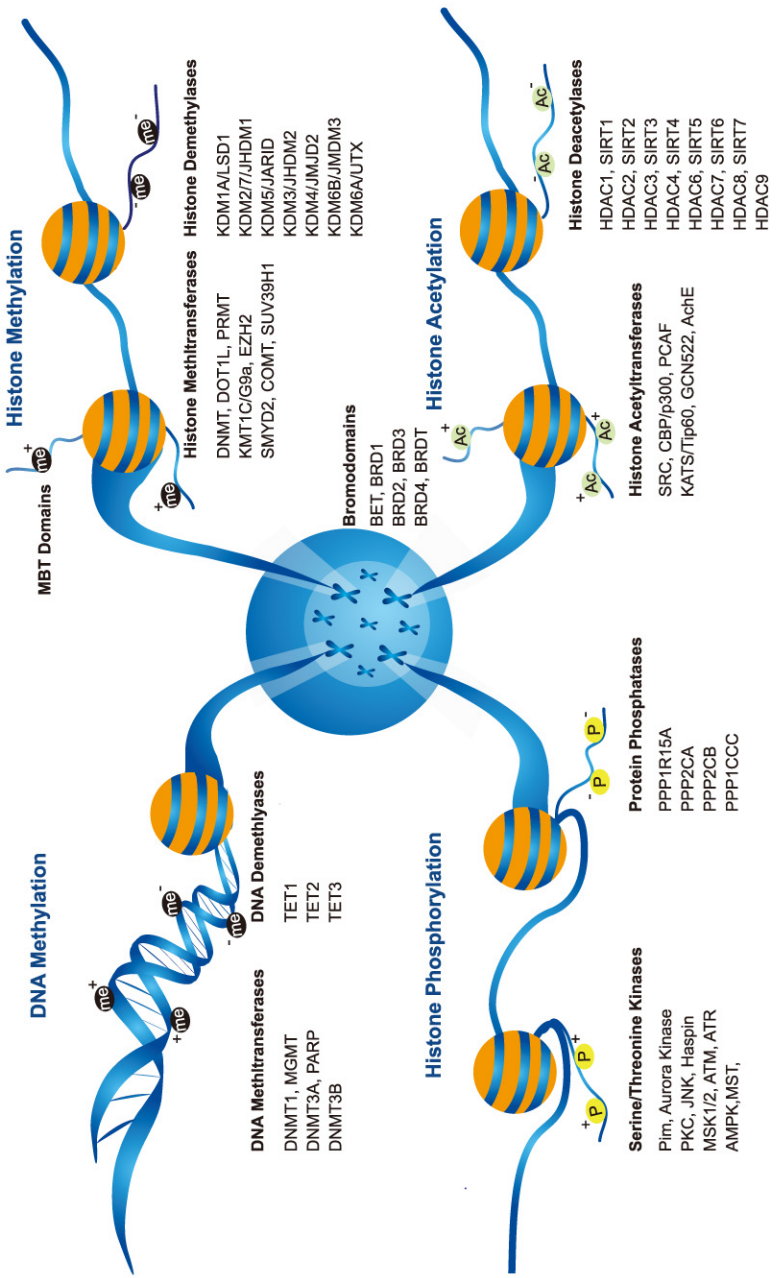
Size 50 mg, 10 mg





Methuosis induced by indolyl chalcone does not depend on the activation of MLKL protein. U251 cells pre-treated with 10 μM MLKL inhibitor, necrosulfonamide (NSA) for 1 h followed by treatment with 10 μM MOMIPP, with or without NSA for 24 h. **The University of Toledo. 2016**

Epigenetics



Introduction

Epigenetics is the heritable modifications in gene expression that is not associated with changes in DNA sequence. Epigenetic modifications occur mostly on DNA or on the histone octamer. There are several types of epigenetics modifications, DNA methylation by DNA-methyl transferase (DNMT) and covalent modification of histones (e.g. acetylation, methylation, phosphorylation and ubiquitination). Histone acetylation by histone acetyltransferases (HATs) is involved in transcriptional activation, whereas histone deacetylation by histone deacetylases (HDACs) is connected with transcriptional repression. Histone demethylation is associated with lysine-specific demethylase (LSD) and JmjC domain containing histone demethylase (JHDM).

The nucleosome is consisted of four histone proteins (H2A, H2B, H3, and H4), they are primary building block of chromatin. The addition and removal of specific chemical groups refers to as epigenetic marks, it regulates chromatin structure and affects gene expression. Moreover, RNA is intimately involved in the formation of a repressive chromatin state.

Epigenetic mechanism responds to environmental changes at the cellular level and thus influences cellular plasticity. Chromatin and epigenetic regulation play a significant role in the programming of the genome during development and stress response, defects in epigenetics can lead to cancer, inflammation and metabolic disorders etc.

Aurora Kinase Inhibitors

Featured Products

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Cat.No.	Product Name	Short Summary	CAS	Solubility
A4110	MLN8237 (Alisertib)	Aurora A Kinase inhibitor, potent and selective	1028486-01-2	≥25.9 mg/mL in DMSO
A4112	Barasertib (AZD1152-HQPA)	Aurora Kinase B inhibitor, potent and selective	722544-51-6	≥25.4 mg/mL in DMSO
A3760	Reversine	A3 adenosine receptor antagonist, ARK-1/-2/-3 inhibitor	656820-32-5	≥19.7 mg/mL in DMSO
A4119	AMG-900	Aurora kinase inhibitor	945595-80-2	≥25.2 mg/mL in DMSO
A4118	Hesperadin	Aurora B kinase inhibitor	422513-13-1	≥25.9 mg/mL in DMSO
A4120	MK-5108 (VX-689)	Aurora-A kinase inhibitor, highly selective	1010085-13-8	≥23.1 mg/mL in DMSO
A3214	AZD1152	Aurora B kinase inhibitor, highly potent and selective	722543-31-9	≥5.9 mg/mL in DMSO
A4113	ZM 447439	Aurora Kinase inhibitor, potent and selective	331771-20-1	≥25.7 mg/mL in DMSO
A4124	TAK-901	Novel Aurora A/B inhibitor	934541-31-8	≥25.3 mg/mL in DMSO

Product Citations

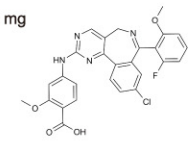
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

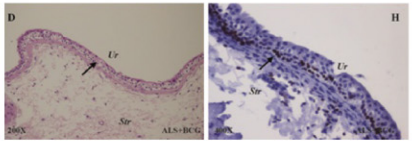
A4110 MLN8237 (Alisertib)

MLN8237 is a potent small-molecule inhibitor of AAK with Ki of 0.43 nmol/L.

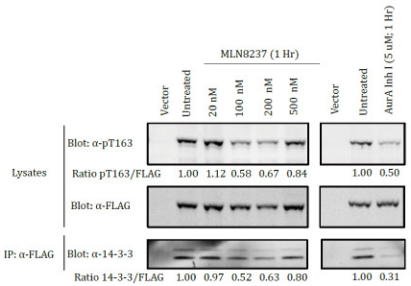
Size 5 mg, 10 mg, 50 mg, 200 mg

5 citations

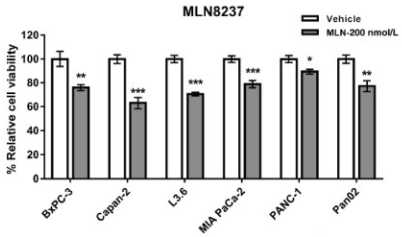




Representative microphotographs of Wistar-Albino rat bladders stained with H.E. and Ki-67. 100 nmol/0.4 mL ALS was instilled in the ALS-alone group. The ALS + BCG group received 106 cfu/0.2 mL of BCG and 100 nmol/0.2 mL of ALS simultaneously. **Int Urol Nephrol. 2018. PMID:29931492**



Aurora A Kinase specifically phosphorylates T163 CDCA7. HEK 293T cells are left untreated or incubated in the indicated concentrations (nM) of MLN8237 or in 5 μM of Aurora A Inhibitor I for 1 hour. **York University.2018**



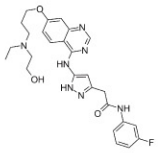
MLN8237 and chaetocin (CH) demonstrate a dose-dependent inhibition of PDAC cell growth. PDAC cell lines (5 *104 per well of 96 well) were plated and treated with MLN8237 for 72 hours at a dose of 200 nmol/L. **Mol Cancer Res. 2017. PMID:28442587**

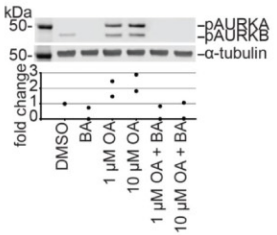
A4112 Barasertib (AZD1152-HQPA)

Barasertib is a potent aurora kinase inhibitor with Ki of 1369 nM, 0.36 nM and 17.0 nM for AKB, ABK, and ACK, respectively.

Size 5 mg, 10 mg, 50 mg

2 citations





AURKB phosphorylation is promoted by okadaic acid and inhibited by barasertib in both cell cycle states. Cells were treated with okadaic acid (OA; 1, 10 μM) or barasertib (BA; 1 μM). **Mol Biol Cell. 2017. PMID:28404751**

Potency Comparison

Inhibitors	Aurora A	Aurora B	Aurora C
Aurora A Inhibitor I	**** (IC50:3.4 nM)		
MK-8745	***** (IC50:0.6 nM)		
AMG-900	**** (IC50:5 nM)	**** (IC50:4 nM)	***** (IC50:1 nM)
AT9283	**** (IC50:3 nM)	**** (IC50:3 nM)	
Barasertib (AZD1152-HQPA)		***** (IC50:0.37 nM)	
CCT129202	*** (IC50:42 nM)	** (IC50:198 nM)	** (IC50:227 nM)
CCT137690	*** (IC50:15 nM)	*** (IC50:25 nM)	*** (IC50:19 nM)
ENMD-2076	*** (IC50:14 nM)	*** (IC50:14 nM)	
Hesperadin		** (IC50:250 nM)	
MK-5108(VX-689)	***** (IC50:0.064 nM)	*** (IC50:14 nM)	*** (IC50:12 nM)
MLN8237 (Alisertib)	**** (IC50:1.2 nM)		
Reversine	** (IC50:150 nM)	* (IC50:500 nM)	** (IC50:400 nM)
SNS-314 Mesylate	**** (IC50:9 nM)	*** (IC50:31 nM)	**** (IC50:3 nM)
TAK-901	*** (IC50:21 nM)	*** (IC50:15 nM)	
VX-680 (MK-0457,Tozasertib)	***** (Ki:0.6 nM)	**** (Ki:4.6 nM)	*** (Ki:18 nM)
XL228	**** (IC50:3 nM)		
ZM 447439	* (IC50:1 μM)	*** (IC50:50 nM)	** (IC50:250 nM)

Inhibitors	Aurora A	Aurora B	Aurora C
Anacardic acid	*		

Notes: "*" represents potency. The higher the number of "*" is, the more potent an inhibitor or activator is. For more products information, please visit our website.

Bromodomain Inhibitors

Featured Products

APExBIO provides over 9000 products, for all the available compounds in this category, please visit our website.

Cat.No.	Product Name	Short Summary	CAS	Solubility
A1910	Bromodomain Inhibitor, (+)-JQ1	BET bromodomain inhibitor	1268524-70-4	≥22.8 mg/mL in DMSO
B1498	I-BET-762	BET inhibitor, highly potent	1260907-17-2	≥21.2 mg/mL in DMSO
A3692	OTX-015	BRD inhibitor	202590-98-5	≥24.6 mg/mL in DMSO
B1500	I-BET151 (GSK1210151A)	Selective BET inhibitor	1300031-49-5	≥41.5 mg/mL in DMSO
A4491	SGC-CBP30	Inhibitor of CREBBP/EP300 bromodomain, potent	1613695-14-9	≥20.05 mg/mL in DMSO
B1499	RVX-208	Potent BET bromodomain inhibitor	1044870-39-4	≥18.5 mg/mL in DMSO
B1081	CPI-203	BET bromodomain inhibitor	1446144-04-2	≥40 mg/mL in DMSO
A8181	(-)-JQ1	BET bromodomain inhibitor	1268524-71-5	≥22.8 mg/mL in DMSO
B5887	BET bromodomain inhibitor	Potent and selective inhibitor for BRD4	1380087-89-7	≥18.3 mg/mL in DMSO

Product Citations

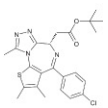
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

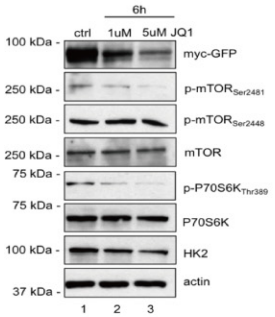
A1910 Bromodomain Inhibitor, (+)-JQ1

(+)-JQ1 is an inhibitor of BET bromodomain with IC50 of 77 nM/33 nM for BRD4 (1/2).

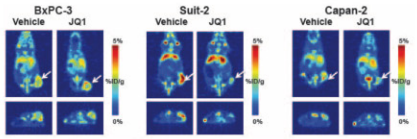
Size 1 mg, 5 mg, 10 mg, 50 mg

34 citations

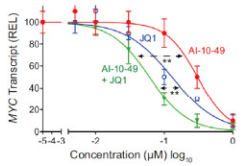




Regulation of p-mTOR and mTORC1 signalling by c-Myc.Western blot analysis of CD8 T cells activated with anti-CD3, anti-CD28, and ICAM for 6 h without treatment (ctrl) or with 1 μ M or 5 μ M of the bromodomain inhibitor JQ1.**Nature. 2016. PMID:27064903**



PET imaging of [89Zr]Zr-Transferrin uptake in vehicle vs. JQ1-treated bearing human PDAC xenografts. Mice were treated via i.p. of BRD4 inhibitor JQ1 (50 mg/kg in 5% DMSO v/v in a 10% m/v 2- hydroxypropyl-β-cyclodextrin) administered 12 h apart, for a total of 12 doses. **Clin Cancer Res. 2018.PMID:30228208**



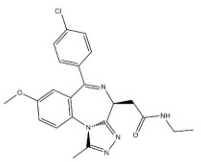
Inhibition of MYC by AI-10-49 and JQ1 Leads to Synergistic Efficacy against inv(16) Leukemia Cell Survival. AI-10-49 was administered at 200 mg/kg/day from day 5 to day 14, and JQ1 at 50 mg/kg/day from day 5 to day 25. **Cell. 2018. PMID:29958106**

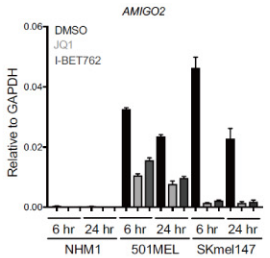
B1498 I-BET-762

I-BET-762 is a highly potent inhibitor of BET with IC50 values of 32.5–42.5 nM.

Size 5 mg, 10 mg, 50 mg

4 citations





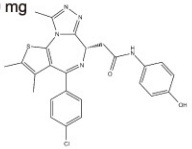
Notably, AMIGO2 was significantly downregulated at both time points of JQ1 treatment, was also sensitive to a clinically relevant BETi, I-BET762),and represents a BETi-sensitive gene across multiple melanoma cell lines. **Mol Cell. 2017. PMID:29149598**

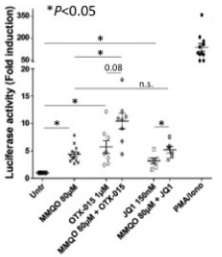
A3692 OTX-015

OTX-015 is a potent inhibitor of BRD2, BRD3, and BRD4 with IC50 values range from 92 to 112 nM.

Size 5 mg, 10 mg, 50 mg, 100 mg

2 citations



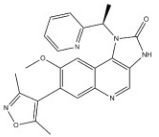


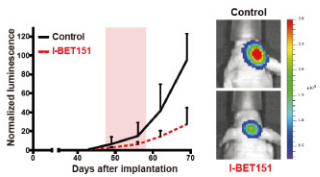
MMQO functions as a bromodomain inhibitor.
Ex vivo infected primary CD4+ T cells were untreated or treated with 80μM MMQO alone or in combination with 1μ M OTX-015 or 150nM JQ1 for 24 hours followed by luciferase assay. **J Virol. 2018. PMID:29343578**

B1500 I-BET151 (GSK1210151A)

I-BET151 is a selective inhibitor of BET with IC50 value of 0.5 μM, 0.25 μM and 0.79 μM for BRD2, BRD3 and BRD4, respectively.

Size 5 mg, 10 mg, 50 mg, 100 mg





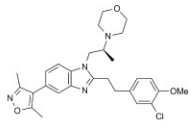
The treatment with I-BET151 inhibits the proliferation of DIPG cells in vivo. Mice were treated for 10 days with I-BET151 [50 mg/kg] or vehicle (control). Tumor volume was measured by bioluminescence imaging. **Nat Med. 2017. PMID:28263307**

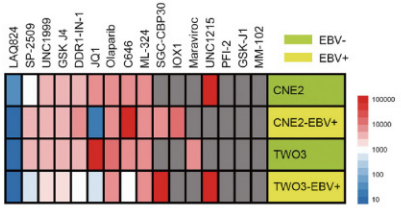
A4491 SGC-CBP30

SGC-CBP 30 is a selective inhibitor of CREBBP and EP300 with IC50 value of 21 nM and 38 nM, respectively.

Size 10 mg, 50 mg

2 citations





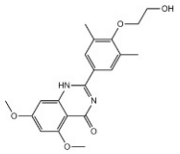
Identification of the selective compound for EBV+ NPC cells. Cells were treated with increasing concentrations of inhibitors for 72 h, and IC50 values were determined based on cell viability as measured by Cell-Titer GLO. **Cell Death Dis. 2018. PMID:29988031**

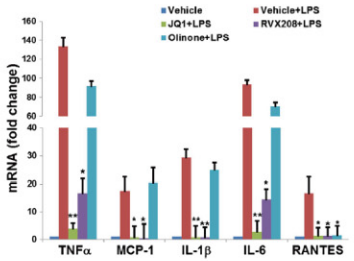
B1499 RVX-208

RVX-208 is a potent inhibitor of bromodomain with IC50 values of 0.51 and 87 μM for BD2 and BD1, respectively.

Size 5 mg, 20 mg

2 citations





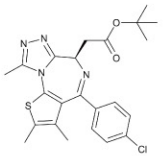
RVX208 abolishes the expression of all the tested inflammatory cytokines N9 microglial cells were pre-incubated with vehicle (DMSO), JQ1 (0.5 μM), RVX208 (30 μM), or Olinone (30 μM) for 12 h followed by stimulation with LPS (1 μg/ml) for another 2 h. **J Neuroinflammation. 2017. PMID:28103888**

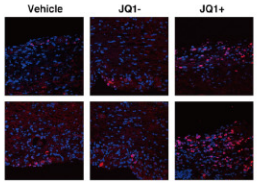
A8181 (-)-JQ1

(-)-JQ-1, the stereoisomer (+)-JQ1, showed no significant interaction with any bromodomain with IC50 of ~50 and 90 nM.

Size 5 mg, 50 mg, 100 mg

4 citations



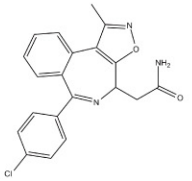


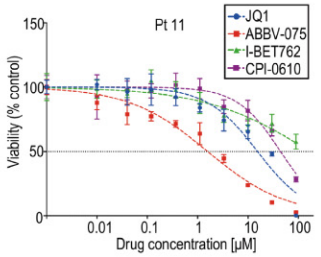
BET Inhibitors Drive Viral Reactivation in the Mouse Ganglia Explant Model System.Latently infected mice were injected intraperitoneal with Vehicle, JQ1+, or JQ1- (50 mg/Kg in 2-hydroxypropyl-b-cyclodextrin/PBS) every 12 or 24 hr. **Cell Host Microbe. 2017. PMID: 28407486**

B5887 BET bromodomain inhibitor

BET bromodomain inhibitor is a potent and selective benzoisoxazoloazepine BET bromodomain inhibitor with an IC50 of 39 nM for BRD4-BD1 in TR-FRET assay.

Size 10 mg, 50 mg





BET inhibitors in clinical development (ABBV-075, I-BET762, CPI-0610) are variably effective in limiting CTCL cell viability. Cells were treated with the following range of drug concentrations: 0.01 to 90 μM BET inhibitor (JQ1, ABBV-075, I-BET762, CPI- 0610) for 72 hr. **Oncotarget. 2018. PMID:30018745**

Potency Comparison

Inhibitors	BET	BRD1	BRD2	BRD3	BRD4	BRDT	CREBBP	EP300	BRPF1	L3MBTL3
(-)-JQ1					* (IC50:10 μM)					
Bromodomain Inhibitor, (+)-JQ1					**** (IC50:77 nM/33 nM)	*				
GSK 5959									*** (IC50:80 nM)	
MS436		*	*		**** (KI:＜0.085 μM)					
OTX-015			**** (EC50:10-19 nM)	**** (EC50:10-19 nM)	**** (EC50:10-19 nM)					
PFI 4									**** (IC50:7.1 nM)	
RVX-208	*** (IC50:0.51 μM)									
SGC-CBP30					*		**** (IC50:21 nM)	**** (IC50:38 nM)		
UNC1215										**** (IC50:40 nM)
UNC669										** (IC50:3.1 μM)
SGC-CBP30					*		**** (IC50:21 nM)	**** (IC50:38 nM)		
GSK1324726A			**** (IC50:41 nM)	**** (IC50:31 nM)	**** (IC50:22 nM)					
Bromosporine	*	*	*	*	*	*				

Notes: "*" represents potency. The higher the number of "*" is, the more potent an inhibitor or activator is. For more products information, please visit our website.

DNA Methyltransferase Inhibitors

Featured Products

APExBIO provides over 9000 products, for all the available compounds in this category, please visit our website.

Cat.No.	Product Name	Short Summary	CAS	Solubility
A1907	5-Azacytidine	DNA methyltransferase inhibitor	320-67-2	≥12.2 mg/mL in DMSO
A1906	Decitabine (NSC127716, 5AZA-CdR)	Deoxycytidine analog and cellular diifferentiation inducer	2353-33-5	≥23.3 mg/mL in H_2O with gentle warming
A1915	Zebularine	DNA methylation inhibitor	3690-10-6	≥50.7 mg/mL in H_2O, ≥8.3 mg/mL in DMSO
A1913	RG 108	DNA methyltransferase inhibitor	48208-26-0	≥16.7 mg/mL in DMSO
A8191	Nanaomycin A	DNMT3B inhibitor	52934-83-5	≥15.1 mg/mL in DMSO

Product Citations

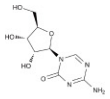
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

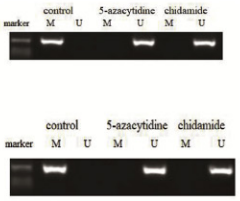
A1907 5-Azacytidine

5-Azacytidine (also known as 5-AzaC), a compound belonging to a class of cytosine analogues, is a DNA methyl transferase (DNMT) inhibitor.

Size 250 mg, 1 g

4 citations



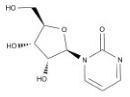


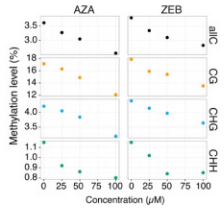
Compared with the untreated group, the expression of SFRP2 was increased inlow, mid, and high concentrations. KCL22 cells were treated with 60, 80 and 100 μmol/l 5- azacytidine for 48 h; and K562 cells 120, 160 and 200 μmol/l 5-azacytidine for 48 h. **Biochem Biophys Res Commun. 2018. PMID:29704505**

A1915 Zebularine

Zebularine, a chemically stable cytidine analog containing a 2-(1H)-pyridimidinone ring, is an effective DNA methylation inhibitor.

Size 10 mg, 50 mg





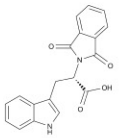
AZA and ZEB treatment result in nonselective, concentration-dependent loss of DNA methylation genome-wide. The genome-wide methylation level of the control seedlings (0 μM) and seedlings treated with 25 μM, 50 μM, and 100 μM of either AZA or ZEB. **G3 (Bethesda). 2016.PMID:27402357**

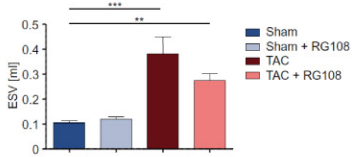
A1913 RG 108

RG108 is a DNA methyltransferase (DMNT) inhibitor that enhanced reprogramming of OK-transduced MEFs in the presence of BIX.

Size 10 mg, 25 mg

2 citations





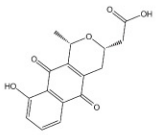
MRI analysis of rats after 4 weeks of TAC and/or treatment with RG108. The sham and TAC groups were subdivided into groups of 12–15 rats to receive either 12.5 mg of RG108 s.c. daily or solvent only. **J Mol Cell Cardiol. 2018. PMID:29792884**

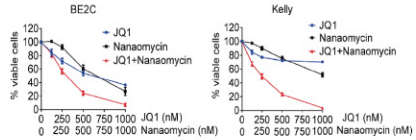
A8191 Nanaomycin A

Nanaomycin A is a selective inhibitor of DNA methyltransferase 3B (DNMT3B) with IC50 value of 500 nM.

Size 5 mg, 25 mg

2 citations





Quinone-containing compounds exert considerable synergistic anticancer effects with JQ1. BE(2)-C, Kelly and CHP134 neuroblastoma cells were treated with vehicle control, JQ1, nanaomycin, or combination at the indicated doses for 72 hours. **Oncotarget. 2016. PMID:27764794**

HDAC Inhibitors

Featured Products

APExBIO provides over 9000 products, for all the available compounds in this category, please visit our website.

Cat.No.	Product Name	Short Summary	CAS	Solubility
B1835	Sodium butyrate	Histone deacetylase inhibitor	156-54-7	≥4 mg/mL in H_2O
B5916	Chidamide	Novel HDAC inhibitor	743420-02-2	≥18.55 mg/mL in DMSO
A8183	Trichostatin A (TSA)	HDAC inhibitor	58880-19-6	≥15.1 mg/mL in DMSO
A8178	Panobinostat (LBH589)	HDAC inhibitor	404950-80-7	≥17.5 mg/mL in DMSO
A4084	Vorinostat (SAHA, MK0683)	HDAC inhibitor	149647-78-9	≥4.4 mg/mL in DMSO
A8173	Romidepsin (FK228, depsipeptide)	HDAC1/HDAC2 inhibitor, potent and selective	128517-07-7	≥27 mg/mL in DMSO
A8171	Entinostat (MS-275,SNDX-275)	HDAC1 and HDAC3 inhibitor	209783-80-2	≥18.8 mg/mL in DMSO
A4093	ITF2357 (Givinostat)	HDAC inhibitor	732302-99-7	≥23.8 mg/mL in DMSO
A4083	Rocilinostat (ACY-1215)	Selective HDAC6 inhibitor	1316214-52-4	≥21.7 mg/mL in DMSO

Cat.No.	Product Name	Short Summary	CAS	Solubility
A4090	JNJ-26481585	Potent HDAC inhibitor	875320-29-9	≥19.2 mg/mL in DMSO
A4101	Tubastatin A	HDAC6 inhibitor, potent and selective	1252003-15-8	≥10.75 mg/mL in DMSO
A4096	Belinostat (PXD101)	Hydroxamate-type HDAC inhibitor	414864-00-9	≥15.9 mg/mL in DMSO
A8803	RGFP966	Specific HDAC3 inhibitor	1357389-11-7; 1396841-57-8	≥18.1 mg/mL in DMSO
A4094	MC1568	Class II HDAC inhibitor, potent and selective	852475-26-4	<1.57 mg/mL in EtOH, <1.58 mg/mL in H_2O
A4089	Mocetinostat (MGCD0103, MG0103)	HDAC inhibitor, isotype-selective and potent	726169-73-9	≥19.8 mg/mL in DMSO
A4102	CI994 (Tacedinaline)	HDAC inhibitor	112522-64-2	≥50 mg/mL in DMSO
A8012	Biotin-XX Tyramide Reagent	Reagent used for tyramide signal amplification (TSA)	N/A	≥59 mg/mL in DMSO
A4097	CUDC-907	Potent PI3K/HDAC inhibitor	1339928-25-4	≥25.5 mg/mL in DMSO
A4501	Tubacin	HDAC6 inhibitor, potent, selective, reversible, cell-permeable	537049-40-4	≥7.19 mg/mL in DMSO
A8547	Tubastatin A HCl	HDAC6 inhibitor, potent and selective	1310693-92-5	≥18.6 mg/mL in DMSO
A4095	Pracinostat (SB939)	Pan-HDAC inhibitor	929016-96-6	≥11.4 mg/mL in DMSO
A4104	AR-42 (OSU-HDAC42)	HDAC inhibitor, novel and potent	935881-37-1	≥15.6 mg/mL in DMSO
A4092	CUDC-101	Multitargeted HDAC inhibitor	1012054-59-9	≥21.7 mg/mL in DMSO
A4106	Scriptaid	HDAC inhibitor, novel and cell-permeable	287383-59-9	≥13.1 mg/mL in DMSO
B1251	Valproic acid	HDAC1 inhibitor	99-66-1	≥29 mg/mL in EtOH, ≥36 mg/mL in H_2O
A4494	LMK 235	HDAC4/HDAC5 inhibitor	1418033-25-6	≥9.95 mg/mL in DMSO
A4098	PCI-24781 (CRA-024781)	Pan-HDAC inhibitor	783355-60-2	≥11.75 mg/mL in DMSO with gentle warming
A8176	Apicidin	Potent HDAC inhibitor	183506-66-3	Limited solubility
A4091	PCI-34051	HDAC8 inhibitor, potent and selective	950762-95-5	≥14.8 mg/mL in DMSO
A4105	M344	HDAC inhibitor, potent and cell-permeable	251456-60-7	≥14.75 mg/mL in DMSO
A4107	Sodium Phenylbutyrate	Histone deacetylase inhibitor	1716-12-7	≥27.65 mg/mL in H2O
A3860	Tasquinimod	Antiangiogenic and antineoplastic agent	254964-60-8	≥20.3 mg/mL in DMSO
A8806	TMP269	HDAC 4/5/7/9 inhibitor	1314890-29-3	≥23 mg/mL in DMSO
B5882	ORY-1001	Selective inhibitor of KDM1A.	1431326-61-2	≥6.9 mg/mL in DMSO
A4103	LAQ824 (NVP-LAQ824, Dacinostat)	HDAC inhibitor, potent and novel	404951-53-7	≥17.45 mg/mL in DMSO

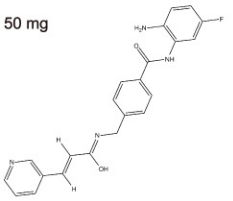
Product Citations

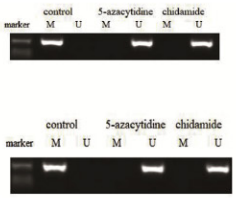
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

B5916 Chidamide

Chidamide is a novel benzamide-type histone deacetylase (HDAC) inhibitor.

Size 2 mg, 5 mg, 10 mg, 50 mg





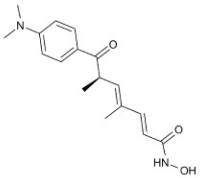
Compared with the untreated group, the expression of SFRP2 was increased inlow, mid, and high concentrations.K562 and KCL22 were treated with 5, 10 and 15 μmol/l chidamide for 48 h. **Biochem Biophys Res Commun. 2018. PMID:29704505**

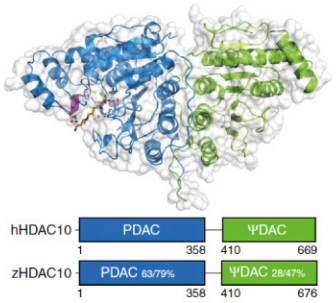
A8183 Trichostatin A (TSA)

Trichostatin A (TSA) is a potent inhibitor of histone deacetylase (HDAC).

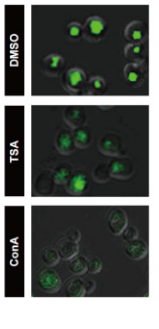
Size 1 mg, 5 mg, 25 mg, 100 mg

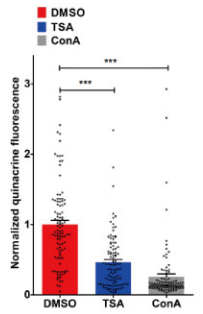
8 citations



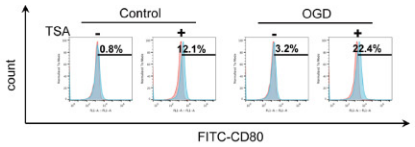


Crystal structure of the Y307F zHDAC10D–AAT complex. The structure of zHDAC10D (Y307F)– AAT complex was solved by molecular replacement using the programme Phaser40 and a model of the zHDAC6 CD1-TSA complex (PDB entry 5EEF)17 less ligands was used as the search probe. **Nat Commun. 2017. PMID:28516954**





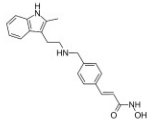
Regulation of endolysosomal pH in yeast is mediated by a histone deacetylase. **J Biol Chem. 2018. PMID:29567836**



TSA increases expression of co-stimulatory molecules CD 80 and in DC2.4 cells under oxygen and deprivation. **Front Pharmacol. 2018. PMID:29942258**

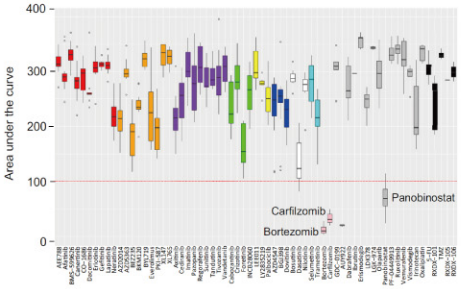
A8178 Panobinostat (LBH589)

Panobinostat (LBH589) is a novel broad-spectrum inhibitor of HDAC with IC50 of 5 nM.



Size 10 mg, 50 mg, 200 mg, 500 mg

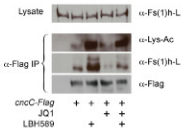
7 citations



Bortezomib, panobinostat, and carfilzomib reduce cell viability of CAF in a dose-dependent manner. Human esophageal CAF (#12) and stomach CAFs (#14, #32, #36 and #39) were plated and treated with bortezomib (b), panobinostat (c), and carfilzomib (d) for 2 days. **Invest New Drugs. 2018. PMID:29349597**

ID	IC50 (μM)a hKDAC 1	3	6	8	SmKDAC 4
Apicidin[47]	0.0091	0.007	2.61	2.53	28.84
Entinostat[48]	1.48[49]	0.79[49]	>30 (NAc)[49]	>30 (NAc)[49]	>30 (NAc)
Largazole[50,51]	2.33[50]	1.36[50]	9.29[50]	>30 (19%)[50]	>30 (4%)
Panobinostat[50,51]	0.02[50]	0.06[50]	0.13[50]	1.78[50]	0.45
PCI-34051[52]	14.41[52]	>30 (25%)[52]	4.57[52]	0.49[52]	1.03
Scriptaid[53]	0.071	0.0068	0.0029	6.81[53]	1.77
SD-L-256[54,55]	3.48[54]	0.47[54]	1.61[54]	>30 (38%)[54]	>30 (NAc)
Trichostatin A[56]	0.015[56]	0.020[56]	0.038[56]	4.55[56]	3.62
Tubastatin A[57]	2.87[57]	0.72[57]	0.014[57]	2.14[57]	6.52
T247[58]	1.11[58]	3.94[58]	>30 (NAc)[58]	>30 (33%)[58]	>30 (NAc)
Vorinostat (SAHA)[59]	0.0070[59]	0.0014[60]	0.0014[59]	0.50[59]	0.59
4[61]	27.37[61]	20.00[61]	>30[61]	1.09[61]	29.09

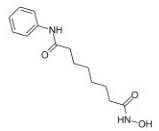
Panobinostat exhibits inhibitory activity against hKDAC The IC50 values of panobinostat (0～30 μM) for hKDAC1, 3, 6, 8 are 0.02 μM, 0.06 μM, 0.13 μM, 1.78 μM, respectively. **Bioorgan Med Chem. 2017. PMID:28259528**



Bromodomains mediate the inhibition of CncC by Fs(1)h. Co-immuno-precipitation of endogenous Fs(1)h-L with over-expressed CncC-Flag in S2 cells. S2 cells were transfected with either actin-Gal4 plasmid alone (lane 1) or with actin-Gal4 and UAS-CncC-Flag plasmids (lanes 2–5). **PLoS Genet. 2016. PMID:27233051**

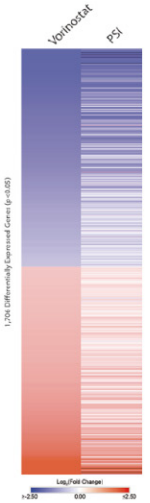
A4084 Vorinostat (SAHA, MK0683)

Vorinostat (suberoylanilide hydroxamic acid, SAHA) is an inhibitor of HDAC with IC50 of ~10 nM.

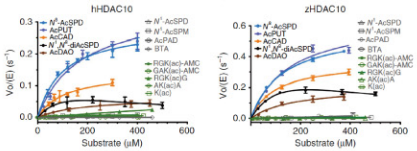


Size 500 mg

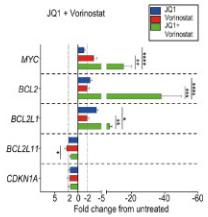
6 citations



Drug repositioning identifies vorinostat for BCC treatment. Vorinostat was administered by i.p. injection in DMSO at 50 mg/kg. **JCI Insight. 2017. PMID:29093271**



Catalytic activity of hHDAC10 and zHDAC10. Reactions were quenched by adding developer solution (1 μM trypsin and 10 μM SAHA in assay buffer) and allowed to sit for 20min at room temperature. **Nat Commun. 2017. PMID:28516954**



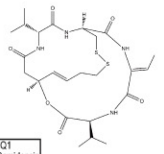
Combination of BET inhibition and HDAC inhibition markedly represses MYC and BCL2 expression in CTCL cells. Cells were cultured for 72 hours in the following range of drug concentrations, alone or in combination: 0.2 to 18 μM vorinostat. **Oncotarget. 2018. PMID:30018745**

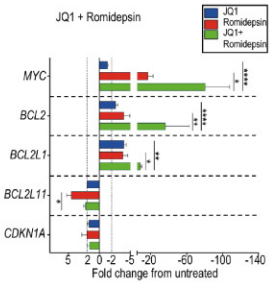
A8173 Romidepsin (FK228, depsipeptide)

Romidepsin (FK228, depsipeptide) is a potent and selective inhibitor of class I histone deacetylases (HDACs) with IC50 values of 36, 47, 510 and 14,000 nM for HDAC1, HDAC2, HDAC4 and HDAC6, respectively.

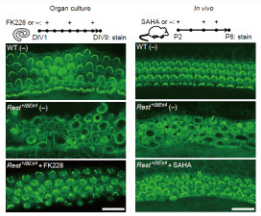
Size 1 mg, 5 mg

7 citations

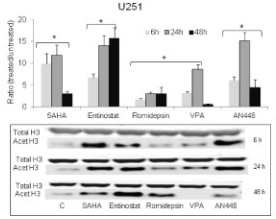




Combination of BET inhibition and HDAC inhibition markedly represses MYC and BCL2 expression in CTCL cells. Cells were cultured for 72 hours in the following range of drug concentrations, alone or in combination: 0.6 to 45 nM romidepsin. **Oncotarget. 2018. PMID:30018745**



HDAC Inhibitors Rescue Hair Cells and Hearing of Rest Exon 4 Knockout Mice. Organ of Corti cultures from P1 Rest+/DEx4 and WT mice were incubated with 2 nM FK228, 1 μM SAHA, 1.2 μM Merck60. **Cell. 2018. PMID:29961578**

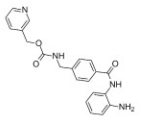


Reduction of HDAC activity and the expression of HDAC1 and HDAC2.The cell were treated with: SAHA 1 μM, Entinostat 2 μM, Romidepsin 1 nM, VPA 3 mM or AN446 20 μM for 24, 48 or 72 h. **J Cell Biochem. 2017. PMID:29135083**

A8171 Entinostat (MS-275,SNDX-275)

Entinostat (MS-275) is a strong inhibitor of HDAC1 and HDAC3 with IC50 of 0.51 μM and 1.7 μM, compared with HDACs 4, 6, 8, and 10.

Size 10 mg, 50 mg, 100 mg



Drug group	Compound	Nuclear extract assay IC50 (μM)	95% CI
Hydroxamic acids	Trichostatin	0.016	0.011–0.022
	CUDC-907	0.11	0.08–0.17
	AR-42	0.21	0.18–0.26
	Quisinostat	0.009	0.003–0.022
	Nexturostat	5.1	3.2–8.3
	Panobinostat	0.017	0.012–0.025
	Pracinostat	0.69	0.58–0.82
	SBHA	9.9	6.5–15.2
	SAHA	0.39	0.30–0.50
	Givinostat	0.19	0.15–0.24
	M344	0.58	0.41–0.81
	Resminostat	1.71	1.27–2.30
	Belinostat	0.27	0.19–0.36
	Naphthohydro. acid	83	54–128
	Droxinostat	49	40–59
	CAY10603	0.17	0.10–0.27
	VAHA	>100	
	ABHA	2.6	1.5–4.6
	Tubacin	26	18–37
	HPOB	17	13–20
	BRD73954	>100	
	CUDC-101	0.032	0.014–0.070
	Rocilinostat	2.0	1.6–2.4
	Tubastatin A	71	37–133
	PCI-34051	>100	
Cyclic depsipeptide	Romidepsin	0.000014	0.00001–0.00002
Benzamides	Entinostat	15	5–46
	Mocetinostat	>100	
Thioester	KD5170	0.41	0.32–0.50
Disulfide	Psammaplin A	0.015	0.007–0.032
Thiolate	TCS HDAC620b	>100	
Cyclic tetrapeptide	Apicidin	0.72	0.45–1.14
Fatty acid	Valproic acid	>100	
Sesquiterpene lactone	Parthenolide	>100	

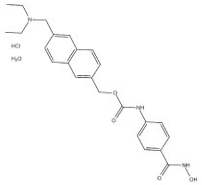
Effect of entinostat on HDAC activity of nuclear extracts from blowfly eggs. The IC50 of entinostat is 15 μM. **Int J Parasitol Drugs Drug Resist. 2017. PMID:28110187**

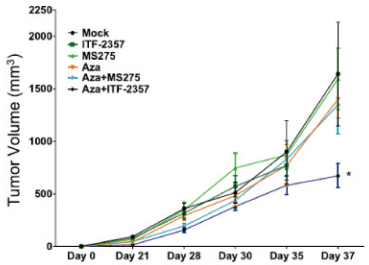
A4093 ITF2357 (Givinostat)

Givinostat (ITF2357) is a potent inhibitor of HDAC for maize HD2, HD1B and HD1A with IC50 of 10 nM, 7.5 nM and 16 nM, respectively.

Size 5 mg, 10 mg, 50 mg, 200 mg

2 citations



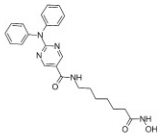


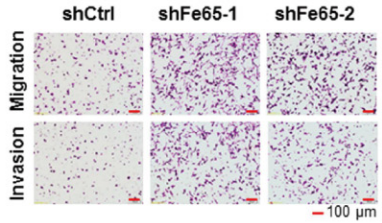
Combination Aza + ITF-2357 Induces Profound Drug Synergy when Applied to Human NSCLC. Adhered cells were incubated with 100uL drug supplemented media changed every 3 days, treated with ITF-2357 as the following concentration: 25nM, 50nM, 100nM, 250nM, 500nM, 1μM. **Cell. 2017. PMID:29195073**

A4083 Rocilinostat (ACY-1215)

Rocilinostat (ACY-1215) is a selective inhibitor of HDAC6 with IC50 of 5 nM.

Size 5 mg, 10 mg, 50 mg, 200 mg





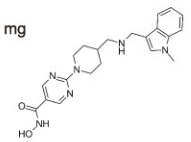
Fe65 inhibits cell motility in breast cancer cells.cells were treated with DMSO, 1 μ M SAHA, 2 μM tubastatin A (Tuba A) or 2 μg/ml ACY1215 for 8 h. **Sci Rep. 2015. PMID: 26166158**

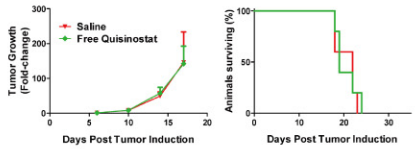
A4090 JNJ-26481585

Quisinostat (JNJ-26481585) is a novel second-generation inhibitor of HDAC with highest potency for HDAC1 with IC50 of 0.11 nM.

Size 5 mg, 10 mg, 50 mg, 200 mg

3 citations





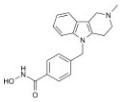
Free quisinostat treatment efficacy in mice bearing orthotopic GL261 tumors. For the free drug study, this included saline control (100 ul) or free quisinostat (10 mg/kg IP,solubilized in 20% hydroxy-propyl-β-cyclodextrin, pH 8.7). **Colloids Surf B Biointerfaces. 2018. PMID:29533842**

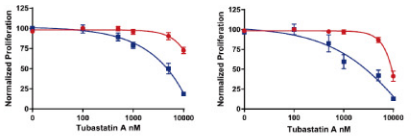
A4101 Tubastatin A

Tubastatin A is a potent and selective inhibitor of HDAC6 with IC50 value of 15 nM.

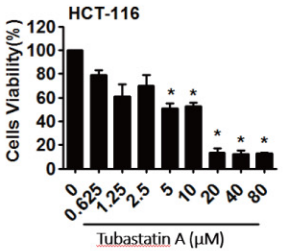
Size 10 mg, 50 mg, 100 mg, 200 mg

6 citations

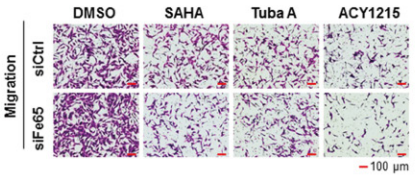




Combination Aza + ITF-2357 Induces Profound Drug Synergy when Applied to Human NSCLC. Adhered cells were incubated with 100uL drug supplemented media changed every 3 days, treated with Tubastatin A as the following concentration: 250nM, 500nM, 1μM, 2.5μM, 5.0μ M and 10μM. **Cell. 2017. PMID:29195073**



Effects of droxinostat, tubastatin and PCI-34051 of cell viability in HCT-116 colon cancer cells. HCT-116 cells were treated with the indicated concentrations of droxinostat (A), tubastatin A (B) and PCI-34051 (C). **Cell Mol Biol Lett. 2018. PMID:30065760**



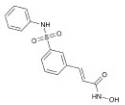
The effect of Fe65 on breast cancer cell motility is acetylation-sensitive. Cells were treated with DMSO, 1 μ M SAHA, 2 μ M tubastatin A (Tuba A) or 2 μ g/ml ACY1215 for 8 h. **Sci Rep. 2015. PMID:26166158**

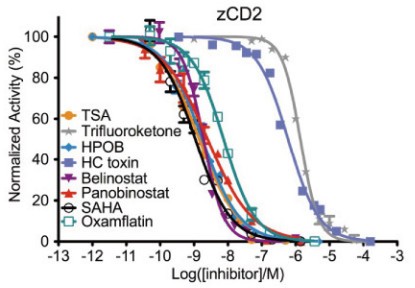
A4096 Belinostat (PXD101)

Belinostat (PXD101) is a novel inhibitor of pan-HDAC with an IC50 value of 27 nM.

Size 5 mg, 10 mg, 50 mg, 200 mg

2 citations





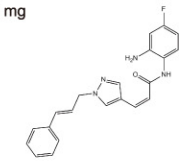
Inhibition of HDAC6 by inhibitors used in crystal structure determinations. Data were analyzed by logistic regression for IC50 determination and the inhibition constant Ki was calculated based on the Cheng-Prusoff equation assuming competitive inhibition, Ki=IC50/(1+[S]/KM), as described in the Methods section. **Nat Chem Biol. 2016. PMID:27454933**

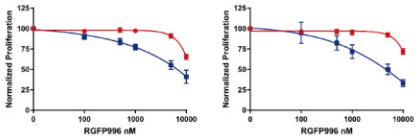
A8803 RGFP966

RGFP966 is a specific inhibitor of HDAC3 with an IC50 value of 0.08 μM.

Size 5 mg, 25 mg, 100 mg, 200 mg

3 citations





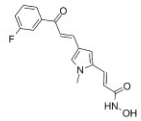
Combination Aza + ITF-2357 Induces Profound Drug Synergy when Applied to Human NSCLC. Adhered cells were incubated with 100μL drug supplemented media changed every 3 days, treated with RGFP996 as the following concentration: 250nM, 500nM, 1μM, 2.5μM, 5.0μM and 10μM. **Cell. 2017. PMID:29195073**

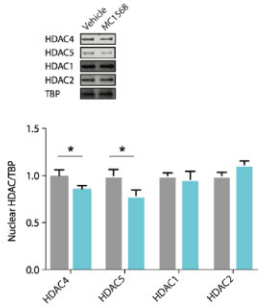
A4094 MC1568

MC1568 is a selective inhibitor of HDAC for maize HD1-A with IC50 of 100 nM. It is 34-fold more selective for HD1-A than HD1-B.

Size 10 mg, 25 mg

3 citations





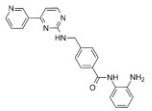
Selective degradation of HDAC4 and HDAC5 by the class II–specific HDAC inhibitor MC1568 enhances compulsive cocaine self-administration. We treated animals to 10 daily injections of MC1568 (0.5 mg/kg) and tested for nuclearHDAC activity in the nucleus accumbens 18 hours after the last treatment. **Sci Adv. 2017. PMID:29109977**

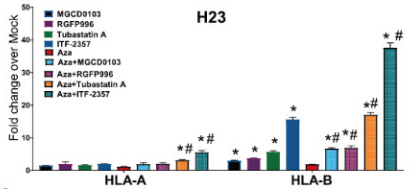
A4089 Mocetinostat (MGCD0103, MG0103)

Mocetinostat (MGCD0103) is a potent inhibitor of HDAC with most potency for HDAC1 with IC50 of 0.15 μM, 2- to 10- fold selectivity against HDAC2, 3, and 11, and no activity to HDAC4, 5, 6, 7, and 8.

Size 5 mg, 10 mg, 25 mg

2 citations



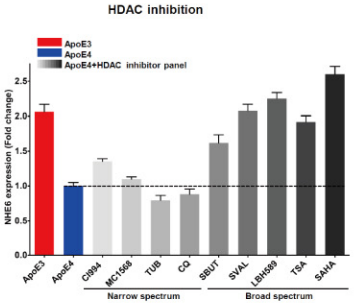


The Potential of Combinatorial Epigenetic Treatment to Stimulate Specific Immune-Related Genes. Quantitation of selected major histocompatibility complex (MHC) class I genes of the IFNa/b pathway in response to Aza and/or HDACi in H23 (qRT-PCR, day 8, 200 nM MGCD0103; n = 3). **Cell. 2017.PMID:29195073**

A4102 CI994 (Tacedinaline)

CI-994 (Tacedinaline),an anti-cancer drug, is an inhibitor of HDAC1 with IC50 of 0.57 μM and causes G1 cell cycle arrest.

Size 50 mg



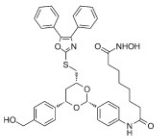
HDAC inhibitors rescue NHE6-mediated Aβ clearance deficits. **bioRxiv. 2018.**

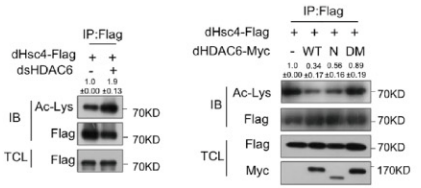
A4501 Tubacin

Tubacin is a potent, selective, reversible, and cell-permeable inhibitor of HDAC6 with an IC50 value of 4 nM.

Size 1 mg, 5 mg, 10 mg

3 citations





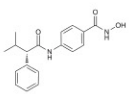
Knockdown of dHDAC6 leads to an increase in the acetylation level of dHsc4, whereas dHDAC6 overexpression significantly decreases the acetylation level of dHsc4. For acetylation detection assays, the cells were treated with HDAC6 inhibitors mixture (Tubacin (50μM) and TSA (10μM)) for 24 hours before harvest. **Dev Cell. 2017.PMID:28966044**

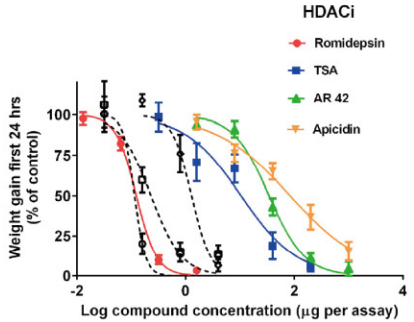
A4104 AR-42 (OSU-HDAC42)

AR-42 is a novel and potent inhibitor of HDAC with IC50 of 30 nM.

Size 2 mg, 5 mg, 10 mg, 50 mg

2 citations



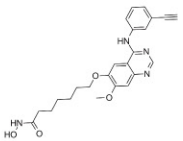


Inhibitory effect of AR-42 on the growth of blowfly larvae.The IC50 of AR-42 was 34.0 μg/assay. **Int J Parasitol Drugs Drug Resist. 2017. PMID:28110187**

A4092 CUDC-101

CUDC-101 is a potent inhibitor of HDAC, EGFR and HER2 with IC50 values of 4.4 nM, 2.4 nM, and 15.7 nM, respectively.

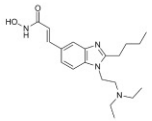
Size 10 mg, 50 mg, 200 mg



A4095 Pracinostat (SB939)

Pracinostat (SB939) is a potent inhibitor of HDAC with IC50 of 40-140 nM with exception for HDAC6.

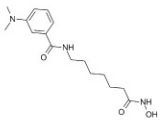
Size 5 mg, 10 mg, 50 mg



A4105 M344

M344 is a potent inhibitor of HDAC with IC50 value of 100 nM and enable the induction of cell differentiation.

Size 5 mg, 50 mg



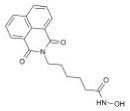
Drug group	Compound	Nuclear extract assay	
		IC_{50} (μM)	95% CI
Hydroxamic acids	Trichostatin	0.016	0.011–0.022
	CUDC-907	0.11	0.08–0.17
	AR-42	0.21	0.18–0.26
	Quisinostat	0.009	0.003–0.022
	Nexturostat	5.1	3.2–8.3
	Panobinostat	0.017	0.012–0.025
	Pracinostat	0.69	0.58–0.82
	SBHA	9.9	6.5–15.2
	SAHA	0.39	0.30–0.50
	Givinostat	0.19	0.15–0.24
	M344	0.58	0.41–0.81
	Resminostat	1.71	1.27–2.30
	Belinostat	0.27	0.19–0.36
	Naphthohydro. acid	83	54–128
	Droxinostat	49	40–59
	CAY10603	0.17	0.10–0.27
	VAHA	>100	
	ABHA	2.6	1.5–4.6
	Tubacin	26	18–37
	HPOB	17	13–20
	BRD73954	>100	
	CUDC-101	0.032	0.014–0.070
	Rocilinostat	2.0	1.6–2.4
	Tubastatin A	71	37–133
	PCI-34051	>100	
Cyclic depsipeptide	Romidepsin	0.000014	0.00001–0.00002
Benzamides	Entinostat	15	5–46
	Mocetinostat	>100	
Thioester	KD5170	0.41	0.32–0.50
Disulfide	Psammaplin A	0.015	0.007–0.032
Thiolate	TCS HDAC620b	>100	
Cyclic tetrapeptide	Apicidin	0.72	0.45–1.14
Fatty acid	Valproic acid	>100	
Sesquiterpene lactone	Parthenolide	>100	

Effect of CUDC-101, pracinostat and M344 on HDAC activity of nuclear extracts from blowfly eggs. The IC50 of CUDC-101, pracinostat and M344 are 0.032 μM, 0.69 μM and 0.85μM, respectively. **Int J Parasitol Drugs Drug Resist. 2017. PMID:28110187**

A4106 Scriptaid

Scriptaid is a novel inhibitor of HDAC with IC50 value of 0.6 μM for HDAC1 and HDAC3 and 1μM for HDAC8.

Size 5 mg, 10 mg, 50 mg, 100 mg

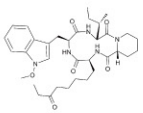


A8176 Apicidin

Apicidin, a natural fugal metabolite, is a selective inhibitor of HDAC.

Size 1 mg, 5 mg

2 citations



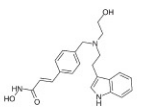
ID	IC_{50} (μM)[a] hKDAC 1	3	6	8	SmKDAC 8
Apicidin[41]	0.0091	0.007	2.61	2.53	28.84
Entinostat[42]	1.48[30]	0.79[30]	>30 (NAc)[30]	>30 (NAc)[30]	>30 (NAc)
Largazole[39,40]	2.33[30]	1.36[30]	9.29[30]	>30 (19%)[30]	>30 (4%)
Panobinostat[20,21]	0.02[30]	0.06[30]	0.13[30]	1.28[30]	0.45
PCI-34051[43]	14.41[30]	>30 (25%)[30]	4.57[30]	0.49[30]	1.03
Scriptaid[32]	0.071	0.0068	0.0029	6.81	1.77
SD-L-256[33]	3.48[30]	0.47[30]	1.61[30]	>30 (38%)[30]	>30 (NAc)
Trichostatin A[34]	0.015[30]	0.020[30]	0.018[30]	4.55[30]	3.62
Tubastatin A[35]	2.87[30]	0.77[30]	0.014[30]	2.34[30]	6.52
T247[25]	1.11[30]	3.94[30]	>30 (NAc)[30]	>30 (33%)[30]	>30 (NAc)
Vorinostat (SAHA)[19]	0.0070[30]	0.0014[30]	0.0014[30]	0.50[30]	0.59
4[37]	27.37[29]	20.00[29]	30[29]	1.09[29]	29.09

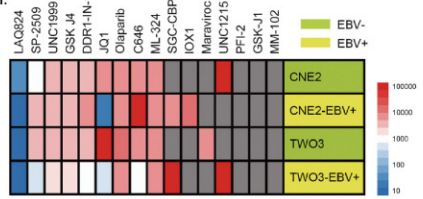
Scriptaid and Apicidin exhibit inhibitory activity against hKDAC. The IC50 values of scriptaid (0～30 μM) for hKDAC1, 3, 6, 8 are 0.071 μM, 0.0068 μM, 0.0029 μM, 6.81 μM, respectively. The IC50 values of apicidin (0～30 μM) for hKDAC1, 3, 6, 8 are 0.0091 μM, 0.007 μM, 2.61 μM, 2.53 μM, respectively. **Bioorgan Med Chem. 2017.PMID:28259528**

A4103 LAQ824 (NVP-LAQ824,Dacinostat)

LAQ824 is a novel inhibitor of HDAC with IC50 value of 32 nM.

Size 10 mg, 50 mg, 200 mg



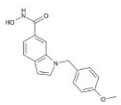


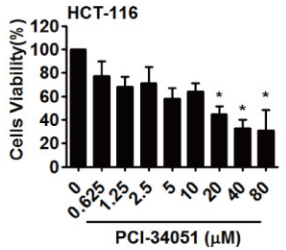
Identification of the selective compound for EBV+ NPC cells. Cells were treated with increasing concentrations of inhibitors for 72 h, and IC50 values were determined based on cell viability as measured by Cell-Titer GLO. **Cell Death Dis. 2018. PMID:29988031**

A4091 PCI-34051

PCI-34051 is a potent and specific inhibitor of HDAC8 with an IC50 value of 10 nM.

Size 10 mg, 100 mg



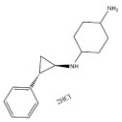


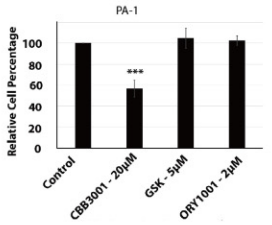
Effects of droxinostat, tubastatin and PCI-34051 of cell viability in HCT-116 colon cancer cells. HCT-116 cells were treated with the indicated concentrations of droxinostat (A), tubastatin A (B) and PCI-34051 (C). **Cell Mol Biol Lett. 2018. PMID:30065760**

B5882 ORY-1001

ORY-1001 is a selective inhibitor of KDM1A.

Size 5 mg, 25 mg





CBB3001 is more selective and potent than several recently reported LSD1 inhibitors. Actively growing HCT116 and PA-1 cells were treated with various conctrations of CBB3001, GSK2879552 (GSK), or ORY-1001 (ORY) for 16 h and examined. **Bioorg Med Chem. 2018. PMID:29439916**

Potency Comparison

Inhibitors	Pan-HDAC	HDAC1	HDAC2	HDAC3	HDAC4	HDAC5	HDAC6	HDAC7	HDAC8	HDAC9	HDAC10	HDAC11
2-hexyl-4-Pentynoic Acid	* (IC_{50}:13 μM)											
BML-210	* (IC_{50}:87 μM)											
Nexturastat A							**** (IC_{50}:5 nM)					
Suberohydroxamic Acid		** (IC_{50}:250 nM)		** (IC_{50}:300 nM)								
UF 010		** (IC_{50}:500 nM)	*** (IC_{50}:100 nM)	**** (IC_{50}:60 nM)			* (IC_{50}:9.1 μM)		* (IC_{50}:1.5 μM)		* (IC_{50}:15.3 μM)	* (IC_{50}:44.5 μM)
AR-42	*** (IC_{50}:30 nM)	*	*	*	*	*	*	*	*	*	*	*
Belinostat	*** (IC_{50}:27 nM)	*	*	*	*	*	*	*	*	*	*	*
CAY10603		** (IC_{50}:271 nM)					***** (IC_{50}:2 pM)					
CI994		** (IC_{50}:0.57 μM)		*								
CUDC-101	**** (IC_{50}:4.4 nM)	**** (IC_{50}:4.5 nM)		**** (IC_{50}:9.1 nM)		*** (IC_{50}:11.4 nM)	**** (IC_{50}:5.1nM)					
CUDC-907		**** (IC_{50}:1.7 nM)	**** (IC_{50}:5 nM)	**** (IC_{50}:1.8 nM)							**** (IC_{50}:2.8 nM)	
Droxinostat		* (IC_{50}>20 μM)	* (IC_{50}: >20 μM)	* (IC_{50}:16.9 μM)			* (IC_{50}:2.47 μM)		* (IC_{50}:1.46 μM)			
Entinostat		** (IC_{50}:0.51 μM)		* (IC_{50}:1.7 μM)								
ITF2357	**** (IC_{50}:7.5-16 nM)											
JNJ-26481585		***** (IC_{50}:0.11 nM)	***** (IC_{50}:0.33 nM)		***** (IC_{50}:0.64 nM)						***** (IC_{50}:0.46 nM)	***** (IC_{50}:0.37 nM)
KD 5170		*** (IC_{50}:20 nM)	* (IC_{50}:2 μM)	*** (IC_{50}:75 nM)	*** (IC_{50}:26 nM)		*** (IC_{50}:14 nM)					
LAQ824	*** (IC_{50}:32 nM)											
M344	** (IC_{50}:100 nM)											
MC1568					*	*	*	*		*	*	
Mocetinostat		** (IC_{50}:0.15 μM)	** (IC_{50}:0.29 μM)	* (IC_{50}:1.66 μM)								
NCH 51	*											
NSC 3852	*											
Panobinostat	*	*	*	*	*	*	*	*	*	*	*	*
Parthenolide		*										
PCI-24781		**** (Ki:7 nM)	*** (Ki:19 nM)	**** (Ki:8.2 nM)			*** (Ki:17 nM)		** (Ki:280 nM)		*** (Ki:24 nM)	
PCI-34051									**** (IC_{50}:10 nM)			
Pracinostat		*** (IC_{50}:49 nM)		*** (IC_{50}:43 nM)	*** (IC_{50}:56 nM)	*** (IC_{50}:47 nM)				*** (IC_{50}:70 nM)	*** (IC_{50}:40 nM)	
RGFP966				*** (IC_{50}:80 nM)								
Rocilinostat							**** (IC_{50}:5 nM)					
Romidepsin			*** (IC_{50}:36 nM)	*** (IC_{50}:47 nM)								
Santacruzamate A			***** (IC_{50}:0.112 nM)				** (Ki:433 nM)					
Scriptaid		** (IC_{50}:0.6 μM)		** (IC_{50}:0.6 μM)					* (IC_{50}:1 μM)			
Tasquinimod					*							
TC-H 106		** (IC_{50}:150 nM)	** (IC_{50}:760 nM)	** (IC_{50}:370 nM)					* (IC_{50}:5 μM)			
Trichostatin A	**** (IC_{50}:1.8 nM)											
Tubastatin A HCl							*** (IC_{50}:15 nM)		** (IC_{50}:854 nM)			
Valproic acid		* (IC_{50}:0.4 mM)										
Valproic acid sodium salt	* (IC_{50}:0.4 mM)											
Vorinostat	**** (IC_{50}:10 nM)	*	*	*	*	*	*	*	*	*	*	*

Notes: "*" represents potency. The higher the number of "*" is, the more potent an inhibitor or activator is. For more products information, please visit our website.

Histone Methyltransferase Inhibitors

Featured Products

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Cat.No.	Product Name	Short Summary	CAS	Solubility
A8221	EPZ-6438	EZH2 inhibitor, potent and selective	1403254-99-8	≥28.6 mg/mL in DMSO
A4166	EPZ5676	DOT1L inhibitor, potent and SAM competitive	1380288-87-8	≥28.2 mg/mL in DMSO
A4171	EPZ005687	EZH2 inhibitor, potent and selective	1396772-26-1	≥3.86 mg/mL in DMSO
A1905	3-Deazaneplanocin, DZNep	S-adenosylhomocysteine and EZH2 inhibitor	102052-95-9	Soluble in Water
B4989	EPZ015666	PRMT5 inhibitor	1616391-65-1	≥19.2 mg/mL in DMSO
B1583	UNC1999	EZH2 inhibitor	1431612-23-5	≥28.5 mg/mL in DMSO
A4170	EPZ004777	DOT1L inhibitor	1338466-77-5	≥27 mg/mL in DMSO
B6082	EPZ031686	SMYD3 inhibitor	1808011-22-4	Soluble in DMSO
A1914	UNC0638	G9a/GLP HMTase inhibitor, potent and selective	1255580-76-7	≥25.5 mg/mL in DMSO
A1909	BIX 01294	G9a and GLP inhibitor	935693-62-2	≥24.45 mg/mL in DMSO
B1255	AZ505	SMYD2 inhibitor, potent and selective	1035227-43-0	Soluble in DMSO
B1127	UNC 0631	G9a inhibitor	1320288-19-4	≥18.35 mg/mL in DMSO
B6120	Adox	Indirect methyltransferase inhibitor	34240-05-6	Soluble in DMSO
B7757	UNC 0642	G9a and GLP histone lysine methyltransferase inhibitor	1481677-78-4	≥18.5 mg/mL in DMSO
A4167	SGC 0946	DOT1L inhibitor, highly potent and selective	1561178-17-3	≥31 mg/mL in DMSO
B1622	SGI-1027	DNMT inhibitor	1020149-73-8	≥22.25 mg/mL in DMSO

Product Citations

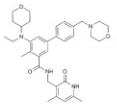
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

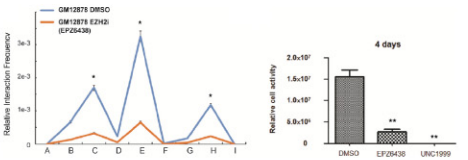
A8221 EPZ-6438

EPZ-6438 is a potent and selective inhibitor of EZH2 with Ki and IC50 values of 2.5 nM and 11 nM, respectively.

Size 5 mg, 20 mg, 50 mg, 100 mg

4 citations





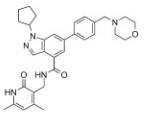
EZH2 Inhibitor Decreases CDKN2A/B Looping and Stops LCL Growth. GM12878 LCLs were grown in 1% FBS and treated with EZH2 inhibitor 20 μM EPZ6438 for 4 days. **Cell Host Microbe. 2017. PMID:29024646**

A4171 EPZ005687

EPZ005687 is a potent and selective inhibitor of EZH2 with Ki of 24 nM, 50-fold selectivity against EZH1 and 500-fold selectivity against 15 other protein methyltransferases.

Size 5 mg, 25 mg

2 citations

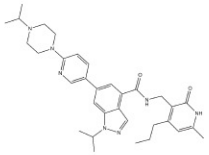


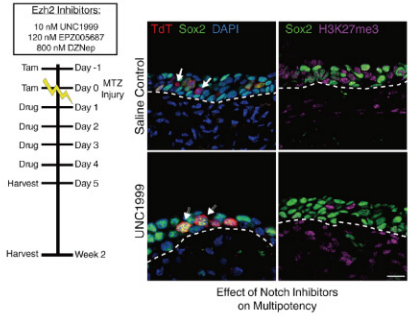
B1583 UNC1999

UNC1999 is a potent, orally bioavailable and selective inhibitor of EZH2 and EZH1 with IC50 of 2 nM and 45 nM in cell-free assays, respectively.

Size 5 mg, 25 mg

2 citations





Effect of Notch Inhibitors on Multipotency

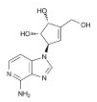
After recovery of 2 weeks, IHC-classified non-neuronal cell types were significantly increased as a consequence of Ezh2 inhibition with each of the three inhibitors. **Cell Stem Cell. 2017. PMID:29174332**

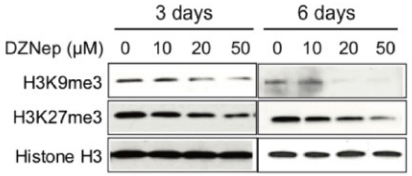
A1905 3-Deazaneplanocin, DZNep

3-Deazaneplanocin is a highly potent inhibitor of S-adenosylhomocysteine hydrolase with Ki value of 0.05 nM.

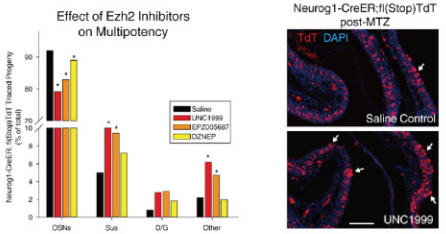
Size 1 mg, 5 mg, 10 mg, 25 mg

6 citations

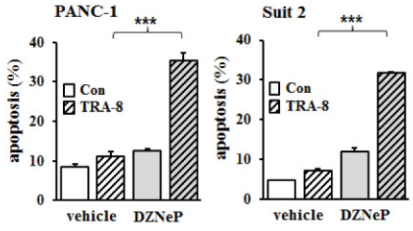




Pharmacological inhibition of H3K9 and H3K27 trimethylation suppresses invasiveness of primary cells derived from H-met PDX lines. Cells were pretreated with DZNep, EZP6438, or GSK126 for 3 to 6 days before seeding onto the upper chamber of the transwell system. **Sci Adv. 2017. PMID:29109980**



After recovery of 2 weeks, IHC-classified non-neuronal cell types were significantly increased as a consequence of Ezh2 inhibition with each of the three inhibitors. **Cell Stem Cell. 2017. PMID:29174332**



Inhibition of EZH2 increases TRA-8-induced apoptosis. Using DZNeP (5 μM), a specific pharmacological inhibitor for the important PRC2 component EZH2 as reported. **J Biol Chem. 2017. PMID:28476883**

Potency Comparison

Inhibitors	EZH1	EZH2	DOT1L	MLL	G9a	GLP	SETD7	PRMT5	DNMT1
3-Deazaneplanocin A (DZNep) hydrochloride	*								
BIX 01294					* (IC50:1.7 μM)	*			
EPZ004777			***** (IC50:0.4 nM)						
EPZ005687		*** (Ki:24 nM)							
EPZ015666								**** (IC50:5 nM)	
EPZ5676			***** (IC50:0.8 nM)						
EPZ-6438		*** (IC50:11 nM)							
PFI-2							**** (IC50:2 nM)		
SGC 0946			***** (IC50:0.3 nM)						
SGI-1027									* (IC50:6 μM)
UNC 0631					**** (IC50:6 nM)	*** (IC50:15nM)			
UNC0638					*** (IC50: <15 nM)	*** (IC50: 19 nM)			
WDR5 0103				** (Kd:450 nM)					

Notes: "*" represents potency. The higher the number of "*" is, the more potent an inhibitor or activator is. For more products information, please visit our website.

Histone Demethylase Inhibitors

Featured Products

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Cat.No.	Product Name	Short Summary	CAS	Solubility
B1580	OG-L002	LSD1 inhibitor, potent and specific	1357302-64-7	≥22.5 mg/mL in DMSO
A4190	GSK J4 HCl	Inhibitor of H3K27 demethylase JMJD3, potent and cell-permeable	1373423-53-0 (free base)	≥13.9 mg/mL in DMSO
B5879	GSK2879552	Novel and irreversible LSD1 inhibitor	1401966-69-5	≥12.8 mg/mL in DMSO
B4891	ML324	JMJD2 demethylase inhibitor, potent and cell-permeable	1222800-79-4	≥17.5 mg/mL in DMSO
B1579	JIB-04	Jumonji histone demethylase inihibitor	199596-05-9	≥14.2 mg/mL in DMSO

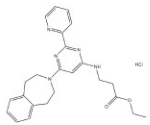
Product Citations

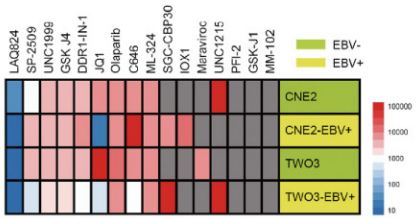
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

A4190 GSK J4 HCl

GSK J4 is a potent cell-permeable inhibitor of H3K27 demethylase JMJD3 with IC50 value > 50 μM in vitro.

Size 10 mg, 50 mg



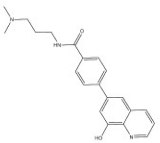


Identification of the selective compound for EBV+ NPC cells. Cells were treated with increasing concentrations of inhibitors for 72 h, and IC50 values were determined based on cell viability as measured by Cell-Titer GLO. **Cell Death Dis. 2018. PMID:29988031**

B4891 ML324

ML324 is a potent and cell-permeable JMJD2 demethylase inhibitor (IC50 = 920 nM).

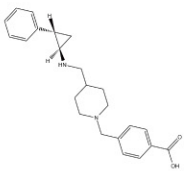
Size 10 mg, 50 mg, 200 mg

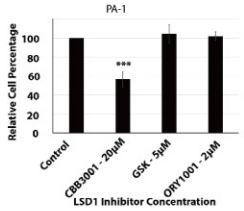


B5879 GSK2879552

GSK2879552 is a novel and irreversible LSD1 inhibitor.

Size 5 mg, 25 mg





CBB3001 is more selective and potent than several recently reported LSD1 inhibitors. Actively growing HCT116 and PA-1 cells were treated with various conctrations of CBB3001, GSK2879552 (GSK), or ORY-1001 (ORY) for 16 h and examined. **Bioorg Med Chem. 2018. PMID:29439916**

Potency Comparison

Inhibitors	KDM1A	KDM2/7	KDM5	KDM3	KDM4	KDM6B	KDM6A
2,4-Pyridinedicarboxylic Acid			* (IC50:3 μM)		* (IC50:1.4 μM)		*
GSK J2						* (IC50: >100 μM)	
GSK J4 free base						* (IC50: >100 μM)	
GSK J4 HCl						*** (IC50:60 nM)	**** (IC50:60 nM)
GSK-LSD1 2HCl	*** (IC50:16 nM)						
SP2509	*** (IC50:13 nM)						
CBB1003	* (IC50:10.54 μM)						
CBB1007							
GSK J1			** (IC50:170, 550, 6,800 nM)			*** (IC50:28 nM)	*** (IC50:53 μM)
IOX 1				** (IC50:0.12,0.17, 0.2, 0.3, 0.6,1 μM)			
ML324					** (IC50:920 nM)		
OG-L002	*** (IC50:20 nM)						
RN 1 dihydrochloride	*** (IC50:70 nM)						
TC-E 5002		** (IC50:0.2, 1.2, 6.8 μM)					
Tranylcypromine (2-PCPA) HCl	* (IC50:5.27 μM)						
Tranylcypromine hydrochloride	*** (LSD1/BHC110)						

Notes: "*" represents potency. The higher the number of "*" is, the more potent an inhibitor or activator is. For more products information, please visit our website.

HIF Inhibitors

Featured Products

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Cat.No.	Product Name	Short Summary	CAS	Solubility
A4506	DMOG	Competitive HIF-PH inhibitor, cell-permeable	89464-63-1	≥8.8 mg/mL in DMSO
B6004	PX-478 2HCl	HIF-1α inhibitor	685898-44-6	≥19.7 mg/mL in DMSO
A4507	KC7F2	HIF-1α inhibitor	927822-86-4	≥24.95 mg/mL in DMSO
A4509	PX 12	Trx-1 inhibitor	141400-58-0	≥8.75 mg/mL in DMSO
A4187	FG-4592 (ASP1517)	HIF prolyl-hydroxylase inhibitor	808118-40-3	≥17.6 mg/mL in DMSO
B1115	BAY 87-2243	HIF-1 inhibitor, potent and selective	1227158-85-1	≥8.8 mg/mL in DMSO
A4189	IOX2 (Glycine)	HIF-1α prolyl hydroxylase-2 (PHD2) inhibitor	931398-72-0	≥17.6 mg/mL in DMSO

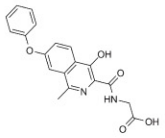
Product Citations

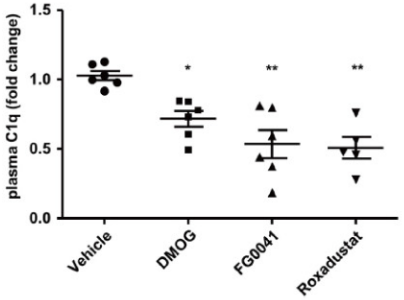
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

A4187 FG-4592 (ASP1517)

FG-4592 (ASP1517, Roxadustat) is an orally active second generation HIF-PH inhibitor. Preclinical studies show that FG-4592 increases production of endogenous erythropoietin (EPO).

Size 10 mg, 50 mg



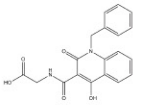


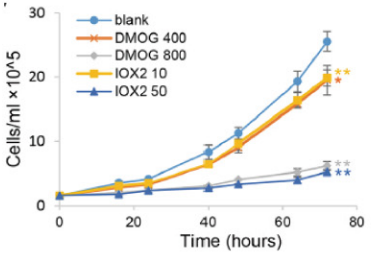
Plasma C1q is reduced by 28% with DMOG, 46% with FG0041, and 49% with roxadustat (FG-4592). C57BL/6 mice were treated every 12 hours with dimethyloxalylglycine (DMOG; 20 mg/kg), FG0041 (25 mg/kg), or roxadustat (FG-4592) (10 mg/kg) for 6 days. **Kidney Int. 2017. PMID:28506759.**

A4189 IOX2(Glycine)

IOX2 is a potent and selective inhibitor of HIF-1α prolyl hydroxylase-2 (PHD2) with an IC50 of 21 nM for PHD2/ELGN-1 in a cell-free assay.

Size 10 mg, 50 mg





Functional characterization of proline hydroxylation pathway on Brd4 transcriptional activities and cell proliferation in MV4;11 cells. The relative proliferation of Hela and MV4;11 cells under DMOG (400 μM, 800 μM) and IOX2 (10 μM, 50 μM) treatments for 24 hrs. **Oncotarget. 2016. PMID: 27764789.**

Potency Comparison

Inhibitors	HIF	HIF-PH	HIF-1α PHD2	HIF-1	HIF-1α
DMOG		*			
KC7F2					*
FG-4592(ASP1517)		*			
PX 12**					* (IC50:7.2 nM)
Chetomin				*	
IOX2(Glycine)			*** (IC50:21 nM)		
BAY 87-2243				*	

Activator	HIF	HIF-PH	HIF-1α PHD2	HIF-1	HIF-1α
ML 228	*				

Notes: "*" represents potency. The higher the number of "*" is, the more potent an inhibitor or activator is. For more products information, please visit our website.

Histone Acetyltransferase Inhibitors

Featured Products

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Cat.No.	Product Name	Short Summary	CAS	Solubility
B1577	C646	HAT p300-CBP inhibitor, cell-permeable	328968-36-1	≥11.1 mg/mL in DMSO
B3276	MG 149	HAT inhibitor	1243583-85-8	≥114 mg/mL in DMSO
A8712	MCB-613	stimulator of steroid receptor coactivator (SRC)	1162656-22-5	≥13.2 mg/mL in DMSO
B4887	Remodelin	NAT10 inhibitor	1622921-15-6	≥36.3 mg/mL in DMSO
B1602	Donepezil HCl	AChE inhibitor	120011-70-3	≥10.4 mg/mL in H_2O
A4492	NU 9056	KAT5 (Tip60) HAT inhibitor	1450644-28-6	<10 mg/mL in DMSO
C3209	Butyrolactone 3	histone acetyltransferase Gcn5 inhibitor	778649-18-6	≤14 mg/mL in EtOH; 14 mg/mL in DMSO

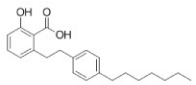
Product Citations

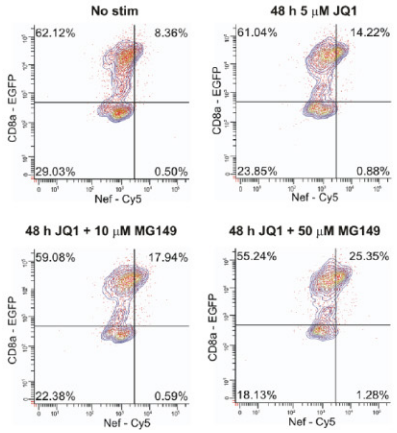
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

B3276 MG 149

MG 149 is an inhibitor of histone acetyltransferases (HAT) with IC50 values of 74μM and 47μM for Tip60 and MOF, respectively.

Size 5 mg, 25 mg, 100 mg



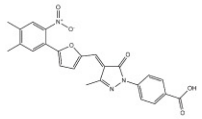


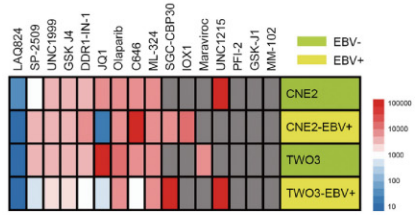
Inhibition of KAT5 in a primary cell latency model and ART-suppressed patient cells enhances HIV latency reversal and virion release. **PLoS Pathog. 2018. PMID:29684085**

B1577 C646

C646 is an inhibitor of p300 with a Ki value of 400 nM.

Size 10 mg, 50 mg





Identification of the selective compound for EBV+ NPC cells. Cells were treated with increasing concentrations of inhibitors for 72 h, and IC50 values were determined based on cell viability as measured by Cell-Titer GLO. **Cell Death Dis. 2018. PMID:29988031**

Potency Comparison

Inhibitors	p300/CBP	PCAF	KAT5 (Tip60)
C646	** (Ki =400 nM)		
NU 9056			* (IC50<2 μM)
L002	* (IC50 = 1.98 μM)		
Garcinol	* (IC50 = 7 μM)	* (IC50 = 5 μM)	

Notes: "*" represents potency. The higher the number of "*" is, the more potent an inhibitor or activator is. For more products information, please visit our website.

JAK Inhibitors

Featured Products

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Cat.No.	Product Name	Short Summary	CAS	Solubility
A3012	Ruxolitinib (INCB018424)	JAK inhibitor	941678-49-5	≥15.3 mg/mL in DMSO
A4135	Tofacitinib (CP-690550) Citrate	Potent JAK inhibitor	540737-29-9	≥25.2 mg/mL in DMSO
A3221	Bardoxolone methyl	IKK inhibitor, potent antioxidant inflammation modulator	218600-53-4	≥25.3 mg/mL in DMSO
A4141	Baricitinib (LY3009104, INCB028050)	JAK1/JAK2 inhibitor, selective orally bioavailable	1187594-09-7	≥18.6 mg/mL in DMSO
A4137	AZD1480	JAK2 inhibitor, ATP-competitive and novel	935666-88-9	≥93.8 mg/mL in DMSO
A4138	Tofacitinib (CP-690550, Tasocitinib)	Janus kinase inhibitor	477600-75-2	≥15.6 mg/mL in DMSO
A4512	Cucurbitacin I	STAT3/JAK2 signaling inhibitor	2222-07-3	≥22.45 mg/mL in DMSO
A4143	CYT387	JAK-1/-2 inhibitor, ATP competitive	1056634-68-4	≥20.7 mg/mL in DMSO
A3781	Ruxolitinib phosphate	JAK1/JAK2 inhibitor	1092939-17-7	≥20.2 mg/mL in DMSO
A4136	TG101348 (SAR302503)	JAK-2 inhibitor, potent and selective	936091-26-8	≥26.2 mg/mL in DMSO
A3741	Pyridone 6	Pan-JAK inhibitor	457081-03-7	≥15.5 mg/mL in DMSO
B8023	Cerdulatinib (PRT062070)	Syk/JAK inhibitor	1198300-79-6	≥22.3 mg/mL in DMSO
B5980	CHZ868	Type II JAK2 inhibitor	1895895-38-1	Soluble in DMSO
A4140	WP1066	JAK2/STAT3 inhibitor, cell-permeable	857064-38-1	≥17.8 mg/mL in DMSO

Product Citations

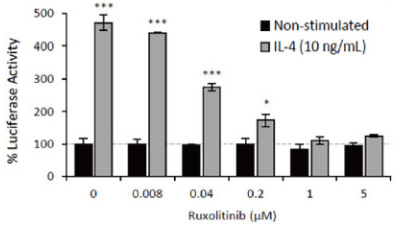
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

A3012 Ruxolitinib (INCB018424)

INCB018424 is the first potent, selective inhibitor of JAK1/2 to enter the clinic with IC50 of 3.3 nM/2.8 nM, >130-fold selectivity for JAK1/2 versus JAK3.

Size 5 mg, 25 mg, 100 mg

4 citations



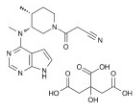
Immunomodulatory regulation of $p16^{Ink4a}$ and SAβG in macrophages. Dose dependent response of Ruxolitinib on luciferase activity following 72 hours treatment of AB - elicited macrophages in the presence (gray bars) or absence (black bars) of IL - 4 (10 ng/mL) stimulation. **Aging (Albany NY). 2017. PMID:28768895**

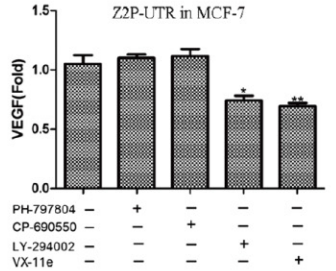
A4135 Tofacitinib (CP-690550) Citrate

Tofacitinib (CP-690550) Citrate is a novel inhibitor of JAK3 with IC50 of 1 nM, 20- to 100-fold less potent against JAK2 and JAK1.

Size 10 mg, 50 mg

2 citations





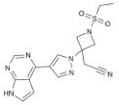
The pro-angiogenic effects of CYP4Z2P 30UTR and CYP4Z1 30UTR are associated with the activation of PI3K/Akt and ERK1/2. MCF-7 cells pre-treated with PI3K inhibitor (LY-294002), JAK inhibitor (CP-690550), p38 inhibitor (PH797804), and ERK inhibitor (VX-11e) for 1 h, and then incubated for 24 h. **Breast Cancer Res Treat. 2015. PMID: 25701119**

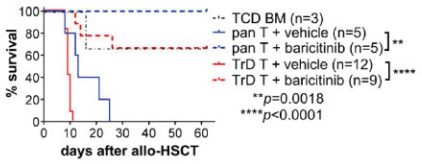
A4141 Baricitinib (LY3009104, INCB028050)

Baricitinib (LY3009104, INCB028050) is a selective inhibitor of JAK1 and JAK2 with IC50 values of 5.9 nM and 5.7 nM.

Size 5 mg, 10 mg, 50 mg, 200 mg

7 citations



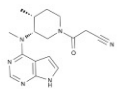


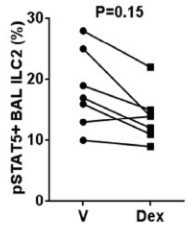
Baricitinib is superior to ruxolitinib for the expansion of natural Tregs in vivo and preserves in vivo donor T-cell expansion Baricitinib (200 or 400 μg) was administered subcutaneously from days 12 through 32 once a day, 5 days a week, for 3 weeks. **Leukemia. 2018. PMID:29691471**

A4138 Tofacitinib (CP-690550,Tasocitinib)

Tofacitinib, also named CP-690550 orTasocitinib, is a novel oral Janus kinase inhibitor which is being used as a targeted immune-modulator.

Size 10 mg, 50 mg



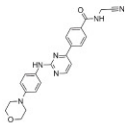


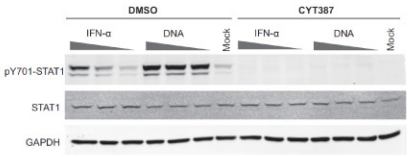
Tofacitinib reduces the frequency of IL5+ ILC2s. BAL 592 cells were cultured for 3 days with vehicle, Dex, Tofacitinib (0.2 μM) with and without Dex. J Allergy **Clin Immunol. 2017. PMID:28433687**

A4143 CYT387

Momelotinib (CYT387) is an ATP-competitive inhibitor of JAK1/JAK2 with IC50 of 11 nM/18 nM, ~10-fold selectivity versus JAK3.

Size 5 mg, 10 mg, 50 mg, 200 mg



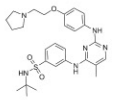


CDK inhibition blocks DNA-induced cytokine production. THP-1 cells were treated with the pan-JAK inhibitor CYT387 (10 μM), and challenged with IFN-α (1, 5, 20 U/mL) or DNA (1, 3, 5 μg/mL). Total cell lysates were collected 2 h later. **Proc Natl Acad Sci U S A. 2018.PMID:29507205**

A4136 TG101348 (SAR302503)

TG-101348 (SAR302503) is a selective inhibitor of JAK2 with IC50 of 3 nM, 35- and 334-fold more selective for JAK2 versus JAK1 and JAK3.

Size 5 mg, 10 mg, 25 mg, 50 mg



JAK inhibitor (nM)		PMA (ng/ml)	G367R CPE	G367R SN Infectivity	D368R CPE	D368R SN Infectivity
None		0	4/8	4/8	6/8	6/8
		0.1	0/8	0/8	0/8	0/8
Tofacitinib	100	0	4/4	4/4	4/4	4/4
	10	0	0/4	0/4	0/4	0/4
	100	0.1	2/4	2/4	2/4	2/4
	10	0.1	0/4	0/4	0/4	0/4
Fedratinib	100	0	2/4	2/4	3/4	3/4
	10	0	2/4	2/4	2/4	2/4
	100	0.1	1/4	1/4	1/4	1/4
	10	0.1	0/4	0/4	0/4	0/4
Ruxolitinib	100	0	4/4	4/4	3/4	3/4
	10	0	3/4	3/4	4/4	4/4
	100	0.1	ND	1/4	ND	1/4
	10	0.1	0/4	0/4	1/4	1/4

Fedratinib reverses the inhibitory effect of PMA in MT2 cells. Fedratinib was used at 10 nM or 100 nM. **J Virol. 2017. PMID:28202754**

Potency Comparison

Inhibitors	Pan-JAK	JAK1	JAK2	JAK3	Tyk2
1,2,3,4,5,6-Hexabromocyclohexane			*		
Baricitinib (LY3009104, INCB028050)		**** (IC50:5.9 nM)	**** (IC50:5.7 nM)	** (IC50:>400 nM)	*** (IC50:53 nM)
Cerdulatinib	*				
Cucurbitacin I			*		
CYT387		*** (IC50:11 nM)	*** (IC50:18 nM)	** (IC50:155 nM)	*** (IC50:17 nM)
LY2784544			**** (IC50:3 nM)		
NVP-BSK805		*** (IC50:31.63 nM)	***** (IC50:0.5 nM)	*** (IC50:18.68 nM)	*** (IC50:10.76 nM)
Pyridone 6		*** (IC50:15 nM)	***** (IC50:1 nM)	**** (IC50:5 nM)	***** (IC50:1 nM)
Ruxolitinib (INCB018424)		**** (IC50:3.3 nM)	**** (IC50:2.8 nM)		
Ruxolitinib phosphate					
TG101348 (SAR302503)			**** (IC50:3 nM)		
Tofacitinib (CP-690550) Citrate		** (IC50:112 nM)	*** (IC50:20 nM)	***** (IC50:1 nM)	
WP1066			* (IC50:2.3 μM)		
ZM 449829		* (pIC50:4.7)		** (pIC50:6.8)	
ZM 39923 HCl		* (pIC50:4.4)		**** (pIC50:7.1)	
Cercosporamide				*** (IC50:31 nM)	
Lestaurtinib			***** (IC50:0.9 nM)		
SB1317			*** (IC50:73 nM)		
TCS 21311		* (IC50:1017 nM)	* (IC50:2550 nM)	**** (IC50:8 nM)	* (IC50:8055 nM)
TG101209			**** (IC50:6 nM)	** (IC50:169 nM)	

Notes: "*" represents potency. The higher the number of "*" is, the more potent an inhibitor or activator is. For more products information, please visit our website.

PARP Inhibitors

Featured Products

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Cat.No.	Product Name	Short Summary	CAS	Solubility
A4154	Olaparib (AZD2281, Ku-0059436)	Potent PARP1/PARP2 inhibitor	763113-22-0	≥21.7 mg/mL in DMSO
A3002	ABT-888 (Veliparib)	Potent PARP inhibitor	912444-00-9	≥6.1 mg/mL in DMSO
A4156	Rucaparib (AG-014699, PF-01367338)	Potent PARP inhibitor	459868-92-9	≥21.1 mg/mL in DMSO
A4159	PJ34 hydrochloride	PARP inhibitor, potent and cell-permeable	344458-15-7	≥16.6 mg/mL in DMSO
A3729	PJ34	PARP-I inhibitor	344458-19-1	Soluble in DMSO
A4153	BMN 673	Potent PARP inhibitor	1207456-01-6	≥19 mg/mL in DMSO
A1877	XAV-939	Tankyrase 1/2 inhibitor	284028-89-3	≥15.6 mg/mL in DMSO
A4158	AG-14361	Potent PARP1 inhibitor	328543-09-5	≥16 mg/mL in DMSO

Product Citations

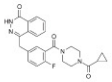
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

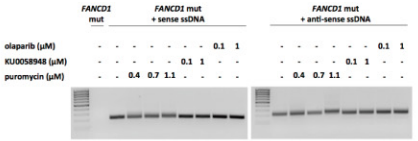
A4154 Olaparib (AZD2281, Ku-0059436)

Olaparib, as known as AZD2281 or KU0059436, is a novel, selective and potent inhibitor of both PARP-1 (poly adenosine diphosphate-ribose polymeras-1) and PARP-2.

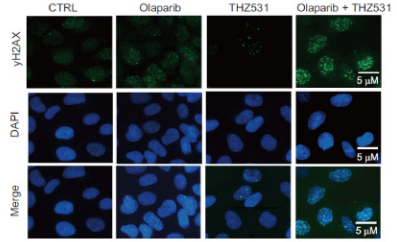
Size 10 mg, 100 mg, 500 mg

11 citations

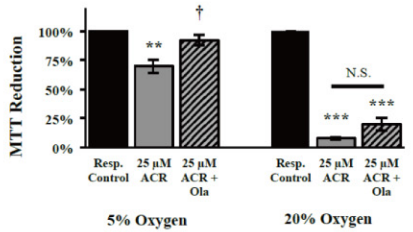




FANCD1 gene correction. Selection of the gene-edited population was done 72 h post electroporation by PARPi (for 7 days; olaparib (0.1, 1 μM)). **Int J Mol Sci. 2017. PMID:28613254**



THZ531 and Olaparib Synergistically Induce DNA Damage in Ewing Sarcoma Cells. γH2AX foci staining (A) and quantification (B), in A673 cells treated with olaparib (2 μM) or THZ531 (25 nM) alone and in combination for 72 hr. **Cancer Cell. 2018. PMID:29358035**



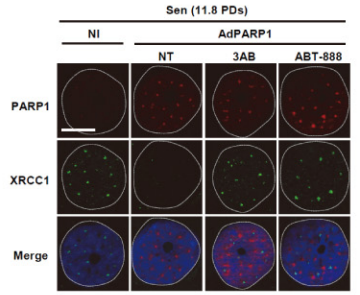
ACR activates PARP in vitro, but PARP inhibitor olaparib marginally provides rescue. H9c2 cells were treated with 25 μM ACR for 30 minutes in the presence and absence of 100 μM olaparib (ola). **Toxicol Mech Methods. 2018. PMID:29564938**

A3002 ABT-888 (Veliparib)

Veliparib (ABT-888) is a potent inhibitor of PARP1 and PARP2 with Ki of 5.2 nM and 2.9 nM, respectively.

Size 5 mg, 10 mg, 50 mg, 200 mg

4 citations

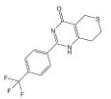


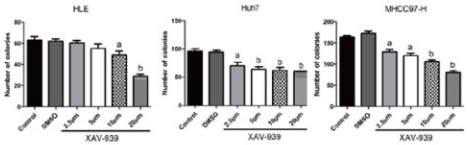
The PARP1 activity is necessary for the resolution of the XRCC1 foci. Senescent NHEKs at 11.8 PDs (donor 67FA1) were infected with AdPARP1, AdGFP or kept non infected (NI) and treated or not with 5mM 3AB or 1μM ABT-888 for 24 h. **Nat Commun. 2016. PMID:26822533**

A1877 XAV-939

XAV-939 is a small-molecule inhibitor of tankyrase 1/2 with IC50 values of 4 and 11 nM, respectively.

Size 5 mg, 25 mg, 100 mg



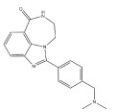


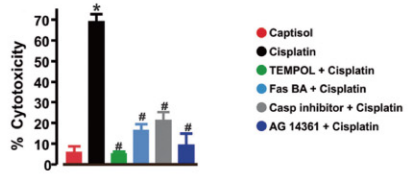
The XAV-939 and G007-LK Tankyrase inhibitors suppress HCC cell growth. HCC cells were treated with 0.1% DMSO, or 2.5 μM, 5 μM, 10 μM, 20 μM XAV-939. The medium with DMSO or inhibitors was replaced every 3 days. After 10±14 days, colonies were washed by PBS. **PLoS One. 2017. PMID:28877210**

A4158 AG-14361

AG-14361 is a selective inhibitor of PARP-1 with Ki50 value < 5 nM.

Size 5 mg, 10 mg, 50 mg, 100 mg





ROS scavenger mitigates cisplatin-induced human proximal tubule cell death. Bar graphs (n¼5 each) summarizing percent cytotoxicity (LDH release) in AG 14361 (300 nM)+ cisplatin-treated HK-2 cells. **Ren Fail. 2018. PMID:29619879**

Potency Comparison

Inhibitors	PARP	PARP1	PARP2	TNKS1	TNKS2
4-HQN	* (IC50:9.5 μM)				
ABT-888 (Veliparib)		**** (Ki:5.2 nM)	**** (Ki:2.9 nM)		
AZD2461	*				
INO-1001	*** (IC50<50 nM)				
JW 55				* (IC50:1.9 μM)	** (IC50:0.83 μM)
Olaparib (AZD2281, Ku-0059436)		**** (IC50:5 nM)	**** (IC50:1 nM)		
PJ34 hydrochloride	*** (IC50:20 nM)				
Rucaparib (AG-014699,PF-01367338)	**** (Ki:1.4 nM)				
Rucaparib (free base)	**** (Ki:1.4 nM)				
Tankyrase Inhibitors (TNKS) 22					***** (IC50:0.1 nM)
Tankyrase Inhibitors (TNKS) 49					***** (IC50:0.1 nM)
UPF 1069			** (IC50:0.3 μM)		
Veliparib dihydrochloride		**** (Ki:5.2 nM)	**** (Ki:2.9 nM)		
WIKI4					*** (IC50:15 nM)
AG-14361				*** (IC50:11 nM)	**** (IC50:4 nM)
BMN 673		**** (Ki<5 nM)			
XAV-939		**** (Ki:1.2 nM)	***** (Ki:0.9 nM)		

Notes: "*" represents potency. The higher the number of "*" is, the more potent an inhibitor or activator is. For more products information, please visit our website.

Pim Inhibitors

Featured Products

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Cat.No.	Product Name	Short Summary	CAS	Solubility
A3962	AZD1208	PIM kinase inhibitor	1204144-28-4	≥19 mg/mL in DMSO
A3556	LKB1 (AAK1 dual inhibitor)	Pim-1 kinase inhibitor	1093222-27-5	Soluble in DMSO
A4192	SGI-1776 free base	Pim kinase inhibitor, ATP-competitive	1025065-69-3	≥40.5 mg/mL in DMSO

Product Citations

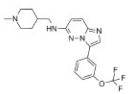
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

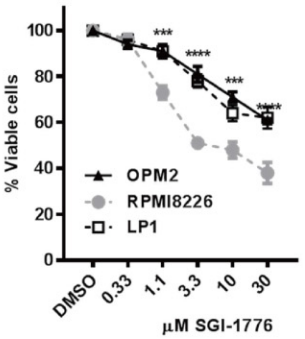
A4192 SGI-1776 free base

SGI-1776 is a novel ATP competitive inhibitor of Pim1 with IC50 of 7 nM, 50- and 10-fold selective versus Pim2 and Pim3.

Size 5 mg, 10 mg, 50 mg

3 citations





TRAF3 expression and susceptibility of malignant B cell lines to Pim inhibitors. MM cell lines ($5x10^3$ /well) were treated with indicated doses of SGI-1776 for 24 hours. **University of Iowa.2018**

Potency Comparison

Inhibitors	Pim1	Pim2	Pim3
AZD1208	***** (IC50:0.4 nM)	**** (IC50:1.9 nM)	**** (IC50:5 nM)
CX-6258	**** (IC50:5 nM)	*** (IC50:25 nM)	*** (IC50:16 nM)
LKB1 (AAK1 dual inhibitor)	*** (Kd:35 nM)		
SGI-1776 free base	**** (IC50:7 nM)	** (IC50:363 nM)	*** (IC50:69 nM)
TCS PIM-1 1	*** (IC50:50 nM)		
PIM-1 Inhibitor 2	*** (Ki:91 nM)		
SMI-4a	*** (IC50:17 nM)		
TCS-PIM-1-4a	*** (IC50:24 nM)	*** (IC50:100 nM)	

Notes: "*" represents potency. The higher the number of "*" is, the more potent an inhibitor or activator is. For more products information, please visit our website.

Sirtuin Inhibitors/Activators

Featured Products

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Cat.No.	Product Name	Short Summary	CAS	Solubility
A4181	EX 527 (SEN0014196)	SIRT1 inhibitor	49843-98-3	≥12.4 mg/mL in DMSO
A4182	Resveratrol	SIRT1 activator	501-36-0	≥9.7 mg/mL in DMSO
A4180	SRT1720 HCl	SIRT1 activator	1001645-58-4	≥25.3 mg/mL in DMSO
A4183	Sirtinol	SIRT inhibitor	410536-97-9	≥19.7 mg/mL in DMSO
A3821	SRT2104 (GSK2245840)	SIRT1 activator, selective	1093403-33-8	≥6.46 mg/mL in DMSO with gentle warming

Product Citations

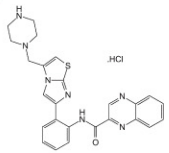
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

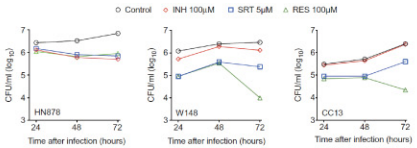
A4180 SRT1720 HCl

SRT1720 is a selective activator of SIRT1 with EC50 of 0.16 μM, but is >230-fold less potent for SIRT2 and SIRT3.

Size 5 mg, 10 mg, 50 mg, 200 mg

3 citations



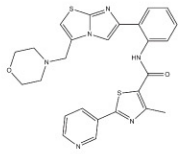


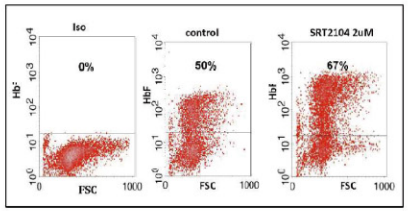
SIRT1 activators enhance control of Mtb growth. Growth of Mtb MDR strains after 72 hours in THP-1 cells treated with 100 μM INH, 5 μM SRT, or 100 μM RES. **Sci Immunol. 2017. PMID:28707004**

A3821 SRT2104 (GSK2245840)

SRT2104 (GSK2245840) is a selective SIRT1 activator involved in the regulation of energy homeostasis.

Size 5 mg, 25 mg, 100 mg





SIRT1 activators induce HBG expression in cord blood erythroid progenitor cells. Cells were treated with SRT2104 or SRT1720 at indicated concentration or vehicle control. **Am J Hematol. 2017. PMID:28776729**

Potency Comparison

Inhibitors	Pan-SIRT	SIRT1	SIRT2	SIRT3
EX 527 (SEN0014196)		**** (IC50:38 nM)		
Inauhzin		* (IC50:0.7-2 μM)		
Sirtinol		* (IC50:131 μM)	* IC50:40 μM)	
Splitomicin	* (EC50:60 μM)			
SRT1720 HCl		*** (EC50:0.16 μM)		
Tenovin-6		**** (IC50:21 nM)	***** (IC50:10 nM)	**** (IC50:67 nM)
Tenovin-1		*	*	
EX-527 S-enantiomer		*** (IC50:123 nM)		
EX-527 R-enantiomer		* (IC50: >100 μM)		
Tenovin-3		*	*	
AK-7			*	

Notes: "*" represents potency. The higher the number of "*" is, the more potent an inhibitor or activator is. For more products information, please visit our website.

Other Inhibitors

Featured Products

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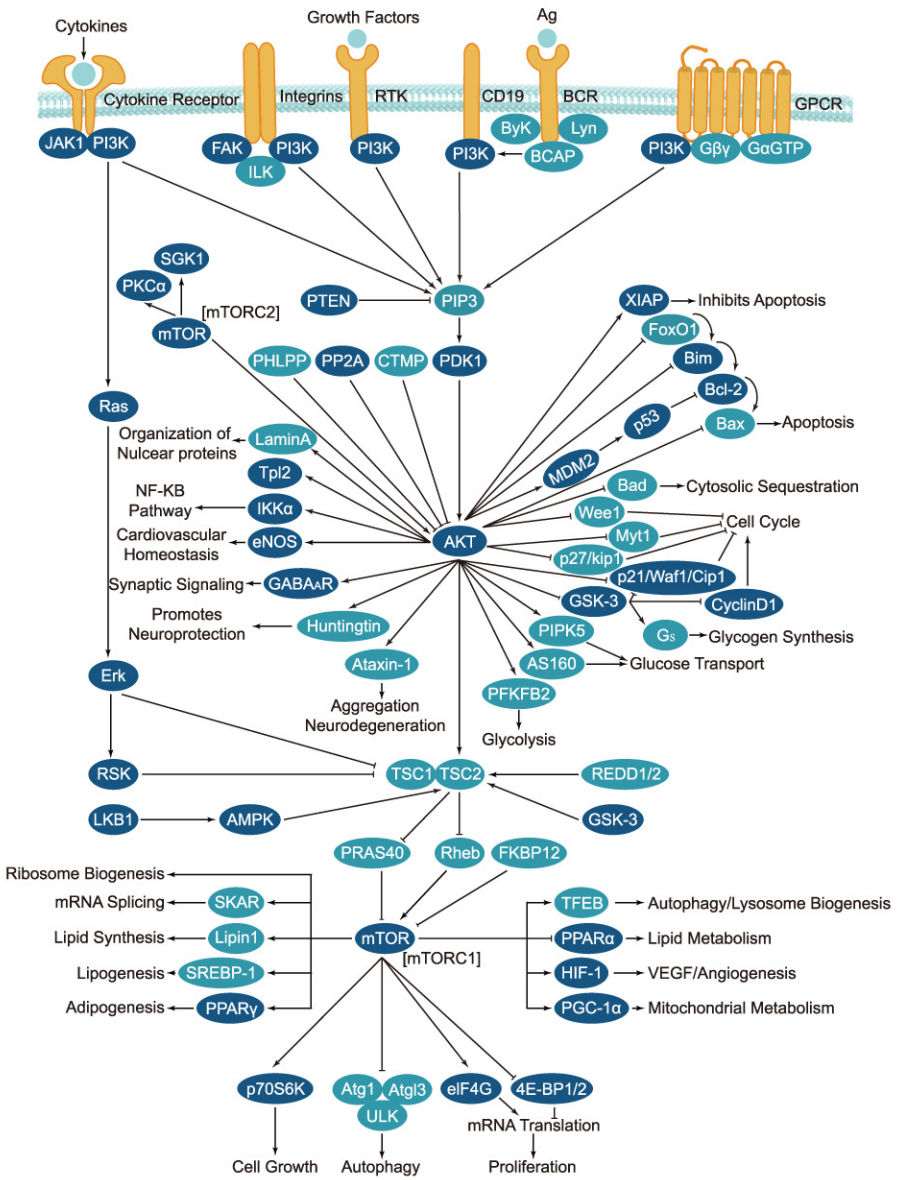
Cat.No.	Product Name	Short Summary	CAS	Solubility
A4540	Okadaic acid	Protein phosphatase 1 inhibitor	78111-17-8	Soluble in DMSO
A8708	Sephin1	Selective PPP1R15A inhibitor	13098-73-2	≥7.75 mg/mL in DMSO
B4846	LB-100	Protein phosphatase 2A(PP2A)inhibitor	1026680-07-8	≥26.8 mg/mL in H_2O
B1755	Fidaxomicin	Macrocyclic antibiotic	873857-62-6	≥35.27 mg/mL in DMSO
A4548	α-Amanitin	Inhibitor of RNA polymerase II	23109-05-9	Soluble in EtOH
B1182	ABC294640	Sphingosine kinase 2 inhibitor, selective and competitive	915385-81-8	≥38.1 mg/mL in DMSO

PI3K / Akt / mTOR Signaling



Introduction

The PI3K/Akt/mTOR signaling pathway is a key regulator in growth, survival, cell cycle proliferation, protein synthesis and glucose metabolism. Growth factors, hormones, and cytokines can activate this pathway by binding their cognate receptor tyrosine kinase (RTK), cytokine receptor, or GPCR, resulting in the activation of lipid kinase PI3K which produces PIP3 at the plasma membrane.

The binding of PIP3 translocates Akt to cell membranes, enables Akt activation through phosphorylation at Thr308 mediated by phosphoinositide dependent kinase 1 (PDK1). In addition, Akt is phosphorylated at Ser473 by the mTOR-rictor complex, mTORC2. PTEN is a negative regulator of Akt signaling that reverses the function of PI3K by removing 3'-phosphate groups. Akt activity is also negatively regulated by the phosphatases PP2A and PHLPP. Akt propagates its signal to affect DNA transcription, cell cycle and apoptosis. Akt can activate mTOR directly by phosphorylation or indirectly, by phosphorylation and inactivation of mTOR inhibitor TSC2 and PRAS40. Together these mechanisms stimulate cell growth and G1 cell cycle progression through signaling via p70 S6 Kinase and inhibition of 4E-BP1. Defects in PI3K/AKT/mTOR signaling are implicated in cancer, diabetes and cardiovascular disease etc.

Akt Inhibitors

Featured Products

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Cat.No.	Product Name	Short Summary	CAS	Solubility
A3010	MK-2206 dihydrochloride	Akt1/2/3 inhibitor	1032350-13-2	≥12 mg/mL in DMSO
A3006	GDC-0068 (RG7440)	Pan-Akt inhibitor, highly selective	1001264-89-6	≥22.9 mg/mL in DMSO
A1387	AZD5363	Akt inhibitor, pyrrolopyrimidine derived	1143532-39-1	≥21.5 mg/mL in DMSO
B5663	SC 79	Akt activator	305834-79-1	≥36.5 mg/mL in DMSO
A8541	Triciribine	Akt inhibitor, highly selective	35943-35-2	≥118.4 mg/mL in DMSO
B1371	Miltefosine	PI3K/Akt inhibitor	58066-85-6	≥10.2 mg/mL in H_2O
A3149	AKT inhibitor VIII	Allosteric Akt kinase inhibitor	612847-09-3	≥9.2 mg/mL in DMSO

Product Citations

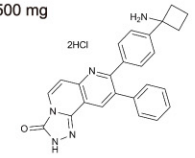
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

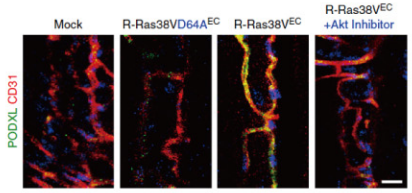
A3010 MK-2206 dihydrochloride

MK-2206 dihydrochloride is a selective inhibitor of Akt1/2/3 with IC50 of 8 nM/12 nM/65 nM, respectively.

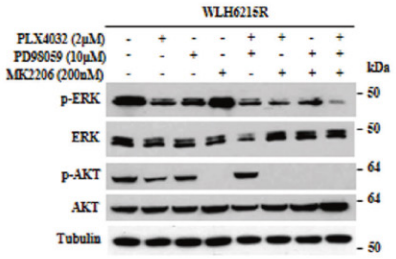
Size 10 mg, 50 mg, 100 mg, 500 mg

17 citations

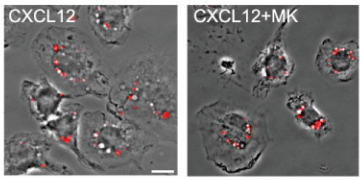




R-Ras promotes formation of lumenized functional blood vessels via Akt. MK-2206 was dissolved in 30% captisol and administered p.o. at 120 mg/kg by gavage every 2 days. **Nat Commun. 2017. PMID:29170374**



Synergistic growth inhibition of combination with AKT, MEK, and BRAF inhibitors is dependents on PTEN status in BRAF inhibitor-resistant melanoma. Cells were treated for 2 h with 2.0 μmol/L PLX4032 (+), 10 μmol/L PD98059 (+) or 200 nmol/L MK2206 (+) . **Oncogene. 2018. PMID:29551771**



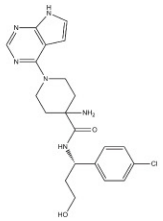
PI3K regulates CXCL12-induced macropinocytosis independent of Akt function. For inhibitor treatments, cells were pretreated with LY294002 (50 μM), MK2206 (2 μM), or EIPA (25 μM) for 30 min in DMEM (low glucose). **J Leukoc Biol. 2017. PMID:28250113**

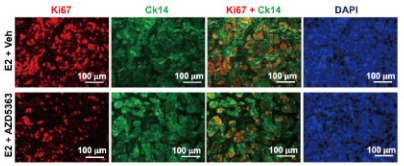
A1387 AZD5363

AZD5363 is a novel, potent phosphoinositide 3-kinase (PI3K)/Akt pathway inhibitor with IC50 value of ~200nM.

Size 5 mg, 25 mg, 100 mg

3 citations





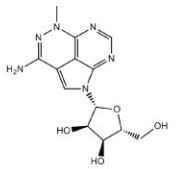
Pharmaceutical inhibition of Akt suppresses epithelial-mesenchymal transition and cell proliferation preventing Brca1-deficient tumor progression. Mice were treated with AZD5363, 150 mg/kg solubilized in a 10% DMSO 25% w/v (2-Hydroxypropyl)-β-cyclodextrin buffer, by oral gavage once a day. **Breast Cancer Res. 2018. PMID:29996906**

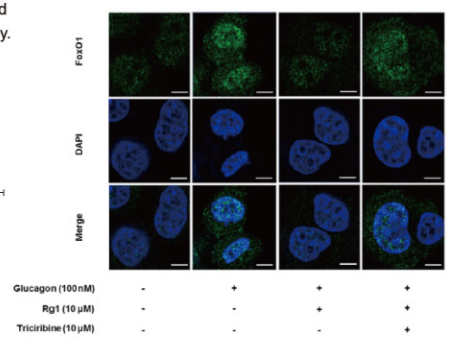
A8541 Triciribine

Triciribine is an inhibitor of DNA synthesis for Akt and HIV-1 with IC50 values of 130 nM and 20 nM, respectively.

Size 5 mg, 10 mg, 50 mg

4 citations





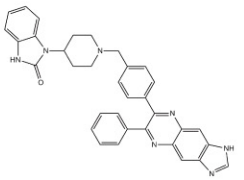
Rg1 effectively blocked nuclear translocation of FoxO1 in response to glucagon stimulation. Akt inhibitor triciribine diminished the effect of Rg1 on nuclear exclusion of FoxO1 in HepG2 cells, indicative of the potential role of Akt in the action of Rg1.**Theranostics. 2017. PMID:29109794**

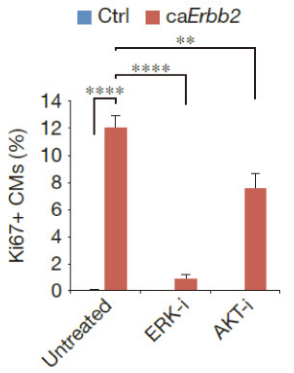
A3149 AKT inhibitor VIII

AKT inhibitor VIII is a cell-permeable, reversible and potent, selective inhibitor of Akt1, Akt2 and Akt3 with IC50 values of 58 nM, 210 nM and 2.12 μM, respectively.

Size 10 mg, 25 mg

2 citations





ERK, AKT and GSK3β/βcatenin differentially mediate ERBB2- induced CM proliferation, dedifferentiation and hypertrophy. Cells were seeded in the aforementioned complete-medium for 48 h, in the presence of AKT1/2 inhibitor (5 μM, AKT inhibitor VIII). **Nat Cell Biol. 2015. PMID:25848746**

Potency Comparison

Inhibitors	Pan-Akt	Akt1	Akt2	Akt3
3CAI		*	*	*
A-674563		*** (IC50:14 nM)		
AT7867		*** (IC50:32 nM)	*** (IC50:17 nM)	*** (IC50:47 nM)
AZD5363	**** (IC50: <10 nM)			
GDC-0068 (RG7440)		**** (IC50:5 nM)	*** (IC50:18 nM)	*** (IC50:8 nM)
GSK690693		**** (IC50:2 nM)	*** (IC50:13 nM)	*** (IC50:9 nM)
MK-2206 dihydrochloride		**** (IC50:8 nM)	*** (IC50:12 nM)	*** (IC50:65 nM)
Perifosine	* (IC50:4.7 μM)			
PHT-427	* (Ki:2.7 μM)			
TIC10	*			
AT13148	*** (IC50:38 nM)			

Notes: "*" represents potency. The higher the number of "*" is, the more potent an inhibitor or activator is. For more products information, please visit our website.

AMPK Inhibitors/Activators

Featured Products

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Cat.No.	Product Name	Short Summary	CAS	Solubility
A8184	AICAR	AMPK activator	2627-69-2	≥12.9 mg/mL in DMSO
B3252	Dorsomorphin (Compound C)	AMPK inhibitor	866405-64-3	≥5.32 mg/mL in DMSO with gentle warming
B1372	Dorsomorphin 2HCl	AMPK inhibitor	1219168-18-9	≥5.9 mg/mL in DMSO
B6020	GSK621	AMPK agonist	1346607-05-3	Soluble in DMSO

Product Citations

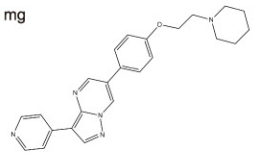
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

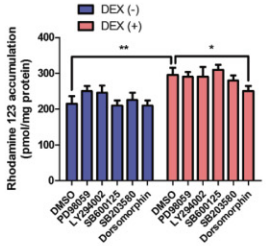
B3252 Dorsomorphin (Compound C)

Dorsomorphin is a cell-permeable and reversible ATP-competitive inhibitor of AMP-activated protein kinase (AMPK) with Ki value of 109nM.

Size 5 mg, 10 mg, 50 mg

2 citations





DEX suppressed the function and expression of P-gp via the AMPK pathway. Cells were pretreated with the following inhibitors for 1 h before exposure to DEX: PD98059 (10 μM), LY294002 (20 μM), SB600125 (10 μM), SB203580 (10 μM) and dorsomorphin (10 μM). **Mol Med Rep.2018. PMID:29393492**

DNA-PK Inhibitors

Featured Products

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Cat.No.	Product Name	Short Summary	CAS	Solubility
A8315	NU7441 (KU-57788)	DNA-PK inhibitor	503468-95-9	≥4.13 mg/mL in DMSO
A8649	NU 7026	DNPK inhibitor, ATP-competitive and potent	154447-35-5	<2.81 mg/mL in DMSO
A3352	Daun02	Cell viability inhibitor, DNA synthisis inhibitor	290304-24-4	Soluble in DMSO

Product Citations

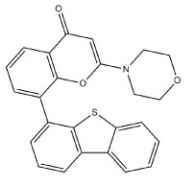
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

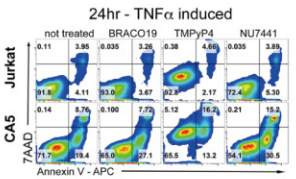
A8315 NU7441 (KU-57788)

NU7441 is a selective inhibitor of DNA-dependent protein kinase (DNA-PK) with IC50 value of 13 nM and Ki value of 0.65 nM.

Size 5 mg, 25 mg

3 citations





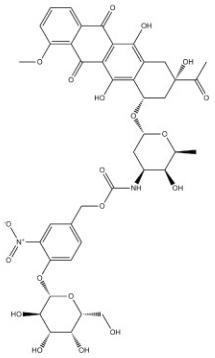
TNFα - induced HIV-1 reactivation from latency increases cells susceptibility to G4 binding agents and DBSs repair inhibitor. Jurkat and CA5 were exposed to G4 binding agents (6 μM BRACO19 and 15 μM TMPyP4) and DNA-PK inhibitor (1.5 μM NU7441) in the presence of TNF α. **Cell Cycle. 2018. PMID:28388353**

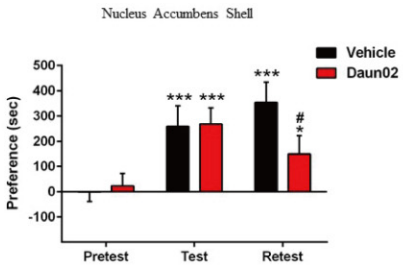
A3352 Daun02

Daun02 is an inhibitor of cell viability with IC50 values of 1.5 μM, 3.5 μM and 0.5 μM, respectively in Panc02, MCF-7 and T47-D cell lines.

Size 5 mg, 10 mg, 25 mg

2 citations





Specific lesioning of NFkB expressing cells in the NAC shell disrupts the strength of alcohol CPP. 2 μg Daun02 (3.3 μg/μl) prepared in 20% DMSO, 5% Tween 80, 75% 0.01 M PBS was intracranially infused in a volume of 0.6 μ l at a rate of 0.5 L / minute. **Neuropsychopharmacology. 2018. PMID:28901327**

GSK-3 Inhibitors

Featured Products

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Cat.No.	Product Name	Short Summary	CAS	Solubility
A3011	CHIR-99021 (CT99021)	GSK-3 inhibitor, cell-permeable, ATP-competitive	252917-06-9	≥23.3 mg/mL in DMSO
A8396	CHIR-99021 (CT99021) HCl	GSK-3α/β inhibitor	1797989-42-4	≥25.1 mg/mL in DMSO
B1539	Tideglusib	Non-ATP-competitive GSK-3β inhibitor	865854-05-3	≥16.7 mg/mL in DMSO
A8240	SB 216763	GSK-3 inhibitor, ATP-competitive, potent and selective	280744-09-4	≥56.8 mg/mL in DMSO
B1538	GSK-3 Inhibitor IX (BIO)	GSK-3α/GSK-3β inhibitor, cell-permeable, ATP-competitive and reversible	667463-62-9	≥35.6 mg/mL in DMSO
B3672	Indirubin	Cyclin-dependent kinases and GSK-3β inhibitor	479-41-4	Soluble in DMSO
A3184	AR-A014418	GSK3β inhibitor, ATP-competitive and selective	487021-52-3	≥15.4 mg/mL in DMSO
A3570	LY2090314	Potent GSK-3 inhibitor	603288-22-8	≥91 mg/mL in DMSO

Product Citations

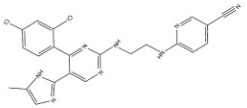
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

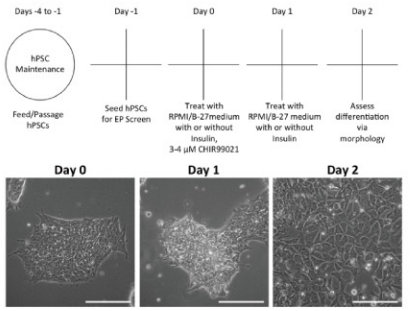
A3011 CHIR-99021 (CT99021)

CHIR-99021 (CT99021) is an inhibitor of GSK-3α/β with IC50 of 10 nM/6.7 nM; > 500-fold selectivity for GSK-3 versus its closest homologs CDC2 and ERK2, as well as other protein kinases.

Size 5 mg, 10 mg, 25 mg, 100 mg

2 citations





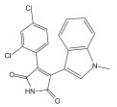
Overview of the endodermal differentiation potential (EP) screening protocol. RPMI/B-27 medium without insulin supplemented with 4 μM CHIR99021 (4 Minus). **Curr Protoc Stem Cell Biol. 2017.PMID:29140570**

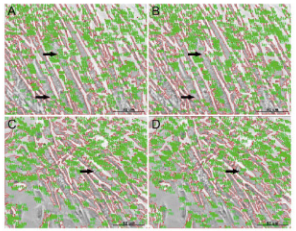
A8240 SB 216763

SB-216763 is a Potent and selective inhibitor of glycogen synthase kinase-3 (GSK-3) with IC50 of 34.3 nM.

Size 10 mg, 50 mg

3 citations





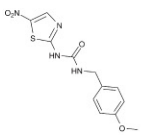
Significant differences in the contraction in C2C12 myotubes after carbachol treatment in each group. RSC96 and C2C12 cells were exposed to 10 μM of SB216763 for 48 hours as described previously. **Neural Regen Res. 2018. PMID:29557384**

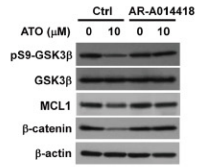
A3184 AR-A014418

AR-A014418 is an ATP-competitive, and selective inhibitor of GSK3β with IC50 and Ki of 104 nM and 38 nM.

Size 10 mg, 50 mg

2 citations





GSK3β was involved in MCL1 downregulation in ATO-treated cells. U937 cells were pre-treated with 1 μM MG132 (a proteasome inhibitor) or 10 μM AR-A014418 (a GSK3β inhibitor) for 1 h, and then incubated with 10 μM ATO for 24 h. **Toxicol Appl Pharmacol. 2018. PMID: 30213730**

Potency Comparison

Inhibitors	GSK-3	GSK-3α	GSK-3β
AZD1080		***** (Ki:6.9 nM)	**** (Ki:31 nM)
Bikinin	*		
CHIR-98014		****** (IC50:0.65 nM)	****** (IC50:0.58 nM)
CHIR-99021 (CT99021)	***** (IC50:7 nM)	***** (IC50:10 nM)	***** (IC50:6.7 nM)
CHIR-99021 (CT99021) HCl		***** (IC50:10 nM)	***** (IC50:6.7 nM)
GSK-3 Inhibitor IX (BIO)	***** (IC50:5 nM)		
TDZD-8			* (IC50:1.3 μM)
TWS119			**** (IC50:30 nM)
SB 415286		**** (IC50:78 nM), (Ki:31 nM)	**** (IC50:78 nM)
SB 216763		**** (IC50:34.3 nM)	**** (IC50:34.3 nM)
LY2090314		***** (IC50:1.5 nM)	****** (IC50:0.9 nM)
AR-A014418			**** (IC50:104 nM), (Ki:38 nM)
IM-12			**** (IC50:53 nM)

Notes: "*" represents potency. The higher the number of "*" is, the more potent an inhibitor or activator is. For more products information, please visit our website.

mTOR Inhibitors / Activators

Featured Products

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Cat.No.	Product Name	Short Summary	CAS	Solubility
A8167	Rapamycin (Sirolimus)	Original antifungal antibiotic	53123-88-9	≥45.7 mg/mL in DMSO
A8312	Torin 1	mTOR inhibitor, potent and selective	1222998-36-8	<1.22 mg/mL in DMSO
A8169	Everolimus (RAD001)	mTOR inhibitor	159351-69-6	≥47.9 mg/mL in DMSO
A8764	Rapalink-1	third-generation mTOR inhibitor	N/A	≥178.4 mg/mL in DMSO
A8551	INK 128 (MLN0128)	mTOR (TORC-1/-2) inhibitor, potent and selective	1224844-38-5	≥15.5 mg/mL in DMSO
A8214	AZD8055	mTOR inhibitor	1009298-09-2	≥23.3 mg/mL in DMSO
A8318	PP242	mTOR inhibitor, selective and ATP-competitive	1092351-67-1	≥61.6 mg/mL in DMSO
B5853	MHY1485	mTOR activator, autophage inhibitor	326914-06-1	≥19.4 mg/mL in DMSO
B1639	Ridaforolimus (Deforolimus, MK-8669)	mTOR inhibitor	572924-54-0	≥49.5 mg/mL in DMSO

Cat.No.	Product Name	Short Summary	CAS	Solubility
A8373	AZD2014	Novel mTOR inhibitor	1009298-59-2	≥23.2 mg/mL in DMSO
A8556	GSK2126458	PI3K/mTOR inhibitor	1086062-66-9	≥25.3 mg/mL in DMSO
A8314	Temsirolimus	mTOR inhibitor	162635-04-3	≥51.5 mg/mL in DMSO
B1640	Torin 2	mTOR inhibitor, highly potent and selective	1223001-51-1	≥21.6 mg/mL in DMSO

Product Citations

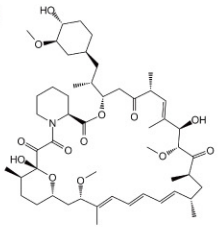
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

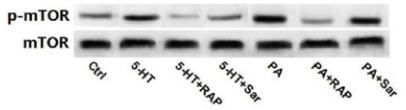
A8167 Rapamycin (Sirolimus)

Rapamycin (Sirolimus, AY-22989, WY-090217) is a specific inhibitor of mTOR with IC50 of ~0.1 nM.

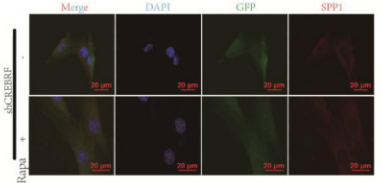
Size 5 mg, 25 mg, 100 mg

12 citations

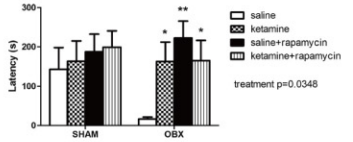




5-HT or palmitic acid (PA)-induced mTOR activation with TG and VLDL overproduction in HepG2 cells are closely associated with 5-HT2A and 2B receptor and 5-HT synthesis. HepG2 cells were exposed with or without 100 nM rapamycin (RAP). **Obes Res Clin Pract. 2018. PMID:27133527**



Blockage of mTOR pathway partly suppressed CREBRF silencing-induced endometrial function inhibition. 50 nM Rapa and chloroquine (CQ) were added to EECs before adding IFNT. **Biol Reprod. 2018. PMID:29447354**



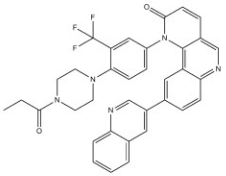
Step-through passive avoidance.animals received either a single i.p. injection of ketamine (10 mg/kg) or saline or were i.p. co-injected with ketamine (10 mg/kg) and rapamycin (1 mg/kg) or saline and rapamycin (1 mg/kg). **Psychopharmacology. 2016. PMID:27004790**

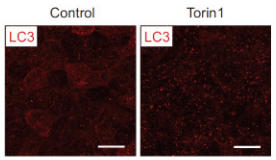
A8312 Torin 1

Torin 1 is a potent inhibitor of mTORC1/2 with IC50 of 2 nM/10 nM.

Size 5 mg, 25 mg

4 citations





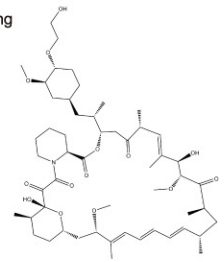
Immunofluorescent Staining of Autophagic and Lysosomal Markers that Facilitate OS Phagocytosis. LC3 immunocytochemistry in hfRPE cultures in which autophagy has been induced with the mTOR inhibitor Torin1 at 1μM, assessed 22 hours after Torin1 addition. **Exp Eye Res. 2018. PMID:30336126**

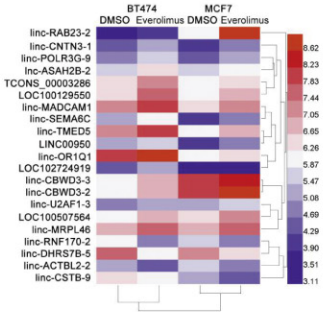
A8169 Everolimus (RAD001)

Everolimus (RAD001) is an inhibitor of mTOR for FKBP12 with IC50 of 1.6-2.4 nM.

Size 10 mg, 25 mg, 100 mg

2 citations





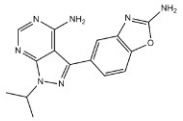
Heatmap showing the expression of 21 long non-coding RNAs was altered more than 1.5-fold in BT474 and MCF7 cells by treatment with everolimus. After 24 h of 10 nM everolimus treatment, total RNA of BT474 and MCF7 cells was isolated. **Anticancer Res. 2018. PMID:29848693**

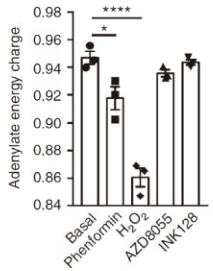
A8551 INK 128 (MLN0128)

INK 128 (MLN0128) is a selective inhibitor of mTOR with IC50 value of 1 nM.

Size 5 mg, 10 mg, 50 mg

4 citations





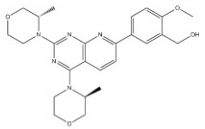
An AMP-myristoyl switch triggers ULK1 phosphorylation of β1-Ser108. Adenine nucleotides extracted from HEK293T cells incubated with phenformin (2 mM, 1 h), H2O2 (1 mM, 45 min), AZD8055 (1 μM, 1 h), or INK128 (1 μM, 1 h) were quantitated by mass spectrometry. **Nat Commun. 2017. PMID:28924239**

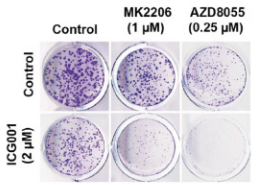
A8214 AZD8055

AZD8055 is a selective inhibitor of mTOR kinase with IC50 of 0.8 nM.

Size 10 mg, 50 mg, 100 mg

2 citations



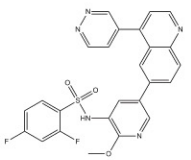


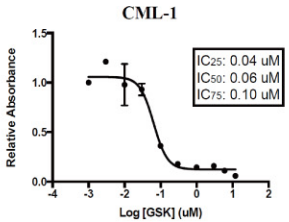
Co-targeting Wnt/β-catenin and mTOR signaling pathways leads to augmented anticancer effects. HT29 cells were treated with the indicated inhibitors for 10 d. **Cancer Res. 2018. PMID:29666061**

A8556 GSK2126458

GSK2126458 is an inhibitor of PI3K/mTOR with Ki value of 19 pM for PI3K.

Size 5 mg, 10 mg, 50 mg





GSK2126458 dose-response for 5 canine melanoma cell lines and one non-cancerous canine cell line. GSK2126458 was applied in a range from 0-12 μM. Cell lines were treated with increasing concentrations of GSK2126458 for 72 hours. **The University of Guelph. 2016.**

Potency Comparison

Inhibitors	Pan-mTOR	mTOR1	mTOR2
AZD2014	**** (IC50:2.8 nM)		
AZD8055	***** (IC50:0.8 nM)		
Everolimus (RAD001)	**** (IC50:1.6-2.4 nM)		
GDC-0349	**** (Ki:3.3 nM)		
GNE-477	*** (Ki:21 nM)		
GSK2126458		***** (Ki:0.18 nM)	***** (Ki:0.3 nM)
INK 128 (MLN0128)	***** (IC50:1 nM)		
KU-0063794		**** (IC50:10 nM)	**** (IC50:10 nM)
Rapamycin (Sirolimus)	***** (IC50:0.1 nM)		
Ridaforolimus (Deforolimus, MK-8669)	***** (IC50:0.2 nM)		
Temsirolimus	** (IC50:1.76 μM)		
Torin 1		**** (IC50:2 nM)	**** (IC50:10 nM)
Torin 2	***** (IC50:0.25 nM)		
XL388	**** (IC50:9.9 nM)		
GNE-493	*** (IC50:32 nM)		
OSI-027	**** (IC50:4 nM)	*** (IC50:22 nM)	*** (IC50:65 nM)
WYE-687	**** (IC50:7 nM)		

Notes: "*" represents potency. The higher the number of "*" is, the more potent an inhibitor or activator is. For more products information, please visit our website.

PDK1 Inhibitors

Featured Products

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Cat.No.	Product Name	Short Summary	CAS	Solubility
A8222	BX795	PDK1 inhibitor	702675-74-9	≥59.1 mg/mL in DMSO
A2846	OSU-03012 (AR-12)	Potent PDK1 inhibitor	742112-33-0	≥23 mg/mL in DMSO
B2174	GSK2334470	PDK1 inhibitor, highly specific and potent	1227911-45-6	≥46.3 mg/mL in DMSO

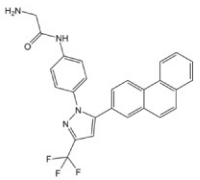
Product Citations

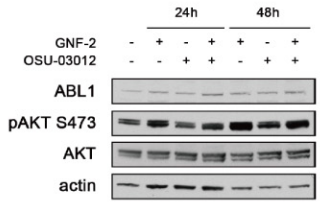
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

A2846 OSU-03012 (AR-12)

OSU-03012 (AR-12) is an inhibitor of PDK-1 with IC50 value of 5 μM.

Size 5 mg, 25 mg, 100 mg





AKT activation after siRNA-mediated knockdown or chemical inhibition of ABL1 is mediated by CDK2. Cells were incubated in imatinib mesylate (1 μM), the PDK1 inhibitor OSU-03012 (10 μM) or mock-treated with 0.1% DMSO for up to 72 hours, as indicated. **Oncotarget. 2017. PMID:27965460**

PI3K Inhibitors/Activators

Featured Products

APExBIO provides over 9000 products, for all the available compounds in this category, please visit our website.

Cat.No.	Product Name	Short Summary	CAS	Solubility
A8250	LY 294002	Potent PI3K inhibitor	154447-36-6	≥15.4 mg/mL in DMSO
B5246	740 Y-P	PI3K activator, cell permeable	1236188-16-1	≥163.5 mg/mL in DMSO
A8210	GDC-0941	PI3K inhibitor, potent and selective	957054-30-7	≥25.7 mg/mL in DMSO
A8246	BEZ235 (NVP-BEZ235)	PI3K/mTOR inhibitor, ATP-competitve	915019-65-7	≥7.8 mg/mL in DMSO

Cat.No.	Product Name	Short Summary	CAS	Solubility
A3005	CAL-101 (Idelalisib, GS-1101)	PI3K inhibitor	870281-82-6	≥20.8 mg/mL in DMSO
A8346	BYL-719	Selective PI3Kα inhibitor	1217486-61-7	≥22.1 mg/mL in DMSO
A3015	BKM120	Inhibitor of pan-Class I PI3K	944396-07-0	≥20.5 mg/mL in DMSO
B2178	BAY 80-6946 (Copanlisib)	PI3K inhibitor	1032568-63-0	<0.96 mg/mL in DMSO <1.022 mg/mL in H_2O
A2067	PI-103	Class I PI3K, mTOR and DNA-PK inhibitor	371935-74-9	≥21.9 mg/mL in DMSO

Product Citations

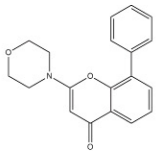
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

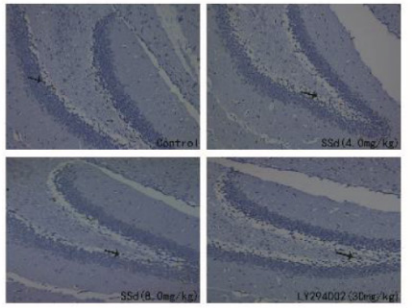
A8250 LY 294002

LY294002 is an inhibitor of PI3Kα/δ/β with IC50 of 0.5 μM/0.57 μM/0.97 μM, respectively.

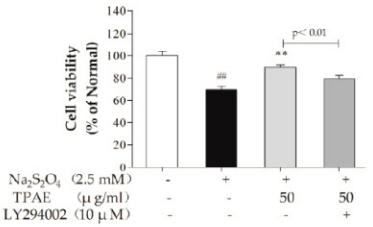
Size 10 mg, 50 mg

8 citations

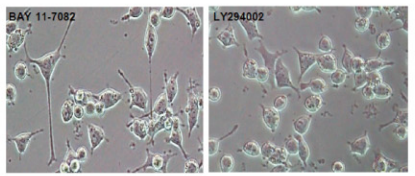




Effect of SSd on learning and memory performance in Step-down passive avoidance test. Forty mice were randomly divided into four groups: Control, SSd (4.0 mg/kg, 8.0 mg/kg) and LY294002 (30 mg/kg). LY294002 group received administration via i.p. injection. **Toxicol Lett. 2017.PMID:29129800**



Inhibiting the PI3K/Akt pathway alleviated the cardioprotection of TPAE. H9c2 cells were incubated with 10 μM LY294002 co-treated TPAE (50 ug/mL) for 24 h followed by H/R. **Molecules. 2018. PMID:30241309**



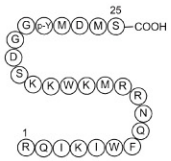
Screening of signaling pathways through different inhibitors. PC12 cells added with culture supernatant of M.smegmatis and different inhibitors for 48 h. **Front Cell Infect Microbiol. 2018. PMID:29988402**

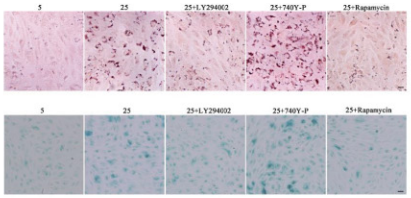
B5246 740 Y-P

740 Y-P is an activator of PI3K with concentration of 20 μM.

Size 1 mg, 5 mg

4 citations





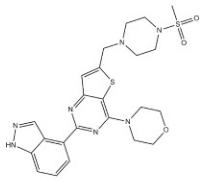
High glucose induces fatty acid synthesis via the PI3K/AKT/mTOR pathway. ARPE-19 were treated with 5 mM glucose or 25 mM glucose alone or combined with LY294002 (1 nM), 740Y-P (6 μM) or rapamycin (100 nM) for 48 h. **Free Radic Biol Med. 2018.PMID:30339883**

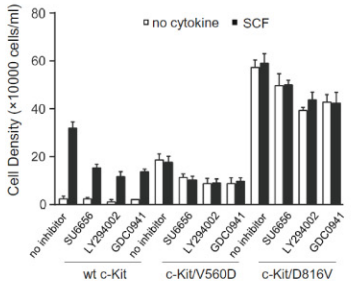
A8210 GDC-0941

GDC-0941 is a potent inhibitor of PI3Kα/δ with IC50 of 3 nM, with modest selectivity against p110β (11-fold) and p110γ (25-fold).

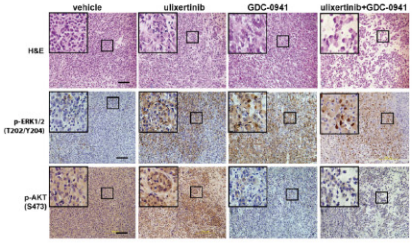
Size 10 mg, 50 mg, 200 mg

9 citations

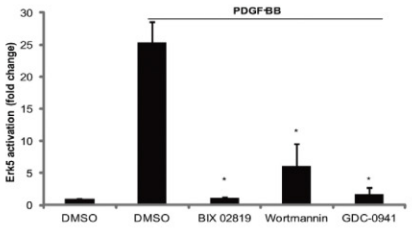




Ba/F3 cells expressing wild-type c-Kit, c-Kit/V560D or c-Kit/ D816V were analyzed for their proliferative response in the presence of Src family kinase inhibitor or PI3 kinase inhibitor.Cells were in the presence or absence of Src family kinase inhibitor SU6656 (2 μM) or PI3 kinase inhibitor LY294002 (10 μM) or GDC0941 (0.5 μM).**Cell Mol Life Sci. 2015. PMID:26040420**



Concurrent Inhibition of PI3K potentiates the anti-tumor effect of ulixertinib. Treatments were started by oral gavage when tumors reached ~100mm^3 in volume (ulixertinib 100 mg/kg twice daily, afatinib 12.5 mg/kg daily, GDC-0941 50 mg/kg twice daily). **Mol Cancer Ther. 2018. PMID:30065098**



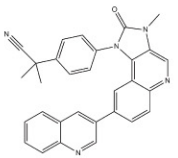
PDGF-BB-induced Erk5 activation is sensitive to PI3-kinase and PDGFR kinase inhibition in MOVAS cells. MOVAS cells were treated for 1 h with inhibitors targeting PI3-kinase (wortmannin, 0.1 μM; GDC-0941, 1 μM), PDGFR (imatinib, 10 μM) or Mek5 (BIX02189, 1 μM). **Cell Signal. 2016. PMID:27339033**

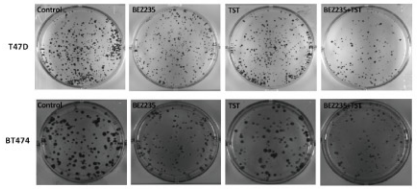
A8246 BEZ235 (NVP-BEZ235)

BEZ235 (NVP-BEZ235) is a dual ATP-competitive inhibitor of PI3K and mTOR for p110α/γ/δ/β and mTOR (p70S6K) with IC50 of 4/5/7/75/6 nM, respectively.

Size 100 mg, 500 mg

2 citations





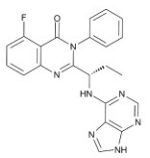
Co-treatment with BEZ235 and TST synergistically inhibited breast cancer cell proliferation. T47D and b BT474 cells were treated with BEZ235 (100 nM) for the indicated times. **Cell Death Dis. 2018. PMID:30206202**

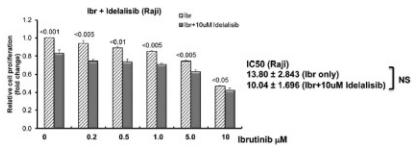
A3005 CAL-101 (Idelalisib, GS-1101)

CAL-101 (Idelalisib, GS-1101) is a selective inhibitor of p110δ with IC50 of 2.5 nM.

Size 5 mg, 20 mg, 50 mg, 100 mg

2 citations



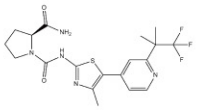


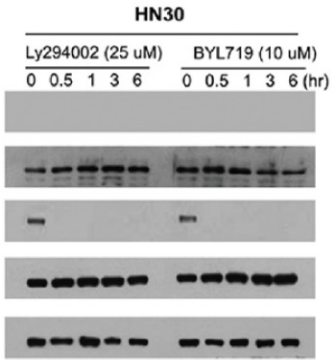
Inhibition of BL cell proliferation by ibrutinib in combination with carfilzomib, idelalisib or doxorubicin. Raji cells were treated with different doses of ibrutinib with 10μM idelalisib for 5 days. **OncoImmunology.2018.**

A8346 BYL-719

BYL719 is a potent and selective inhibitor of PI3Kα with IC50 of 5 nM.

Size 5 mg, 20 mg, 100 mg



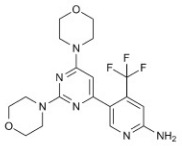


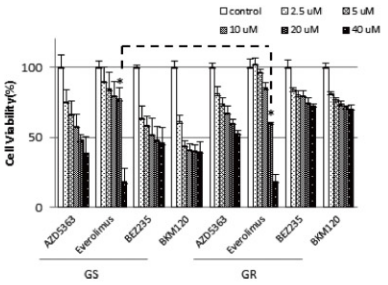
Sustained PI3K p85 phosphorylation in HN31 cells protects them against PI3K inhibitor-induced damage. **Oral Oncol. 2018.PMID:29496059**

A3015 BKM120

BKM120 (NVP-BKM120, Buparlisib) is a selective PI3K inhibitor of p110α/β/δ/γ with IC50 of 52/166/116/262 nM, respectively.

Size 5 mg, 10 mg, 100 mg



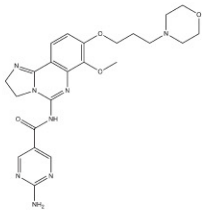


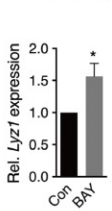
GR cells are more resistant than GS cells to BKM120 GS and GR cell lines were treated with various Akt-pathway related inhibitors (AZD5363/Everolimus/BEZ235/BKM120) at indicated concentrations (0～40μM) for 48 h, followed by MTT assay. **J Cell Biochem. 2017. PMID:28165150**

B2178 BAY 80-6946 (Copanlisib)

BAY 80-6946 is a phosphoinositide 3-kinase (PI3K) inhibitor with potential antineoplastic activity.

Size 5 mg, 10 mg, 50 mg



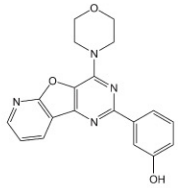


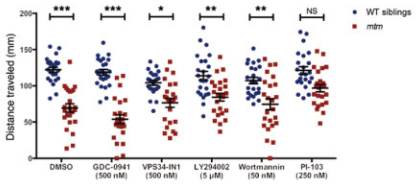
ErbB3 regulates Paneth cells through the PI3K/Akt pathway. QPCR analysis (HPRT as reference) of C57Bl/6 enteroids for Lyz1 after 48 h treatment with vehicle or PI3K inhibitor BAY 80-6946 (50 nM; n=3 independent experiments). **Cell Death Differ. 2017. PMID:28304405**

A2067 PI-103

PI-103 is a multi-targeted inhibitor of PI3K for p110α/β/δ/γ with IC50 of 2/3/3/15 nM, less potent to mTOR/DNA-PK with IC50 of 30/23 nM.

Size 5 mg, 25 mg, 100 mg





Treatment with pan-PI3K inhibitors improves the mtm zebrafish phenotype. Severity of fin fold phenotype in 4-dpf mtm mutants is significantly improved after treatment with 5 μM LY294002, 50 nM wortmannin, or 250 nM PI-103. **J Clin Invest. 2016. PMID:27548528**

Potency Comparison

Inhibitors	Pan-PI3K	PI3Kα	PI3Kβ	PI3Kγ	PI3Kδ	mTOR	p110δ
A66		*** (IC50:32 nM)					
AS-605240		*** (IC50:60 nM)		**** (IC50:8 nM)			
AZD6482			**** (IC50:10 nM)		*** (IC50:80 nM)		
BEZ235		**** (IC50:4 nM)	*** (IC50:75 nM)	**** (IC50:5 nM)	**** (IC50:7 nM)		
BEZ235 Tosylate	*					*	
BKM120		*** (IC50:52 nM)	** (IC50:166 nM)	** (IC50:262 nM)	** (IC50:116 nM)		
BYL-719		**** (IC50:5 nM)					
CAL-101							**** (IC50:2.5 nM)
CAY10505					*** (IC50:30 nM)		
CZC24832				* (IC50:1 μM)			
GDC-0032		***** (IC50:0.29 nM)		***** (IC50:0.97 nM)	***** (IC50:0.12 nM)		
GDC-0941		**** (IC50:3 nM)	*** (IC50:33 nM)	*** (IC50:75 nM)	**** (IC50:3 nM)		
GSK1059615		***** (IC50:0.4 nM)	***** (IC50:0.6 nM)	**** (IC50:5 nM)	**** (IC50:2 nM)	*** (IC50:12 nM)	
GSK2636771			* (SF50:1 μM)				
IC-87114					** (IC50:0.5 μM)		
IPI-145				*** (IC50:19.6 nM)	***** (IC50:0.36 nM)		
LY 294002		***** (IC50:0.5 nM)	***** (IC50:0.97 nM)		***** (IC50:0.57 nM)		
NVP-BGT226		**** (IC50:4 nM)	*** (IC50:63 nM)	*** (IC50:38 nM)			
PF-04691502		***** (Ki:1.8 nM)	**** (Ki:2.1 nM)	**** (Ki:1.9 nM)	**** (Ki:1.6 nM)		
PI-103		**** (IC50:2 nM)	**** (IC50:3 nM)	*** (IC50:15 nM)	**** (IC50:3 nM)	*** (IC50:30 nM)	
PI-3065							**** (IC50:5 nM)
PIK-75		**** (IC50:5.8 nM)	* (IC50:1.3 μM)	*** (IC50:76 nM)	** (IC50:0.51 μM)		
PIK-93		*** (IC50:39 nM)		*** (IC50:16 nM)	** (IC50:120 nM)		
PKI-402		**** (IC50:2 nM)	**** (IC50:7 nM)	*** (IC50:16 nM)	*** (IC50:14 nM)		
Quercetin	*						
SAR245409		*** (IC50:39 nM)	** (IC50:113 nM)	**** (IC50:9 nM)	*** (IC50:43 nM)	** (IC50:157 nM)	
TG100-115				*** (IC50:83 nM)	** (IC50:235 nM)		
VS-5584		**** (IC50:2.6 nM)	*** (IC50:21 nM)	**** (IC50:3 nM)	**** (IC50:2.7 nM)	**** (IC50:3.4 nM)	
XL147		*** (IC50:39 nM)	** (IC50:383 nM)	*** (IC50:23 nM)	*** (IC50:36 nM)		
A66		*** (IC50:16 nM)	*** (IC50:44 nM)	*** (IC50:49 nM)	**** (IC50:4.6 nM)		
AS-605240		***** (IC50:0.4 nM)		**** (IC50:5.4 nM)		**** (IC50:1.6 nM)	

Activator	Pan-PI3K	PI3Kα	PI3Kβ	PI3Kγ	PI3Kδ	mTOR	p110δ
740 Y-P	* (IC50:20 μM)						

Notes: "*" represents potency. The higher the number of "*" is, the more potent an inhibitor or activator is. For more products information, please visit our website.

S6 Kinase Inhibitors

Featured Products

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Cat.No.	Product Name	Short Summary	CAS	Solubility
B2228	PF-4708671	P70 S6K1 isoform inhibitor, cell-permeable	1255517-76-0	≥19.5 mg/mL in DMSO
B5815	LY2584702	p70 S6 kinase inhibitor	1082949-67-4	≥22.3 mg/mL in DMSO
B2227	BI-D1870	P90 RSK inhibitor, ATP-competitive and cell-permeable	501437-28-1	≥19.6 mg/mL in DMSO

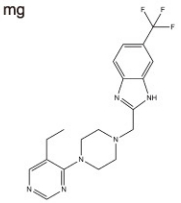
Product Citations

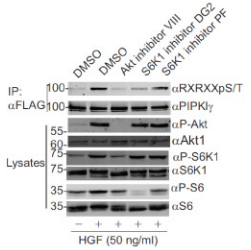
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

B2228 PF-4708671

PF-4708671 is a cell-permeable and highly specific inhibitor of p70 ribosomal S6 kinase 1 with IC50 value of 160 nM.

Size 10 mg, 25 mg, 50 mg, 100 mg





S6K1 phosphorylates PIPKIγ90 at Thr-553 and Ser-555. MDA-MB-231 cells stably expressing FLAG-PIPKIγ90 were serumstarved, treated with Akt inhibitor VIII and the S6K1 inhibitors DG2 (10μM) or PF4708671 (10μM), and then stimulated with HGF for 20 min. **J Biol Chem. 2016. PMID:27780861**

Potency Comparison

Inhibitors	p90 RSK1	p90 RSK2	p90 RSK3	p90 RSK4
BI-D1870	*** (IC50:31 nM)	*** (IC50:24 nM)	*** (IC50:18 nM)	*** (IC50:15 nM)
BIX 02565		***** (IC50:1.1 nM)		
PF-4708671				
CMK		*		
FMK		*** (IC50:15 nM)		

Notes: "*" represents potency. The higher the number of "*" is, the more potent an inhibitor or activator is. For more products information, please visit our website.

CK2 Inhibitors

Featured Products

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Cat.No.	Product Name	Short Summary	CAS	Solubility
A8330	CX-4945 (Silmitasertib)	CK2 inhibitor	1009820-21-6	≥8.7 mg/mL in DMSO
A3368	DMAT	CK2 inhibitor	749234-11-5	≥23.85 mg/mL in DMSO
A3861	TBB	CK2 inhibitor	17374-26-4	≥159.2 mg/mL in DMSO
A3894	TTP 22	CK2 inhibitor	329907-28-0	≥16.5 mg/mL in DMSO

Product Citations

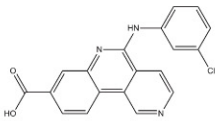
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

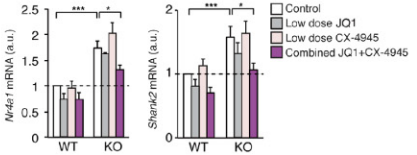
A8330 CX-4945 (Silmitasertib)

CX-4945 (Silmitasertib) is a potent and selective inhibitor of CK2 (casein kinase 2) with IC50 of 1 nM.

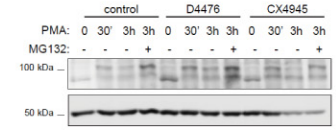
Size 5 mg, 10 mg, 50 mg

7 citations

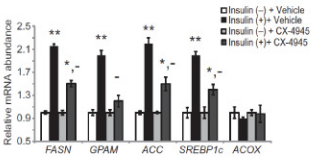




Combined low dose targeting of Brd4 reverses FXS deficits. Mice were treated daily by intraperitoneal injections for 1 week with either DMSO alone, JQ1 at 50, 25, or 5 mg/kg, 5 mg/kg of CX-4945, or 5 mg/kg of JQ1 plus 5 mg/kg of CX-4945 combined. **Cell. 2017. PMID:28823556**



Further, we tested IKKs, Casein Kinases, GSK3β, or kinases described to prime phosphorylation of these phosphodegron kinases, such as JNK and p38, and found none of them to be involved in PMA-induced RIPK4 degradation. **Cell Mol Life Sci. 2018. PMID:29435596**

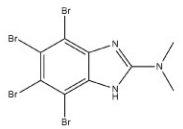


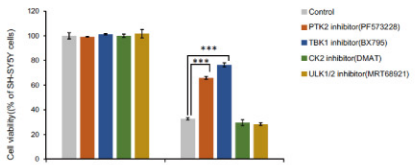
CK2-mediated phosphorylation of MED17 at Ser53 is required for its recruitment and activation of FASN promoter in response to insulin. HepG2 cells were pretreated with 10 μM CK2 inhibitor CX-4945 for 30 min before insulin treatment. **Sci Signal. 2017. PMID:28223413**

A3368 DMAT

DMAT is a potent and specific CK2 inhibitor with IC50 value of 0.13 μM.

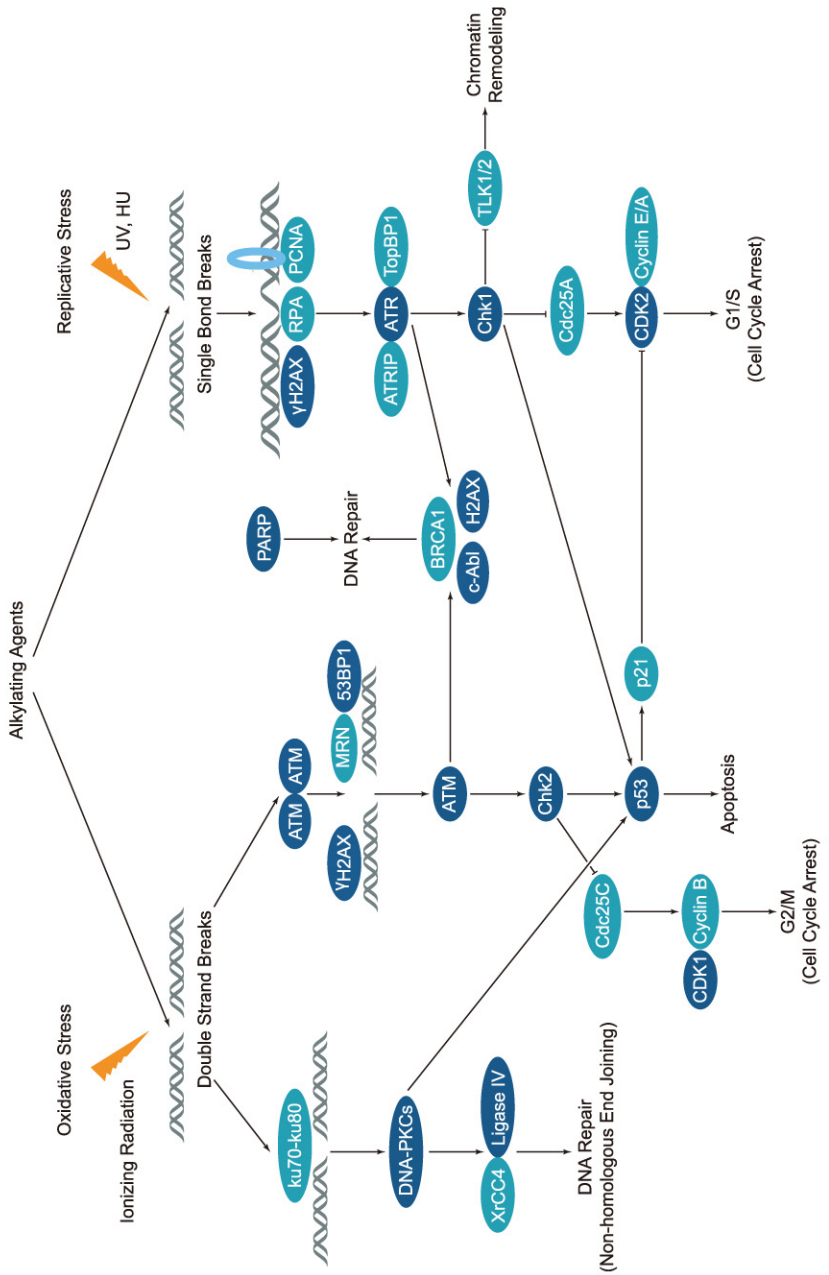
Size 10 mg, 50 mg





TBK1 inhibition attenuates UPS impairment-induced neuronal toxicity. SH-SY5Y cells were pretreated with the PTK2 inhibitor (PF573228; 5 μM), TBK1 inhibitor (BX795; 1 μM), CK2 inhibitor (DMAT; 5 μM), or ULK1/2 inhibitor (MRT689211; 5 nM) for 30 min. **bioRxiv. 2018. June 25**

DNA Damage / DNA Repair



Introduction

The DNA in a human cell receives tens of thousands of damages per day due to both external (exogenous) and internal (endogenous) stress. The exogenous damages are caused by chemical contamination, UV light, ionizing radiation and alkylation/methylation etc, while the endogenous damages are coming from oxidation, alkylation and hydrolysis of bases etc. Since single strand and double strand breaks of DNA will occur after the damage, unrepaired DNA damage leads to cell senescent, apoptosis and malignancies etc. To overcome this threat, cell has developed DNA damage response, to detect DNA damage and mediate its repair.

DNA repair involves multiple mechanisms such as mismatch, base excision, and nucleotide excision repair etc. A group of proteins and pathways are participated in those processes. ATM/ATR kinases and DNA-PK are crucial for the detection of the DNA damage. Chromatin remodelers regulate chromatin accessibility for the DNA repair factors to function. RPA, Rad51 and the fanconi anemia proteins act directly on repairing the DNA damage. p53 network, the RAS GTPase superfamily, and the ubiquitin system also play important part in the DNA damage response. Aberrant DNA damage response is linked to aging, cancer and immune diseases.

DNA Methyltransferase Inhibitors

See page 87 for the relevant product information.

PARP Inhibitors

See page 113 for the relevant product information.

HDAC Inhibitors

See page 88 for the relevant product information.

ATM / ATR Inhibitors

Featured Products

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Cat.No.	Product Name	Short Summary	CAS	Solubility
A2521	VE-821	ATR inhibitor	1232410-49-9	≥62.5 mg/mL in DMSO
A4605	KU 55933	ATM inhibitor, potent and selective	587871-26-9	≥41.7 mg/mL in DMSO with gentle warming
B1383	VE-822	ATR inhibitor	1232416-25-9	≥50.0 mg/mL in DMSO
A8336	KU-60019	ATM inhibitor, potent and selective	925701-49-1	≥27.4 mg/mL in DMSO with gentle warming
B7822	AZD0156	ATM inhibitor	1821428-35-6	≥23.1 mg/mL in DMSO
A3210	AZ20	ATR inhibitor, potent and selective	1233339-22-4	≥20.7 mg/mL in DMSO
B6007	AZD6738	ATR inhibitor	1352226-88-0	Soluble in DMSO

Product Citations

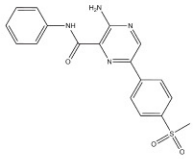
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

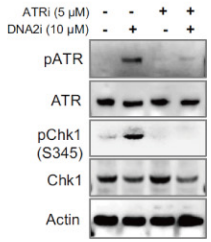
A2521 VE-821

VE-821 is a potent, highly-selective, and ATP-competitive DNA damage response (DDR) kinase ATR inhibitor with Ki value of 13nM.

Size 5 mg, 25 mg, 100 mg

2 citations





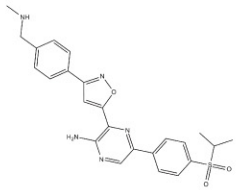
Synergistic effect on cell death induced by the DNA2 inhibitor C5 and the ATR inhibitor VE-821. MCF7 cells were incubated with the ATR inhibitor VE-821 (5 μM; ATRi) for another 24 h, or DNA2i (48 h) or ATRi (24 h) alone. **EMBO J. 2018. PMID:29773570**

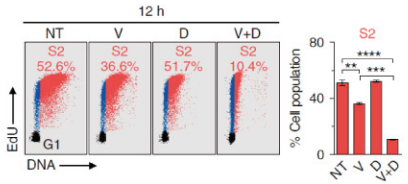
B1383 VE-822

VE-822 is an ATR inhibitor with an IC50 value of 0.019 μM.

Size 10 mg, 50 mg

2 citations





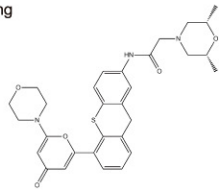
Effects of ATR and dCK inhibition on G1-S transition and substrate utilization for dCTP biosynthesis. Cells were treated with VE-822 (1 μM) and/or dCKi (DI-82,1 μM) for 6 a and 12 h b following release from G1 arrest, respectively. **Nat Commun. 2017. PMID:28808226**

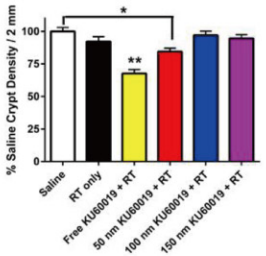
A8336 KU-60019

KU-60019 is a selective inhibitor of the Ataxia telangiectasia (A-T) mutated (ATM) protein with an IC50 value of 6.3 nM.

Size 10 mg, 50 mg, 200 mg

2 citations



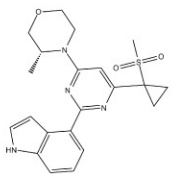


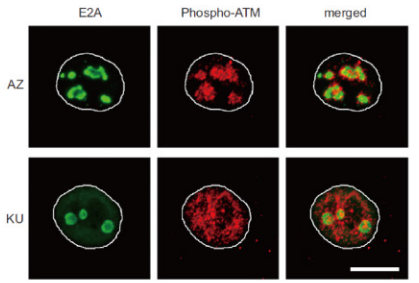
The smallest KU60019 particles are more toxic than medium or large particles. The smallest particles were obtained by adding 5 mg of 5000:10000 mPEG-PLGA and 500 μg (10%) KU60019. **Nanomedicine. 2017. PMID: 28300658**

A3210 AZ20

AZ20 is a potent and selective inhibitor of ATR with IC50 of 5 nM and 50 nM for ATR immunoprecipitated from HeLa nuclear and ATR mediated phosphorylation of Chk1 in HT29 colorectal adenocarcinoma tumor cells, respectively.

Size 5 mg, 10 mg, 50 mg





The Assembly of Viral Genome Domains Activates Global ATM Phosphorylation Independently of MRN. AZ20 was used at 3 μM for 16h. **Cell. 2015. PMID:26317467**

DNA Alkylating Inhibitors

Featured Products

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Cat.No.	Product Name	Short Summary	CAS	Solubility
B1399	Temozolomide	DNA methylating, chemotherapeutic agent	85622-93-1	≥29.6 mg/mL in DMSO
B1963	Lomustine	Antineoplastic drug	13010-47-4	≥11.7 mg/mL in DMSO
A8386	Busulfan	DNA alkylating agent	55-98-1	≥12.3 mg/mL in DMSO

DNA Ligase Inhibitors

Featured Products

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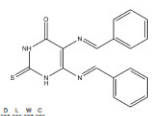
Cat.No.	Product Name	Short Summary	CAS	Solubility
A8705	SCR7	DNA ligase IV inhibitor	1533426-72-0	≥16.7 mg/mL in DMSO
B7426	L189	Inhibitor of human DNA ligases I, III and IV	64232-83-3	≥62.5 mg/mL in DMSO

Product Citations

Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

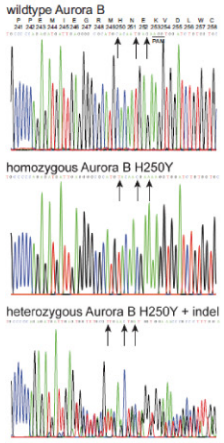
A8705 SCR7

Scr7 is a DNA ligase IV inhibitor, initially identified as an anti-cancer agent.

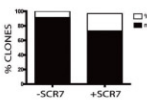


Size 5 mg, 25 mg

5 citations



Introduction of the H250Y mutation in Aurora B. Cells were transduced with the appropriate virus as described above. After ~ 2 hours, SCR7 was added to a final concentration of 1 μM. **PLoS One. 2017. PMID:28640891**

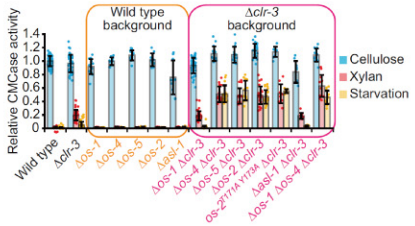


%HDR SCR7	WT/WT	KI/KI	WT/KI	WT/-	KI/-	-/-
-SCR7	90.48%	0.00%	0.00%	9.52%	0.00%	0.00%
+SCR7	72.06%	**4.41%**	**7.35%**	8.82%	1.47%	5.88%

%Indels	WT/WT	WT/-	KI/-	WT/KI	
-SCR7	0.00%	9.52%*	0.00%	0.00%	all in frame
+SCR7	24.00%	0.00%	1.47%	5.88%	all out of frame

*all indels in frame resulted in genotype WT/- in samples -SCR7

Knock-in the mutation p.R345W in the EFEMP1 gene via CRISPR–Cas9. After transfection, the cells were cultured in DMEM: F12+10% FBS in the presence of 1μM of SCR7, a DNA ligase IV inhibitor, for 48 h. **Hum Mol Genet. 2018. PMID: 29095988**



Deletions of members of the OS pathway in an otherwise wild-type background don't have a significant effect on cellulase production. Cells were incubated with 50 μM SCR7 inhibitor for 4 h at room temperature. **Proc Natl Acad Sci U S A. 2017. PMID:28973881**

DNA Synthesis Inhibitors

Featured Products

APExBIO provides over 9000 products, for all the available compounds in this category, please visit our website.

Cat.No.	Product Name	Short Summary	CAS	Solubility
A8331	Bleomycin Sulfate	Chemotherapy agent, induces DNA strand break	9041-93-4	≥125 mg/mL in DMSO with gentle warming
B7587	Puromycin dihydrochloride	Aminonucleoside antibiotic for selection of cell expressing PAC gene	58-58-2	≥27.2 mg/mL in DMSO, ≥99.4 mg/mL in H_2O
A8648	Oxaliplatin	Antitumor agent	61825-94-3	≥37.25 mg/mL in DMSO
A8337	CX-5461	Pol I-mediated rRNA synthesis inhibitor	1138549-36-6	≥1.07 mg/mL in DMSO
A8437	Gemcitabine	Inhibitor of DNA synthesis	95058-81-4	≥11.75 mg/mL in H_2O with gentle warming

Cat.No.	Product Name	Short Summary	CAS	Solubility
A8405	Cytarabine	Cytotoxic agent, blocks DNA synthesis	147-94-4	≥7.65 mg/mL in H_2O
A1402	Gemcitabine HCl	Inhibits DNA synthesis, deoxycytidine analog	122111-03-9	≥7.49 mg/mL in H_2O
B1476	Raltitrexed	Thymidylate synthase inhibitor	112887-68-0	≥154 mg/mL in DMSO
A8317	Fludarabine Phosphate (Fludara)	Inhibits STAT1 activation and DNA synthesis	75607-67-9	≥17.6 mg/mL in DMSO
A1206	Daptomycin	Calcium-dependent antibiotic	103060-53-3	≥81.1 mg/mL in DMSO
B4872	Mupirocin	Isoleucyl t-RNA synthetase inhibitor	12650-69-0	≥100 mg/mL in DMSO
B2102	Hydroxyurea	DNA synthesis inhibitor	127-07-1	≥3.7 mg/mL in DMSO
A2343	Cyclophosphamide	Nitrogen mustard alkylating agent and prodrug	50-18-0	≥13.1 mg/mL in DMSO
A5424	Fludarabine	DNA synthsis inhibitor	21679-14-1	≥9.3 mg/mL in DMSO

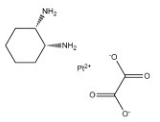
Product Citations

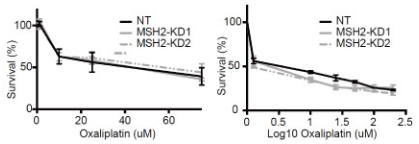
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

A8648 Oxaliplatin

Oxaliplatin is an antitumor agent that forms platinum-DNA adducts.

Size 50 mg, 100 mg, 200 mg





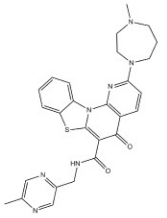
MSH2 knockdown bladder cancer cell lines are equally sensitive to oxaliplatin. MGHU4 (A) and 253J (B) bladder cancer cell lines were treated with the indicated doses of oxaliplatin for 48 hours. **bioRxiv. 2018.**

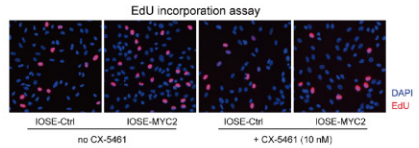
A8337 CX-5461

CX-5461 is a potent and orally bioavailable inhibitor that specifically inhibits RNA polymerase (Pol) I-driven transcription with IC50 value of 142 nM.

Size 5 mg, 10 mg, 50 mg

2 citations



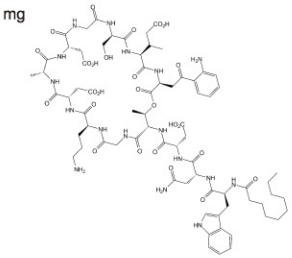


MYC overexpression sensitizes human ovarian epithelial cells to the anti-proliferative action of the Pol I inhibitor CX-5461. For qRT-PCR analysis, EU incorporation, and EdU incorporation, 30-50% confluent cells were treated for 24 h. **Oncotarget. 2017. PMID:29435159**

A1206 Daptomycin

Daptomycin is a bactericidal antibiotic which works against a broad spectrum of Gram-positive bacteria.

Size 25 mg, 100 mg



Drug tested in combination with colistin	Synergy, all strains (%, 95% confidence interval)	Synergy, excluding species intrinsically resistant to colistin (%, 95% confidence interval)
Linezolid	95.0 (73.1 - 99.7)	100 (78.1 - 100.0)
Rifampin	94.7 (71.9 - 99.7)	100 (77.1 - 100.0)
Azithromycin	90.0 (66.9 - 98.2)	100 (78.1 - 100.0)
Fusidic acid	90.0 (66.9 - 98.2)	94.4 (70.6 - 99.7)
Minocycline	85.0 (61.1 - 96.0)	88.9 (63.9 - 98.1)
Clindamycin	80.0 (55.7 - 93.4)	88.9 (63.9 - 98.1)
Erythromycin	80.0 (55.7 - 93.4)	88.9 (63.9 - 98.1)
Chloramphenicol	75.0 (50.6 - 90.4)	77.8 (51.9 - 92.6)
Levofloxacin	70.0 (36.4 - 80.0)	66.7 (41.2 - 85.6)
Doxycycline	60.0 (36.4 - 80.0)	66.7 (41.2 - 85.6)
Ceftazidime-avibactam	41.2 (19.4 - 66.5)	46.7 (22.3 - 72.6)
Tigecycline	25.0 (9.6 - 49.4)	27.8 (10.7 - 53.6)
Vancomycin	25.0 (9.6 - 49.4)	27.8 (10.7 - 53.6)
Tetracycline	20.0 (6.6 - 44.3)	22.2 (7.4 - 48.1)
Meropenem	15.0 (4.0 - 38.9)	11.1 (1.9 - 36.1)
Amikacin	15.0 (4.0 - 38.9)	16.7 (4.4 - 42.3)
Trimethoprim-sulfamethoxazole	15.0 (4.0 - 38.9)	11.1 (1.9 - 36.1)
Apramycin	10.0 (1.8 - 33.1)	11.1 (1.9 - 36.1)
Daptomycin	0.0 (0 - 22.9)	0.0 (0.0 - 25.3)

Rates of synergy by drug using checkerboard array. **Antimicrob Agents Chemother. 2018. PMID:30061285**

Topoisomerase Inhibitors / Activators

Featured Products

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Cat.No.	Product Name	Short Summary	CAS	Solubility
A3966	Doxorubicin	Topo II inhibitor,immunosuppresive antineoplastic antibiotic	23214-92-8	≥27.2 mg/mL in DMSO
A1971	Etoposide	Topo II inhibitor	33419-42-0	≥29.4 mg/mL in DMSO
A1832	Doxorubicin (Adriamycin) HCl	Antitumour antibiotic, inhibits TOPO II	25316-40-9	≥29 mg/mL in DMSO
B2114	Mitoxantrone HCl	Topoisomerase II inhibitor, anti-neoplastic drug	70476-82-3	≥18.2 mg/mL in DMSO
A2877	Camptothecin	Topoisomerase I inhibitor, prototypic	7689-03-4	≥8.7 mg/mL in DMSO
A5133	Irinotecan	Topoisomerase I inhibitor	97682-44-5	≥29.4 mg/mL in DMSO
A3372	DOXO-EMCH	Prodrug of doxorubicin	151038-96-9	Soluble in DMSO
A2476	Idarubicin HCl	Anthracycline and daunorubicin analog, topoisomerase inhibitor	57852-57-0	≥26.7 mg/mL in DMSO
B2296	Topotecan HCl	Topoisomerase 1 inhibitor	119413-54-6	≥22.9 mg/mL in DMSO
B2290	Beta-Lapachone	DNA topoisomerase I inhibitor, selective	4707-32-8	≥10.85 mg/mL in DMSO
A2198	Genistein	ER agonist	446-72-0	≥55.6 mg/mL in DMSO
B2293	Irinotecan HCl Trihydrate	Topoisomerase 1 inhibitor	136572-09-3	≥23.1 mg/mL in DMSO

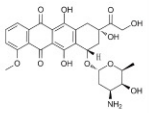
Product Citations

Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

A3966 Doxorubicin

Doxorubicin (Adriamycin) is an antibiotic agent, inhibitor of DNA topoisomerase II and inducer of DNA damage and apoptosis.

Size 10 mg, 25 mg, 100 mg



	MDA-MB-231	MDA-MB-231+Triptolide	P Value	MCF-7	MCF-7+Triptolide	P Value
	IC50 (μM,mean ±SD)			IC50 (μM,mean ±SD)		
Doxorubicin	2.7±0.19	0.87±0.06	P<0.05	5.3±0.21	1.9±0.04	P<0.05
Paclitaxel	$3x10^{-3}$±$6x10^{-4}$	$2.5x10^{-3}$±$3x10^{-4}$	p>0.05	$5.1x10^{-3}$±$5x10^{-4}$	$4.4x10^{-3}$±$7x10^{-4}$	p>0.05
5-Fluorouracil	23.2±2.6	25.5±3.1	p>0.05	7.7±1.2	6.9±0.8	p>0.05
Mitomycin C	9.6±0.33	8.5±0.21	p>0.05	6.1±0.53	6.3±0.29	p>0.05

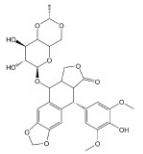
Triptolide specifically increases breast cancer cells' drug sensitivity to Doxorubicin. MDA-MB-231 and MCF-7 cells were pretreated with DMSO or Triptolide for 3 hours then removed the medium,followed by incubation with different chemotherapy drugs in fresh medium for additional 48 hours. **Mol Carcinog. 2018. PMID:29500880**

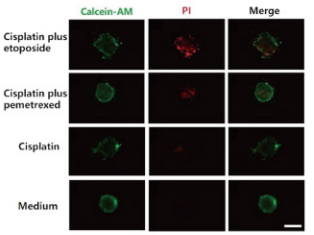
A1971 Etoposide

Etoposide (VP-16) is the first agent recognized as a topoisomerase II inhibitor of anticancer drug with IC50 of 59.2 μM.

Size 100 mg

3 citations



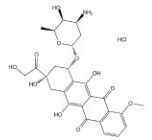


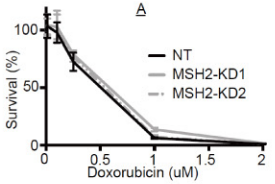
A group of normalized impedance curves of A549 spheroids response to cisplatin and combined anticarcinogens therapeutic regimens from 12 h to 24 h. We chose cisplatin (10 μM) plus etoposide (10 μM) and cisplatin (10 μM) plus pemetrexed (100 μM). **Biomed Microdevices. 2018. PMID:30220069**

A1832 Doxorubicin (Adriamycin) HCl

Doxorubicin is an antitumor antibiotic agent and shows inhibition against DNA topoisomerase II.

Size 10 mg, 25 mg, 100 mg



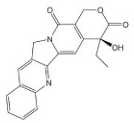


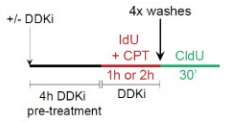
Cell viability of MGHU4 cells when treated with several chemotherapies is unaffected by MSH2 knockdown. MGHU4 bladder cancer cells were treated with methotrexate (A), vinblastine (B), doxorubicin (C), and gemcitabine (D) for 48 hours. **bioRxiv. 2018.**

A2877 Camptothecin

Camptothecin is a selective inhibitor of topoisomerase I with IC50 value of 679 nM.

Size 250 mg





DDK has a primary role in processing and restarting stalled replication forks. HCC1954 cells were pretreated with or without DDKi for 4h, exposed to camptothecin (CPT) for 1h or 2h in the presence of IdU. **bioRxiv. 2017.**

Potency Comparison

Inhibitors	Topoisomerase I	Topoisomerase II	Topo IV (Topo II alpha)
(S)-10-Hydroxycamptothecin		*	
Amonafide		*	
Beta-Lapachone		*	
Camptothecin	** (IC50:679 nM)		
Doxorubicin		*	
Doxorubicin (Adriamycin) HCl		*	
Ellagic acid	** (IC50:0.6 μM)	** (IC50:0.7 μM)	
Epirubicin HCl		*	
Etoposide		* (IC50:59.2 μM)	
Gatifloxacin		*	*
Genistein		*	
Idarubicin HCl		*	
Irinotecan	*		
Irinotecan HCl Trihydrate		*	
Moxifloxacin HCl		*	*
Ofloxacin		*	*
Teniposide		*	
Topotecan	**** (IC50:2 nM)		
Topotecan HCl		*	

Notes: "*" represents potency. The higher the number of "*" is, the more potent an inhibitor or activator is. For more products information, please visit our website.

Other Inhibitors / Activators

Featured Products

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Cat.No.	Product Name	Short Summary	CAS	Solubility
A8802	(S)-Crizotinib	Potent MTH1 inhibitor	877399-52-5;1374356-45-2	≥33.3 mg/mL in DMSO
B3589	5-BrdU	Synthetic thymidine analog	59-14-3	≥15.4 mg/mL in DMSO
B2221	Zidovudine	Reverse transcriptase inhibitor	30516-87-1	≥8.4 mg/mL in DMSO
B5830	G007-LK	Tankyrase 1/2 inhibitor	1380672-07-0	≥26.5 mg/mL in DMSO
A1945	BIBR 1532	Telomerase inhibitor, novel and selective	321674-73-1	≥15.65 mg/mL in DMSO

Product Citations

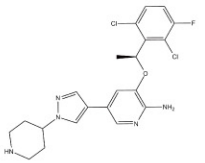
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

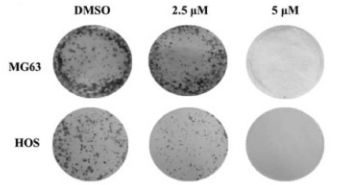
A8802 (S)-Crizotinib

(S)-crizotinib, the (S)-enantiomer of crizotinib, is a potent inhibitor of the human mutT homologue MTH1 (NUDT1) with an IC50 value of 72 nM.

Size 5 mg, 50 mg

4 citations



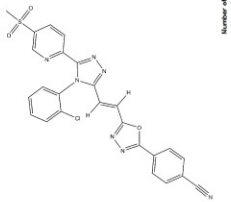


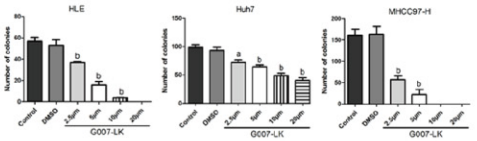
(S)-Crizotinib inhibited osteosarcoma cells proliferation partially by inducing cell-cycle arrest and increasing the rate of apoptosis. Cells were treated with DMSO, 2.5, and 5 μmol/l (S)-crizotinib for 2 weeks, after which cells were fixed and stained with crystal violet. **Anticancer Drugs. 2018. PMID:29420337**

B5830 G007-LK

G007-LK is a potent and specific inhibitor of tankyrase 1/2 with IC50 values of 46 and 25 nM.

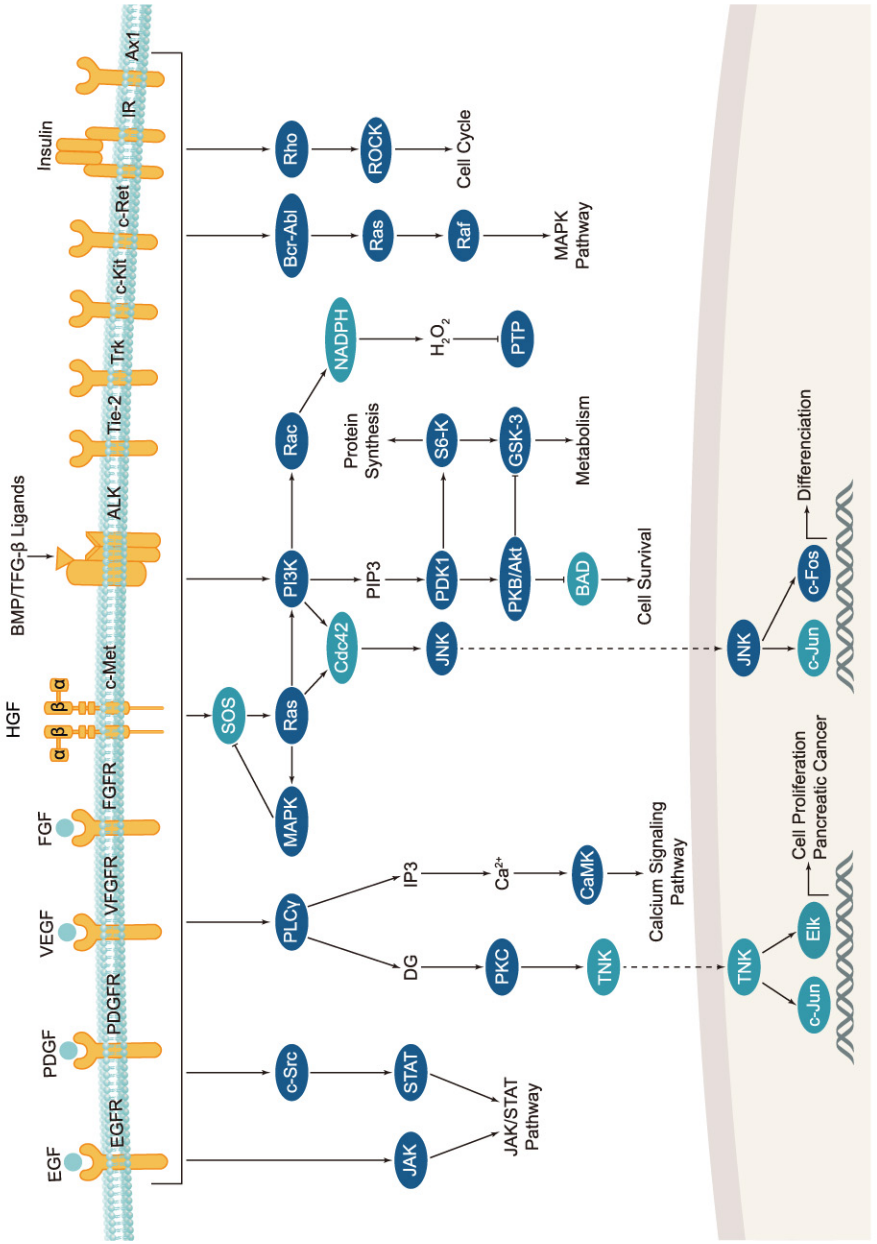
Size 5 mg, 25 mg, 100 mg





The XAV-939 and G007-LK Tankyrase inhibitors suppress HCC cell growth. HCC cells were treated with 0.1% DMSO, or 2.5 μM, 5 μM, 10 μM, 20μM G007-LK. The medium with DMSO or inhibitors was replaced every 3 days. After 10±14 days, colonies were washed by PBS. **PLoS One. 2017. PMID:28877210**

Tyrosine Kinase



Introduction

Tyrosine kinase is a large group of proteins regulates the function of cell growth, differentiation, motility, cytoskeletal rearrangement and adhesion etc. They activate the target protein through transfer of phosphate from ATP to the hydroxyl group of a target protein tyrosine. Transmembrane receptor kinases and non-receptor cytoplasmic kinases are two main categories of the tyrosine kinases.

Receptor tyrosine kinases bind to extracellular ligands/growth factors, which promotes receptor dimerization and autophosphorylation of receptor tyrosine residues. This triggers a cascade of downstream events through phosphorylation of intracellular proteins that ultimately transduce the extracellular signal to the nucleus, causing changes in gene expression. Receptor tyrosine kinases include EGFR/ErbB, PDGFR, VEGFR, FGFR and MET subfamilies etc. Dysfunctions in tyrosine phosphorylation are linked to oncogenic transformation. In additions, various adaptor and effector proteins couple to carboxy-terminal of an active kinase. For instance, binding of the GRB2 adaptor protein activates EGFR and MAPK/ERK signaling.

Non-receptor tyrosine kinases involve many well-defined proteins (e.g. the Src family kinases, c-Abl, and Jak kinases) and other kinases which regulates cell growth and differentiation. For example, Src family kinases are curial for activating and inhibitory pathways in the innate immune response.

Bcr-Abl Inhibitors

See page 230 for the relevant product information.

Axl Inhibitors

Featured Products

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Cat.No.	Product Name	Short Summary	CAS	Solubility
A8329	R428	Selective Axl inhibitor	1037624-75-1	≥25 mg/mL in DMSO
B4893	LDC1267	TAM kinase inhibitor, highly selective	1361030-48-9	≥20.75 mg/mL in DMSO
B5940	TP-0903	Axl receptor tyrosine kinase inhibitor, anti-cancer agent	1341200-45-0	≥25.8 mg/mL in DMSO with gentle warming

Product Citations

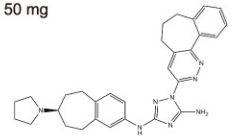
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

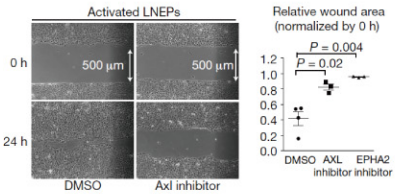
A8329 R428

R428 is a selective Axl inhibitor with an IC50 of 14 nM, more than 50-fold sensitivity for Axl than Abl, Mer, Tyro3, InsR, EGFR, HER2, and PDGFR.

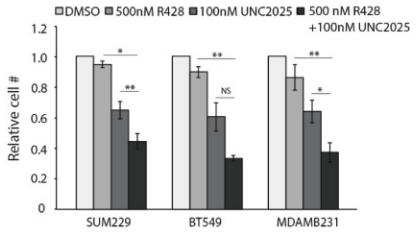
Size 1 mg, 5 mg, 10 mg, 50 mg

10 citations

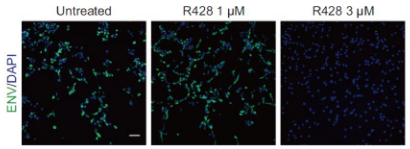




Both human and mouse lung epithelial progenitor cells activate hypoxia/Notch signalling and a motile phenotype in response to major injury. Activated LNEPs treated with AXL- and EPHA2-specific inhibitors (3 μM R428 and 1 μM ALW-II-247) show compromised motility in wound closure assays. **Nat Cell Biol. 2017. PMID:28737769**



Dual targeting of AXL and MERTK can effectively inhibit cell proliferation in vitro. HNSCC and TNBC cell lines were treated with vehicle (DMSO), R428, UNC2025, or R428+UNC2025 and relative cell numbers were determined after 72 hours. **Mol Cancer Ther. 2018. PMID:30093568**



R428 result in a decrease in infection at 3 μM. For AXL kinase inhibition, U87 cells were pretreated with 1 or 3 μM R428 or vehicle (<0.1% DMSO) for 1 h before infection at an MOI of 20, and then cultured for 48 h before immunostaining for envelope protein and DAPI. **Proc Natl Acad Sci U S A. 2016. PMID:27911847**

C-MET Inhibitors

Featured Products

APExBIO provides over 9000 products, for all the available compounds in this category, please visit our website.

Cat.No.	Product Name	Short Summary	CAS	Solubility
A2977	Cabozantinib (XL184, BMS-907351)	VEGFR2/Met/Ret/Kit/FLT/AXL inhibitor	849217-68-1	≥25.1 mg/mL in DMSO
A3020	(R)-Crizotinib	C-Met/ALK inhibitor, potent and ATP-competitive	877399-52-5	≥7.5 mg/mL in DMSO
A2678	SU11274	C-Met inhibitor, potent and selective	658084-23-2	≥28.4 mg/mL in DMSO
A8325	Tivantinib (ARQ 197)	C-Met inhibitor, non-ATP-competitive	905854-02-6	≥18.5 mg/mL in DMSO
A5703	BMS-777607	C-Met inhibitor, potent and selective	1025720-94-8	≥25.7 mg/mL in DMSO
B5832	Altiratinib	c-Met/TIE-2/VEGFR inhibitor	1345847-93-9	≥21.55 mg/mL in DMSO

Product Citations

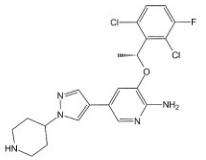
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

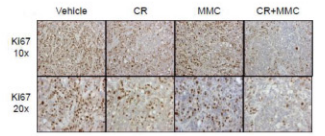
A3020 (R)-Crizotinib

Crizotinib is a potent, ATP-competitive, small-molecule and orally available inhibitor of c-Met kinase with a Ki value of 4 nmol/L.

Size 10 mg, 50 mg, 100 mg

2 citations





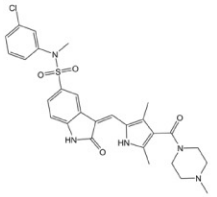
Crizotinib and MMC show synergistic efficacy in-vivo using the HT-29 CRC xenograft model. Mice were then treated with 10 mg/kg crizotinib oral gavage daily or with 2 mg/kg MMC I.P. on days 1, 4, 7, 10 and 13. **Cancer Biol Ther. 2017. PMID:28886275**

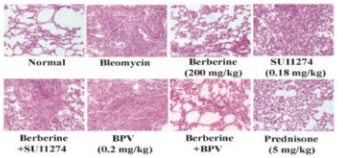
A2678 SU11274

SU11274 is a potent and selective inhibitor of Met kinase with IC50 value of 10 nM.

Size 5 mg, 25 mg, 100 mg

2 citations





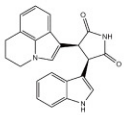
Correlation between the anti-pulmonary fibrosis (PF) effect of berberine and the promotion of HGF and PTEN secretion in the colons of pulmonary fibrosis (PF) mice. Mice were subjected to SU11274 (0.18 mg/kg, i.p.) daily for 21 days. **Toxicol Appl Pharmacol. 2018. PMID: 29408570**

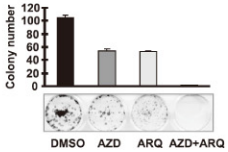
A8325 Tivantinib (ARQ 197)

Tivantinib (ARQ 197) is an oral, non–adenosine triphosphate-competitive, selective, small-molecule met proto-oncogene (c-MET) inhibitor.

Size 5 mg, 20 mg, 100 mg

2 citations



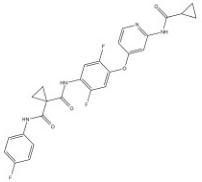


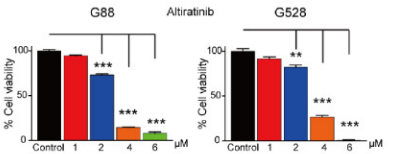
Pharmacological inhibition of Met restore the sensitivity of HCC827/ER cells to AZD9291. HCC827/ER cells seeded in 12-well plates were treated with 100 nM tested Met inhibitor ARQ197 for 12 days. **Cancer Lett. 2016. PMID:27450722**

B5832 Altiratinib

Altiratinib (DCC-2701) is a potent inhibitor of c-MET/TIE-2/VEGFR with IC50 values of 2.7, 8.0 and 9.2 nM, respectively.

Size 10 mg, 25 mg, 50 mg





The combination of CDK4/6 and c-Met/Trk inhibition is synergistic against GBM. **Cancer Res. 2018. PMID:29844123**

C-RET Inhibitor

Featured Products

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Cat.No.	Product Name	Short Summary	CAS	Solubility
A3847	SU5416	VEGF receptor inhibitor and AHR agonist	204005-46-9	≥11.9 mg/mL in DMSO
A8236	Regorafenib	Inhibitor of VEGFR/PDGFR/FGFR/mutant kit/RET/Raf-1	755037-03-7	≥25 mg/mL in DMSO
A4116	Danusertib (PHA-739358)	Pan-aurora kinase inhibitor	827318-97-8	≥23.8 mg/mL in DMSO

Product Citations

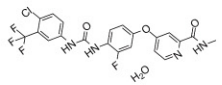
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

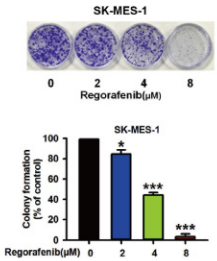
A8236 Regorafenib

Danusertib (PHA-739358) is an inhibitor of Aurora kinase for Aurora A/B/C with IC50 of 13 nM/79 nM/61 nM, modestly potent to Abl, TrkA, c-RET and FGFR1, and less potent to Lck, VEGFR2/3, c-Kit, CDK2, etc.

Size 10 mg, 50 mg, 100 mg, 200 mg

3 citations



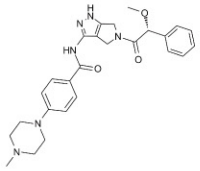


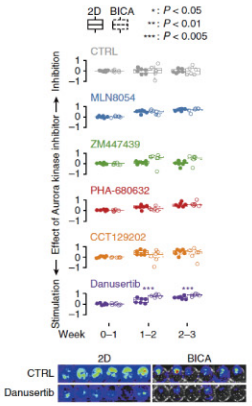
Long term anti-proliferation effect of regorafenib in LSCC cells. Cells were incubated with regorafenib for 14 days, and then colony numbers were counted. **Biochem Biophys Res Commun. 2018. PMID:29944884**

A4116 Danusertib (PHA-739358)

Danusertib (PHA-739358) is a potent small-molecule inhibitor of aurora kinases family members with a dominant inhibition for ABK.

Size 5 mg, 10 mg, 50 mg





Parallel tests of a collection of epigenomic compounds using BICA as a preclinical platform. Danusertib were injected via intraperitoneal (i.p.) injection, daily, at the dosage of 15 mg kg-1, respectively. **Nat Commun. 2017. PMID:28429794**

CSF-1R Inhibitors

Featured Products

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Cat.No.	Product Name	Short Summary	CAS	Solubility
B4899	BLZ945	CSF-1R kinase inhibitor	953769-46-5	≥19.9 mg/mL in DMSO
B5854	Pexidartinib (PLX3397)	CSF-1R inhibitor	1029044-16-3	≥20.9 mg/mL in DMSO
A1655	GW2580	CFMS kinase/CSF-1R inhibitor, selective and ATP-competitive	870483-87-7	≥36.6 mg/mL in DMSO

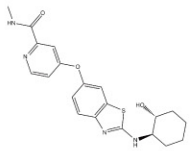
Product Citations

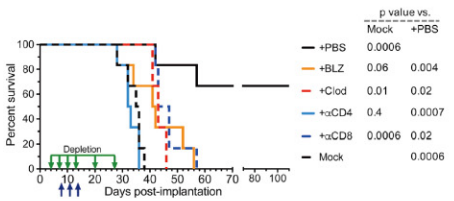
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

B4899 BLZ945

BLZ945 is a small molecule inhibitor of CSF-1R kinase with IC50 value of 1.2 nM.

Size 5 mg, 25 mg, 100 mg





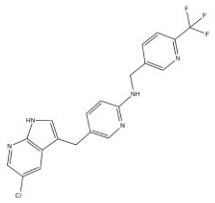
Depletion/Inhibition of Immune Cell Subtypes Abrogates Triple Combination Therapy.BLZ945 (BLZ; 200 mg/kg) was gavaged for two cycles from days 6–10 and days 12–16 in triple therapy mice. **Cancer Cell. 2017. PMID:28810147**

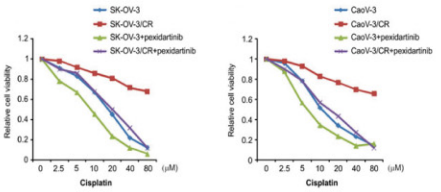
B5854 Pexidartinib (PLX3397)

Pexidartinib (PLX3397) is an oral, potent mutil-targeted receptor tyrosine kinase inhibitor of CSF-1R, Kit, and Flt3 with IC50 of 20 nM, 10 nM and 160 nM, respectively.

Size 10 mg, 50 mg

2 citations





Synergistic cell growth via the combination of cisplatin and pexidartinib in ovarian cisplatin - resistance cells. After tumour growth for 7 days, mice were treated daily with cisplatin (3 mg/kg), pexidartinib (10 mg/kg), or their combination by intraperitoneal injection. **Cell Biochem Funct. 2018. PMID:29372560**

FGFR Inhibitors

Featured Products

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Cat.No.	Product Name	Short Summary	CAS	Solubility
A3014	BGJ398	FGFR inhibitor, potent and selective	872511-34-7	≥7 mg/mL in DMSO with gentle warming
A8350	AZD4547	FGFR inhibitor	1035270-39-3	≥23.2 mg/mL in DMSO
A8706	BLU9931	FGFR4 inhibitor, potent and irreversible	1538604-68-0	≥50.9 mg/mL in DMSO

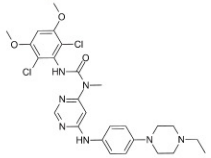
Product Citations

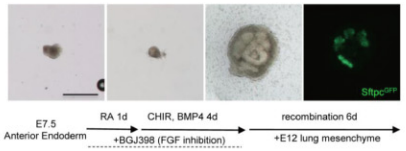
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

A3014 BGJ398

BGJ398 (NVP-BGJ398) is a potent and selective inhibitor of FGFR for FGFR1/2/3 with IC50 of 0.9/1.4/1 nM, >40-fold selective for FGFR versus FGFR4 and VEGFR2.

Size 5 mg, 10 mg, 100 mg, 200 mg





Conserved pathways induce lung and thyroid cell fate in the developing mouse embryo. Mouse embryonic explant culture system where E7.5 anterior endoderm was isolated and incubated with RA for 24h, then with CHIR99021 (CHIR) for 4 days in the presence of BGJ398. **Development. 2017. PMID:28947536**

Potency Comparison

Inhibitors	FGFR	FGFR1	FGFR2	FGFR3	FGFR4
AZD4547		***** (IC50:0.2 nM)	**** (IC50:2.5 nM)	**** (IC50:1.8 nM)	
BGJ398		***** (IC50:0.9 nM)	**** (IC50:1.4 nM)	***** (IC50:1 nM)	*** (IC50:60 nM)
BLU9931					
Dovitinib (TKI-258, CHIR-258)		**** (IC50:8 nM)		**** (IC50:9 nM)	
LY2874455		**** (IC50:2.8 nM)	**** (IC50:2.6 nM)	**** (IC50:6.4 nM)	**** (IC50:6 nM)
PD 173074	*** (IC50:74 nM)	*** (IC50:25 nM)			
Pazopanib (GW-786034)					
AP26113	*** (IC50:40 nM)	** (IC50:128 nM)			
PD 161570					
Ponatinib (AP24534)		**** (IC50:2.2 nM)			
Nintedanib (BIBF 1120)	*** (IC50:47 nM)	*** (IC50:69 nM)	*** (IC50:37 nM)	** (IC50:108 nM)	
Danusertib (PHA-739358)					
E-3810	*	*** (IC50:17.5 nM)	*** (IC50:82.5 nM)		
ACTB-1003		**** (IC50:6 nM)			

Notes: "*" represents potency. The higher the number of "*" is, the more potent an inhibitor or activator is. For more products information, please visit our website.

FLT3 Inhibitors

Featured Products

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Cat.No.	Product Name	Short Summary	CAS	Solubility
A5793	Quizartinib (AC220)	FLT3 inhibitor, potent and selective	950769-58-1	≥28 mg/mL in DMSO
B8016	UNC2025	Orally bioavailable dual MER/FLT3 inhibitor	1429881-91-3	≥23.9 mg/mL in DMSO
B1526	Tandutinib (MLN518)	FLT3 inhibitor, potent and selective	387867-13-2	≥17.85 mg/mL in DMSO

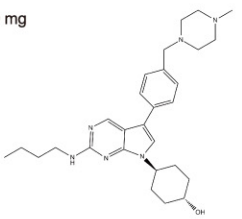
Product Citations

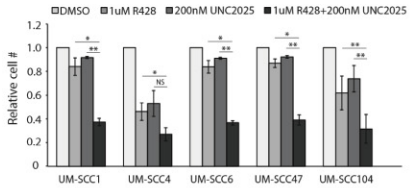
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

B8016 UNC2025

UNC2025 is a potent and orally bioavailable Mer/Flt3 dual inhibitor with IC50 of 0.8/0.74 nM for Mer/Flt3.

Size 10 mg, 25 mg, 100 mg





Dual targeting of AXL and MERTK can effectively inhibit cell proliferation in vitro. HNSCC and TNBC cell lines were treated with vehicle (DMSO), R428, UNC2025, or R428+UNC2025 and relative cell numbers were determined after 72 hours. **Mol Cancer Ther. 2018. PMID:30093568**

PDGFR Inhibitors

Featured Products

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Cat.No.	Product Name	Short Summary	CAS	Solubility
A3009	Sorafenib	Raf kinases and tyrosine kinases inhibitor	284461-73-0	≥23.3 mg/mL in DMSO
B1045	Sunitinib	RTK inhibitor	557795-19-4	≥19.9 mg/mL in DMSO
A8307	Crenolanib (CP-868596)	PDGFR-β inhibitor, potent and selective	670220-88-9	≥22.2 mg/mL in DMSO
A8245	Sorafenib Tosylate	Raf kinases and tyrosine kinases inhibitor	475207-59-1	≥31.9 mg/mL in DMSO
A8252	Nintedanib (BIBF 1120)	VEGFR/PDGFR/FGFR inhibitor	656247-17-5	≥5.4 mg/mL in DMSO
A3022	Pazopanib (GW-786034)	VEGFR/PDGFR/FGFR inhibitor	444731-52-6	≥11 mg/mL in DMSO

Product Citations

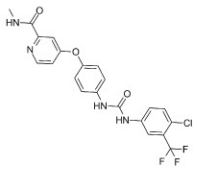
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

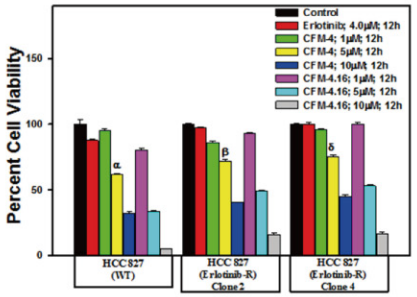
A3009 Sorafenib

Sorafenib is a multikinase inhibitor of Raf-1, B-Raf and VEGFR-2 with IC50 of 6 nM, 22 nM and 90 nM, respectively.

Size 20 mg, 50 mg, 200 mg

2 citations



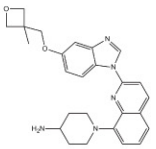


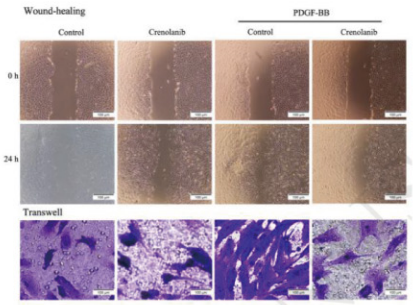
CFMs inhibit NSCLC cell growth. **Oncotarget. 2018. PMID:30038713**

A8307 Crenolanib (CP-868596)

Crenolanib (CP-868596) is a potent and selective inhibitor of PDGFRα/β with Kd of 2.1 nM/3.2 nM.

Size 5 mg, 25 mg



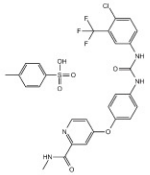


PDGF-BB promotes the proliferation and migration of HA-VSMCs by activating RhoA via the PDGF receptor. HA-VSMCs were pretreated with crenolanib (50 nM) for 48 h followed by 10 ng/mL PDGF-BB for 24 h. **Pharmacol Res. 2018. PMID:29791873**

A8245 Sorafenib Tosylate

Sorafenib Tosylate is a multikinase inhibitor of Raf-1, B-Raf and VEGFR-2 with IC50 of 6 nM, 22 nM and 90 nM in cell-free assays, respectively.

Size 20 mg, 50 mg, 200 mg



		HuH7		SK-Hep1	
		9a (μM)			
		25	50	25	50
Sorafenib (μM)	2.5	0.98	0.75	0.81	0.58
	5	0.94	0.76	0.79	0.56
	10	0.85	0.76	0.83	0.61
	20	0.87	0.82	0.89	0.74

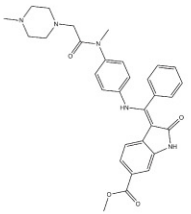
CDI of the combination of sorafenib and compound 9a in HuH7 and SK-Hep1 cells. **RSC Adv.2017.**

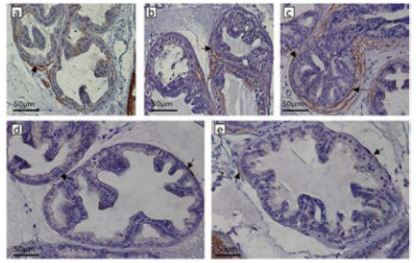
A8252 Nintedanib (BIBF 1120)

Nintedanib (BIBF 1120) is a potent triple angiokinase inhibitor for VEGFR1/2/3, FGFR1/2/3 and PDGFRα/β with IC50 of 34 nM/13 nM/13 nM, 69 nM/37 nM/108 nM and 59 nM/65 nM in cell-free assays.

Size 5 mg, 25 mg

2 citations





Laminin 5 positive immunolabeling (arrows).Nintedanib was administered at dose of 10 mg/kg/day diluted in Tween 20 - 10%. **Cell Biol Int. 2017.PMID:28980742**

Potency Comparison

Inhibitors	PDGFR	PDGFRα	PDGFRβ	PDGFRα（V561D）
Crenolanib (CP-868596)		**** (Kd:2.1 nM)	**** (Kd:3.2 nM)	
MK-2461			*** (IC50:22 nM)	
Pazopanib (GW-786034)	*** (IC50:84 nM)			
Sorafenib			*** (IC50:57 nM)	
Sunitinib		*** (IC50:69 nM)	*** (IC50:39 nM)	
Sunitinib malate			**** (IC50:2 nM)(Ki:8 nM)	
Tyrphostin AG 1296	** (IC50:0.3-0.5 μM)			
Amuvatinib (MP-470, HPK 56)				*** (IC50:40 nM)
Masitinib (AB1010)		** (IC50:540 nM)	** (IC50:800 nM)	
Flumatinib mesylate			** (IC50:307.6 nM)	
DCC-2618		*** (IC50:30 nM)	*** (IC50:13 nM)	
Masitinib mesylate		** (IC50:540±60 nM)		
Regorafenib			*** (IC50:22 nM)	
Ponatinib (AP24534)		**** (IC50:1.1 nM)		
Nintedanib (BIBF 1120)		*** (IC50:59 nM)	*** (IC50:65 nM)	
Sorafenib Tosylate			*** (IC50:57 nM)	

Notes: "*" represents potency. The higher the number of "*" is, the more potent an inhibitor or activator is. For more products information, please visit our website.

Spleen Tyrosine Kinase Inhibitors

Featured Products

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Cat.No.	Product Name	Short Summary	CAS	Solubility
A8546	R406	Syk inhibitor, potent and ATP-competitive	841290-81-1	≥31.5 mg/mL in DMSO
A3736	PRT062607 Hydrochloride	Syk inhibitor, potent and selective	1370261-97-4	≥21.5 mg/mL in DMSO
B3553	GS-9973	Syk inhibitor, orally bioavailable and selective	1229208-44-9	≥20.6 mg/mL in DMSO
B2284	Fostamatinib (R788)	Spleen tyrosine kinase (Syk) inhibitor	901119-35-5	≥100.4 mg/mL in DMSO

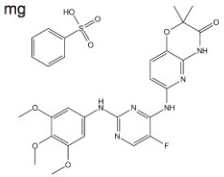
Product Citations

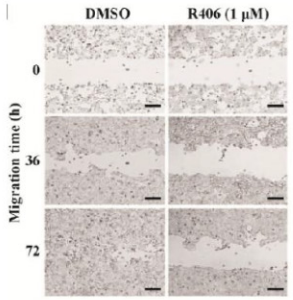
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

A8546 R406

R406 is a potent inhibitor of Syk with IC50 of 41 nM.

Size 2 mg, 5 mg, 25 mg





SYK(L) is associated with YY1.
H358 cells with or without R406 (1 μM) treatment were plated on fibronectin-coated coverslips. **FEBS. 2018. PMID:30251328**

Trk Inhibitors

Featured Products

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Cat.No.	Product Name	Short Summary	CAS	Solubility
B6176	LOXO-101	Tropomyosin receptor kinases (TRK) inhibitor	1223405-08-0	Soluble in DMSO
B5712	ANA 12	TrkB receptor antagonist	219766-25-3	≥10.2 mg/mL in DMSO with gentle warming
B6996	Ro 08-2750	Antagonist of nerve growth factor (NGF)	37854-59-4	Soluble in DMSO

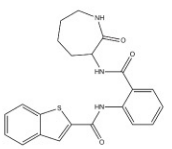
Product Citations

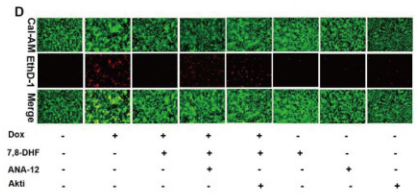
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

B5712 ANA 12

ANA 12 is a potent and selective antagonist of TrkB with IC50 values of 45.6 nM and 41.1 μM for the high and low affinity sites, respectively.

Size 5 mg, 25 mg, 100 mg





Effects of TrkB antagonist ANA-12 on the cytoprotective role of 7, 8-DHF. The morphology of cultured H9c2 cells was observed after treatment with Dox (1 μM) with or without 7,8-DHF (100 μM) and ANA-12 (10 μM) for 24 h. **Free Radic Biol Med. PMID: 30472367**

VEGFR inhibitors

Featured Products

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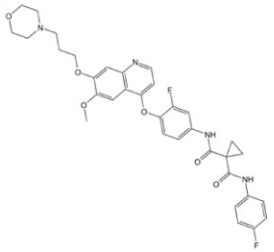
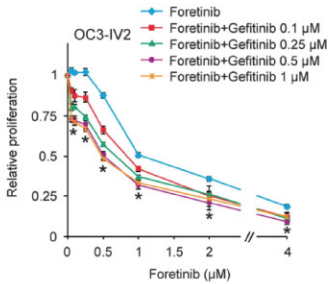
Cat.No.	Product Name	Short Summary	CAS	Solubility
A2174	Lenvatinib (E7080)	VEGFR inhibitor	417716-92-8	≥21.4 mg/mL in DMSO
A8370	Axitinib (AG 013736)	VEGFR1/ c-Kit inhibitor	319460-85-0	≥19.3 mg/mL in DMSO
A8255	Sunitinib malate	VEGFR/PDGFRβ/ KIT/ FLT3/RET/CSF-1R inhibitor	341031-54-7	≥26.65 mg/mL in DMSO
A2974	Foretinib (GSK1363089)	VEGF and HGF receptor inhibitor	849217-64-7	≥31.7 mg/mL in DMSO
A3843	SU 5402	VEGFR2/FGFR/PDGFR/EGFR inhibitor	215543-92-3	≥14.8 mg/mL in DMSO

A2974 Foretinib (GSK1363089)

Foretinib (GSK1363089) is a novel, potent, small-molecule inhibitor of member of the vascular endothelial growth factor (VEGF) and hepatocyte growth factor (HGF) receptor tyrosine kinase families.

Size 10 mg, 50 mg, 100 mg





Inhibitor assays for highly invasive OSCC cells. Proliferation of OC3-IV2 cells treated with foretinib/ crizotinib alone or in combination with the indicated concentrations of gefitinib for 72 h was measured with the MTT assay. **Oncogene. 2017. PMID:28759046**

Potency Comparison

Inhibitors	Pan-VEGFR	VEGFR1/FLT1	VEGFR2	VEGFR2/Flk1	VEGFR2/KDR	VEGFR3/Flt4
Apatinib			***** (IC50:1 nM)			
Axitinib (AG 013736)		***** (IC50:0.1 nM)		***** (IC50:0.18 nM)	***** (IC50:0.2 nM)	***** (IC50:0.1-0.3 nM)
Brivanib (BMS-540215)			*** (IC50:25 nM)			
Brivanib Alaninate (BMS-582664)			*** (IC50:25 nM)			
Cediranib (AZD217)		**** (IC50:5 nM)			***** (IC50:0.5 nM)	**** (IC50: ≤3 nM)
Dovitinib Dilactic Acid		**** (IC50:10 nM)		*** (IC50:13 nM)		**** (IC50:8 nM)
Foretinib (GSK1363089)						**** (IC50:2.8 nM)
KI8751			***** (IC50:0.9 nM)			
Lenvatinib (E7080)		*** (IC50:22 nM)	**** (IC50:4 nM)			**** (IC50:5.2 nM)
Linifanib (ABT-869)		**** (IC50:3 nM)			**** (IC50:4 nM)	
Pazopanib Hydrochloride		**** (IC50:10 nM)	*** (IC50:30 nM)			*** (IC50:47 nM)
RAF265			*** (EC50:30 nM)			
Semaxanib (SU5416)			* (IC50:1.23 μM)			
SKLB1002			*** (IC50:32 nM)			
SKLB610	*		*			
SU 4312	** (IC50:0.8 μM)					
SU 5402			*** (IC50:20 nM)			

Inhibitors	Pan-VEGFR	VEGFR1/FLT1	VEGFR2	VEGFR2/Flk1	VEGFR2/KDR	VEGFR3/Flt4
Telatinib (BAY 57-9352)			**** (IC50:6 nM)			**** (IC50:4 nM)
Tivozanib (AV-951)			***** (IC50:0.16 nM)			
TSU-68 (SU6668,Orantinib)				* (IC50:2.43 μM)		
Vandetanib (ZD6474)			*** (IC50:40 nM)			
Vatalanib		*** (IC50:77 nM)			*** (IC50 :37 nM)	
Vatalanib (PTK787) 2HCl		*** (IC50:77 nM)		** (IC50:270 nM)	*** (IC50 :37 nM)	** (IC50:660 nM)

Notes: "*" represents potency. The higher the number of "*" is, the more potent an inhibitor or activator is. For more products information, please visit our website.

ALK inhibitors

Featured Products

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Cat.No.	Product Name	Short Summary	CAS	Solubility
A3545	LDN193189 Hydrochloride	ALK inhibitor, potent and selective	1062368-62-0	≥16.4 mg/mL in DMSO, ≥23.85 mg/mL in H_2O
B2289	SB505124	ALK4/ALK5/ALK7 inhibitor	694433-59-5	≥33.5 mg/mL in DMSO
B5859	Entrectinib	Orally active inhibitor of ALK kinase	1108743-60-7	≥28.1 mg/mL in DMSO
A8328	LDK378	Potent ALK inhibitor	1032900-25-6	≥14 mg/mL in DMSO
A8251	TAE684 (NVP-TAE684)	ALK inhibitor, potent and selective	761439-42-3	≥61.4 mg/mL in DMSO

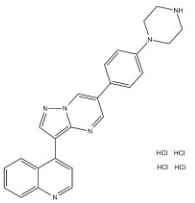
Product Citations

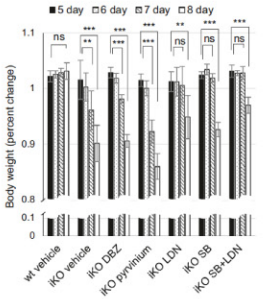
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

A3545 LDN193189 Hydrochloride

LDN193189 HCl, the hydrochloride salt of LDN193189, is a selective inhibitor of BMP signaling with IC50 of 5 nM and 30 nM for the transcriptional activity of the BMP type I receptors ALK2 and ALK3 , respectively.

Size 5 mg, 10 mg, 50 mg





Inhibition of TGF-β or BMP signaling delays the decrease in body weight of MOB1A/B-depleted mice.The dosage of intraperitoneal administration of DBZ, Pyrvinum, LDN193189, and SB431542 were 3 μmol/kg, 5 mg/kg, 3 mg/kg, and 10 mg/kg, respectively. **Cell Death Dis. 2018. PMID:30349003**

Potency Comparison

Inhibitors	Pan-ALK	ALK (L1196M)	ALK (F1174L)	ALK (R1275Q)
ASP3026	***** (IC50:3.5 nM)			
AZD-3463	***** (Ki:0.75 nM)			
CH5424802	***** (IC50:1.9 nM)		***** (IC50:1 nM)	**** (IC50:3.5 nM)
GSK1838705A	***** (IC50:0.5 nM)			
LDK378	***** (Ki:0.2 nM)			
PF-06463922	***** (Ki: <0.07 nM)	***** (Ki:0.7 nM)		
TAE684 (NVP-TAE684)	**** (IC50:3 nM)			
(R)-Crizotinib	*** (IC50:24 nM)			
AP26113	***** (IC50:0.62 nM)			

Notes: "*" represents potency. The higher the number of "*" is, the more potent an inhibitor or activator is. For more products information, please visit our website.

EGFR Inhibitors

Featured Products

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Cat.No.	Product Name	Short Summary	CAS	Solubility
A8218	Lapatinib	EGFR/HER2 inhibitor, potent, selective and reversible	231277-92-2	≥29.1 mg/mL in DMSO
A8219	Gefitinib (ZD1839)	Selective EGFR inhibitor	184475-35-2	≥22.3 mg/mL in DMSO
B1104	AZD-9291	Mutated forms EGFR inhibitor	1421373-65-0	≥25 mg/mL in DMSO
A8234	Erlotinib Hydrochloride	Selective EGFR inhibitor	183319-69-9	≥4.3 mg/mL in DMSO
A3397	Erlotinib	EGFR tyrosine kinase inhibitor	183321-74-6	≥19.7 mg/mL in DMSO
A4139	AG-490	JAK2/EGFR inhibitor	133550-30-8	≥14.7 mg/mL in DMSO
A8322	Neratinib (HKI-272)	HER2/EGFR inhibitor, potent and irreversible	698387-09-6	≥13.9 mg/mL in DMSO with gentle warming
A8375	AZD8931 (Sapitinib)	ErbB inhibitor	848942-61-0	≥23.7 mg/mL in DMSO
A8357	AG-1478	EGFR inhibitor, potent and selective	153436-53-4	≥15.8 mg/mL in DMSO
A3320	CO-1686 (AVL-301)	EGFR inhibitor	1374640-70-6	≥27.8 mg/mL in DMSO

Product Citations

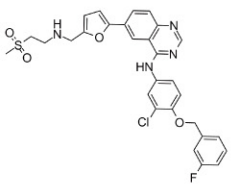
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

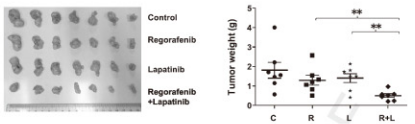
A8218 Lapatinib

Lapatinib is a potent inhibitor of EGFR and ErbB2 with IC50 of 10.8 and 9.2 nM, respectively.

Size 50 mg, 100 mg

2 citations





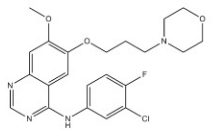
Regorafenib and lapatinib synergistically inhibit the growth of CRC subcutaneous xenografts in nude mice. Mice were randomized into four groups and treated with the following regimens: vehicle alone (0.5% hydroxypropyl-methylcellulose and 0.1% Tween-80), regorafenib (50 mg/kg, orally), lapatinib (100 mg/kg, orally), and the combination daily. **Cancer Lett. 2017.**

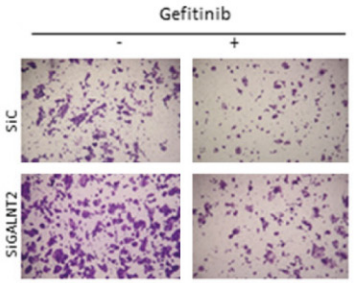
A8219 Gefitinib (ZD1839)

Gefitinib (ZD-1839) is an inhibitor of EGFR for Tyr1173, Tyr992, Tyr1173 and Tyr992 in the NR6wtEGFR and NR6W cells with IC50 of 37 nM, 37nM, 26 nM and 57 nM, respectively.

Size 100 mg, 250 mg

3 citations





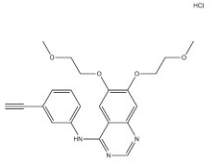
Effects of EGFR inhibitor (Gefitinib) on malignant phenotypes in GALNT2-knockdown AGS cells. Cells were incubated with 10% FBS containing DMSO (0.1%) or gefitinib (1 μM). **Am J Cancer Res. 2018. PMID:30323967**

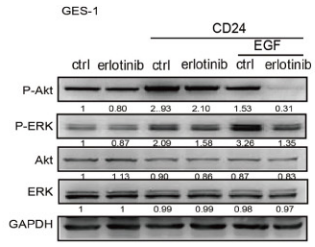
A8234 Erlotinib Hydrochloride

Erlotinib HCl (OSI-744) is an inhibitor of EGFR with IC50 of 2 nM, ≥1000-fold more sensitive for EGFR than human c-Src or v-Abl.

Size 1 g, 5 g

2 citations





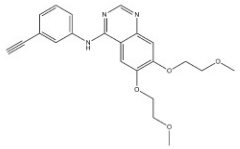
Effect of EGFR inhibitor erlotinib on P-Akt and P-ERK levels in CD24 overexpressed GES-1 cells. Cells were treated with EGF (20 ng/mL) for 20 min after erlotinib incubation. **J Transl Med. 2016. PMID:26830684**

A3397 Erlotinib

Erlotinib inhibits purified EGFR tyrosine kinase and EGFR autophosphorylation intact cells with IC50 values of 2 nM and 20 nM, respectively.

Size 1 g, 5 g

3 citations



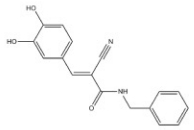
EGF activates Rab35 via EGFR. HeLa cells were serum-starved and treated with DMSO or 1 μM erlotinib overnight, and then stimulated with 10 ng/ml EGF for the indicated time. **Front Pharmacol. 2017. PMID:29018350**

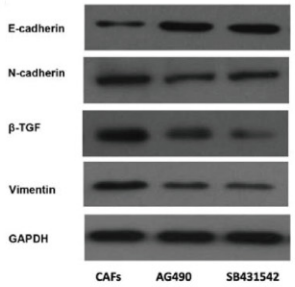
A4139 AG-490

AG-490 is an inhibitor of tyrosine kinases that inhibits HER1 and HER2 with IC50 values of 0.1 μM and 13.5 μM, respectively.

Size 25 mg

2 citations



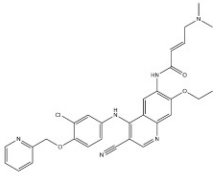


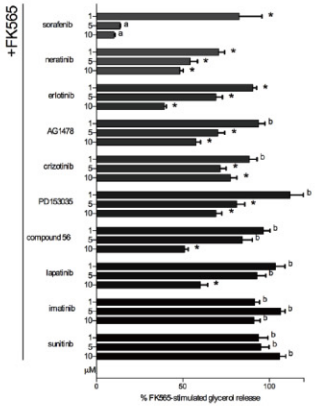
The expression of interstitial markers N-cadherin and Vimentin were decreased and the expression of epithelium marker E-cadherin was increased in OVCAR3 cells. This result indicated that CAF-derived IL-6 mediated the EMT in OVCAR3 cells via the JAK2/STAT3 pathway. **Oncol Rep. 2018. PMID:29565447**

A8322 Neratinib (HKI-272)

Neratinib (HKI-272) is a highly selective inhibitor of HER2 and EGFR with IC50 of 59 nM and 92 nM, respectively.

Size 5 mg, 25 mg





Selected TKIs inhibit bacterial cell wall-mediated lipolysis in adipocytes. Relative levels of glycerol released from 3T3-L1 adipocytes after stimulation with the Nod1 ligand FK565 (10 μg/mL) for 48 h and preincubated for 1 h with 1, 5 or 10 μM of various TKIs. **Sci Rep. 2017. PMID: 28484277**

Other Inhibitors

Featured Products

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Cat.No.	Product Name	Short Summary	CAS	Solubility
A8334	Linsitinib	IGF1R/IR inhibitor, potent and novel	867160-71-2	≥21.1 mg/mL in DMSO
B7407	Insulin (human) recombinant expressed in yeast	Endogenous insulin receptor agonist	11061-68-0	≥58.08 mg/mL in H_2O
B1299	1-NM-PP1	Pp60c-src inhibitor	221244-14-0	≥16.6 mg/mL in DMSO
A8216	PP 2 (AG 1879)	Src-family kinases inhibitor	172889-27-9	≥15.1 mg/mL in DMSO
A8192	Staurosporine	Protein kinase inhibitor, potent and cell permeable	62996-74-1	≥11.7 mg/mL in DMSO
B2171	Imatinib (STI571)	Protein-tyrosine kinase inhibitor	152459-95-5	≥24.7 mg/mL in DMSO
A3165	ALW-II-41-27	Eph receptor inhibitor	1186206-79-0	≥60.8 mg/mL in EtOH
B1523	PF-573228	ATP-competitive FAK inhibitor	869288-64-2	≥166.6 mg/mL in DMSO
A3505	IRAK-1-4 Inhibitor I	IRAK-1/4 inhibitor	509093-47-4	≥19.8 mg/mL in DMSO
A3558	LRRK2-IN-1	LRRK2 inhibitor, cell-permeable and ATP competitive	1234480-84-2	≥28.6 mg/mL in DMSO
B5827	Poziotinib	Irreversible pan-HER inhibitor	1092364-38-9	≥94.2 mg/mL in DMSO
A2278	NVP-AEW541	IGF-IR inhibitor, novel, potent and selective	475489-16-8	≥22 mg/mL in DMSO
A5979	Tie2 kinase inhibitor	Tie-2(Tie2) inhibitor	948557-43-5	≥22 mg/mL in DMSO

Product Citations

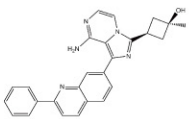
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

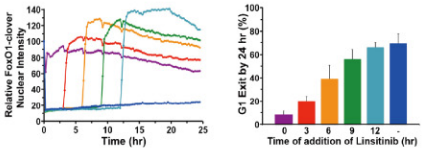
A8334 Linsitinib

Linsitinib (OSI-906) is a potent and novel small-molecule inhibitor inhibiting insulin receptor (IR) and IGF-1 receptor (IGF-1R) kinases with IC50 value of 75nM and 35nM, respectively.

Size 5 mg, 10 mg, 50 mg

3 citations





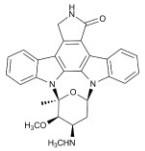
Time-dependent effects of a small-molecule IGF-I receptor kinase inhibitoronIGF-I-mediated cell cycle progression. Time course of relative nuclear intensity of the FoxO1-clover reporter in C3H10T1/2 cells incubated with IGF-I (500 pM) for 24 h with the IGF-I receptor inhibitor, linsitinib (250 nM). **J Biol Chem. 2016.PMID:27226630**

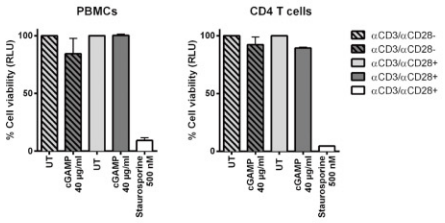
A8192 Staurosporine

Staurosporine is a potent inhibitor of PKC for PKCα, PKCγ and PKCη with IC50 values of 2 nM, 5 nM and 4 nM, respectively.

Size 1 mg, 5 mg, 10 mg

5 citations



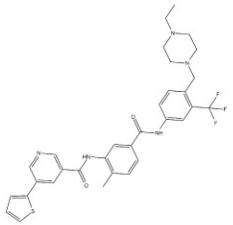


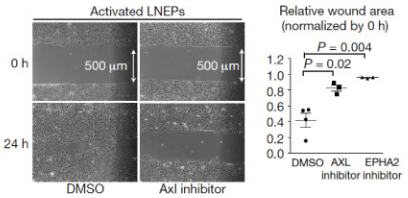
CGAMP stimulation did not affect cell viability significantly in either human PBMCs or blood-derived CD4 T cells. Cells were stimulated with 40 mg/ml 2'39cGAMP or 500 nM staurosporine for 20 h with or without 48 h preactivation with aCD3/aCD28 and IL-2. **J Immunol. 2018. PMID:29632140**

A3165 ALW-II-41-27

ALW-II-41-27 is a potent inhibitor of EPH family kinases, with an IC50 value of 11 nM to EPHA2.

Size 5 mg, 10 mg, 50 mg



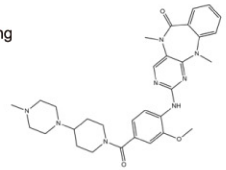


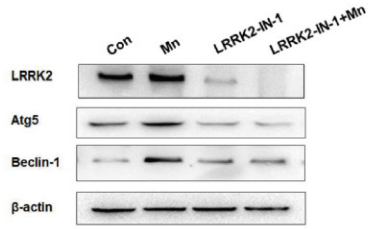
Both human and mouse lung epithelial progenitor cells activate hypoxia/Notch signalling and a motile phenotype in response to major injury. Activated LNEPs treated with AXL- and EPHA2-specific inhibitors (3 μM R428 and 1 μM ALW-II-247) show compromised motility in wound closure assays. **Nat Cell Biol. 2017. PMID:28737769**

A3558 LRRK2-IN-1

LRRK2-IN-1 is a potent and selective inhibitor of LRRK2 with IC50 value of 13 nM.

Size 10 mg, 50 mg, 100 mg



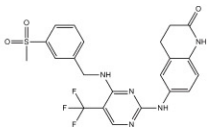


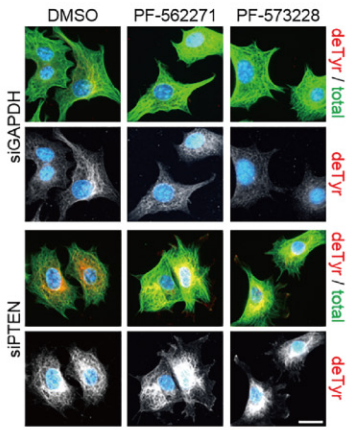
Addition of LRRK2-IN-1 alleviated Mn-induced autophagy dysfunction and inflammation in BV2 cells. LRRK2-IN-1 was dissolved in DMSO to 10 μM, and then freshly diluted with DMEM to 10 nM. **Biochem Biophys Res Commun. 2018. PMID:29408508**

B1523 PF-573228

PF573228 is an inhibitor of FAK with IC50 value of 4 nM.

Size 10 mg, 50 mg





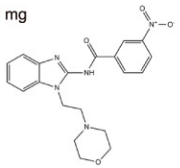
PI(3,4,5)P3 analog stimulates detyrosination of microtubules. NIH/3T3 cells were siRNA depleted against GAPDH or PTEN, treated with FAK inhibitors [PF-562271 (1 μM), PF-573228 (10 μM)] as indicated and seeded on fibronectin-coated coverslips for 2 h. **PLoS One. 2018. PMID:29617365**

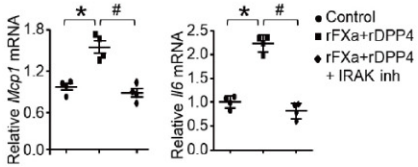
A3505 IRAK-1-4 Inhibitor I

IRAK-1-4 Inhibitor I is an inhibitor of both IRAK-1 and IRAK-4 with IC50 values of 0.3 μM and 0.2 μM, respectively.

Size 10 mg, 20 mg, 50 mg, 100 mg

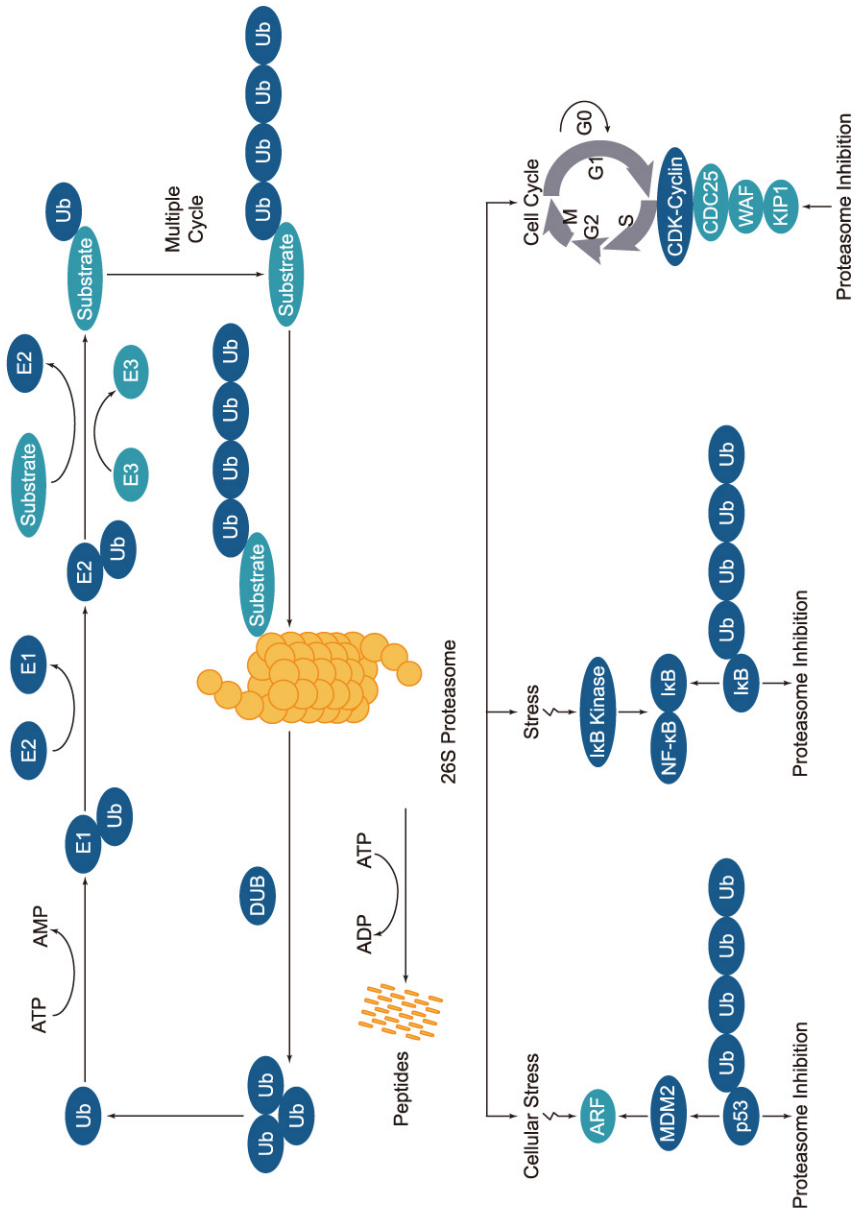
3 citations





Consistent with the signalling-threshold hypothesis, rDPP4 alone increased phosphorylation of two reported CAV1 signalling intermediates, IRAK1 and TAK1.
IRAK-1/4 inhibitor-I, 0.5 μM. **Nature. 2018. PMID: 29562231**

Ubiquitination / Proteasome



Introduction

Ubiquitination is a process in targeting proteins for degradation by the proteasome. Ubiquitin (Ub) is a 76 amino acid polypeptide which can be covalently attached to various cellular proteins by the ubiquitination process. This ubiquitin-proteasome system plays a vital role in cell division, growth, differentiation, transcriptional regulation, apoptosis and immunity etc. Three main types of enzymes are involved in the process of ubiquitination. In the first step, activation of ubiquitin is carried out by ubiquitin-activating enzyme (E1) through an ATP-dependent reaction. In the second step, the activated ubiquitin is transferred from E1 to ubiquitin-conjugating enzyme (E2). In the final step, the ubiquitin protein ligase (E3) is required for labeling the ubiquitin to target substrate protein. An isopeptide bond is formed between the carboxyl terminus of ubiquitin and the ε-amino group of a lysine residue in the target protein.

Once the substrate protein is labeled, proteasome will bind to a polyubiquitin chain, allowing the degradation of the labeled protein. The polyubiquitinated target protein is then recognized and degraded by the 26S proteasome. Deubiquitinating enzymes (DUBs) reverse the process of ubiquitination by removing ubiquitin from its substrate protein. Dysregulation of the ubiquitin-proteasome system has been linked to cancer, diabetes, cardiovascular and neurodegenerative diseases etc.

Autophagy Inhibitors

Featured Products

APExBIO provides over 9000 products, for all the available compounds in this category, please visit our website.

Cat.No.	Product Name	Short Summary	CAS	Solubility
A8353	3-Methyladenine	Class III PI3K inhibitor	5142-23-4	≥7.5 mg/mL in DMSO, 5 mg/mL in H_2O
A8627	Bafilomycin A1	V-ATPase inhibitor, selective and reversible	88899-55-2	Soluble in DMSO
A8715	SBI-0206965	ULK1 inhibitor	1884220-36-3	≥24.5 mg/mL in DMSO
A8544	Wortmannin	PI3K inhibitor, selective and irreversible	19545-26-7	≥21.4 mg/mL in DMSO
A8487	Nocodazole	Tubulin production inhibitor, anti-neoplastic agent	31430-18-9	≥15.1 mg/mL in DMSO
A8883	SAR405	Selective ATP-competitive inhibitor of Vps34	1523406-39-4	≥22.2 mg/mL in DMSO
A8633	Concanamycin A	V-type (vacuolar) H+-ATPase inhibitor	80890-47-7	Limited solubility
A8628	Chloroquine diphosphate	Antimalarial drug, TLR7 TLR9 inhibitor	50-63-5	≥106.06 mg/mL in H_2O
A2324	Dexamethasone (DHAP)	Glucocorticoidan; anti-inflammatory	50-02-2	≥19.6 mg/mL in DMSO
B5873	Spautin-1	Novel autophagy inhibitor	1262888-28-7	≥13.5 mg/mL in DMSO
B6174	MRT68921	Dual autophagy kinase ULK1/2 inhibitor	1190379-70-4	Soluble in DMSO

Product Citations

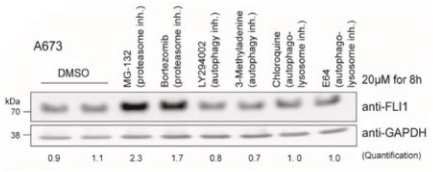
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

A8353 3-Methyladenine

3-Methyladenine is an inhibitor of class III phosphoinositide 3-kinase (PI3K).

Size 50 mg, 200 mg, 500 mg

2 citations



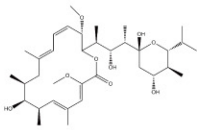
Incubation with 3-Methyladenine does not upregulate EWS-FLI1 protein levels in A673 cells. A673 cells were treated with 20μM 3-Methyladenine for 8h. **J Biol Chem. 2016. PMID:27875302**

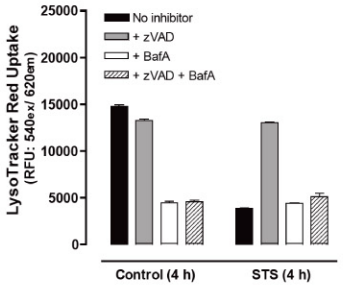
A8627 Bafilomycin A1

Bafilomycin A1 is a selective inhibitor of vacuolar H+ ATPases (V-ATPases) with I50 values of 4-400 nmol/mg.

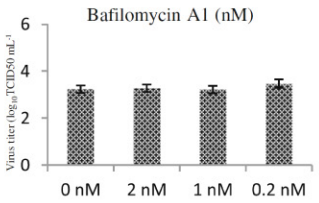
Size 500 μg, 1 mg, 5 mg

5 citations

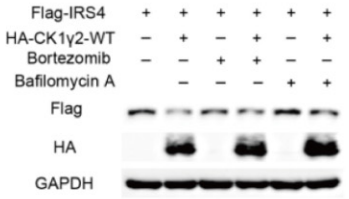




Caspase-insensitive ATP release stimulated by chemotherapeutic drugs is resistant tocarbenoxolone blockade but suppressed by intracellular Ca2+ buffering. Jurkat cell were preincubated for 1 h in the absence or presence of 250 nM bafilomycin A (BafA), 100 μM zVAD, or both inhibitors. **J Biol Chem. 2014. PMID:25112874**



Inhibitor screening for GCRV104 infection. CIK cells were treated with different inhibitors at the indicated concentrations and then infected with GCRV104 (MOI = 5) for 5 days. **Virol J. 2018. PMID:29793525**



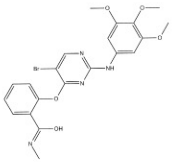
The E3 ligase CHIP is involved in the phosphorylation-dependent degradation of IRS4 by CK1γ2. 293T cells were co-transfected with HA-CK1γ2 and Flag-IRS4 for 48 h and then treated with Bortezomib or Bafilomycin A for 6 h. **Theranostics. 2018. PMID:30026872**

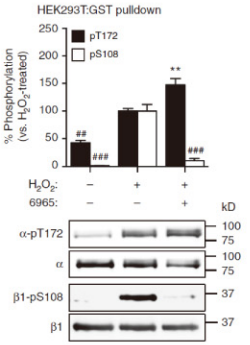
A8715 SBI-0206965

SBI-0206965 is a novel inhibitor of the autophagy-initiating kinase ULK1.

Size 5 mg, 25 mg

2 citations





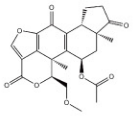
ULK phosphorylates β1-Ser108 in cells. Immunoblots for β 1-pSer108 and α-pThr172 in KI-α1β1γ1 purified from HEK293T cells incubated with 1 mM H_2O_2 and 10 μM 6965 for 45 min. **Nat Commun. 2017. PMID:28924239**

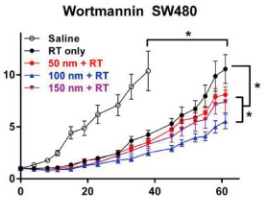
A8544 Wortmannin

Wortmannin is a selective and irreversible inhibitor of phosphatidylinositol-3-kinase with IC50 value of 1.9 nM.

Size 5 mg, 10 mg, 20 mg

3 citations



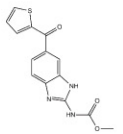


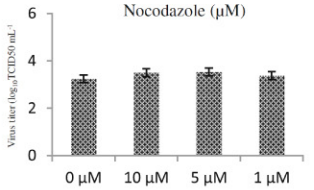
100 nm wortmannin particles produce significantly more radiosensitization than others. The smallest particles: 5 mg of 5000:10000 mPEG-PLGA and 500 μg (10%) wtmn. Intermediate sized particles: 5 mg 2000:15000 mPEG-PLGA, 3 mg PLA, and 800 μg (10%) wtmn. The largest particles: 7 mg 2000:15000 mPEG-PLGA, 9 mg PLA, and 800 μg (5%) wtmn. **Nanomedicine. 2017. PMID:28300658**

A8487 Nocodazole

Nocodazole is a potent and reversible inhibitor of tubulin production.

Size 10 mg, 50 mg





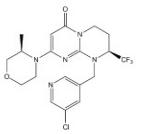
Inhibitor screening for GCRV104 infection. CIK cells were treated with different inhibitors at the indicated concentrations and then infected with GCRV104 (MOI = 5) for 5 days. **Virol J. 2018. PMID:29793525**

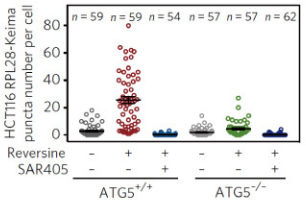
A8883 SAR405

SAR405 is a selective ATP-competitive inhibitor of Vps34 with a Kd value of 1.5 nM.

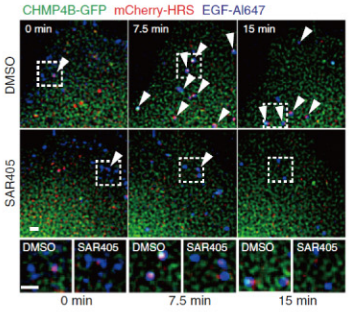
Size 2 mg, 5 mg, 10 mg, 25 mg

17 citations

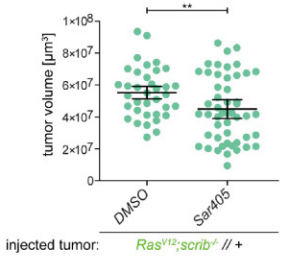




Reversine-dependent ribophagic flux is reversed by BafA and SAR405 and is strikingly ATG5-dependent. Cells were then incubated with Torin (150 nM) or the combination of Torin and SAR405 (150 nM and 1 μ M, respectively) for 24 h before imaging. **Nat Cell Biol. 2017. PMID:29230017**



Lack of clathrin recruitment to endosomes increases PtdIns3P levels. The working concentration was for SAR405 6 μM; for DMSO 0.2%. **Nat Commun. 2018. PMID:30050131**

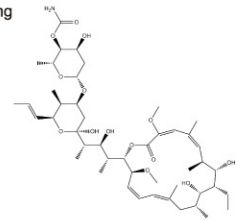


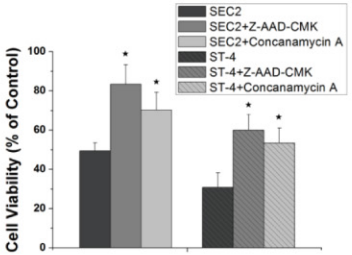
SAR405 reduces allograft tumor volumes in host flies after 8 days. Drugs were added to standard fly food in the following final concentrations: chloroquine 2.5 mg/ml, SAR405 15 μM. **Nature. 2017. PMID:28077876**

A8633 Concanamycin A

Concanamycin A is a specific inhibitor of vacuolar-type ATPase (V-ATPase) with IC50 value of 10 nM.

Size 25 μg, 100 μg, 1 mg



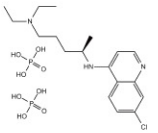


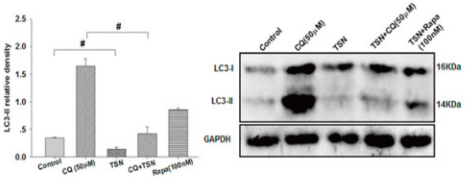
Antitumor effect of ST-4 is significantly decreased in the presence of concanamycin A. The pre-treatment of murine splenocytes with 100 μM/mL of Z-AAD-CMK or 100 nM/mL PRF1 inhibitor concanamycin A for 2 h were co-cultured with Hepa 1-6 tumor cells. *P < 0.05. **Toxicol Appl Pharmacol. 2016. PMID:27742270**

A8628 Chloroquine diphosphate

Chloroquine diphosphate is used as an antimalarial drug and also functions to increase sensitivity of tumor cells to radiation and chemotherapy via inducing autophagy.

Size 100 mg





The treatment of Chloroquine diphosphate (CQ) accumulates the amount of LC3-II in RAW 264.7 macrophages. LC3-II protein expression in RAW 264.7 macrophages treated with Rapa or 50 μM chloroquine (CQ), for 12 h was analyzed by western blot analysis. **Free Radic Biol Med. 2017. PMID:28647611**

DUB Inhibitors

Featured Products

APExBIO provides over 9000 products, for all the available compounds in this category, please visit our website.

Cat.No.	Product Name	Short Summary	CAS	Solubility
A8212	PR-619	Deubiquitylating enzymes (DUBs) inhibitor	2645-32-1	≥11.2 mg/mL in DMSO
A3023	P005091	Ubiquitin-specific protease 7 (USP7) inhibitor	882257-11-6	≥17.4 mg/mL in DMSO
A8323	WP1130	Deubiquitinase (DUB) inhibitor, cell permeable	856243-80-6	≥38.4 mg/mL in DMSO
A8198	P 22077	USP7 /(DUB) USP47 inhibitor	1247819-59-5	≥14.6 mg/mL in DMSO
B5550	HBX 41108	Ubiquitin-specific protease 7 (USP7) inhibitor	924296-39-9	≥13.4 mg/mL in DMSO

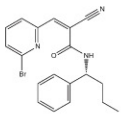
Product Citations

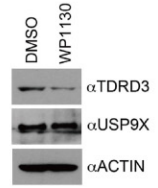
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

A8323 WP1130

WP1130 is a selective deubiquitinase (DUB: USP5, UCH-L1, USP9x, USP14, and UCH37) inhibitor and also suppresses Bcr/Abl, also a JAK2 transducer (without affecting 20S proteasome) and activator of transcription (STAT).

Size 5 mg, 10 mg, 50 mg, 100 mg





WP1130 decreases TDRD3 protein levels HeLa cells were treated with 5 μM of WP1130 for 24 h. **Cell Discov. 2017. PMID:28101374**

Potency Comparison

Inhibitors	DUB	USP1	USP2	USP4	USP5	USP7	USP8	USP14	USP20	USP47	UCH-L1	UCH-L3
HBX 41108						*** (IC50:424 nM)						
IU1								** (IC50:4.7 μM)				
LDN 57444											*** (IC50:0.88 μM)	* (IC50:25 μM)
NSC 632839 hydrochloride			* (IC50:45 μM)			* (IC50:37 μM)						
P 22077						** (EC50:8.6 μM)						
P005091						** (EC50:4.2 μM)						
DUBs-IN-1						* (IC50:18 μM)	*** (IC50:0.71 μM)					
DUBs-IN-2						** (IC50:7.2 μM)	*** (IC50:0.93 μM)					
DUBs-IN-3						* (IC50: >100 μM)	** (IC50:3.1 μM)					
ML-323		**** (IC50:76 nM)										
PR-619			** (EC50:7.2 μM)	** (EC50:3.93 μM)					** (EC50:5.10 μM)			
SJB2-043		*** (IC50:0.544 μM)										
USP7-USP47 inhibitor						** (EC50:4.2 μM)				** (EC50:4.3 μM)		
Vialinin A				** (IC50:1.5 μM)	** (IC50:5.9 μM)						* (IC50:22.3 μM)	
WP1130	*											

Notes: "*" represents potency. The higher the number of "*" is, the more potent an inhibitor or activator is. For more products information, please visit our website.

Proteasome Inhibitors

Featured Products

APExBIO provides over 9000 products, for all the available compounds in this category, please visit our website.

Cat.No.	Product Name	Short Summary	CAS	Solubility
A2585	MG-132	Proteasome inhibitor, cell permeable, reversible	133407-82-6	≥23.8 mg/mL in DMSO
A2614	Bortezomib (PS-341)	Proteasome Inhibitor	179324-69-7	≥19.2 mg/mL in DMSO
A2606	Epoxomicin	Proteasome inhibitor	134381-21-8	≥27.7 mg/mL in DMSO
A4011	ONX-0914 (PR-957)	Immunoproteasome inhibitor, potent and selective	960374-59-8	≥29 mg/mL in DMSO
A1933	Carfilzomib (PR-171)	Proteasome inhibitor, epoxomicin analog	868540-17-4	≥36 mg/mL in DMSO
A2612	MG-115	Potent reversible proteasome inhibitor	133407-86-0	≥23.1 mg/mL in DMSO
A2583	Lactacystin (Synthetic)	Proteasome inhibitor	133343-34-7	Soluble in H_2O

Cat.No.	Product Name	Short Summary	CAS	Solubility
A4008	MLN2238	β5 site of the 20S proteasome inhibitor	1072833-77-2	≥16.8 mg/mL in DMSO
A8179	MG-262	Proteasome inhibitor	179324-22-2	≥24.6 mg/mL in DMSO
A1934	Oprozomib (ONX-0912)	Proteasome inhibitor	935888-69-0	≥26.6 mg/mL in DMSO
A4443	Gliotoxin	20S proteasome inhibitor	67-99-2	Soluble in DMSO
A4007	MLN9708	Proteasome inhibitor	1201902-80-8	≥20.85 mg/mL in DMSO
A4010	Salinosporamide A (NPI-0052, Marizomib)	20S proteasome inhibitor	437742-34-2	Soluble in DMSO
A8172	Dihydroeponemycin	Proteasome inhibitor, antitumor reagent, eponemycin ddrivative	126463-64-7	≥15.6 mg/mL in DMSO

Product Citations

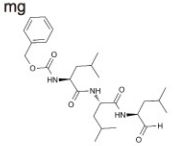
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

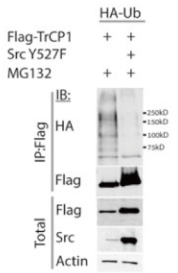
A2585 MG-132

MG132 is a peptide aldehyde effectively that blocks the proteolytic activity of proteasome complex with IC50 of 100 nM. It inhibits calpain with IC50 of 1.2 μM and induce apoptotic cell death through formation of ROS.

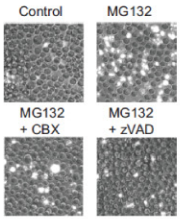
Size 10 mg, 25 mg, 100 mg, 500 mg

22 citations

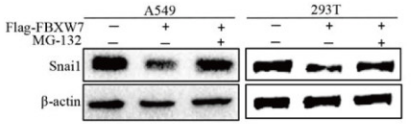




MG132 prevent proteasomal degradation of ubiquitinated substrates. Cells were treated with 25 μM MG132 for 2 h to prevent proteasomal degradation of ubiquitinated substrates. **Proc Natl Acad Sci U S A. 2017. PMID: 28154141**



Proteosome inhibition induces caspase-3-mediated cleavage of the pannexin-1 C-terminal autoinhibitory domain and pannexin-1-mediated release of adenine nucleotides. Jurkat T cells were incubated with no stimulus or with 3 μM MG132 for 8 h in the absence or presence of 100 μM Z-VAD. **J Biol Chem. 2014. PMID: 25112874**



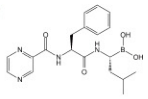
FBXW7 binded to Snai1 and induced its ubiquitination and proteasomal degradation. Western blot assay for Snai1 expression in FBXW7-overexpressing A549 and FBXW7-overexpressing 293T after treatment with MG-132 for 6 h. **Cell Prolif. 2018. PMID:30094882**

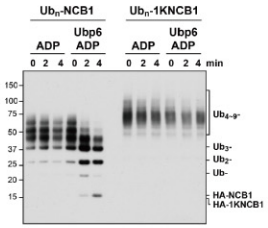
A2614 Bortezomib (PS-341)

Bortezomib (originally codenamed PS-341) is a potent inhibitor of 20S proteasome with Ki of 0.6 nM.

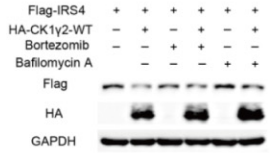
Size 10 mg, 25 mg, 100 mg, 500 mg

16 citations

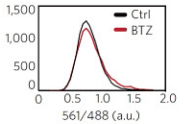




The substrate specificity of USP14 is evolutionarily conserved. Degradation-suppressed deconjugation assays were also alternatively performed with ATP-proteasome in the assay buffer G supplemented with 3 to 5 mM ADP, 6 mM o-PA, 0.75 mM ATPγS, 1.5 μM PS-341, and 7.5 μM MG-262. **Nature. 2016. PMID:27074503**



The E3 ligase CHIP is involved in the phosphorylation-dependent degradation of IRS4 by CK1γ2. 293T cells were co-transfected with HA-CK1γ2 and Flag-IRS4 for 48 h and then treated with Bortezomib or Bafilomycin A for 6 h. **Theranostics. 2018. PMID:30026872**



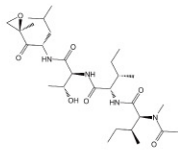
Proteasome inhibitor BTZ or ER-stress agent tunicamycin (TM) does not promote ribophagic flux. HEK293 RPS3–Keima cells were exposed to bortezomib(BTZ), 250 nM, 5 h, and the 561/488 ratio was measured. **Nat Cell Biol. 2017. PMID:29230017**

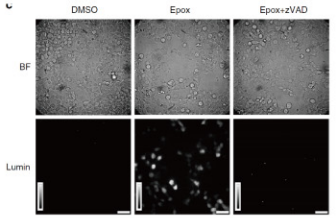
A2606 Epoxomicin

Epoxomicin is a selective and irreversible inhibitor of 20S proteasome with an IC50 value of 4 nM.

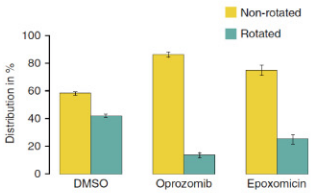
Size 1 mg, 5 mg, 20 mg

21 citations

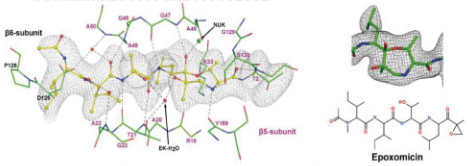




Generation and characterization of ddRLuc-Fc. ddRLuc-Fc-transfected 293T cells were incubated with DMSO alone, 200 nM Epox in DMSO, or a combination of 200 nM Epox and 20 μm zVAD in DMSO, at 37 °C for 6 h. **Nat Commun. 2018. PMID:30082832**



Effect of Oprozomib. Proteasomes were either supplemented with 2mM Epoxomicin, 2mM Oprozomib or DMSO as a control. **Nat Commun. 2017. PMID:28541292**



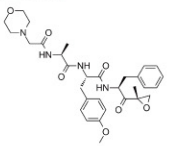
Validation of 1,4-oxazepane linkage formation by the Epoxomicin-human 20S proteasome co-crystal structure. **Science. 2016. PMID:27493187**

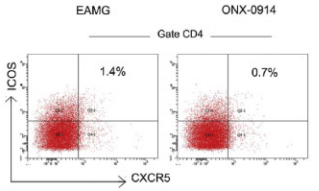
A4011 ONX-0914 (PR-957)

ONX-0914 (PR-957) is a potent and selective immunoproteasome inhibitor with minimal cross-reactivity for the constitutive proteasome in a cell-free assay.

Size 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

4 citations





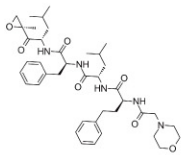
ONX-0914 decreases the percentage of dendritic cells (DC) in spleen and the expression of MHC II in lymph node. ONX-0914 was administered to rats as an i.v. bolus dose of 3.5 mg/kg (in a volume of 300 μl) every 3 days throughout the course of the experiment, starting from 5 days post immunization. **J Neuroimmunol. 2017. PMID: 28844501**

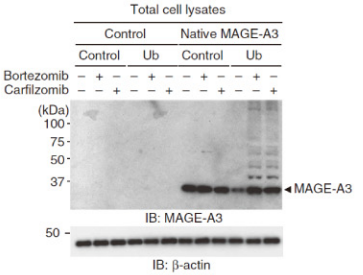
A1933 Carfilzomib (PR-171)

Carfilzomib (PR-171) is a novel second-generation proteasome inhibitor with IC50 of <5 nM in ANBL-6 cells.

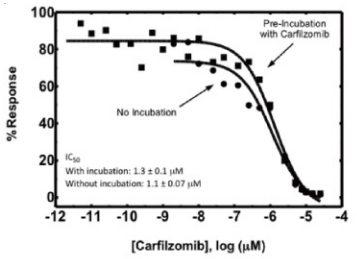
Size 5 mg, 10 mg, 25 mg

7 citations

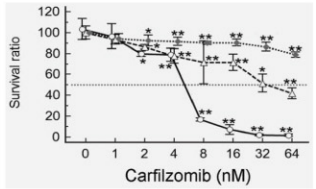




DP^{84GGPM87}-expressing cells constitutively present intracellular peptides generated by the proteasome and TAP-dependent pathway. K562 aAPCs were transiently transfected with the indicated combinations of genes and cultured in the presence or absence of 0.02 μM bortezomib or 0.02 μM carfilzomib for 48 h. **Nat Commun. 2017. PMID:28489076**



Functional effect of protein adduction by CFZ. CYP27A1 was adducted by CFZ in vitro and activity assay was performed to assess the consequences of adduction. It shows concentration-dependent decreases in activity in response to adduction. **Mol Cell Proteomics. 2016. PMID:27503896**

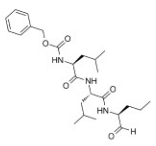


MCAS, EFO-27 and EFO-27* cells were exposed to a proteasome inhibitor (Carfilzomib), which inhibited cell proliferation. The chemosensitivity assay was detected in adding 0, 1, 2, 4, 8, 16, 32, 64, 128 and 256 nM Carfilzomib. **Int J Cancer. 2018. PMID:29451304**

A2612 MG-115

MG-115 (Z-Leu-Leu-Nva-H) is a potent, reversible peptide aldehyde inhibitor of proteasome chymotrypsin-like and caspase-like activities with Ki of 21 nM for 20S proteasome and 35 nM for 26S proteasome.

Size 5 mg, 25 mg, 100 mg

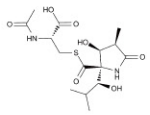


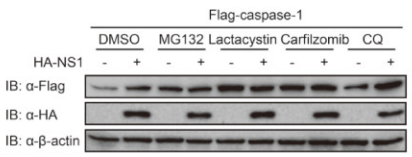
We incubated the transfected astrocytes with MG132 (10 μM), and MG132 abolished the induced reduction of GLT-1 while NH4Cl had no effect. **Neuroscience. 2017. PMID: 28993237**

A2583 Lactacystin (Synthetic)

Lactacystin is a specific and an irreversible inhibitor of proteasome with IC50 value of 4.8 μM.

Size 100 μg, 500 μg, 1 mg



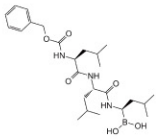


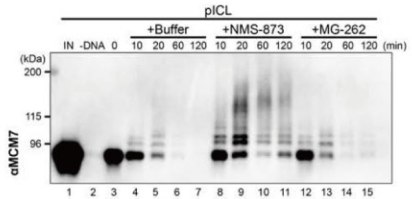
NS1 inhibits the proteasomal degradation of caspase-1. Immunoblot analysis of extracts of 293T cells transfected with Flag-caspase-1 together with empty vector or HA-NS1 then treated with MG132 (10 mM), lactacystin (5 μM), carfilzomib (100 mM), or CQ (50 mM) for 6 h. **EMBO J. 2018. PMID:30065070**

A8179 MG-262

MG-262 (also known as Z-Leu-Leu-Leu-B(OH)2), is a potent proteasome inhibitor that selectively and reversibly inhibits the chymotryptic activity of the proteasome withIC50 122 Nm.

Size 1 mg, 5 mg





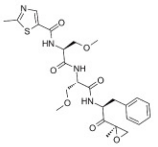
Polyubiquitylation of chromatin-bound MCM7. PICL (B) or pControl (C) was replicated in extract supplemented with buffer (+Buffer), 100 μM NMS-873 (+NMS-873), or 75 μM MG-262 (+MG-262). **Mol Cell Biol. 2016. PMID:27644328**

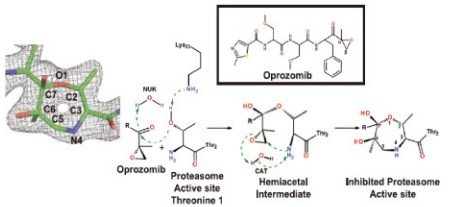
A1934 Oprozomib (ONX-0912)

Oprozomib (ONX 0912) is an orally bioavailable inhibitor for CT-L activity of 20S proteasome β5 and LMP7 with IC50 values of 36 nM and 82 nM, respectively.

Size 5 mg, 10 mg, 25 mg, 100 mg

4 citations



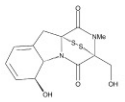


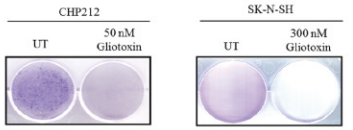
High-resolution human 20S proteasome in complex with inhibitors reveals prominent differences to earlier structures. The reaction mixture containing reaction buffer, 150 μM substrate and either Oprozomib (50 μM) were pre-incubated at 37 °C for 3 minutes. **Science. 2016. PMID:27493187**

A4443 Gliotoxin

Gliotoxin is an immunosuppressive agent which synthesized by Aspergillusfumigatus and other pathogenic fungi, inhibiting chymotrypsin-like activity of 20S proteasome.

Size 1 mg, 5 mg, 10 mg





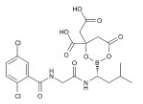
Gliotoxin induces O_2^- production to increase KIF1Bβ expression and apoptosis in neuroblastoma cells. SK-N-SH cells after 1 hour of Gliotoxin treatment at 50 nM and 300 nM respectively. **Sci Rep. 2017. PMID:29203804**

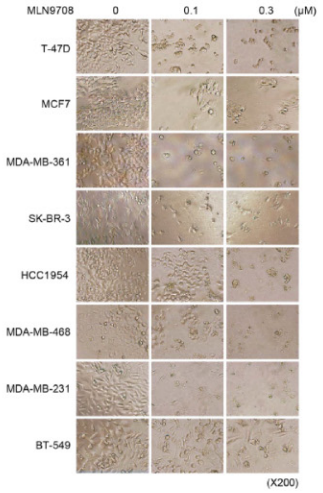
A4007 MLN9708

MLN9708 (ixazomib), a second-generation small-molecule proteasome inhibitor with IC50:3.4 nM，Ki:0.93 nM.

Size 5 mg, 10 mg, 50 mg, 100 mg

3 citations



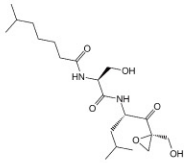


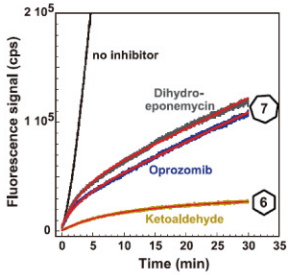
MLN9708 shows cytotoxic effect in breast cancer cells. Cells were incubated with medium alone or with MLN9708 (0.1 μM or 0.3 μM) for 72 h. **Sci Rep. 2016. PMID:27217076**

A8172 Dihydroeponemycin

Dihydroeponemycin is an inhibitor of proteasome and antitumor reagent with IC50: 100 nM.

Size 1 mg, 5 mg, 25 mg





Elucidation of the inhibition mechanism of epoxyketone inhibitors. the reaction mixture containing reaction buffer, 150 μM substrate and either Dihydroeponemycin (50 μM) were pre-incubated at 37 °C for 3 minutes. **Science. 2016. PMID:27493187**

Potency Comparison

Inhibitors	Proteasome	20s proteasome	Chymotrypsin-like activity of the 20S proteasome	Chymotrypsin-like proteolytic (β5) site of the 20S proteasome	Caspase-like(β1) proteolytic sites proteasome	20S proteasome LMP7
AM 114			* (IC50:1 μM)			
Bortezomib		**** (Ki:0.6 nM)				
Carfilzomib			**** (IC50<5 nM)			
Celastrol			* (IC50:2.5 μM)			
CEP-18770			**** (IC50:3.8 nM)			
Dihydroeponemycin						*
Epoxomicin						
MG-115				*	*	
MG-132	** (IC50:100 nM)					
MG-262			*			
MLN9708			***** (IC50:3.4 nM, Ki:0.93 nM)			
Oprozomib				*** (IC50:36 nM)		*** (IC50:82 nM)
PSI						

Notes: "*" represents potency. The higher the number of "*" is, the more potent an inhibitor or activator is. For more products information, please visit our website.

Other Inhibitors

Featured Products

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Cat.No.	Product Name	Short Summary	CAS	Solubility
B6032	CB-5083	p97 inhibitor	1542705-92-9	≥20.7 mg/mL in DMSO
B2168	NMS-873	VCP/p97 inhibitor, selective and allosteric	1418013-75-8	≥17.1 mg/mL in DMSO
A8629	DBeQ	p97 ATPase inhibitor	177355-84-9	≥16 mg/mL in DMSO
B1492	PYR-41	Inhibitor of Ubiquitin-Activating Enzyme (E1)	418805-02-4	≥18.6 mg/mL in DMSO

Product Citations

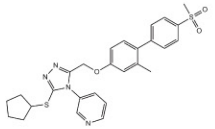
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

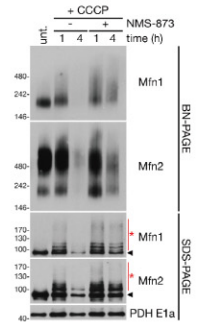
B2168 NMS-873

NMS-873 is a selective inhibitor of VCP with IC50 value of 30 nM.

Size 5 mg, 50 mg

3 citations



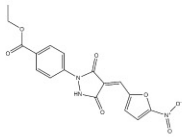


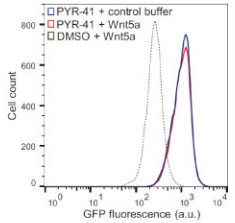
P97 governs ER-OMM contact via the extraction of Mfn2 complexes. GFP-parkin WT cells treated with 20 μM CCCP in the presence or absence of 25 μM NMS-873 for the indicated time, separated by blue native- (BN-) and SDS-PAGE. **Elife. 2018. PMID:29676259**

B1492 PYR-41

PYR-41 is the first cell-permeable inhibitor of ubiquitin-activating enzyme E1 (IC50 < 10 μM).

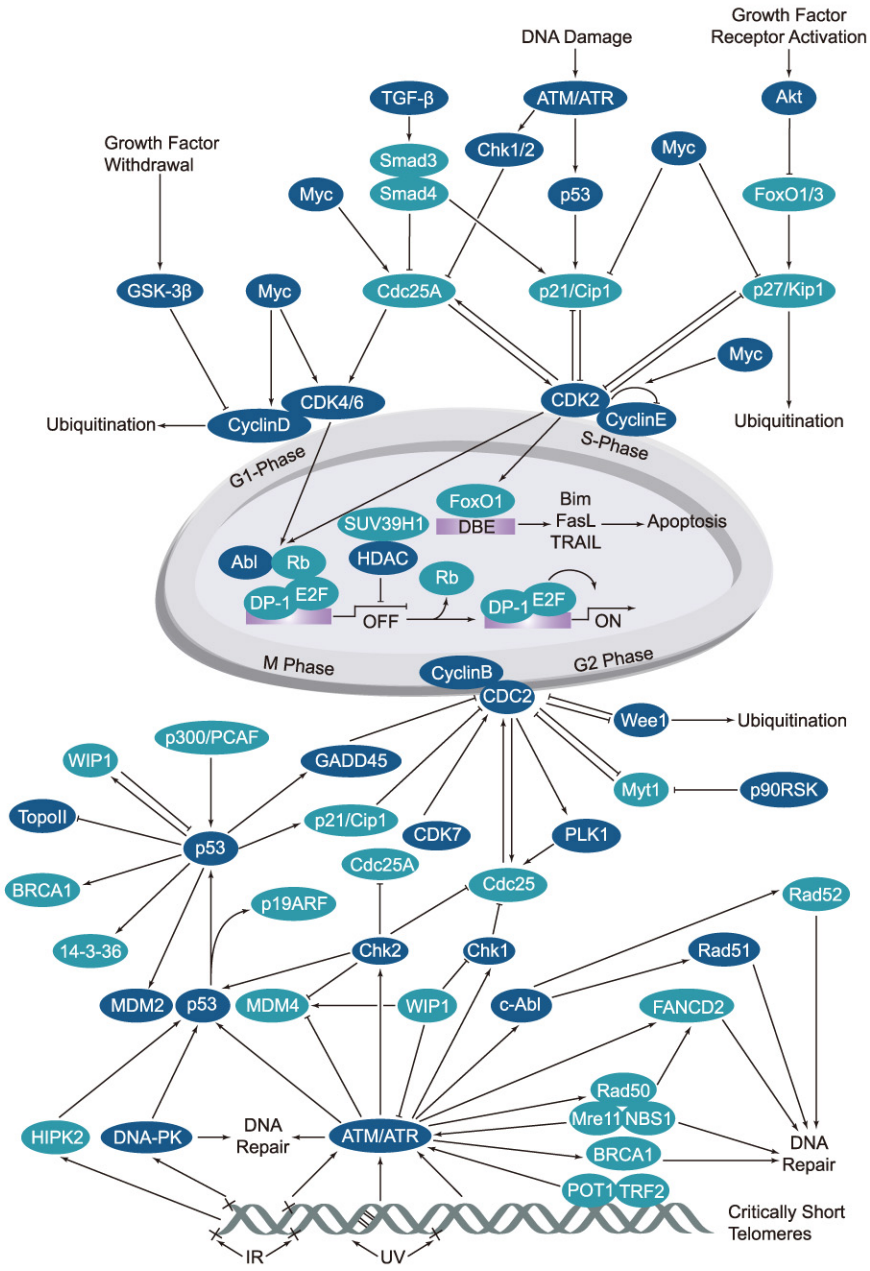
Size 10 mg, 25 mg





Wnt5a downregulates Kif26b levels via a ubiquitin/proteasome-dependent mechanism. Flow cytometry histograms depicting the effect of PYR-41 treatment (50 μM) on the ability of Wnt5a (0.2 μg/ml) to downregulate GFP-Kif26b fluorescence in the WRK reporter assay. **eLife. 2017. PMID:28885975**

Cell Cycle / Checkpoint



Introduction

The cell cycle is a regulatory system that controls the proper order and timing of cellular growth and division events. Mutation in the proteins regulating the cell cycle, leads to uncontrolled cell division or propagation of damaged DNA which contributes to genomic instability and oncogenesis.

The cell cycle is consisted of 4 main phases: Gap 1 (G1), DNA replication (S), Gap 2 (G2), and mitosis (M). There are “checkpoints” mechanism regulates the transition between these phases, at the G1/S boundary, in the S-phase and during G2/M phases. Cell can only pass through these checkpoints when signaling factors are activated and free of DNA damage. Important proteins that control cell cycle events and checkpoints are cullins, cyclins, cyclin-dependent kinases (Cdks), p53 and their inhibitors etc. Cdks family (Cdk2, Cdk3, Cdk4 and Cdk6) are Ser/Thr kinases that regulate cell cycle progression in association with cyclin binding partners (cyclin D, cyclin E and cyclin A) during all four phases. p53 halts the cell cycle if the DNA is damaged and allowing time for DNA repair to progress; it can also initiate apoptosis if DNA damage is too severe to be repaired.

ATM/ATR Inhibitors

See page 140 for the relevant product information.

Aurora Kinase Inhibitors

See page 80 for the relevant product information.

Chk Inhibitors

Featured Products

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Cat.No.	Product Name	Short Summary	CAS	Solubility
B1088	LY2606368	Chk1 inhibitor	1234015-52-1	<0.73 mg/mL in DMSO
A8638	LY2603618	Chk1 inhibitor, highly selective	911222-45-2	≥43.6 mg/mL in DMSO
A8477	MK-8776 (SCH-900776)	Chk1 inhibitor, potent and selective	891494-63-6	≥18.8 mg/mL in DMSO
A5919	AZD7762	Checkpoint kinase inhibitor, ATP competitive	860352-01-8	≥18.1 mg/mL in DMSO
A8394	CHIR-124	Chk1 inhibitor, novel and potent	405168-58-3	≥10.5 mg/mL in DMSO
B1236	BML-277	Chk2 inhibitor, potent and highly selective	516480-79-8	≥18.2 mg/mL in DMSO

Product Citations

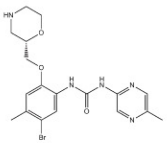
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

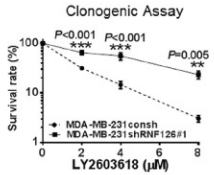
A8638 LY2603618

LY2603618 is a novel small molecular checkpoint kinase 1 (Chk1) inhibitor that has direct anti-tumour effect.

Size 5 mg, 10 mg, 50 mg, 200 mg

3 citations





CHK1 inhibition by pharmacological CHK1 inhibitors is more effective against BC cells expressing a higher level of RNF126. Cells were treated with various concentrations of LY2603618 for 8 h. **Clin Cancer Res. 2018. PMID: 29326282**

Cyclin-Dependent Kinase Inhibitors

Featured Products

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Cat.No.	Product Name	Short Summary	CAS	Solubility
A8882	THZ1	Covalent CDK7 inhibitor, potent and selective	1604810-83-4	≥28.3 mg/mL in DMSO
A8316	PD 0332991 (Palbociclib) HCl	CDK4/6 inhibitor, highly selective	827022-32-2	≥2.4 mg/mL in DMSO, ≥24.2 mg/mL in H_2O
A8736	THZ531	CDK12 and CDK13 covalent inhibitor	1702809-17-3	≥55.8 mg/mL in DMSO
A8885	Ro 3306	An ATP-competitive, potent CDK1 inhibitor	872573-93-8	≥4.4 mg/mL in DMSO
A8412	Dinaciclib (SCH727965)	Potent CDK inhibitor	779353-01-4	≥17.15 mg/mL in DMSO
B7798	PD 0332991 (Palbociclib)	CDK4/6 inhibitor, highly selective	571190-30-2	Soluble in DMSO
A8326	AZD-5438	Potent CDK1/2/9 inhibitor	602306-29-6	≥18.6 mg/mL in DMSO
A1723	Roscovitine (Seliciclib, CYC202)	CDK inhibitor, potent and selective	186692-46-6	≥17.7 mg/mL in DMSO
A8335	Palbociclib (PD0332991) Isethionate	CDK4/6 inhibitor, highly selective	827022-33-3	≥28.7 mg/mL in DMSO
A8640	Flavopiridol hydrochloride	CDK inhibitor, potent and selective	131740-09-5	≥21.9 mg/mL in DMSO
A3417	Flavopiridol	Pan-cdk inhibitor	146426-40-6	≥40.2 mg/mL in DMSO
B4736	THZ1 Hydrochloride	CDK7 inhibitor	N/A	≥30.1 mg/mL in DMSO
B4754	LDC000067	CDK9 inhibitor, novel and highly specific	1073485-20-7	≥18.5 mg/mL in DMSO
A1794	LY2835219	CDK4/6 inhibitor, potent and selective	1231930-82-7	≥30.1 mg/mL in DMSO
A5719	AT7519	Multi-CDK inhibitor	844442-38-2	≥9.55 mg/mL in DMSO with gentle warming
A8641	LEE011	CDK4/6 inhibitor	1211441-98-3	≥10.9 mg/mL in DMSO

Cat.No.	Product Name	Short Summary	CAS	Solubility
A8717	THZ2	CDK7 inhibitor	1604810-84-5	≥28.3 mg/mL in DMSO
B6042	K03861	CDK2 inhibitor	853299-07-7	≥50.2 mg/mL in DMSO
A1980	SNS-032 (BMS-387032)	CDK inhibitor	345627-80-7	≥19.1 mg/mL in DMSO

Product Citations

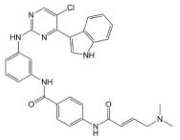
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

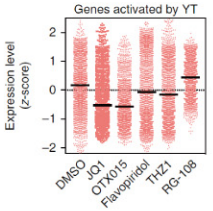
A8882 THZ1

THZ1 is an irreversible, potent and selective inhibitor of CDK7 (cyclin-dependent kinase 7) with an IC50 value of 3.2 nM.

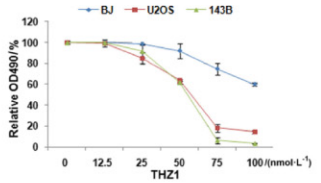
Size 5 mg, 10 mg, 25 mg

9 citations

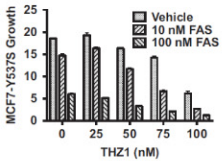




BRD4 associates to YAP/TAZ and is a required cofactor for YAP/TAZ transcriptional activity. **Nat Med. 2018. PMID: 30224758**



Super enhancer inhibitors suppress osteosarcoma proliferation and induce apoptosis. Cells were treated with different concentration of THZ1 and JQ1, then cell proliferation was measured by OD490 at day4 after treatment. **Bone Res. 2018. PMID:29644114**



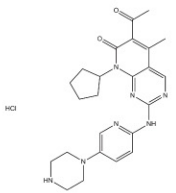
Inhibition of MCF7-Y537S growth by the CDK7 inhibitor THZ1 in combination with anti-estrogens. Cells were grown in DMEM containing 10% FCS over a 12-day period in the presence of 10 or 100 nM FAS, together with 20, 50, 75 or 100 nM THZ1. **Oncogene. 2017. PMID:27748765**

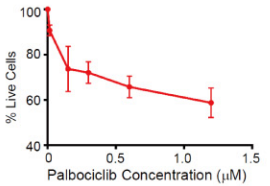
A8316 PD 0332991 (Palbociclib) HCl

PD-0332991 is a selective and oral inhibitor of cyclin-dependent kinase 4/6 with IC50 values of 11nM and 16nM, respectively.

Size 5 mg, 25 mg

4 citations





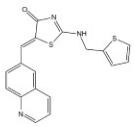
Comparison of GM12878 and P3HR1 Growth and Survival Screens.Dose-response analysis of GM12878 treated with the CDK4/6 antagonist palbociclib for 48 hours. **Cell Host Microbe. 2017. PMID:28494239**

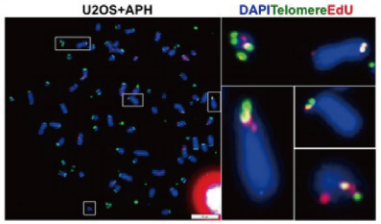
A8885 Ro 3306

RO-3306 is an ATP-competitive, potent CDK1 inhibitor with Ki values of 35 and 110 nM for cdk1/cyclin B1 and cdk1/cyclin A, respectively.

Size 10 mg, 50 mg

4 citations





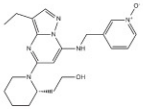
Replication stress induces mitotic DNA synthesis at telomeres in both ALT and telomerase+ cells. Cells were synchronized in the late G2 phase with the CDK1 inhibitor RO3306 either simultaneously or during the last 8 hrs of the APH treatment. **Oncotarget. 2018. PMID:29662610**

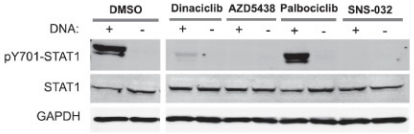
A8412 Dinaciclib (SCH727965)

Dinaciclib is a potent CDK inhibitor with IC50 values for CDK2, CDK5, CDK1 and CDK9 at 1 nM, 1 nM, 3 nM, and 4 nM, respectively.

Size 5 mg, 25 mg, 50 mg

2 citations



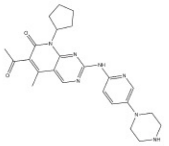


Multiple CDK Inhibitors Block DNA-Induced STAT Activation. THP-1 cells were treated with CDK inhibitors R547 (10 nM), dinaciclib (10 nM), AZD-5438 (50 nM), palbociclib (50 nM), SNS-032 (100 nM), or DMSO, and transfected with DNA. **Proc Natl Acad Sci U S A. 2018. PMID:29507205**

B7798 PD 0332991 (Palbociclib)

PD-0332991 is selective and oral inhibitor of cyclin-dependent kinase 4/6 with IC50 values of 11 nM and 16 nM, respectively for CDK4 and CDK6.

Size 5 mg, 25 mg

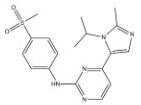


A8326 AZD-5438

AZD5438 is a potent small molecule inhibitor of CDK 1, 2 and 9 with IC50 values of 16 nM, 6 nM and 20 nM, respectively.

Size 10 mg, 50 mg, 100 mg

2 citations

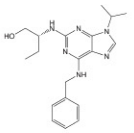


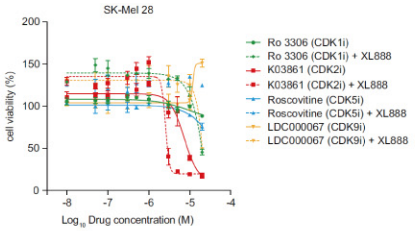
A1723 Roscovitine (Seliciclib, CYC202)

Roscovitine is a potent inhibitor of Cdk2/cyclin E, Cdk7/cyclin H, Cdk5/p35 and cdc/cyclin B with IC50 values of 0.1, 0.49, 0.16 and 0.65 μM, respectively.

Size 5 mg, 10 mg, 25 mg, 100 mg

2 citations



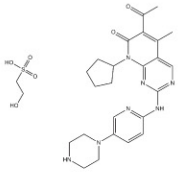


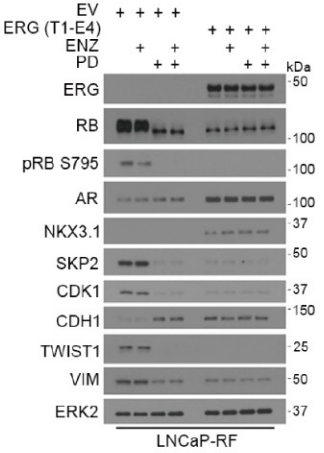
The data clearly show that only the inhibition of CDK2 has an effect on cell viability, which was potentiated by the simultaneous treatment with Hsp90i. Cell sensitivity to Ro 3306, K03861, Roscovitine, and LDC000067 with 200 nM XL888 (Hsp90i) at 72 h was analyzed. **Mol Syst Biol. 2018. PMID: 29507054**

A8335 Palbociclib (PD0332991) Isethionate

Palbociclib is an orally active, potent and highly selective inhibitor of CDK4 and CDK6, with IC50 values for CDK4/cyclinD1, CDK4/cyclinD3 and CDK6/cyclinD2 of 11, 9 and 15 nmol/l, respectively.

Size 10 mg, 25 mg, 50 mg

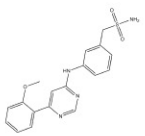




Differential responses of 932 ERG-positive and ERG-negative human xenograft and mouse allograft tumors with PTEN/TP53 alterations to enzalutamide and palbociclib. LNCaP-RF cells were treated with or without palbociclib (PD, 1 μM). **Clin Cancer Res. 2018. PMID: 29844131**

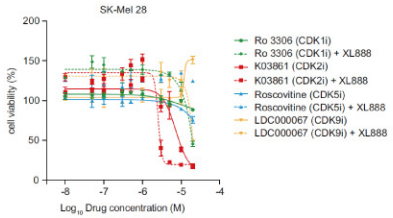
B4754 LDC000067

LDC000067 (LDC067) is a novel specific inhibitor of CDK9 with IC50 value of 44 ± 10 nM.



Size 10 mg, 50 mg

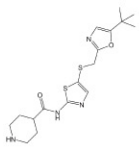
2 citations



The data clearly show that only the inhibition of CDK2 has an effect on cell viability, which was potentiated by the simultaneous treatment with Hsp90i. Cell sensitivity to Ro 3306, K03861, Roscovitine, and LDC000067 with 200 nM XL888 (Hsp90i) at 72 h was analyzed. **Mol Syst Biol. 2018. PMID: 29507054**

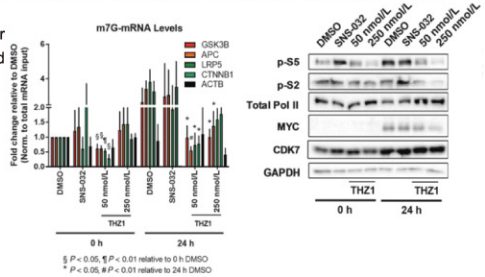
A1980 SNS-032 (BMS-387032)

SNS-032 (BMS-387032) is a potent and selective inhibitor of CDKs 2, 7, and 9 with IC50 values of 38 nM, 62 nM and 4 nM, respectively.



Size 5 mg, 25 mg, 100 mg

2 citations



MYC mediates mRNA cap methylation of Wnt/b-catenin signaling pathway transcripts by recruiting CDK7 to gene promoters. Cells were treated with 100 nmol/L SNS-032 and 50 nmol/L or 250 nmol/L THZ1 for 24 hours and 1 hour, respectively. **Mol Cancer Res. 2017. PMID: 27899423**

Microtubule / Tubulin Inhibitors

Featured Products

APExBIO provides over 9000 products, for all the available compounds in this category, please visit our website.

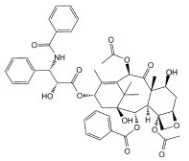
Cat.No.	Product Name	Short Summary	CAS	Solubility
A4393	Paclitaxel (Taxol)	Antineoplastic agent	33069-62-4	≥42.7 mg/mL in DMSO
A4394	Docetaxel	Microtubulin disassembly inhibitor	114977-28-5	≥40.4 mg/mL in DMSO
A1765	Vincristine sulfate	Microtubule disrupter, antitumor agent	2068-78-2	≥46.2 mg/mL in DMSO
A3324	Colchicine	Tubulin Inhibitor	64-86-8	≥20 mg/mL in DMSO
A1630	Epothilone B (EPO906, Patupilone)	Microtubule stabilizing macrolide	152044-54-7	≥25.4 mg/mL in DMSO
B2157	Cabazitaxel	Microtubule associated inhibitor	183133-96-2	≥22.3 mg/mL in DMSO

Product Citations

Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

A4393 Paclitaxel (Taxol)

Paclitaxel is a microtubule polymer stabilizer with IC50 of 0.1 pM in human endothelial cells.



Size 50 mg, 100 mg, 500 mg

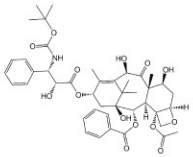
3 citations

	MDA-MB-231	MDA-MB-231+Triptolide	P Value	MCF-7	MCF-7+Triptolide	P Value
	IC50(μM,mean ±SD)			IC50 (μM,mean ±SD)		
Doxorubicin	2.7±0.19	0.87±0.06	P<0.05	5.3±0.21	1.9±0.04	P<0.05
Paclitaxel	$3x10^{-3}$±$6x10^{-4}$	$2.5x10^{-3}$±$3x10^{-4}$	p>0.05	$5.1x10^{-3}$±$5x10^{-4}$	$4.4x10^{-3}$±$7x10^{-4}$	p>0.05
5-Fluorouracil	23.2±2.6	25.5±3.1	p>0.05	7.7±1.2	6.9±0.8	p>0.05
Mitomycin C	9.6±0.33	8.5±0.21	p>0.05	6.1±0.53	6.3±0.29	p>0.05

Triptolide specifically increases breast cancer cells' drug sensitivity to Doxorubicin. MDA-MB-231 and MCF-7 cells were pretreated with DMSO or Triptolide for 3 hours then removed the medium,followed by incubation with different chemotherapy drugs in fresh medium for additional 48 hours. **Mol Carcinog. 2018. PMID:29500880**

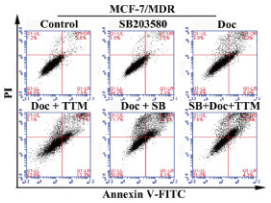
A4394 Docetaxel

Docetaxel, an analog of taxol, is an inhibitor of depolymerisation of microtubules by binding to stabilized microtubules.



Size 50 mg, 100 mg

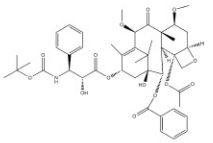
2 citations



TTM do not further enhance apoptosis induced by SB203580 in Doc-treated MDR cells. Flow cytometry analysis of cell death by AnnexinV-FITC/PI staining of MCF-7/MDR and K562/MDR cells incubated with 1 μM Doc and/or 30 μM TTM and/or 10 μM SB203580. **Oncotarget. 2017. PMID:29254218**

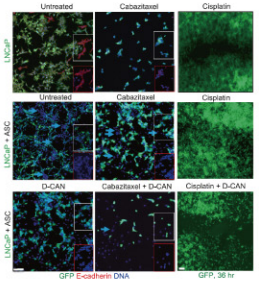
B2157 Cabazitaxel

Cabazitaxel(XRP6258; RPR-116258A) is a semi-synthetic derivative of the natural taxoid 10-deacetylbaccatin III with potential antineoplastic activity. Cabazitaxel exerts its effects by inhibiting microtubule growth and assembly.



Size 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

3 citations



ASC promote chemoresistance and ASC depletion potentiates chemotherapy. In cell culture experiments, 0.01 mM DCAN, 50 ng/ml docetaxel, 50 ng/ml cabazitaxel, and 5 μg/ml cisplatin were used. **Oncogene. 2018. PMID:30361686**

Potency Comparison

Inhibitors	Microtubule	Tubulin	Chromosome mis-alignment
10-DAB (10-Deacetylbaccatin)	*		
ABT-751 (E7010)	*		
Vincristine	*** (Ki:0.085 μM)		
Colchicine		* (IC50:3.2 μM)	
Monomethyl auristatin E		*	
MPC 6827 hydrochloride	**** (IC50:1.5 - 3.4 nM)		
ABT-751 (E7010)	*		
Vincristine	*** (Ki:0.085 μM)		
INH6			*
D-64131		*** (IC50:62 nM)	
CYT997 (Lexibulin)	*** (IC50:10-100 nM)		
Dolastatin 10		* (IC50:1.2 μM)	
CW069	* HSET(IC50:75 μM)		
Vincristine	*** (Ki:0.085 μM)		
TAI-1			*

Activators	Microtubule	Tubulin	Chromosome mis-alignment
Paclitaxel (Taxol)	***** (IC50:0.1 pM)		
CK-636	*		
Epothilone A	*		
Epothilone B (EPO906, Patupilone)	*		
Docetaxel	*		
Paclitaxel (Taxol)	***** (IC50:0.1 pM)		
Docetaxel Trihydrate	*		
Docetaxel	*		

Notes: "*" represents potency. The higher the number of "*" is, the more potent an inhibitor or activator is. For more products information, please visit our website.

PERK Inhibitors

Featured Products

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Cat.No.	Product Name	Short Summary	CAS	Solubility
A3448	GSK2606414	PERK inhibitor, potent and selective	1337531-36-8	≥22.6 mg/mL in DMSO
B2175	GSK2656157	PERK inhibitor	1337532-29-2	≥20.8 mg/mL in DMSO
B3699	ISRIB (trans-isomer)	PERK inhibitor, potent and selective	1597403-47-8	≥22.55 mg/mL in DMSO
B6093	ISRIB	PERK signaling inhibitor	548470-11-7	≥15 mg/mL in DMSO with gentle warming

Product Citations

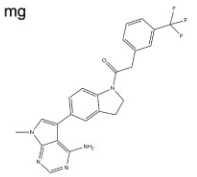
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

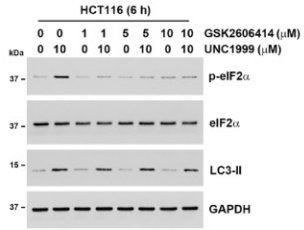
A3448 GSK2606414

GSK2606414 is a potent and selective inhibitor of PERK with IC50 value of 0.4 nM.

Size 5 mg, 10 mg, 50 mg, 200 mg

2 citations





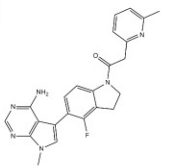
PCR array analysis identified the activation of the PERK/eIF2α arm by EZH2 inhibitors.HCT116 cells were treated with indicated doses of UNC1999 with or without GSK2606414 for 6 h. **Am J Cancer Res. 2016. PMID: 27648357**

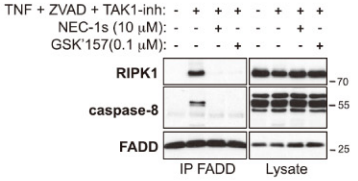
B2175 GSK2656157

GSK2656157 is a highly selective inhibitor of protein kinase R-like ER kinase (PERK) with IC50 value of 0.9nM.

Size 5 mg, 10 mg, 50 mg, 100 mg

2 citations





The PERK inhibitors GSK2606414 (GSK'414) and GSK2656157 (GSK'157) protect cells from TNF-mediated RIPK1 kinase-dependent cell death. Immortalized MEFs were pretreated for 30 min with ZVAD-fmk (50 μM), TAK1-inh (1 μM) and the indicated compounds and then stimulated for 2 h with hTNF (1 ng/ml). **Cell Death Differ. 2017. PMID:28452996**

PLK Inhibitors

Featured Products

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Cat.No.	Product Name	Short Summary	CAS	Solubility
A8558	BI6727 (Volasertib)	PLK inhibitor, highly potent	755038-65-4	≥10.3 mg/mL in DMSO
A3965	BI 2536	PLK1 inhibitor, potent and ATP-competitive	755038-02-9	≥13 mg/mL in DMSO
A8441	GSK461364	PLK1 inhibitor	929095-18-1	≥15.65 mg/mL in DMSO
A8681	Ro3280	PLK1 inhibitor, potent and highly selective	1062243-51-9	≥27.2 mg/mL in DMSO

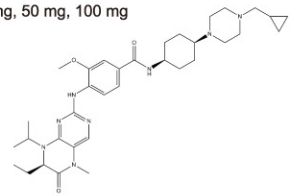
Product Citations

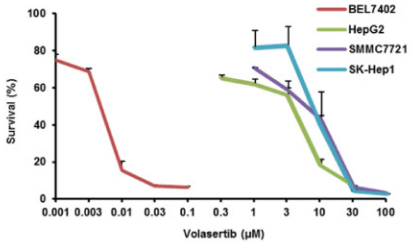
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

A8558 BI6727 (Volasertib)

BI6727 is a high potent inhibitor of Polo-like kinase with IC50 value of 0.87 nM.

Size 5 mg, 10 mg, 50 mg, 100 mg



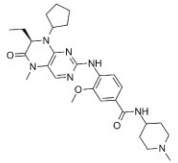


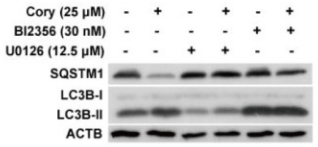
Volasertib inhibits the growth of HCC cells in vitro. The four HCC cell lines BEL7402, HepG2, SMMC7721 and SK-Hep-1 were treated with various concentration (0～100 μM) of volasertib for 72 hr. **Am J Cancer Res. 2016. PMID:27904765**

A3965 BI 2536

BI 2536 is a potent inhibitor of PLK1 with IC50 of 0.83 nM.

Size 5 mg, 10 mg, 50 mg





MAP2K2 and PLK1 are involved in the regulation of compound-induced neuronal autophagy. N2a cells were pretreated with 12.5 μM U0126 (MAP2K2 inhibitor) or 30 nM BI2356 (PLK1 inhibitor) for 2 h and then co-treated with 25 μM Cory for another 24 h. **Autophagy. 2017. PMID: 28933595**

Other Inhibitors

Featured Products

APExBIO provides over 9000 products, for all the available compounds in this category, please visit our website.

Cat.No.	Product Name	Short Summary	CAS	Solubility
A1952	NSC 23766	Selective inhibitor of Rac1-GEF interaction	1177865-17-6	≥26.6 mg/mL in DMSO
A1352	Zoledronic Acid	Potent nitrogen-containing bisphosphonates	118072-93-8	≥6.8 mg/mL in H_2O with gentle warming
B4897	CCG-1423	RhoA inhibitor	285986-88-1	≥21 mg/mL in DMSO
B1464	KPT-330	CRM1 inhibitor, orally bioavailable and selective	1393477-72-9	≥15.2 mg/mL in DMSO
B4889	Verdinexor (KPT-335)	XPO1/CRM1 inhibitor	1392136-43-4	≥44.2 mg/mL in DMSO
B1462	KPT-185	CRM1 inhibitor, selective and irrversible	1333151-73-7	≥17.8 mg/mL in DMSO
A5755	MK-1775	Wee1 kinase inhibtor, potent and ATP-competitive	955365-80-7	≥25 mg/mL in DMSO
A3721	PHA-767491	Cdc7/cdk9 inhibitor, potent, ATP-competitive	845714-00-3	≥10.7 mg/mL in DMSO
A1169	10058-F4	C-Myc-Max dimerization inhibitor	403811-55-2	≥24.9 mg/mL in DMSO
A3742	Pyridostatin	Drug used for promoting growth arrest	1085412-37-8	≥20.85 mg/mL in DMSO
B3280	Kif15-IN-1	potent Kif15 kinesin inhibitor	672926-32-8	Soluble in DMSO
A5343	Ispinesib (SB-715992)	Kinesin spindle protein (KSP) inhibitor	336113-53-2	≥25.9 mg/mL in DMSO
B2169	IPA-3	Non-ATP competitive Pak1 inhibitor	42521-82-4	≥16.1 mg/mL in DMSO

Product Citations

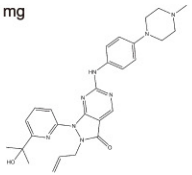
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

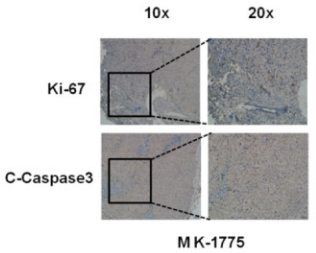
A5755 MK-1775

MK-1775 is a potent and selective small molecule inhibitor of Wee1 kinase, with an IC50 value of 5.2 nM in in vitro kinase assays.

Size 5 mg, 10 mg, 50 mg, 100 mg

2 citations





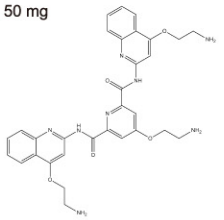
MK-1775 inhibited the growth of KB-3-1 xenografts in nude mice. The mice were randomized into two groups and taken orally with vehicle alone (0.5% methylcellulose) or MK-1775 (50 mg/kg) twice daily. **Front Pharmacol. 2018. PMID:30323762**

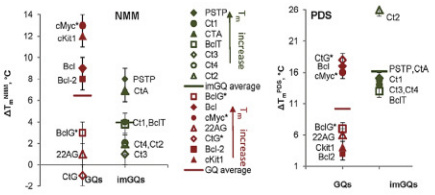
A3742 Pyridostatin

Pyridostatin is a G-quadruplexe stabilizer with Kd of 490 nM in a cell-free assay, which targets a series of proto-oncogenes including c-kit, K-ras and Bcl-2.

Size 5 mg, 10 mg, 25 mg, 50 mg

2 citations



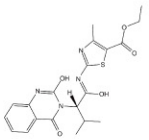


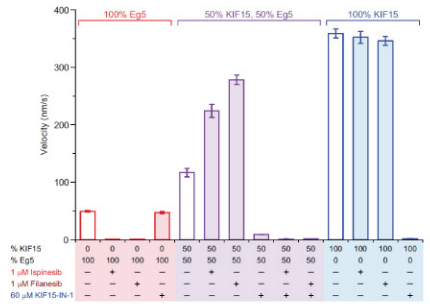
PDS more efficiently stabilizes imGQs than NMM. Conditions: 1.5 μM G4 and 3 μM NMM/PDS in buffer 1 (20 mM Tris-HCl (pH 7.6), 10 mM KCl). **Biochimie. 2017. PMID:28109719**

B3280 Kif15-IN-1

Kif15-IN-1, a potent Kif15 kinesin inhibitor; inhibits cellular proliferation in various tumor cell lines.

Size 5 mg, 10 mg, 50 mg, 100 mg



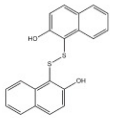


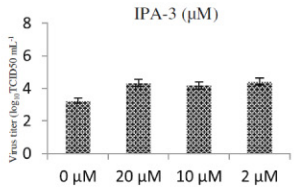
KIF15 Rescues MT Gliding When Eg5 Is Fully Inhibited. MT-gliding velocities (mean ± SE) in the presence (shaded bars) or absence (open bars) of inhibitors, at the indicated concentrations. **Proc Natl Acad Sci U S A. 2018. PMID: 29703754**

B2169 IPA-3

IPA-3 is an autoregulatory domain inhibitor of p21-activated kinase (Pak) with IC50 value of 2.5 μM.

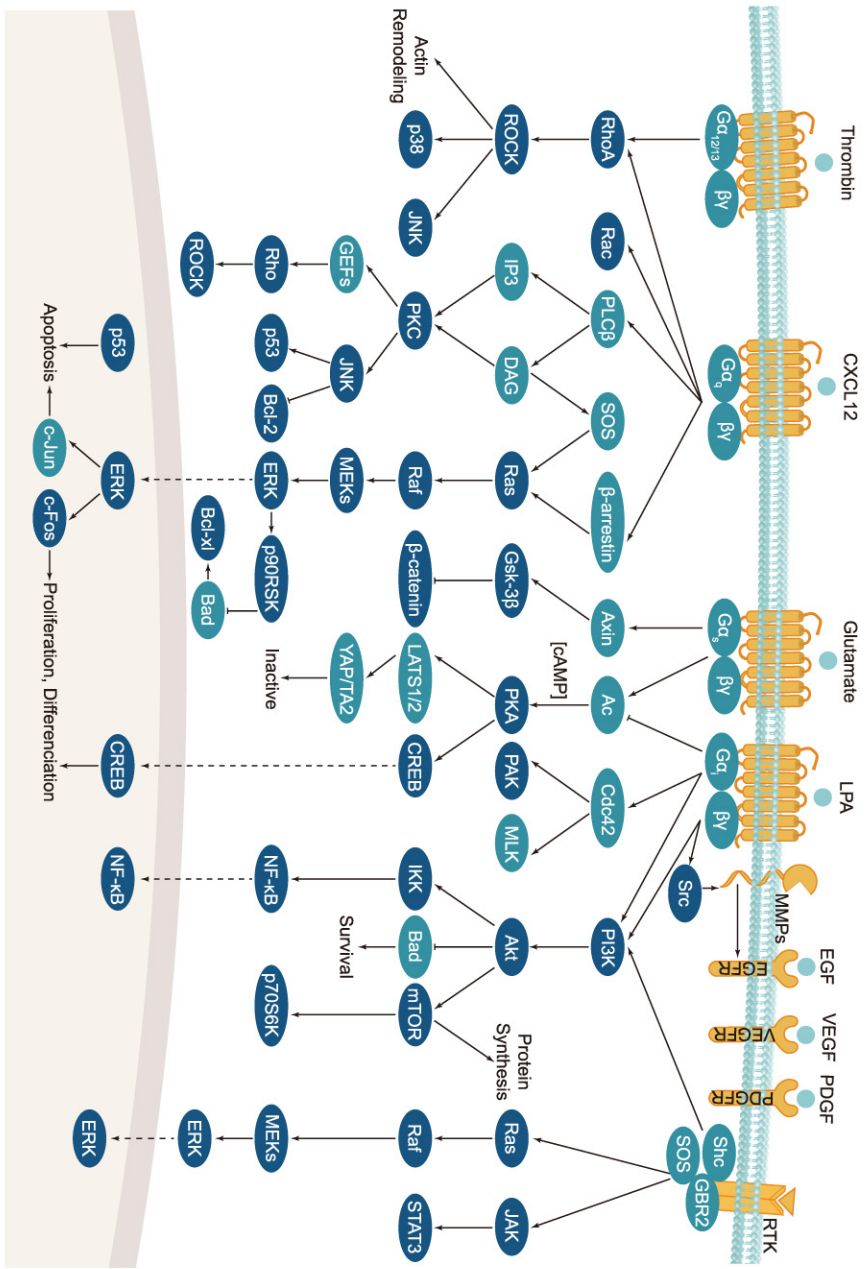
Size 5 mg, 10 mg, 50 mg





Inhibitor screening for GCRV104 infection. CIK cells were treated with different inhibitors at the indicated concentrations and then infected with GCRV104 (MOI = 5) for 5 days. **Virol J. 2018. PMID:29793525**

GPCR / G protein



Introduction

G-protein-coupled receptors (GPCRs) mediate a wide range of physiological responses to environmental stimulants, neurotransmitters, hormones cytokines and lipid signaling molecules. As a result, GPCRs play a significant role in biological processes such as vision, olfaction, the autonomic nervous system, and behavior.

All GPCRs share a common seven trans-membrane structure. GPCRs are associated with heterotrimeric G-proteins which are GTP-binding proteins made of alpha, beta, and gamma subunits. When a ligand binds to GPCR, it activates the attached G-protein, the GDP is replaced with GTP. The activated G-protein then dissociates into an alpha and a beta-gamma complex which activates downstream signaling pathways. These intracellular signaling pathways include cAMP/PKA, calcium/NFAT, phospholipase C, protein tyrosine kinases, MAP kinases, PI-3-kinase, nitric oxide/cGMP, Rho, and JAK/STAT.

GPCRs are one of the most important therapeutic targets for various diseases, over 30% of all modern medicinal drugs target this family. Aberrant GPCR functions are involved in pathological conditions such as neurological, immunological and hormonal disorders. A large number of GPCRs have been identified, but whose ligands are not known, are classified as orphan receptors.

5-HT Receptor Inhibitors

See page 220 for the relevant product information.

Adrenergic Receptor Inhibitors / Activators

Featured Products

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Cat.No.	Product Name	Short Summary	CAS	Solubility
B1344	Phenylephrine HCl	Selective α1-adrenergic receptor agonist	61-76-7	≥10.1835 mg/mL in DMSO
B6766	CL 316243 disodium salt	Murine-selective β3 adrenoceptor agonist	151126-84-0	<46.58 mg/mL in H_2O
B1346	Propranolol HCl	Competitive non-selective beta-adrenergic receptors inhibitor	318-98-9	≥12.4 mg/mL in DMSO
B1360	Ivabradine HCl	Adrenergic receptor inhibitor	148849-67-6	≥25.25 mg/mL in DMSO
B1336	Isoprenaline HCl	β-adrenergic receptor agonist	51-30-9	≥12.4 mg/mL in DMSO
B3341	Sotalol hydrochloride	β-adrenergic receptor antagonist	959-24-0	≥15.441 mg/mL in DMSO

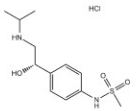
Product Citations

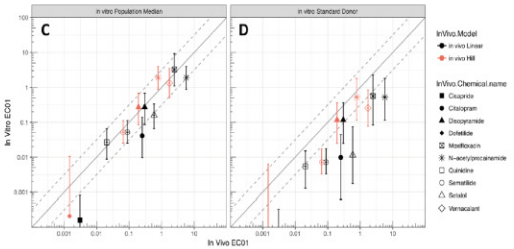
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

B3341 Sotalol hydrochloride

Sotalol hydrochloride is a potent and non-selective antagonist of β-adrenergic receptor. Sotalol is also an inhibitor of potassinm channels with the IC50 value of ~1.2mM in HEK cell lines.

Size 50 mg, 100 mg, 200 mg





Percent change from baseline in the in vitro decay-rise ratio would predict the percent change from baseline in the in vivo QTc interval. (C-D) Comparison of in vivo EC01 with in vitro EC01 based on (C) population median and (D) standard donor (1434). **Clin Pharmacol Ther. 2018 PMID: 30346629**

Cannabinoid Receptor Inhibitors

Featured Products

APExBIO provides over 9000 products, for all the available compounds in this category, please visit our website.

Cat.No.	Product Name	Short Summary	CAS	Solubility
B6603	AM 281	CB1 antagonist	202463-68-1	≥1.86 mg/mL in DMSO with ultrasonic and warming
B1427	AM251	Potent CB1 antagonist	183232-66-8	≥55.5 mg/mL in DMSO with gentle warming
A3168	AM630	CB2 receptor antagonist, selective and competitive	164178-33-0	≥25.2 mg/mL in DMSO
B1429	Rimonabant	CB1 receptor antagonist	168273-06-1	≥23.2 mg/mL in DMSO

Product Citations

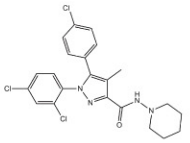
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

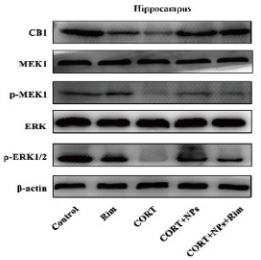
B1429 Rimonabant

Rimonabant (SR141716) is a potent and selective antagonist of CB1 and CB2 with Ki values of 1.8 nM and 514 nM, respectively.

Size 25 mg, 100 mg, 1 g

2 citations





Protective effects of Cur/SLNs- HU-211 on mice depression model. Group V, mice with major depression that received Cur/SLNs-HU-211 and rimonabant (3 mg/kg). Drugs were given daily. **Cell Physiol Biochem 2017. PMID:28848078**

CXCR Inhibitors

Featured Products

APExBIO provides over 9000 products, for all the available compounds in this category, please visit our website.

Cat.No.	Product Name	Short Summary	CAS	Solubility
B3266	AMG 487	CXCR3 antagonist, potent and selective	473719-41-4	≥122.2 mg/mL in DMSO
A3802	SCH 527123	CXCR1 and CXCR2 receptors antagonist	473727-83-2	≥19.9 mg/mL in DMSO
A2025	Plerixafor (AMD3100)	CXCR4 chemokine receptor antagonist	110078-46-1	≥25.14 mg/mL in EtOH; 3 mg/mL in H_2O with gentle warming
B1465	Plerixafor 8HCl (AMD3100 8HCl)	CXCR4 antagonist	155148-31-5	≥155.4 mg/mL in H_2O
A3752	Reparixin	Inhibitor of CXCL8 receptor and CXCR1/CXCR2 activation	266359-83-5	≥14.2 mg/mL in DMSO
A3173	AMD-070	CXCR4 antagonist, potent and selective	558447-26-0	≥17.5 mg/mL in DMSO

Product Citations

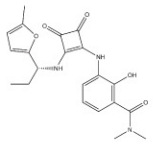
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

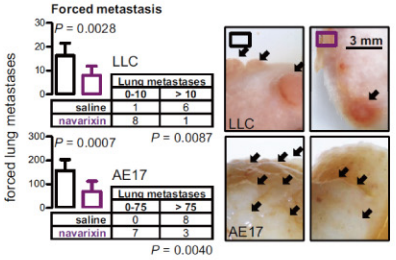
A3802 SCH 527123

SCH-527123 is a novel, selective CXC chemokine receptor 2 (CXCR2) antagonist.

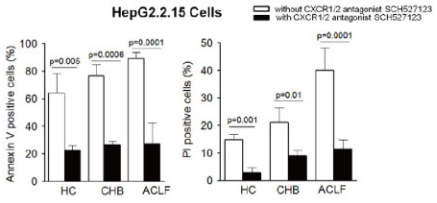
Size 5 mg, 10 mg, 50 mg, 200 mg

5 citations

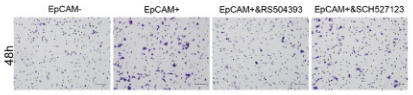




Targeting CXCR1/2 prevents pulmonary metastasis by circulating NRAS-mutant tumor cells. C57BL/6 mice were treated with 200 μl saline or the CXCR1/2 antagonist navarixin (300 μg in 200 μl saline) by oral gavage for 7 days. **EMBO Mol Med. 2017. PMID:28341702**



CXCR 1/2 receptors blockade with SCH 527123 antagonist prevent contact-dependent cell death. Neutrophils from HC, CHB, and ACLF groups were incubated with and without CXCR1 and CXCR2 antagonist SCH 527123 (100 nm) along with E. coli stimulation (100ng/ml) for 18 h. **Front Immunol. 2017. PMID:28484461**



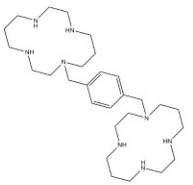
pTAFs could recruit cancer stem cells from tumor tissues and increase the stemness of cancer cells.EpCAM+ cells were 1 h pretreated with/without CCR2 inhibitor RS 504393 or CXCR1/CXCR2 inhibitor SCH 527123 with final concentrations of 100 nM and 50 nM respectively. **Cancer Letters. 2017.**

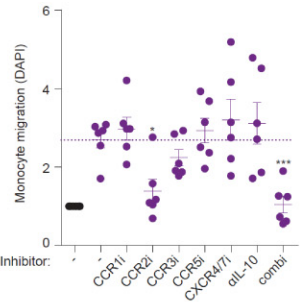
A2025 Plerixafor (AMD3100)

Plerixafor (AMD3100) is a small-molecule antagonist of CXCR4 and CXCL12-mediated chemotaxis with IC50 of 44 nM and 5.7 nM, respectively.

Size 25 mg, 50 mg, 100 mg

2 citations



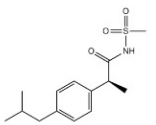


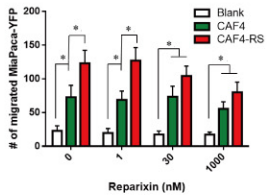
CD40L-stimulated CLL cells attract monocytes as a result of CCR2 axis signaling. The following chemokine receptor inhibitors were used: 1 μM CXCR4/7 inhibitor Plerixafor. **Haematologica. 2017. PMID:28971904**

A3752 Reparixin

Reparixin is a non-competitive allosteric inhibitor of CXCR1/2.

Size 5 mg, 10 mg, 200 mg





Effect of reparixin on transwell cancer migration. For reparixin and SB225002, toxicity assays were performed by 24 hours of incubation at varying concentrations with 40,000 MiaPaca2-YFP or 20,000 CAF cells cultured in 24-well plates. **Mol Cancer Res. 2017. PMID:27678171**

Potency Comparison

Inhibitors	CXCR1	CXCR2	CXCR3	CXCR4
AMD-070				*** (IC50:13 nM)
Plerixafor 8HCl				*** (IC50:44 nM)
Reparixin		*		
SCH 527123	*** (IC50:42 nM)	**** (IC50:3 nM)		
AMD 3465 hexahydrobromide				*
AMD 3465				*
SCH 546738			***** (Ki:0.4 nM)	

Notes: "*" represents potency. The higher the number of "*" is, the more potent an inhibitor or activator is. For more products information, please visit our website.

Glucocorticoid Receptor Inhibitors

Featured Products

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Cat.No.	Product Name	Short Summary	CAS	Solubility
B1511	Mifepristone	Progesterone receptor antagonist	84371-65-3	≥21.5 mg/mL in DMSO
B1951	Hydrocortisone	Steroid hormone or glucocorticoid	50-23-7	≥13.3 mg/mL in DMSO
B7469	Corticosterone	Endogenous glucocorticoid	50-22-6	≥14.5 mg/mL in DMSO
B1896	Betamethasone	Glucocorticoid receptor agonist	378-44-9	≥19.6 mg/mL in DMSO

Product Citations

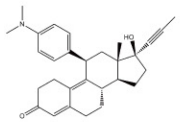
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

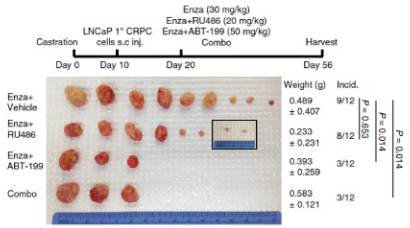
B1511 Mifepristone

Mifepristone (RU486) is a potent antagonist of progesterone receptor, used as a contraceptive agent.

Size 100 mg, 1 g

2 citations





BCL-2 inhibitor prevents AR+/hi LNCaP 2° CRPC. Drugs were delivered as follows: (1) Enza (n = 12, 30 mg/kg); (2) Enza (30 mg/kg) + RU486/ Mifepristone (20 mg/kg, i.p. 5 times per week61) (n = 12). **Nat Commun. 2018. PMID: 30190514**

LPA Receptor Inhibitors

Featured Products

APExBIO provides over 9000 products, for all the available compounds in this category, please visit our website.

Cat.No.	Product Name	Short Summary	CAS	Solubility
A1987	Ki16425	LPA receptor antagonist	355025-24-0	≥23.8 mg/mL in DMSO
A3166	AM095	Potent LPA1 receptor antagonist	1345614-59-6	≥23.9 mg/mL in DMSO
B7818	ONO-7300243	LPA1 antagonist	638132-34-0	≥46.1 mg/mL in DMSO
B1591	Ki16198	LPA antagonist	355025-13-7	≥24.45 mg/mL in DMSO

Product Citations

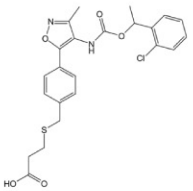
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

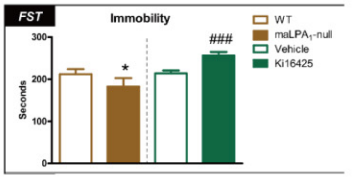
A1987 Ki16425

Ki16425 is a subtype-selective antagonist of lysophosphatidic acid receptor (LPA) with Ki values of 0.34 μM for LPA1, 6.5 μM for LPA2, and 0.93 μM for LPA3.

Size 5 mg, 25 mg, 100 mg

4 citations





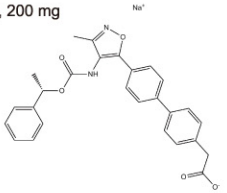
The LPA1 receptor is required for normal stress coping responses. Ki16425(400 nM) dissolved in a vehicle solution (veh) (3% fatty acid-free bovine serum albumin /PBS) were intracerebroventricularly (i.c.v.) injected 30 min before the FST or the TST. **Dis Model Mech. 2018. PMID:30061118**

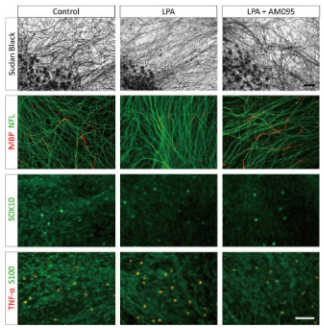
A3166 AM095

AM095 is a novel, potent and orally bioavailable antagonist of lysophosphatidic acid type 1 receptor (LPA1) with IC50 values of 0.73 and 0.98 μM for mouse or recombinant human LPA1, respectively.

Size 5 mg, 10 mg, 50 mg, 200 mg

3 citations





LPA causes demyelination of DRG cultures in an LPA1 dependent manner. Cultures were treated with forskolin-omitted MMM containing either vehicle (0.01% BSA and 0.1% DMSO), 10 μM LPA + 0.1% DMSO or 10 μM LPA + 10 μM AM095 for 24 h. Neurosci Lett. 2017. PMID:29051083

Potency Comparison

Inhibitors	LPA1 Receptor	LPA2 Receptor	LPA3 Receptor
AM095	** (IC50:0.98 μM)		
AM966	*** (IC50:17 nM)		
Ki16198	** (Ki:0.34 μM)		** (Ki:0.93 μM)
Ki16425	** (Ki:0.34 μM)	* (Ki:6.5 μM)	** (Ki:0.93 μM)

Notes: "*" represents potency. The higher the number of "*" is, the more potent an inhibitor or activator is. For more products information, please visit our website.

Other Inhibitors / Activators

Featured Products

APExBIO provides over 9000 products, for all the available compounds in this category, please visit our website.

Cat.No.	Product Name	Short Summary	CAS	Solubility
A8454	Istradefylline (KW-6002)	Selective A2A receptor antagonist	155270-99-8	≥8.77 mg/mL in DMSO
B5164	NECA	Adenosine receptor agonist, non-selective	35920-39-9	≥15.35 mg/mL in DMSO
B1879	AdipoRon	AdipoR1 and AdipoR2 agonist, orally active	924416-43-3	≥21.5 mg/mL in DMSO
B1007	AVE 0991	Agonist of angiotensin-(1-7) receptor	304462-19-9	≥29 mg/mL in DMSO
B2206	PD123319	Angiotensin AT2 receptor antagonist	130663-39-7	≥22.4 mg/mL in DMSO
C3633	Apelin-13	Endogenous ligand of the APJ receptor	217082-58-1	≥155.1 mg/mL in DMSO
A3494	INCB3344	CCR2 chemokine receptor antagonist	1262238-11-8	≥25.9 mg/mL in DMSO
A3684	ONO-AE3-208	EP4 receptor antagonist, high affinity and selective	402473-54-5	≥40.4 mg/mL in DMSO with gentle warming
B7792	AH 7614	FFA4/GPR120 antagonist	6326-06-3	≥35.1 mg/mL in DMSO
B4672	INT-777	TGR5 receptor agonist, potent and selective	1199796-29-6	Soluble in DMSO
B7023	MK 571	leukotriene D4 receptor antagonist, orally active	115104-28-4	<5.15 mg/mL in DMSO
A8548	Fingolimod (FTY720)	S1P receptors agonist	162359-56-0	≥17.2 mg/mL in DMSO
B6038	Ozanimod (RPC1063)	Agonist of the sphingosine-1-phosphate receptor subtypes 1 and 5	1306760-87-1	≥40.4 mg/mL in DMSO
B3225	BAF312 (Siponimod)	S1P agonist, potent and selective	1230487-00-9	≥194.8 mg/mL in DMSO
B6364	PRE-084 hydrochloride	Selective σ1 receptor agonist	75136-54-8	≥17.3 mg/mL in DMSO
B4979	Octreotide acetate	Octapeptide congener of native somatostatin	83150-76-9	≥54 mg/mL in DMSO
B1633	CTEP (RO4956371)	MGlu5 inhibitor	871362-31-1	≥19.6 mg/mL in DMSO
A1748	Ramelteon	Agonist of melatonin receptor (M1-M2), highly selective	196597-26-9	≥13 mg/mL in DMSO
B3278	BQ-788 sodium salt	ET B-receptor antagonist, potent and selective	156161-89-6	≥33.2 mg/mL in DMSO
A3408	Exendin-4	GLP-1 activator	141758-74-9	≥145 mg/mL in DMSO
B4575	AL 8810	Antagonist of prostaglandin F2α (FP) receptor	246246-19-5	Soluble in DMSO
B6890	U 46619	Selective agonist of prostaglandin H2 (PGH2)/ thromboxane A2 (TxA2) (TP) receptor	56985-40-1	Soluble in methyl acetate (supplied pre-dissolved-10 mg/mL)
B7005	Prostaglandin E2	Endogenous prostaglandin	363-24-6	≥35.2 mg/mL in EtOH

Adenosine Receptor / Angiotensin Receptor / S1P Receptor / Prostanoid Receptor

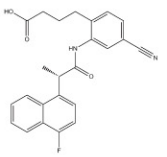
Product Citations

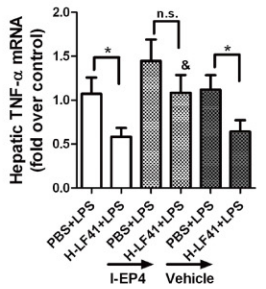
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

A3684 ONO-AE3-208

ONO-AE3-208 is a high affinity and selective EP4 receptor antagonist (Ki values are 1.3, 30, 790 and 2400 nM for EP4, EP3, FP and TP receptors respectively)

Size 5 mg, 10 mg, 25 mg



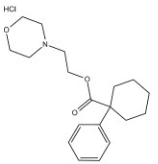


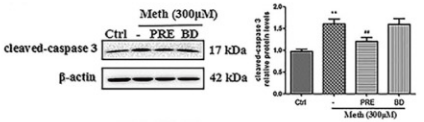
PGE2-EP4 pathway is in charge of LF41-mediated attenuation of hepatic TNF-α expression.To perform in vivo inhibition of activity of EP-4, mice orally receiving daily IG inoculation of a EP-4-specific inhibitor ONA-AE3-208 (I-EP4) (5 mg/kg), from day 1 to day 10. **PLoS One. 2015. PMID:25978374**

B6364 PRE-084 hydrochloride

PRE-084 hydrochloride is a selective σ1 agonist with Ki: 2.2 and 13091 nM for σ1 and σ2 receptors respectively.

Size 10 mg, 50 mg



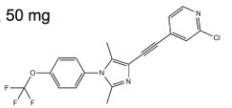


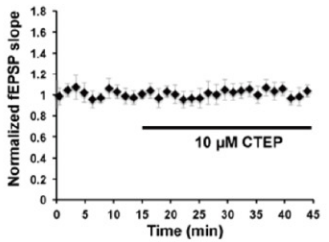
sig - 1R is involved in the pro - apoptotic effect of Meth. Meth (300 μM) significantly augmented Kv2.1 protein expression, and preincubation with the sig - 1R agonist PRE - 084 (20 μM) for 1 hour. **J Appl Toxicol. 2018. PMID: 29297590**

B1633 CTEP (RO4956371)

CTEP is a potent, long-acting, and orally bioavailable inhibitor of metabotropic glutamate receptor 5 (mGlu5) with IC50 value of 11.4 nM.

Size 5 mg, 10 mg, 25 mg, 50 mg





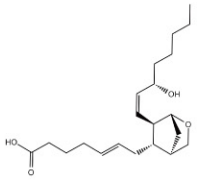
Baseline fEPSPs in drug settings.CTEP (10 μM; 102.5 ± 1.8% of baseline, n=4 mice, 7 slices, p>0.05). **Ann Neurol. 2016. PMID:27315032**

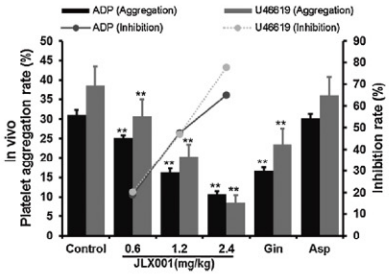
GPCR / G protein

B6890 U 46619

U46619 is a selective agonist of prostaglandin H2 (PGH2)/ thromboxane A2 (TxA2) (TP) receptor.

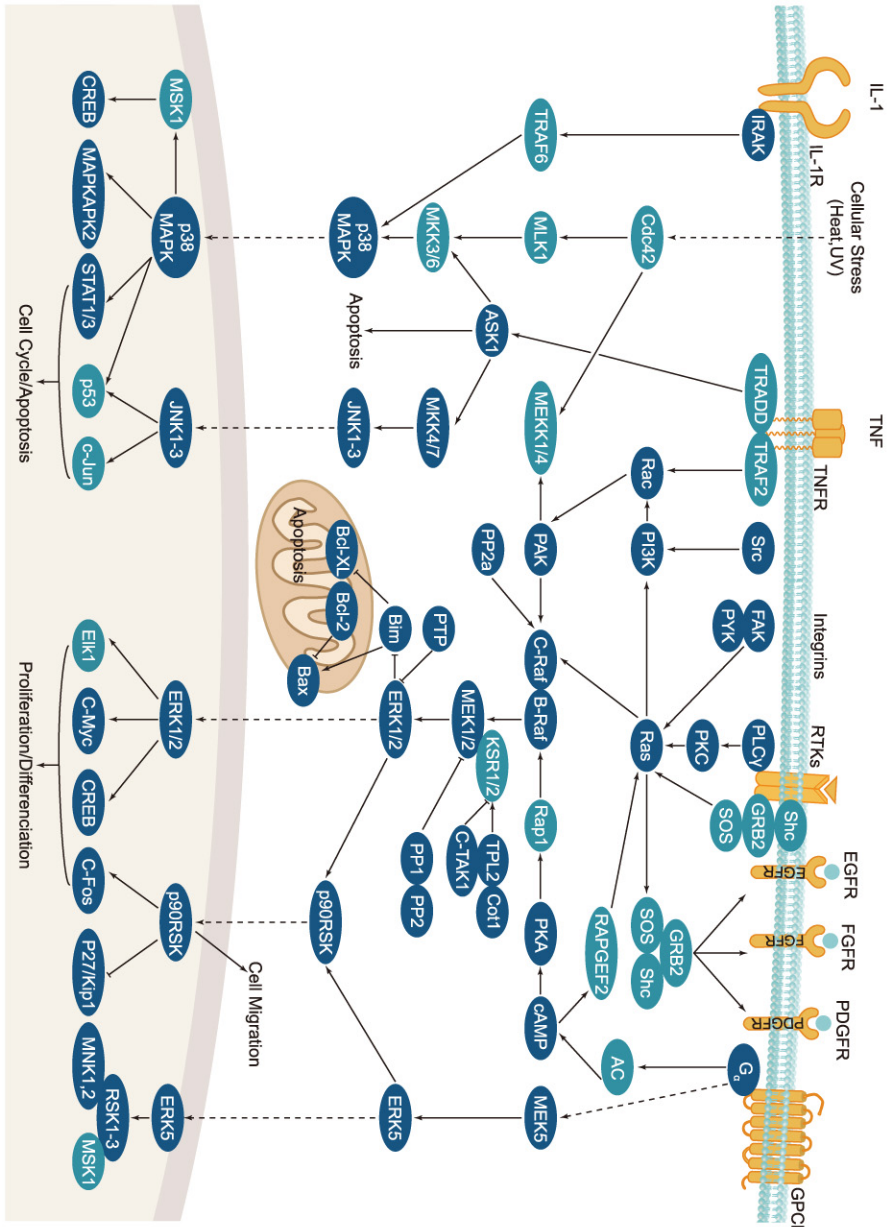
Size 1 mg, 5 mg, 10 mg





Inhibition effect of JLX001 on platelet aggregation in vivo. PRP was incubated with normal saline, Ginaton (10 mg/L), Aspirin (10^{-4} M) or JLX001 (10^{-3}, 3×10^{-4}, 10^{-4}, 3×10^{-5} and 10^{-5} M) in prior to stimulation with different aggregating agents.
Biomed Pharmacother. 2018. PMID:29990874

MAPK Signaling



Introduction

The mitogen-activated protein kinase (MAPK) is a highly conserved family of serine/threonine kinases that mediate a board range of cellular processes, including proliferation, differentiation, motility, migration, stress response, apoptosis and survival. The activation of MAPK involves signaling pathways consisting of MAPK kinase (i.e. MAPKKK or MEKK) that activates MAPK/ERK (i.e. MAPKK or MEK). A variety of extracellular signals such as mitogens, cytokines, growth factors, and environmental stressors stimulate a phosphorylation-dependent increase in the activity of MAPK.

Activated MAPKs transduce the phosphorylation and activation of MAPK-activated protein kinases (MAPKAPKs), e.g. RSK, MSK, or MNK family, and MK2/3/5. There are three main MAPK families, signal-regulated kinase 1 and 2 (Erk1/2 or p44/42), the c-Jun N-terminal kinases 1-3 (JNK1-3)/ stress activated protein kinases (SAPK1A, 1B, 1C), the p38 isoforms (p38α, β, γ, and δ). ERK signaling is involved in cell division, migration and survival. p38 MAPK and JNK/SAPK pathways are activated by cellular stress. The p38 MAPK pathway regulates cell motility, transcription, and chromatin remodeling. JNK/SAPK signaling affects apoptosis and inflammation. Dysregulation of MAPK pathway results in tumorgenesis and other pathological conditions.

MEK1 / 2 Inhibitors

Featured Products

APExBIO provides over 9000 products, for all the available compounds in this category, please visit our website.

Cat.No.	Product Name	Short Summary	CAS	Solubility
A1663	PD98059	MEK inhibitor, selective and reversible	167869-21-8	≥13.35 mg/mL in DMSO
A1337	U0126-EtOH	MEK1/2 inhibitor	1173097-76-1	≥21.4 mg/mL in DMSO
A3018	Trametinib (GSK1120212)	MEK1 and MEK2 inhibitor, potent and selective	871700-17-3	≥15.38 mg/mL in DMSO
A3805	SCH772984	ERK1 and ERK2 inhibitor	942183-80-4	≥14.7 mg/mL in DMSO with gentle warming
A3013	PD0325901	MEK inhibitor	391210-10-9	≥24.1 mg/mL in DMSO
A3004	Vemurafenib (PLX4032, RG7204)	BRAF kinase inhibitor	918504-65-1	≥24.5 mg/mL in DMSO
A8207	AZD6244 (Selumetinib)	MEK inhibitor	606143-52-6	≥22.9 mg/mL in DMSO
B5817	GDC-0994	ERK1/2 inhibitor	1453848-26-4	≥44.1 mg/mL in DMSO
A3321	Cobimetinib	Selective MEK inhibitor	934660-93-2	≥26.6 mg/mL in DMSO
A5573	Pimasertib (AS-703026)	MEK1/2 inhibitor	1236699-92-5	≥21.6 mg/mL in DMSO

Cat.No.	Product Name	Short Summary	CAS	Solubility
A5801	BIX 02189	Selective MEK5 inhibitor	1094614-85-3	≥22.1 mg/mL in DMSO
B1135	GDC-0623	MEK1 inhibitor, potent and ATP-uncompetitive	1168091-68-6	≥16.85 mg/mL in DMSO
B5866	SCH772984 HCl	ERK1/2 inhibitor	N/A	≥23.5 mg/mL in H_2O with gentle warming
A1894	SL-327	Selective MEK1/2 inhibitor	305350-87-2	≥16.8 mg/mL in DMSO
A1792	PD184352 (CI-1040)	Selective MEK inhibitor	212631-79-3	≥47.9 mg/mL in DMSO

Product Citations

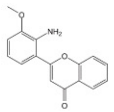
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

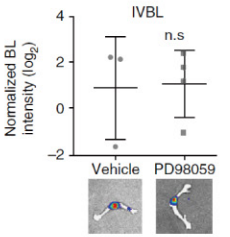
A1663 PD98059

PD98059 is a selective and reversible inhibitor of MAPK-activating enzyme with IC50 values of both about 10 μM for basal MEK (GST-MEK1) and a partially activated MEK produced by mutation of serine to glutamate at 218 and 222 residues (GST-MEK-2E).

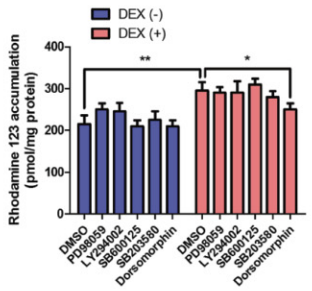
Size 10 mg, 50 mg, 100 mg

6 citations

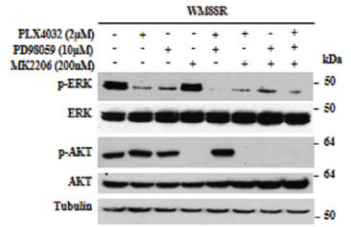




Cancer cells in BICA recapitulate the cancer–niche interaction. Torin 1, PD98059 and danusertib were injected via intraperitoneal (i.p.) injection, daily, at the dosage of 6.8, 10 and 15 mg/kg, respectively. **Nat Commun. 2017. PMID:28429794**



DEX suppressed the function and expression of P-gp via the AMPK pathway. Cells were pretreated with the following inhibitors for 1 h before exposure to DEX: PD98059 (10 μM), LY294002 (20 μM), SB600125 (10 μM), SB203580 (10 μM) and dorsomorphin (10 μM). **Mol Med Rep.2018. PMID:29393492**



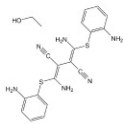
Synergistic growth inhibition of combination with AKT, MEK, and BRAF inhibitors also is dependents on PTEN status in BRAF inhibitor-resistant melanoma. Cells were treated for 2 h with 2.0 μmol/L PLX4032 (+), 10 μmol/L PD98059 (+) or 200 nmol/L MK2206 (+) and DMSO (−) control. **Oncogene. 2018. PMID:29551771**

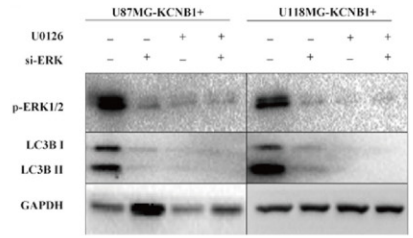
A1337 U0126-EtOH

U0126-EtOH is a selective inhibitor of MEK1 and MEK2 with IC50 values of 70 nM and 60 nM, resepctively.

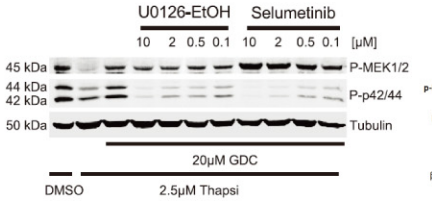
Size 5 mg, 25 mg, 100 mg

6 citations

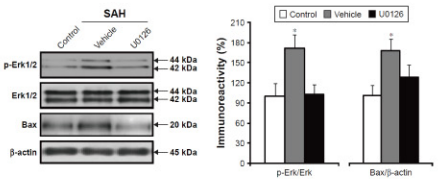




KCNB1 regulates autophagy via the ERK pathway. **Sci Rep. 2017. PMID:28144039**



The two MEK inhibitors dose dependently reverse GDC-0879-dependent p44/42 phosphorylation and block the survival benefit conferred by GDC-0879 on podocytes. **Cell Chem Biol. 2017. PMID:29249695**



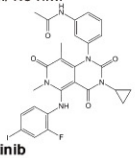
Blockade of TNF-α can inhibit the increased expression of p-Erk in the hypothalamus. U0126 (dissolved in PBS/2% dimethyl sulfoxide, 5 μg/μL, 6 μL per rat) was microinfused into the left lateral cerebral ventricle 30 min before SAH. **Neuropsychiatr Dis Treat. 2018. PMID:29497296**

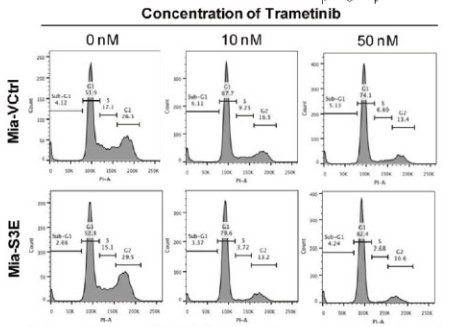
A3018 Trametinib (GSK1120212)

Trametinib (GSK1120212) is a highly specific and potent inhibitor of MEK1/2 with IC50 of 0.92 nM/1.8 nM.

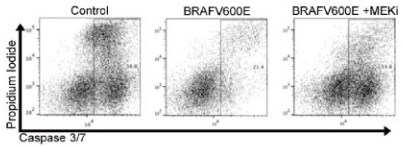
Size 50 mg, 200 mg, 500 mg

5 citations

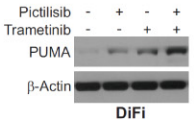




The activation of MAPK/ERK pathway contributes to cell proliferation in Sema3E-overexpressing MiaPaCa-2 cells. The stock solution was diluted in DMEM media and added to cells at 10 or 50 nM for 24 h. **Oncotarget. 2016. PMID: 27911862**



Resistance to apoptosis is rescued by MEKi treatment during growth factor starvation in BRAFV600E+ BMDCs as measured by increased caspase 3/7 activation. Caspase 3/7 activation measured in control and BRAFV600E BMDCs starved of GM-CSF growth factor overnight, ±1 nM GSK1120212. **J Exp Med. 2017. PMID: 29263218**



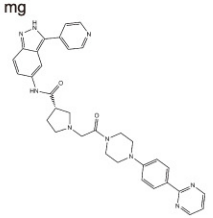
Combined inhibition of PI3K and MEK further enhanced PUMA induction. Western blotting of PUMA in DiFi cells treated with 0.2 μM of pictilisib, 0.2 μM of the MEK inhibitor trametinib, or their combination for 72 hr. **Oncogene. 2018. PMID:29755130**

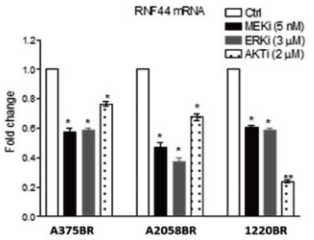
A3805 SCH772984

SCH772984 is a novel, specific inhibitor of ERK1/2 with IC50 values of 4 nM and 1 nM, respectively.

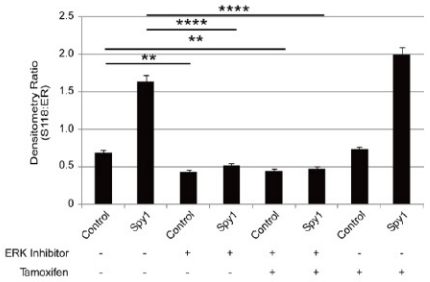
Size 5 mg, 10 mg, 25 mg, 50 mg

5 citations

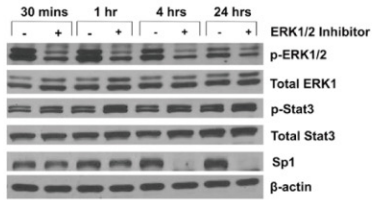




Upregulated RNF44 expression is related to hyperactivation of ERK and AKT in BR cell lines. BR cell lines were treated with MEKi (trametinib, 5 nM), ERKi (SCH772984, 3 μM), or AKTi (MK-2206, 2 μM) for 24 h, and their RNF44 levels were determined by qRT-PCR. **Mol Oncol. 2017. PMID:29094484**



Targeting Spy1-directed ERK activation sensitizes cells to tamoxifen. For ERK1/2 inhibition, 10 μM SCH772984 was added to the cells for 1 hour prior to treatment with tamoxifen for 24 hours. **Oncotarget. 2017. PMID:28423577**



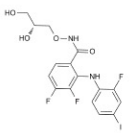
Effect of ERK1/2 inhibition on Sp1 and IL-10 levels. Em-TCL1 CLL cells were cultured with the ERK1/2 inhibitor (SCH772984) (2 μM). **J Immunol. 2018. PMID: 29712773**

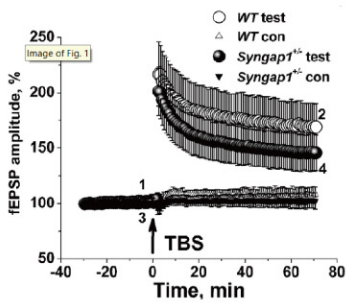
A3013 PD0325901

PD0325901 is a specific inhibitor of mitogen-activated protein kinase MEK.

Size 5 mg, 25 mg, 100 mg, 500 mg

4 citations





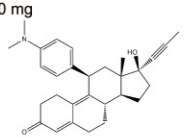
Effect of heterozygous targeted deletion of the Syngap1 gene on electrophysiological parameters measured in the CA1 area of hippocampal slices. Syngap1+/- and WT mice received daily oral administrations of either PD-0325901 at a dose of 20 mg/kg for six days. **Pharmacol Rep. 2018. PMID:29940508**

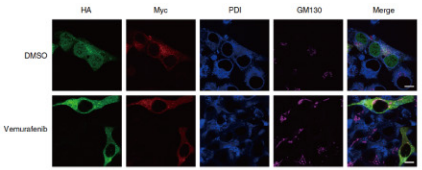
A3004 Vemurafenib (PLX4032, RG7204)

Vemurafenib (PLX4032, RG7204) is a novel and potent inhibitor of B-RafV600E with IC50 of 31 nM.

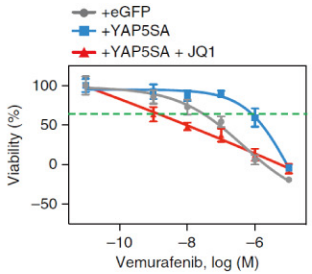
Size 10 mg, 50 mg, 200 mg, 500 mg

9 citations

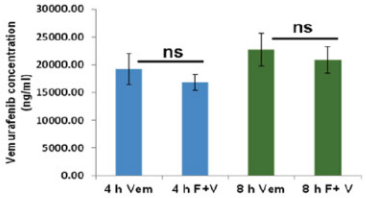




Effects of vemurafenib on lipid metabolism involves SREBP-1. The following compounds were used at the stated concentrations: vemurafenib (5 μM). **Nat Commun. 2018. PMID:29950559**



Treatment with BET inhibitors blunts YAP/TAZ-driven responses in vivo. Viability curves of parental WM3248 cells (per se vemurafenib sensitive) transduced with eGFP or YAP5SA, treated with increasing doses of vemurafenib (1 nM to 10 μM) with or without JQ1 (1 μM). **Nat Med. 2018. PMID:30224758**



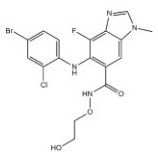
Fisetin induced modulation of YB-1/RSK signaling is associated with decrease in MDR1. Intracellular vemurafenib concentration in A375 melanoma cells with/without fisetin treatment (60 μM) at 4 and 8 hours, quantified by LC-MS/MS analysis. **Sci Rep. 2018. PMID: 30356079**

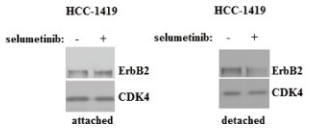
A8207 AZD6244 (Selumetinib)

AZD6244 is a highly potent and selective inhibitor of MEK1/2 with IC50 value of 14.1nM against MEK1.

Size 100 mg, 500 mg

2 citations





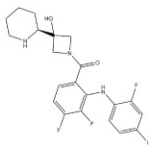
Mek activity is required for ErbB2 expression in breast cancer cells detached from the ECM. HCC-1419 cells were cultured attached to (attached) or detached from (detached) the ECM in the presence of DMSO (-) or 1μM selumetinib (+) for 5h. **Oncotarget. 2017. PMID:29285258**

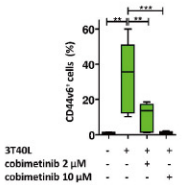
A3321 Cobimetinib

Cobimetinib is a selective inhibitor of mitogen-activated protein kinase kinase (MEK) with IC50 value of 0.9 nM.

Size 5 mg, 10 mg, 25 mg, 50 mg

2 citations



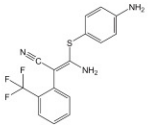


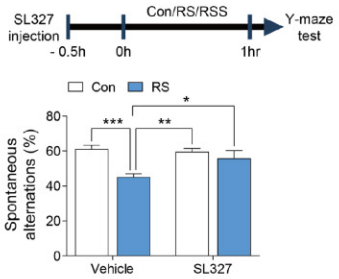
NF-kB machineries in human CLL cells induce CD44v6 and support their proliferative capacity. For MEK inhibition, cells were treated with 2 or 10 μM Cobimetinib (APExBIO) for 24 h. **Blood. 2018. PMID:29352038**

A1894 SL-327

SL-327 is a selective inhibitor of MEK1 and MEK2 with IC50 values of 0.18 and 0.22 μM, respectively.

Size 5 mg, 25 mg, 100 mg





Inhibition of ERK1/2 phosphorylation rescued the restraint stress-induced working memory impairment. SL327 at 30 mg/kg dosage was administered 30 min before inducing restraint stress in mice. **Sci Rep. 2018. PMID:30104581**

Potency Comparison

Activators	Pan-MEK	MEK1/2	MEK1	MEK2	MEK5
AZD6244 (Selumetinib)			*** (IC50:14 nM)		
AZD8330		**** (IC50:7 nM)			
BIX 02188					**** (IC50:4.3 nM)
BIX 02189					**** (IC50:1.5 nM)
GDC-0623			***** (Ki:0.13 nM)		
MEK162 (ARRY-162, ARRY-438162)		*** (IC50:12 nM)			
PD0325901		***** (IC50:0.33 nM)			
PD184352 (CI-1040)	** (Ki:300 nM)				
PD318088		*			
PD98059			* (IC50:10 μM)		
Pimasertib (AS-703026)		**** (IC50:5 nM-2 μM)			
TAK-733			**** (IC50:3.2 nM)		
Trametinib (GSK1120212)			***** (IC50:0.92 nM)	**** (IC50:1.8 nM)	
Trametinib DMSO solvate			***** (IC50:0.7 nM)	***** (IC50:0.9 nM)	
U0126-EtOH			*** (IC50:0.07 μM)	*** (IC50:0.06 μM)	

Notes: "*" represents potency. The higher the number of "*" is, the more potent an inhibitor or activator is. For more products information, please visit our website.

JNK Inhibitors / Activators

Featured Products

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Cat.No.	Product Name	Short Summary	CAS	Solubility
A4604	SP 600125	JNK1/2/3 inhibitor	129-56-6	≥11 mg/mL in DMSO
B6674	Anisomycin	JNK agonist, potent and specific	22862-76-6	≥26.5 mg/mL in DMSO
A3520	JNK-IN-8	JNK inhibitor, selective and irreversible	1410880-22-6	≥25.4 mg/mL in DMSO
B7321	TCS JNK 6o	JNK inhibitor	894804-07-0	Soluble in DMSO

Product Citations

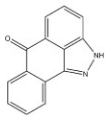
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

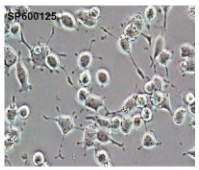
A4604 SP 600125

SP600125 is a selective, reversible and ATP-competitive inhibitor of Jun N-terminal kinase (JNK) with IC50 values of 40, 40 and 90 nM for JNK1, 2 and 3, respectively.

Size 10 mg, 50 mg, 100 mg

4 citations





Screening of signaling pathways through different inhibitors. PC12 cells added with culture supernatant of M.smegmatis and different inhibitors for 48 h. **Front Cell Infect Microbiol. 2018. PMID:29988402**

Potency Comparison

Inhibitors	Pan-JNK	JNK1	JNK2	JNK3
AEG 3482	*			
AS 602801	*			
CC-401	*** (Ki:25-50 nM)			
DB07268		**** (IC50:9 nM)		
JNK-IN-7		**** (IC50:1.54 nM)	**** (IC50:1.99 nM)	***** (IC50:0.75 nM)
JNK-IN-8		**** (IC50:4.67 nM)	*** (IC50:18.7 nM)	***** (IC50:980 pM)
SP 600125**		*** (IC50:40 nM)	*** (IC50:40 nM)	*** (IC50:90 nM)
TCS JNK 5a**		* (pIC50 <5)	** (pIC50:6.5)	** (pIC50:6.7)
c-JUN peptide	*			

Inhibitors	Pan-JNK	JNK1	JNK2	JNK3
CC-930		*** (IC50:61 nM)	**** (IC50:7 nM)	**** (IC50:6 nM)
CC-401 hydrochloride	*			
SR 3576				**** (IC50:7 nM)
SU 3327	** (IC50:0.7 μM)			
TCS JNK 6o		**** (IC50:2 nM)	**** (IC50:4 nM)	*** (IC50:52 nM)
BI 78D3	** (IC50:280 nM)			
IQ 3		** (Kd:240 nM)	** (Kd:290 nM)	*** (Kd:66 nM)

Notes: "*" represents potency. The higher the number of "*" is, the more potent an inhibitor or activator is. For more products information, please visit our website.

p38 Inhibitors

Featured Products

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Cat.No.	Product Name	Short Summary	CAS	Solubility
A1632	SB202190 (FHPI)	p38 MAPK inhibitor	152121-30-7	≥16.6 mg/mL in DMSO
B1285	SB 203580 hydrochloride	Specific p38-MAPKs inhibitor	869185-85-3	≥20.7 mg/mL in DMSO
A5566	LY2228820	p38 MAPK inhibitor	862507-23-1	≥30.7 mg/mL in DMSO
A5639	BIRB 796 (Doramapimod)	p38 MAPK inhibitor, cell permeable and highly selective	285983-48-4	≥26.4 mg/mL in DMSO
A8254	SB 203580	p38 MAPK inhibitor	152121-47-6	≥18.9 mg/mL in DMSO

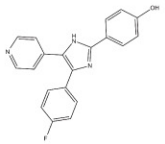
Product Citations

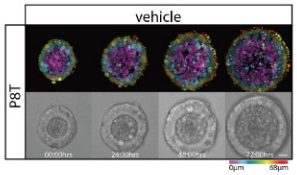
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

A1632 SB202190 (FHPI)

SB202190 (FHPI) is a potent p38 MAPK inhibitor that specifically inhibits p38α and p38β with IC50 values of 50 and 100 nM, respectively.

Size 100 mg



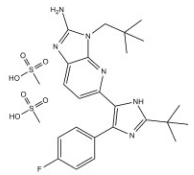


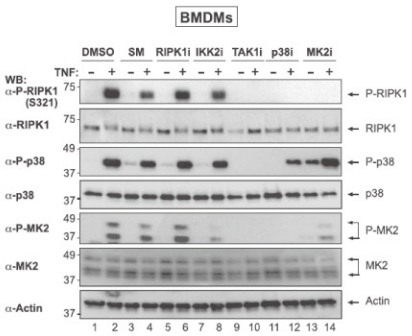
The CRC culture medium contained advanced DMEM/F12 with 1% Penicillin/Streptomycin, 1% Hepes buffer, 1% Glutamax, 20% R-spondin conditioned medium, 10% Noggin conditioned medium, 1X B27, 1.25 mM n-Acetyl Cysteine, 10 mM Nicotinamide, 50 ng/ml EGF, 500 nM A83-01, 10 μM SB202190 and 100 ug/ml Primocin. **Elife. 2016. PMID:27845624**

A5566 LY2228820

LY2228820 is a novel and potent inhibitor of p38 MAPK with IC50 of 7 nM.

Size 5 mg, 25 mg, 100 mg





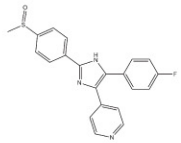
Phosphorylation of RIPK1 at S320/321 is dependent on the TAK1-p38a-MK2 kinase cascade because inhibition of either TAK1 or p38a, which block TNF-induced MK2 phosphorylation and activation. Cell lysates from BMDMs were subjected to pre-treatment for 30 min with the indicated inhibitors (p38i/LY2228820, 250 nM). **Mol Cell. 2017. PMID:28506461**

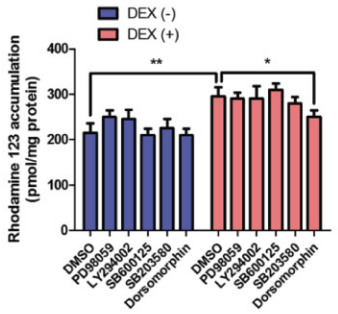
A8254 SB 203580

SB203580 is a p38 inhibitor of MAPK with IC50 of 0.3-0.5 μM, 10-fold less sensitive to SAPK3 (106T) and SAPK4 (106T) and blocks PKB phosphorylation with IC50 of 3-5 μM.

Size 25 mg, 50 mg, 100 mg, 250 mg

4 citations





DEX suppressed the function and expression of P-gp via the AMPK pathway. Cells were pretreated with the following inhibitors for 1 h before exposure to DEX: PD98059 (10 μM), LY294002 (20 μM), SB600125 (10 μM), SB203580 (10 μM) and dorsomorphin (10 μM). **Mol Med Rep.2018. PMID:29393492**

Potency Comparison

Inhibitors	Pan-p38 MAPK	p38α MAPK	p38β MAPK	p38γ MAPK	p38γ MAPK
BIRB 796 (Doramapimod)		***** (Kd:0.1 nM)			
LY2228820		**** (IC50:5.3 nM)	**** (IC50:3.2 nM)		
PD 169316	*				
PH-797804		*** (IC50:26 nM)			
SB 203580		** (IC50:0.3–0.5 μM)			
SB 239063		*** (IC50:44 nM)			
SB202190 (FHPI)		*** (IC50:50 nM)	*** (IC50:100 nM)		
Skepinone-L		**** (IC50:5 nM)			
SX 011		**** (IC50:9 nM)	*** (IC50:90 nM)		
SB 706504		**** (IC50:2.5 nM)			
SB 203580 hydrochloride		** (IC50:0.6 μM)			
TAK-715		**** (IC50:7.1 nM)	** (IC50:0.20 μM)		
VX-702		**** (IC50:4-20 nM)			
VX-745		**** (IC50:10 nM)	** (IC50:220 nM)		

Notes: "*" represents potency. The higher the number of "*" is, the more potent an inhibitor or activator is. For more products information, please visit our website.

Raf Inhibitors

Featured Products

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Cat.No.	Product Name	Short Summary	CAS	Solubility
B1407	Dabrafenib (GSK2118436)	Inhibitor of BRAF (V600) mutants	1195765-45-7	≥26 mg/mL in DMSO
A3016	PLX-4720	BRAF kinase inhibitor	918505-84-7	≥20.7 mg/mL in DMSO
A8716	LY3009120	Pan-RAF and RAF dimer inhibitor	1454682-72-4	Soluble in DMSO
A3347	Dabrafenib Mesylate (GSK-2118436)	Inhibitor of BRAF (V600) mutants	1195768-06-9	≥30.8 mg/mL in DMSO

Product Citations

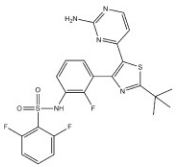
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

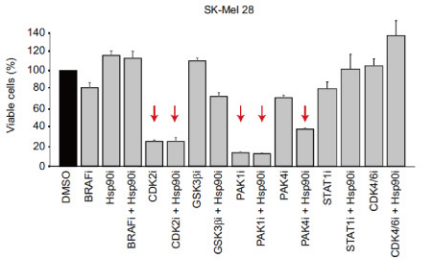
B1407 Dabrafenib (GSK2118436)

Dabrafenib is a specific inhibitor of BRAF V600 mutants with IC50 values of 0.5nM, 0.6nM and 1.9nM against V600E, V600K and V600D, respectively.

Size 10 mg, 50 mg, 100 mg

3 citations





Proteomics and phosphoproteomics findings. Effects on the cell viability after 72 h of the inhibitors (and their combinations) that target the potential entries reported in the text for SK-Mel 28 (BRAFi = 1 mM dabrafenib). **Mol Syst Biol. 2018. PMID:29507054**

Potency Comparison

Inhibitors	Pan-Raf	Raf-1	B-Raf	B-RafV600E	C-Raf	C-Raf
AZ 628			* (IC50:105 nM)	*** (IC50:34 nM)	*** (IC50:29 nM)	
CEP-32496			*** (Kd:36 nM)	*** (Kd:14 nM)	** (Kd:39 nM)	
Dabrafenib (GSK2118436)			**** (IC50:3.2 nM)	***** (IC50:0.8 nM)	**** (IC50:5.0 nM)	
Dabrafenib Mesylate (GSK-2118436)			**** (IC50:3.2 nM)	***** (IC50:0.8 nM)	**** (IC50:5.0 nM)	
GDC-0879				***** (IC50:0.13 nM)		
GW5074					**** (IC50:9 nM)	
LY3009120			**** (IC50:9.1 nM)	*** (IC50:17 nM)	*** (IC50:42 nM)	
PLX-4720				*** (IC50:13 nM)		**** (IC50:6.7 nM)
SB590885			***** (Ki: 0.16 nM)			
TAK-632			**** (IC50:8.3 nM)	**** (IC50:2.4 nM)	**** (IC50:1.4 nM)	
ZM336372					*** (IC50:70 nM)	
RAF265	**** (IC50:3-60 nM)					
MLN 2480	*					
Sorafenib Tosylate		**** (IC50:6 nM)	*** (IC50:22 nM)			
Sorafenib		**** (IC50:6 nM)	*** (IC50:22 nM)			
Vemurafenib (PLX4032, RG7204)				*** (IC50:31 nM)		

Notes: "*" represents potency. The higher the number of "*" is, the more potent an inhibitor or activator is. For more products information, please visit our website.

Other Inhibitors / Activators

Featured Products

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Cat.No.	Product Name	Short Summary	CAS	Solubility
B2190	H 89 2HCl	Potent PKA inhibitor	130964-39-5	≥51.9 mg/mL in DMSO
B9000	8-Bromo-cAMP, sodium salt	Cell-permeable cAMP analog that activates PKA	76939-46-3	≥43 mg/mL in H_2O
A3931	VX-11e	ERK inhibitor	896720-20-0	≥25 mg/mL in DMSO

Product Citations

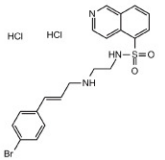
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

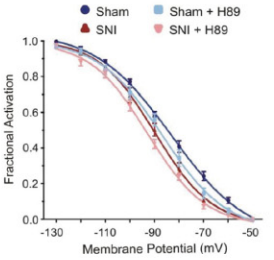
B2190 H 89 2HCl

H 89 2HCl is a potent and selective inhibitor of protein kinase A (Ki values = 48 nM).

Size 10 mg, 50 mg, 200 mg

2 citations





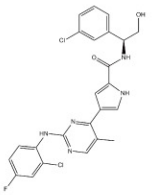
The cAMP/PKA signaling axis contributes to the Ih voltage dependence shift observed in SNImPFC pyramidal neurons. Intracellular application of the PKA inhibitor H89 (5μM) caused a hyperpolarizing shift in the I h activation curve in both sham(n=6) and SNI (n=8) neurons. **J Neurosci. 2015. PMID:26400952**

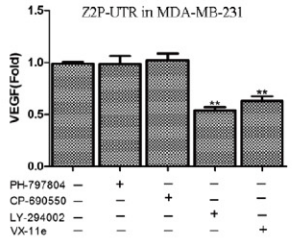
A3931 VX-11e

VX-11e is a potent and selective inhibitor of ERK.

Size 5 mg, 10 mg, 50 mg, 100 mg

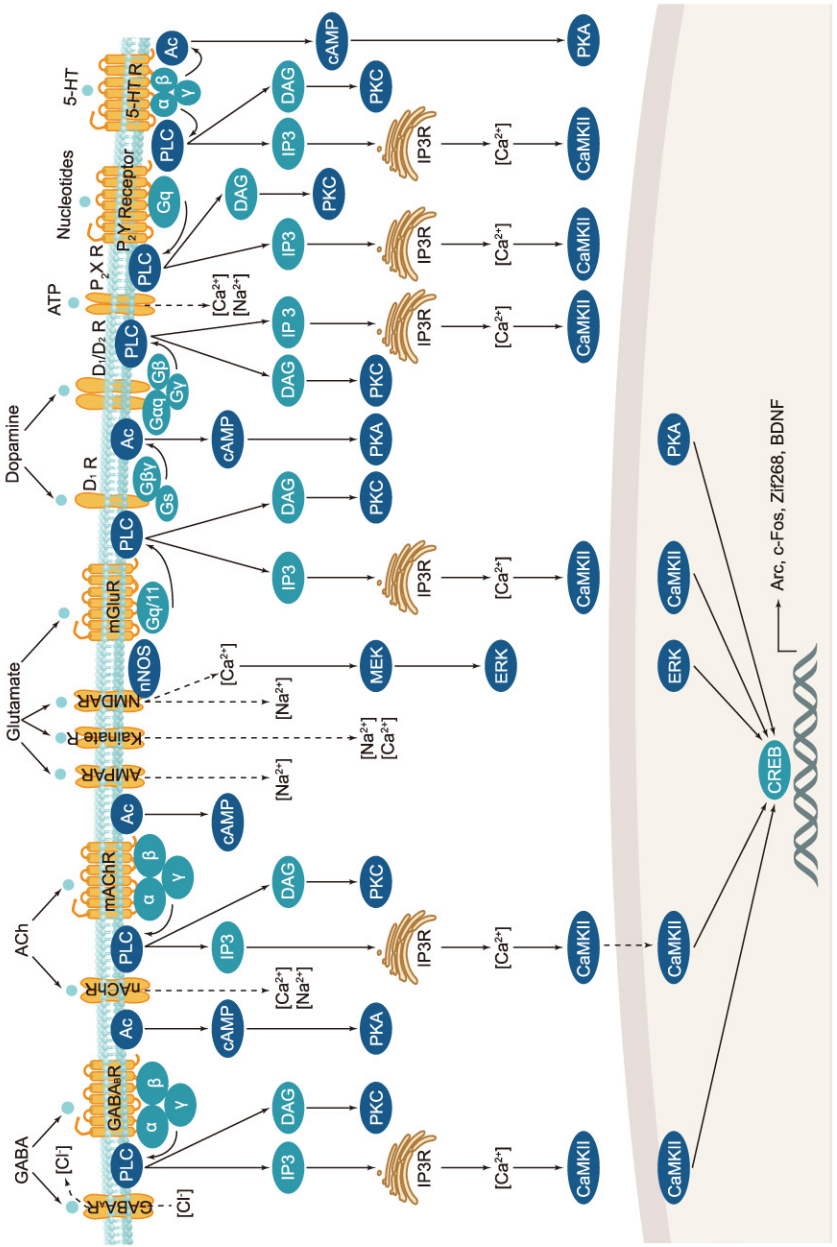
3 citations





The pro-angiogenic effects of CYP4Z2P 30UTR and CYP4Z1 30UTR are associated with the activation of PI3K/Akt and ERK1/2. MDA-MB-231 cells pre-treated with ERK inhibitor (VX-11e) for 1 h, and then incubated for 24 h. **Breast Cancer Res Treat. 2015. PMID:25701119**

Neuroscience



Introduction

Neurons are the foundations of the sophisticated neural networks. Neurotransmitters such as dopamine, glutamate, and GABA, are crucial signaling molecules for the delivery of neuronal signals. Neurons synthesize/import neurotransmitters, and store them in presynaptic vesicles. A neuronal impulse is propagated by the vesicles released from presynaptic neurons.

Neurotransmitter receptors function via various G-protein coupled and G-protein independent mechanisms that activate downstream intracellular signaling pathways such as cAMP/PKA, PI3K/AKT, phospholipase A2, and phospholipase C pathways. For instance, dopamine receptors act through adenylate cyclase to activate PKA and other signaling molecules, thereby mediate gene expression through the actions of CREB and other transcription factors. Other neurotransmitters such as NMDAR or AMPAR are associated with ion channels that control flux of Ca^{2+} and Na^{+}, thus propagating the action potential across the post-synaptic neuron.

Dysfunctions in GABAergic/glutamatergic/serotonergic/dopaminergic pathways result in a broad range of neurological disorders such as chronic pain, neurodegenerative diseases, and insomnia, as well as mental disorders including schizophrenia, bipolar disorder, depression, and addiction.

5-HT Receptor Inhibitors

Featured Products

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Cat.No.	Product Name	Short Summary	CAS	Solubility
A3317	Clozapine N-oxide (CNO)	Metabolite of clozapine, used in chemogenetics	34233-69-7	≥17.2 mg/mL in DMSO
A2436	Fluoxetine HCl	Serotonin reuptake inhibitor, selective	56296-78-7	≥17.3 mg/mL in DMSO
B2240	Olanzapine	Antagonist of 5-HT2A and dopamine D2 receptors	132539-06-1	≥15.6 mg/mL in DMSO
A3811	SEA0400	Specific inhibitor of Na^{+}/Ca^{2+} exchange	223104-29-8	≥18.6 mg/mL in DMSO

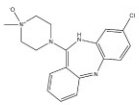
Product Citations

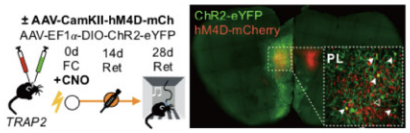
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

A3317 Clozapine N-oxide (CNO)

Clozapine-N-oxide is a metabolite of clozapine, which reduces the density of 5-HT2 receptor in rat primary cortical cells.

Size 5 mg, 10 mg, 25 mg, 50 mg





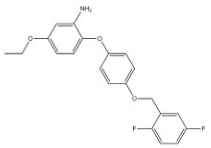
Temporal changes in the causal role of TRAPed PL neurons in remote fear memory retrieval. Each animal received an intraperitoneal injection of CNO at 5 mg/kg 30 minutes before fear conditioning. **bioRxiv. 2018.**

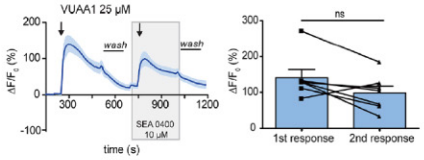
A3811 SEA0400

SEA0400 is a potent and selective inhibitor of Na+-Ca2+ exchanger (NCX) with IC50 values of 5 to 33nM in cultured neurons, microglia and astrocytes.

Size 5 mg, 10 mg, 50 mg

3 citations





Activation of Drosophila melanogaster odorant receptors (ORs) is attenuated by KB-R7943. In presence of SEA 0400 (10 μM), there was no significant difference between the intensity of the first response and the intensity of the second. **Front. Cell. Neurosci. 2018. PMID:30018538**

Potency Comparison

Inhibitors	5-HT1AR	5-HT1BR	5-HT1CR	5-HT1DR	5-HT2R	5-HT2AR	5-HT2BR	5-HT2CR	5-HT4R	5-HT7R	5-HT uptake
Sarpogrelate HCl						**** (pKi:8.52)	** (pKi:6.57)	*** (pKi:7.43)			(Ki:40.2 nM)
Agomelatine							** (pKi:6.6 nM)	** (pKi:6.2 nM)			
Blonanserin					*						
Cisapride									*		
Clozapine N-oxide					*						
Dapoxetine HCl											*
Duloxetine HCl											*
Eletriptan HBr		*		*							(Ki:0.9 nM)
Fenspiride HCl		*	*								
Fluoxetine HCl											*****

Inhibitors	5-HTR	5-HT1AR	5-HT1BR	5-HT1CR	5-HT1DR	5-HT2R	5-HT2AR	5-HT2BR	5-HT2CR	5-HT4R	5-HT7R	5-HT uptake
Lurasidone HCl		**** (IC50:6.75 nM)					**** (IC50:2.03 nM)				***** (IC50:0.495 nM)	
Loxapine	*											
Desvenlafaxine												*** (Ki:40.2 nM)
SB 271046 HCl		** (pKi:6.35)	** (pKi:6.05)		** (pKi:6.55)							
Asenapine HCl		**** (pKi:8.6)	**** (pKi:8.4)				***** (pKi:10.2)	***** (pKi:9.8)	***** (pKi:10.5)		***** (pKi:9.9)	
Risperidone HCl						***** (Ki:0.16 nM)						
Risperidone mesylate						***** (Ki:0.16 nM)						
Ziprasidone HCl		**** (pKi:8.47)			**** (pKi:8.69)		***** (pKi:9.38)		**** (pKi:8.88)			
Melperone HCl							** (Ki:120 nM)					
Metergoline					*	*					*	

Notes: "*" represents potency. The higher the number of "*" is, the more potent an inhibitor or activator is. For more products information, please visit our website.

AChR Inhibitors / Activators

Featured Products

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Cat.No.	Product Name	Short Summary	CAS	Solubility
A8356	Acetylcysteine	Antioxidant, mucolytic agent	616-91-1	≥8.2 mg/mL in DMSO
B1612	Pancuronium dibromide	AChR antagonist	15500-66-0	≥36.634 mg/mL in DMSO
A3423	Galanthamine	Acetylcholinesterase inhibitor	357-70-0	≥14.4 mg/mL in DMSO
B4873	Nitenpyram	Nicotinic acetylcholine receptor (AchR) agonist	150824-47-8	≥27.1 mg/mL in DMSO

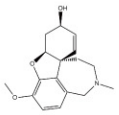
Product Citations

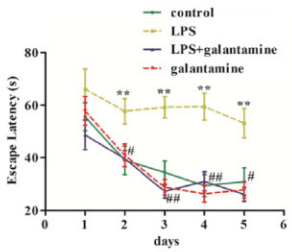
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

A3423 Galanthamine

Galantamine is a potent inhibitor of acetylcholinesterase (AChE) with IC50 value of 410 nM.

Size 100 mg, 500 mg





Galantamine improved the cognition in LPS-exposed mice. BV-2 cells were exposed to LPS (1 μg/ml) or pretreated with galantamine (10 μM) for 24 h before LPS exposure. **J Neuroinflammation. 2018. PMID:29669582**

Potency Comparison

Inhibitors	AChR	mAChR	mAChR(M1)	mAChR(M2)	mAChR(M3)	mAChR(M4)	mAChR(M5)	AChE
Acetylcysteine	*							
Atropine			**** (IC50:2.22 ± 0.60 nM)	**** (IC50:4.32 ± 1.63 nM)	**** (IC50:4.16 ± 1.04 nM)	**** (IC50:2.38 ± 1.07 nM)	**** (IC50:3.39 ± 1.16 nM)	
Diphemanil Methylsulfate					*			
Galanthamine HBr		** (IC50:410 nM)						
Ipratropium Bromide		***** (IC50:0.6 nM)						
Methscopo-lamine		*						
Neostigmine Bromide								***** (IC50:0.04 nM)
Oxybutynin		**** (IC50:5.4 nM)						
Pancuronium dibromide	*** (IC50:5.5 nM)							
Rivastigmine Tartrate								* (IC50:5.5 μM)
Rocuronium Bromide	*** (IC50:22.5-33.5 nM)							
Tiotropium Bromide			***** (IC50:0.17 nM)	***** (IC50:0.17 nM)	***** (IC50:0.17 nM)			
Trospium chloride		*						
Vecuronium Bromide	**** (IC50:1-2 nM)							

Activator	AChR	mAChR	mAChR(M1)	mAChR(M2)	mAChR(M3)	mAChR(M4)	ATmAChR(M5)R	AChE
Succinylcholine Chloride Dihydrate	*							

Notes: "*" represents potency. The higher the number of "*" is, the more potent an inhibitor or activator is. For more products information, please visit our website.

Amyloid β Inhibitors

Featured Products

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Cat.No.	Product Name	Short Summary	CAS	Solubility
A8200	DAPT (GSI-IX)	γ-secretase inhibitor, potent and specific	208255-80-5	≥21.6 mg/mL in DMSO
A1124	Amyloid Beta-Peptide (1-40) (human)	Amyloid precursor protein	131438-79-4	≥43.28 mg/mL in DMSO
A1039	Amyloid Beta-peptide (25-35) (human)	Functional domain of Aβ	131602-53-4	≥106 mg/mL in DMSO
B5769	Methoxy-X04	Fluorescent amyloid β (Aβ) probe	863918-78-9	Soluble in DMSO
A8190	Semagacestat (LY450139)	γ-secretase inhibitor	425386-60-3	≥18.05 mg/mL in DMSO

Product Citations

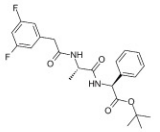
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

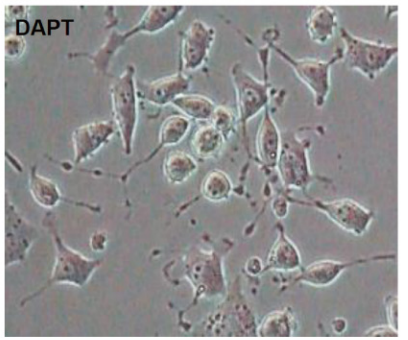
A8200 DAPT (GSI-IX)

DAPT (GSI-IX) is a novel inhibitor of γ-secretase with IC50 of 20 nM in HEK 293 cells.

Size 10 mg, 50 mg, 500 mg

4 citations



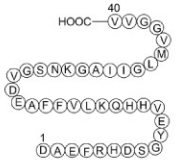


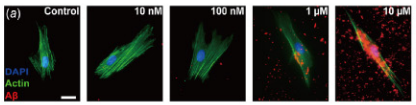
Screening of signaling pathways through different inhibitors. PC12 cells added with culture supernatant of M.smegmatis and different inhibitors for 48 h. **Front. Cell. Infect. Microbiol.2018. PMID:29988402**

A1124 Amyloid Beta-Peptide (1-40) (human)

Aβ40 is a peptide processed from the amyloid precursor protein (APP).

Size 1 mg, 5 mg, 10 mg, 25 mg



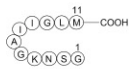


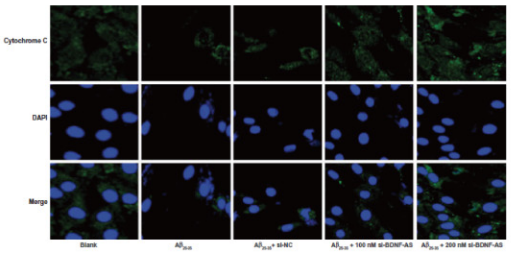
Treatment of VSMCs with Ab yields differences in Ab plaque formation and nuclear morphology. **J Biomech Eng. 2016. PMID:27590124**

A1039 Amyloid Beta-peptide (25-35) (human)

Aβ (25-35) is regarded to be the functional domain of Aβ, responsible for its neurotoxic properties.

Size 1 mg, 5 mg, 10 mg, 25 mg





Effect of BDNF-AS on the apoptosis of Aβ25-35-induced PC12 cells. PC12 cells transfected with siRNA negative control before being cultured for 24 h with 20μmol/l Aβ 25-35. **Neurol Res. 2018. PMID:29902125**

Potency Comparison

Inhibitors	Aβ	Aβ42	Aβ40	Aβ38
DAPT (GSI-IX)	*** (IC50:20 nM)			
EHT 1864	*			
Semagacestat (LY450139)		*** (IC50:10.9 nM)	*** (IC50:12.1 nM)	*** (IC50:12.0 nM)
EUK 134	*			
Frentizole	*			
Gamma-secretase Modulators	*			
J 147		*	*	

Notes: "*" represents potency. The higher the number of "*" is, the more potent an inhibitor or activator is. For more products information, please visit our website.

Gap Junction Inhibitors

Featured Products

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Cat.No.	Product Name	Short Summary	CAS	Solubility
A2700	10Panx	Panx-1 mimetic inhibitor	955091-53-9	≥124.2 mg/mL in DMSO
A1045	Gap 27	Selective gap junction blocker	198284-64-9	≥65.3 mg/mL in DMSO
A1044	Gap 26	Gap junction blocker peptide, mapping to connexin 43 residue 63-75	197250-15-0	≥77.6 mg/mL in DMSO
A8389	Carbenoxolone disodium	11β-HSD inhibitor	7421-40-1	≥30.7 mg/mL in DMSO
A2701	Scrambled 10Panx	Panx-1 mimetic inhibitory peptide, blocks pannexin-1 gap junctions	1315378-72-3	≥31.1 mg/mL in DMSO
B4919	Gap19	Selective connexin 43 (Cx43) hemichannel blocker	1507930-57-5	≥58.073 mg/mL in H_2O

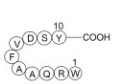
Product Citations

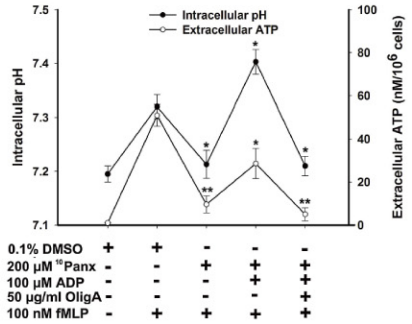
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

A2700 10Panx

10Panx, Panx-1 mimetic inhibitory peptide, is a blocker of pannexin-1 gap junctions.

Size 1 mg, 5 mg, 10 mg, 25 mg





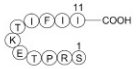
Cell-surface F-ATPase can accept ADP hydrolyzed from pannexin 1 channel-released ATP. Freshly isolated neutrophils were treated with 50 μg/ml oligomycin A, 100 μM ADP, 300 μM TTFA or 200 μM 10panx for 10 min, and stimulated with 100 nM fMLP for 3 min. **Mol Immunol. 2017. PMID:28843171**

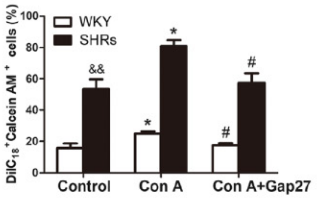
A1045 Gap 27

Gap 27 is a peptide derived from connexin 43 that is a selective gap junction blocker.

Size 5 mg, 10 mg, 25 mg

4 citations





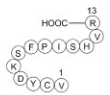
Effect of hypertension-mediated inflammation and blocking of the gap junction on gap junctional intracellular communication (GJIC) between peripheral blood lymphocytes from spontaneously hypertensive rats (SHRs). Isolated peripheral blood lymphocytes were co-cultured for 3 h in the absence or presence of Gap27 (500 μM). **Cell Mol Biol Lett. 2018. PMID:30151015**

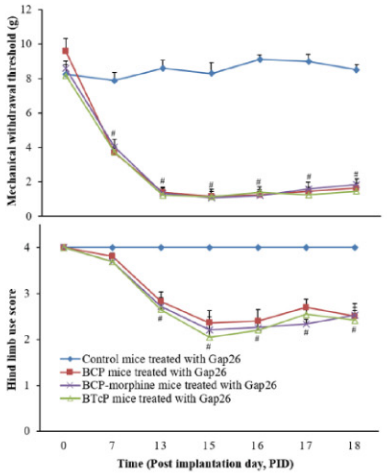
A1044 Gap 26

Gap26 is a connexin mimetic peptide, corresponding to residues 63-75 of connexin 43, which is a gap junction blocker.

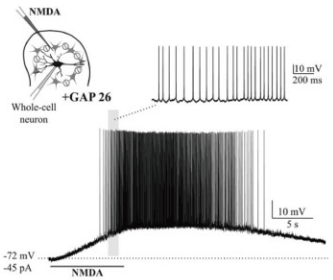
Size 5 mg, 10 mg, 25 mg

5 citations

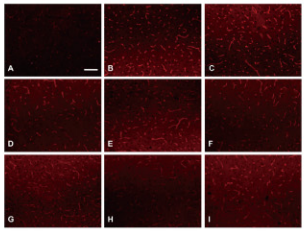




Effects of Gap26 on the PWMT of left hind paw (A) and hind limb use score (B) in normal, BCP, BCP-morphine and BTcP mice. Gap 26 was administrated by intrathecal injection at 5 mg/kg/day, once a day for 3 days (post-implantation days, PIDs 16–18). **Front Cell Neurosci. 2017. PMID:28769766**



Specific Cx43 inhibitor (GAP26) impairs NMDA-induced bursting. The following drugs were locally applied near the recorded cells using positive pressure pulses (Picospritzer III) to one or two pipettes containing either: GAP26 (193 μ M) were bath applied. **Glia. 2017. PMID:29058348**

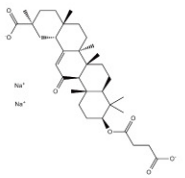


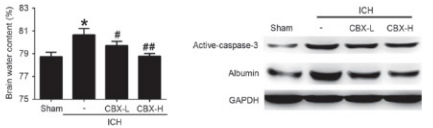
Immunofluorescence shows that CMP (Gap26) has no influence on AQP4 expression after MCAO. (C) MCAO plus connexin43 mimetic peptide (CMP). (E) MCAO plus PF plus CMP. (F) MCAO plus astragaloside IV (AS-IV). (G) MCAO plus AS-IV plus CMP. (I) MCAO plus PF plus AS-IV plus CMP. **Phytother Res. 2017. PMID:28752625**

A8389 Carbenoxolone disodium

Carbenoxolone disodium is an inhibitor of 11β-hydroxysteroid dehydrogenase (11β-HSD).

Size 50 mg





CBX treatment reduces brain edema and BBB injury post-ICH. Rats were assigned randomly into 4 groups (n=18/group): Sham group; ICH group; ICH + low concentration carbenoxolone (CBX-L; 10 mg/kg) group; and ICH + high concentration CBX (CBX-H; 20 mg/kg) group. **Mol Med Rep. 2018. PMID:29484398**

Other Inhibitors / Activators

Featured Products

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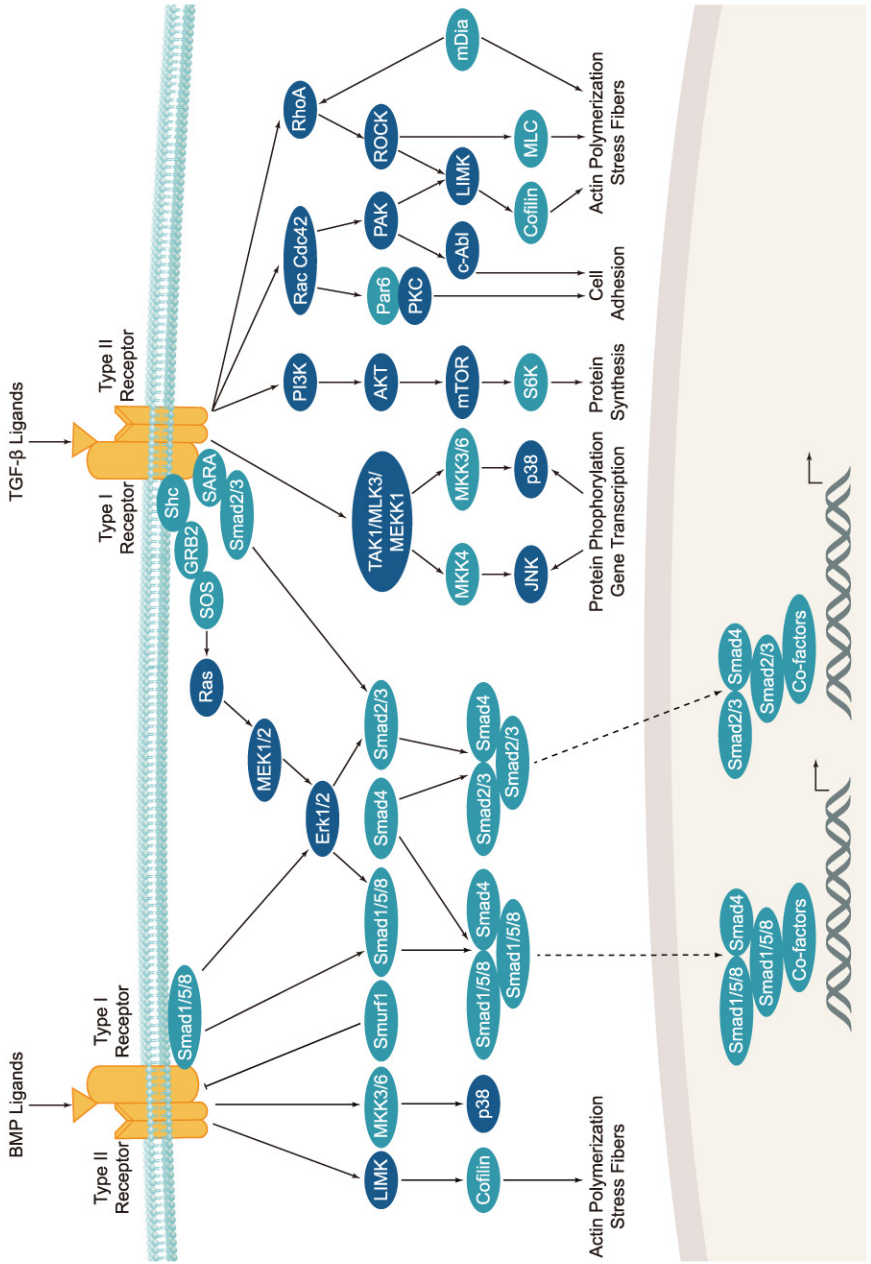
Cat.No.	Product Name	Short Summary	CAS	Solubility
B1690	Carprofen	COX inhibitor	53716-49-7	≥11.1 mg/mL in DMSO
A1664	Celecoxib	Selective cyclooxygenase-2 (COX-2) inhibitor	169590-42-5	≥19.1 mg/mL in DMSO
A4013	Aspirin (Acetylsalicylic acid)	Cyclooxygenase (COX) inhibitor	50-78-2	≥8.6 mg/mL in DMSO
A8446	Ibuprofen	Inhibitor of cyclooxygenase 1 and cyclooxygenase 2	15687-27-1	≥10.3 mg/mL in DMSO
A8449	Indomethacin	Cox inhibitor	53-86-1	≥17.9 mg/mL in DMSO
A3136	A-740003	P2X7 receptor antagonist	861393-28-4	≥23.7 mg/mL in DMSO
A1129	Parathyroid hormone (1-34) (human)	Increases blood calcium level	52232-67-4	≥399.3 mg/mL in DMSO
A1013	Endomorphin-1	Agonist of μopioid receptors, highly potent and selective	189388-22-5	≥30.6 mg/mL in DMSO
B6556	Methyllycaconitine citrate	α7-containing neuronal nicotinic receptors antagonist	112825-05-5	Soluble in DMSO
B2235	Clozapine	5-HT receptor antagonist	5786-21-0	≥15 mg/mL in DMSO
B6936	(R)-(-)-Apomorphine hydrochloride	Prototypical dopamine agonist	314-19-2	≥12.9 mg/mL in DMSO
A8436	Gabapentin	GABA enhancer	60142-96-3	≥8.56 mg/mL in DMSO
B6195	Verubecestat (MK-8931)	BACE1 inhibitor	1286770-55-5	≥40.9 mg/mL in DMSO
B5624	STF 083010	IRE1α endonuclease inhibitor	307543-71-1	≥31.7 mg/mL in DMSO
A1131	COG 133	ApoE mimetic peptide	514200-66-9	≥217 mg/mL in DMSO

TGF-β / Smad Signaling



Introduction

Transforming growth factor beta (TGF-β)/Smad signaling pathway is involved in a number of cellular processes, including cell growth, differentiation, motility and adhesion etc. This signaling pathway plays a crucial part in mammalian development as well as in tumor suppression through inhibition of proliferation and induction of apoptosis in multiple cell types.

The TGF-β family is generally classified into two sub-families, TGF-β ligands, and bone morphogenic protein (BMP) ligands. In canonical signaling, receptor activation lead to phosphorylation of a group of transcription factors called Smads. TGF-β ligands bind to type II receptors (TGF-β II) which recruit and phosphorylate type I receptor (TGF-β I) on serine/threonine residues. The TGF-β I then recruits and phosphorylates a receptor regulated Smad (R-Smad). The R-Smad binds to the common Smad (Co-Smad) and forms a heterodimeric complex. This complex then translocates into the cell nucleus where it binds with nuclear co-factors to regulate the transcription of various target genes. Dysregulation of TGF-β/Smad signaling pathway is associated with a number of pathological conditions including fibrosis, cancer, immunodeficiency, diabetes and cardiovascular diseases etc.

Bcr-Abl Inhibitors

Featured Products

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Cat.No.	Product Name	Short Summary	CAS	Solubility
A3017	Dasatinib (BMS-354825)	Src and BCR-Abl inhibitor	302962-49-8	≥24.4 mg/mL in DMSO
A8232	Nilotinib (AMN-107)	Bcr-Abl kinase inhibitor, selective	641571-10-0	≥26.5 mg/mL in DMSO
A5467	Ponatinib (AP24534)	Pan-BCR-ABL inhibitor, multi-kinase inhibitor	943319-70-8	≥53.3 mg/mL in DMSO
A2133	Saracatinib (AZD0530)	Src/Abl inhibitor, potent and selective	379231-04-6	≥27.1 mg/mL in DMSO
A2149	Bosutinib (SKI-606)	Potent Abl/Src kinases	380843-75-4	≥26.5 mg/mL in DMSO
A1805	Imatinib Mesylate (STI571)	Abl/c-kit/PDGFR inhibitor	220127-57-1	≥29.5 mg/mL in DMSO
B1011	Bafetinib (INNO-406)	Bcr-Abl/Lyn tyrosine kinase inhibitor	887650-05-7 859212-16-1	≥57.7 mg/mL in DMSO
B1404	DCC-2036 (Rebastinib)	Bcr-Abl inhibitor	1020172-07-9	≥27.7 mg/mL in DMSO

Product Citations

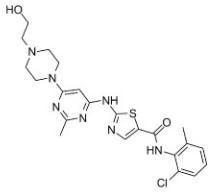
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

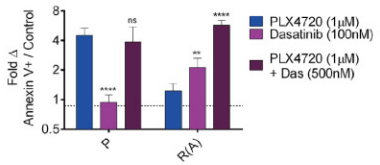
A3017 Dasatinib (BMS-354825)

Dasatinib is a small-molecule inhibitor of both the Src and Bcr-Abl tyrosine kinases with IC50 values of 0.5nM and 1nM.

Size 100 mg, 500 mg

3 citations



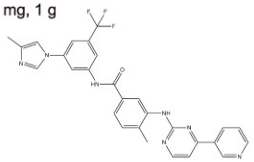


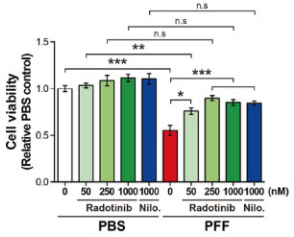
The combination of dasatinib and PLX4720 yield an increase in apoptosis and synergistic growth inhibition in PLX4720-resistant cells. A375 cells were treated with 1 μM PLX4720, 100 nM dasatinib, or the combination for 3 days. **Cell Rep. 2017. PMID:29212027**

A8232 Nilotinib(AMN-107)

Nilotinib (AMN-107) is an inhibitor of Bcr-Abl with IC50 less than 30 nM.

Size 100 mg, 250 mg, 500 mg, 1 g



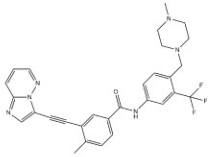


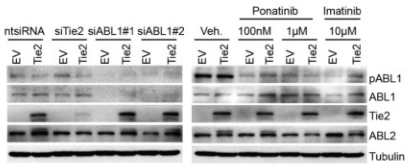
Treatment of Radotinib HCl protects against α-synuclein PFF-induced neuronal toxicity in vitro. Primary cultured cortical neurons were treated with α-synuclein PFF with or without Radotinib HCl (0, 50, 250, 1000 nM) or Nilotinib (1000 nM) for 14 days. **Hum Mol Genet. 2018. PMID:29897434**

A5467 Ponatinib (AP24534)

Ponatinib (AP24534) is a novel, potent multi-target inhibitor of Abl, PDGFRα, VEGFR2, FGFR1 and Src with IC50 of 0.37 nM, 1.1 nM, 1.5 nM, 2.2 nM and 5.4 nM, respectively.

Size 5 mg, 25 mg, 100 mg





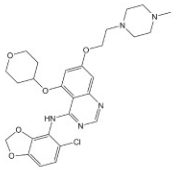
Analysis on TIE2/ABL1 axes in NHEJ repair. Immunoblot of whole cell lysates derived from U251.EV and U251.Tie2 cells after transfection with 10nM siRNAs against TIE2 or ABL1, or treatment with ponatinib or imatinib at the indicated doses. **Sci Adv. 2016. PMID:27757426**

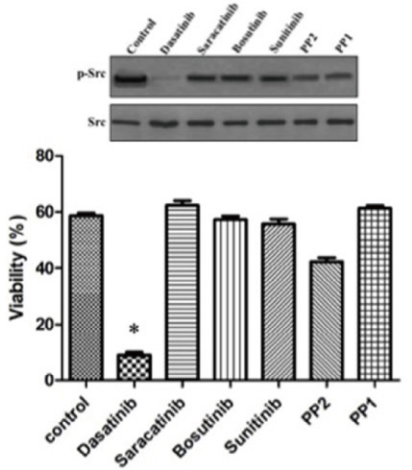
A2133 Saracatinib (AZD0530)

Saracatinib (AZD0530) is a novel, potent Src family kinase (SFK)/Abl dual-kinase inhibitor with IC50 value of 2.7 nM.

Size 5 mg, 25 mg, 100 mg

2 citations





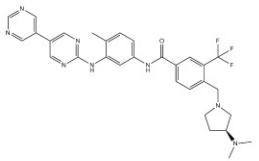
Dasatinib predominantly facilitated necroptosis by caspase-8 dephosphorylation. Paclitaxel-treated Src± Casp8±A549 cells were added with DMSO (control), dasatinib, saracatinib, bosutinib, sunitinib, PP2 or PP1 for 24 h. p-Src was examined by western blot (upper). Cell viability was measure. **Cancer Lett. 2016. PMID:27195913**

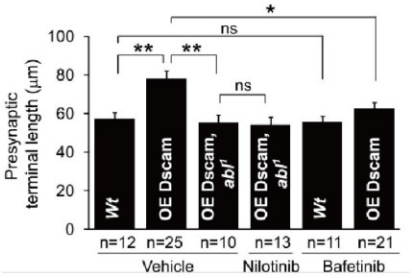
B1011 Bafetinib (INNO-406)

Bafetinib is a potent and selective dual inhibitor of Bcr-Abl/Lyn tyrosine kinase with IC50 values of 5.8nM and 19nM, respectively.

Size 5 mg, 10 mg, 25 mg, 100 mg

2 citations





Nilotinib and bafetinib act through Abl inhibition to mitigate Dscam-induced presynaptic arbor enlargement in vivo. Drosophila larvae were raised in the presence of 380 μM nilotinib, 125 μM bafetinib, or vehicle (DMSO) for 4 days before the analysis. **Elife. 2015. PMID: 25988807**

Potency Comparison

Inhibitors	Bcr-Abl	Bcr-Abl (T315I)	p210 Bcr-Abl	Abl
GZD824	***** (IC50:0.34 nM)	***** (IC50:0.68 nM)		
Bosutinib (SKI-606)				***** (IC50:1 nM)
Dasatinib (BMS-354825)				***** (IC50:0.6 nM)
GNF 2	** (IC50:267 nM)			
GNF 5	** (IC50:220 nM)			
Imatinib Mesylate (STI571)				** (IC50:600 nM)
Nilotinib(AMN-107)	*** (IC50:30 nM)			
Ponatinib (AP24534)				***** (IC50:0.37 nM)
Saracatinib (AZD0530)				*** (IC50:30 nM)
1-Naphthyl PP1				** (IC50:0.6 μM)
PD 180970			** (IC50:170 nM)	
PD 173955	**** (IC50:1-2 nM)			
ON 146040	*			
Bafetinib (INNO-406)	**** (IC50:5.8 nM)			
DCC-2036 (Rebastinib)	***** (IC50:0.8 nM)			

Activator	Bcr-Abl	Bcr-Abl (T315I)	p210 Bcr-Abl	Abl
DPH				*

Notes: "*" represents potency. The higher the number of "*" is, the more potent an inhibitor or activator is. For more products information, please visit our website.

PKC Inhibitors

Featured Products

APExBIO provides over 9000 products, for all the available compounds in this category, please visit our website.

Cat.No.	Product Name	Short Summary	CAS	Solubility
B5965	Tamoxifen	TGF-β modulatory and PKC inhibitory effects	10540-29-1	≥18.6 mg/mL in DMSO
B3709	Midostaurin (PKC412)	PKC inhibitor	120685-11-2	≥57.1 mg/mL in DMSO with ultrasonic
A2600	(-)-Epigallocatechin gallate (EGCG)	Antioxidant, antiangiogenic and antitumor agent	989-51-5	≥22.9 mg/mL in DMSO
A8343	Go 6983	Pan-PKC inhibitor	133053-19-7	≥22.2 mg/mL in DMSO
A8341	Go 6976	PKCα/PKCβ1 inhibitor	136194-77-9	Soluble in DMSO
A8342	GF 109203X	Protein kinase C, MLCK, PKG and PKA inhibitor	133052-90-1	≥20.6 mg/mL in DMSO
B6803	Rottlerin	PKC inhibitor	82-08-6	Soluble in DMSO
A8525	Sotrastaurin (AEB071)	PKC inhibitor	425637-18-9	≥21.9 mg/mL in DMSO
A3306	Chelerythrine Chloride	PKC antagonist	34316-15-9	≥19.2 mg/mL in DMSO

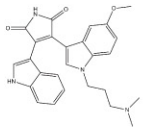
Product Citations

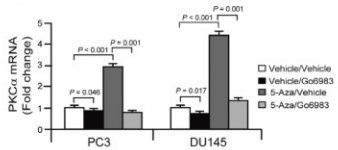
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

A8343 Go 6983

Go 6983 is an inhibitor of pan-PKC with IC50 values of 7 , 7 , 6 and 10 nM for PKCα, PKCβ, PKCγ and PKCδ, respectively.

Size 5 mg, 10 mg



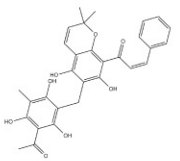


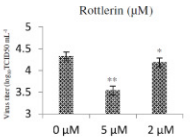
PKCα associates with DNMT1-mediated EMT and CSCs in PCa cells. MRNA levels of (C) PKCα expression in vehicle or 5-Aza (5 μM) with the presence or absence of a pan-PKC inhibitor, Go6983 treated PCa cells (PC3 or DU145) for 4 days as quantified by real-time PCR. **Neoplasia. 2016. PMID:27659015**

B6803 Rottlerin

Rottlerin is a specific PKC inhibitor, with IC50 values for PKCδ of 3-6 μM, PKCα/β/γ of 30-42 μM, PKCε/η/ζ of 80-100 μM.

Size 10 mg, 50 mg





Inhibitor screening for GCRV104 infection. CIK cells were treated with different inhibitors at the indicated concentrations and then infected with GCRV104 (MOI = 5) for 5 days. **Virol J. 2018. PMID:29793525**

Potency Comparison

Inhibitors	Pan-PKC	PKCα	PKCβ	PKCγ	PKCη	PKCδ	PKCε	PKMζ	PKCθ	PKCμ
Chelerythrine Chloride	** (IC50:660 nM)									
Enzastaurin (LY317615)		*** (IC50:39 nM)	**** (IC50:6 nM)	*** (IC50:83 nM)			** (IC50:110 nM)			
GF 109203X		*** (IC50:20 nM)	*** (IC50:17 nM)	**** (IC50:20 nM)						
Go 6983		**** (IC50:7 nM)	**** (IC50:7 nM)	***** (IC50:6 nM)	*	**** (IC50:10 nM)	*	*** (IC50:60 nM)		* (IC50:20 μM)
K-252c	* (IC50:2.45 μM)									
Sotrastaurin (AEB071)									***** (Ki:0.22 nM)	
ZIP								*		
Dequalinium Chloride	* (IC50:7-18 μM)									
Go 6976		**** (IC50:2.3 nM)	**** (IC50:6.2 nM)							
Midostaurin (PKC412)		*** (IC50:22 nM)	*** (IC50:30 nM)	*** (IC50:24 nM)	** (IC50:160 nM)	** (IC50:330 nM)	* (IC50:1.25 μM)			
Ro 31-8220		**** (IC50:5 nM)	*** (IC50:24 nM)	*** (IC50:27 nM)			*** (IC50:24 nM)			

Activator	Pan-PKC	PKCα	PKCβ	PKCγ	PKCη	PKCδ	PKCε	PKMζ	PKCθ	PKCμ
Zoledronic Acid	*									

Notes: "*" represents potency. The higher the number of "*" is, the more potent an inhibitor or activator is. For more products information, please visit our website.

ROCK Inhibitors

Featured Products

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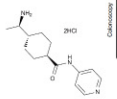
Cat.No.	Product Name	Short Summary	CAS	Solubility
A3008	Y-27632 dihydrochloride	ROCK1 inhibitor	146986-50-7 129830-38-2	≥16 mg/mL in DMSO
B1293	Y-27632	ROCK inhibitor, potent and selective	146986-50-7	≥24.7 mg/ml in DMSO
A3825	SLx-2119	Selective ROCK2 inhibitor	911417-87-3	≥22.7 mg/mL in DMSO
A5734	Fasudil (HA-1077) HCl	Protein kinase inhibitor	105628-07-7	≥16.4 mg/mL in DMSO
A3771	RKI-1447	Potent ROCK1/ROCK2 inhibitor	1342278-01-6	≥16.3 mg/mL in DMSO

Product Citations

Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

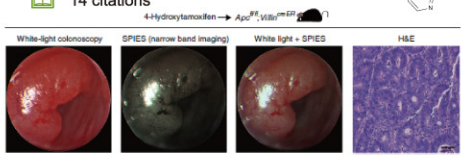
A3008 Y-27632 dihydrochloride

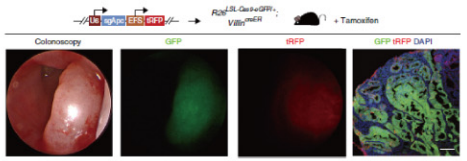
Y-27632 dihydrochloride is a small-molecule inhibitor of Rho-associated protein kinase p160ROCK with the IC50 of 140 nM.



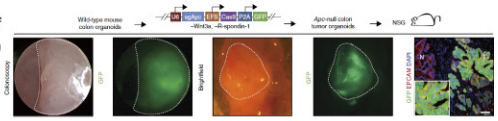
Size 10 mg, 50 mg, 200 mg

14 citations

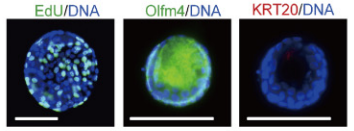




Colorectal cancer modeling with colonoscopy-guided mucosal injection. Mouse intestinal organoid culture and infection: Y-27632 dihydrochloride monohydrate. **Nat Protoc. 2018. PMID:29300388**



Y-27632 dihydrochloride monohydrate is used to prevent cell death by anoikis. Crypts were incubated with conditioned media supplemented with 10 μM of the p160 ROCK inhibitor Y-27632 dihydrochloride monohydrate to prevent cell death by anoikis. **Nat Biotechnol. 2017. PMID:28459449**



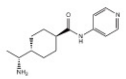
Organoid culture of human colonic epithelial cells. EdU pulse labeling and immunofluorescence staining (Olfm4 and KRT20) of organoids after 4 days in culture. A total of 10 μmol/L Y27632 was used in the first 48 hours after cell plating to prevent dissociation-induced cell apoptosis. **Cell Mol Gastroenterol Hepatol. 2017. PMID:29693040**

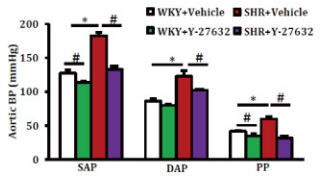
B1293 Y-27632

Y-27632 is a specific inhibitor of Rho-associated kinases (ROCK) family with Ki values of 0.22μM and 0.30μM for ROCK1 and ROCK2, respectively.

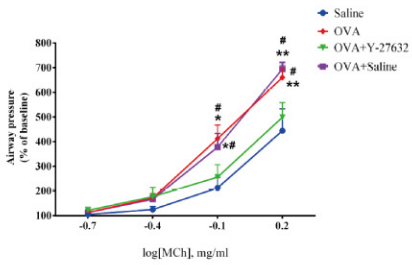
Size 10 mg, 50 mg, 200 mg

9 citations

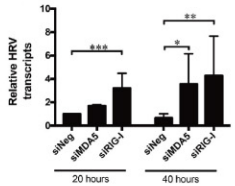




Inhibition of ROCK by Y-27632 reduced aortic stiffness and induced a disproportional reduction in SBP in SHR. Y-27632 (0.3 mg/kg/h) were continuously administered for 2 weeks by Alzet osmotic minipumps (Model 2ML2), implanted subcutaneously in rats under anesthesia with 2% isoflurane. **Cell Physiol Biochem. 2017. PMID:29169155**



Effect of Rho-kinase inhibition on hyperresponsiveness. Animals were subjected to inhalation of Rho-kinase inhibitor (1mM) (Y-27632) 10 min before the eight last OVA exposures for 6 min. **PLoS One. 2017. PMID:29088265**

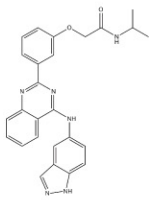


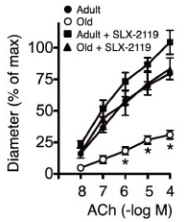
Loss of MdA5 function results in increased replication of HRV in respiratory epithelial cells. Nasal epithelial cells were seeded in 12-well plates in 1 ml epithelial culture medium with 10 μM Y-27632. **J Exp Med. 2017. PMID: 28606988**

A3825 SLx-2119

SLx-2119 (KD-025) is a selective inhibitor of ROCK2 with IC50 of 105 nM.

Size 5 mg, 10 mg, 25 mg



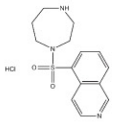


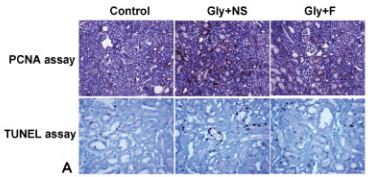
SLX-2119 restored responses to acetylcholine in both stains of aged mice. **Hypertension. 2018. PMID:29531174**

A5734 Fasudil (HA-1077) HCl

Fasudil (HA-1077) HCl is a selective inhibitor of ROCK with IC50 value of 0.74 μM.

Size 200 mg, 500 mg





Effects of fasudil on the kidney cell proliferation and apoptosis.The mice were divided into seven groups with eight animals in each group: Gly + F (with intraperitoneal injection of fasudil 40 mg/kg for 5 days prior to glycoxylate administration). **Exp Mol Pathol. 2015. PMID:25697583**

Potency Comparison

Inhibitors	Pan-ROCK	ROCK1	ROCK2
Fasudil (HA-1077) HCl	* (IC50:10.7 μM)		
GSK429286A		*** (IC50:14 nM)	*** (IC50:63 nM)
RKI-1447		*** (IC50:15.4 nM)	**** (IC50:6.2 nM)
SLx-2119		* (IC50:24 μM)	** (IC50:105 nM)
Thiazovivin	** (IC50:0.5 μM)		
Y-27632 Dihydrochloride		** (Ki:140 nM)	** (Ki:300 nM)
SR-3677			***** (IC50:0.3 nM)
Y-39983 Dihydrochloride	**** (IC50:3.6 nM)		

Activator	Pan-ROCK	ROCK1	ROCK2
Narciclasine	*		

Notes: "*" represents potency. The higher the number of "*" is, the more potent an inhibitor or activator is. For more products information, please visit our website.

TGF-β Inhibitors

Featured Products

APExBIO provides over 9000 products, for all the available compounds in this category, please visit our website.

Cat.No.	Product Name	Short Summary	CAS	Solubility
B6096	SIS3	Smad3 inhibitor	521984-48-5	≥49 mg/mL in DMSO
A3754	RepSox	ALK5 inhibitor, potent and selective	446859-33-2	≥14.4 mg/mL in DMSO
B2287	LY364947	Inhibitor of TGF-β type I receptor kinase domain	396129-53-6	≥24.4 mg/mL in DMSO
A8249	SB 431542	ALK inhibitor	301836-41-9	≥19.2 mg/mL in DMSO
A8301	GW788388	ALK5 inhibitor, potent and selective	452342-67-5	≥21.3 mg/mL in DMSO
A3133	A 83-01	ALK-5 inhibitor	909910-43-6	≥21.1 mg/mL in DMSO
A5602	SB525334	(TGF-beta1) receptor inhibitor	356559-20-1	≥34.3 mg/mL in DMSO
A8348	LY2157299	TGF-βR1 inhibitor, potent and selective	700874-72-2	≥18.5 mg/mL in DMSO
A8464	LY2109761	TβRI/II kinase inhibitor	700874-71-1	≥22.1 mg/mL in DMSO
B3686	DMH-1	Selective BMP ALK2 receptor Inhibitor	1206711-16-1	≥9.5 mg/mL in DMSO

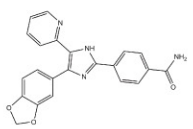
Product Citations

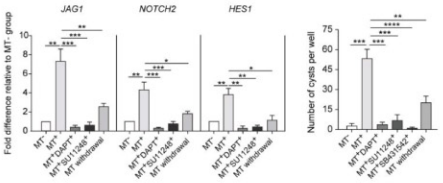
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

A8249 SB 431542

SB431542 is a potent and selective inhibitor of ALK5 with IC50 of 94 nM, 100-fold more selective for ALK5 than p38 MAPK and other kinases.

Size 10 mg, 50 mg



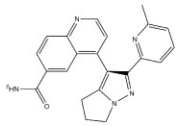


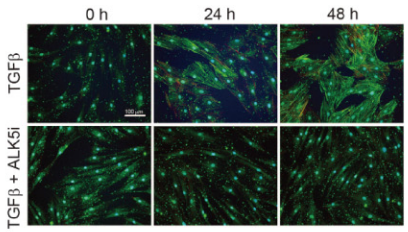
To inhibit NOTCH/VEGFR/TGF-β signaling, DAPT (10 mM)/SU11248 (1 μM)/ SB431542 (10 μM) was included into the medium of mTeSR+ group throughout the differentiation period on a daily basis. **J Hepatol. 2019 Jan 7. pii: S0168-8278(19)30002-9.**

A8348 LY2157299

LY2157299 is a potent inhibitor of TGFβ receptor I (TβRI) with IC50 of 56 nM.

Size 5 mg, 10 mg, 50 mg





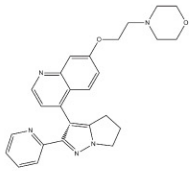
TGFβ induces dermal fibroblast Nox4 expression and promotes transdifferentiation to myofibroblast.hDF cells were seeded on coverslips, pretreated with 10 μM ALK5 inhibitor (ALK5i) LY2157299 before being stimulated with TGFβ (10 ng/ml) for up to 48 h. **Cell Death Dis.2017. PMID:28182006**

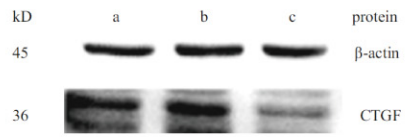
A8464 LY2109761

LY2109761 is a small-molecule inhibitor selectively targeting both TGF-β receptor type I and II ((TβRI/II)) with Ki of 38 nM and 300 nM, respectively.

Size 5 mg, 10 mg, 50 mg

3 citations





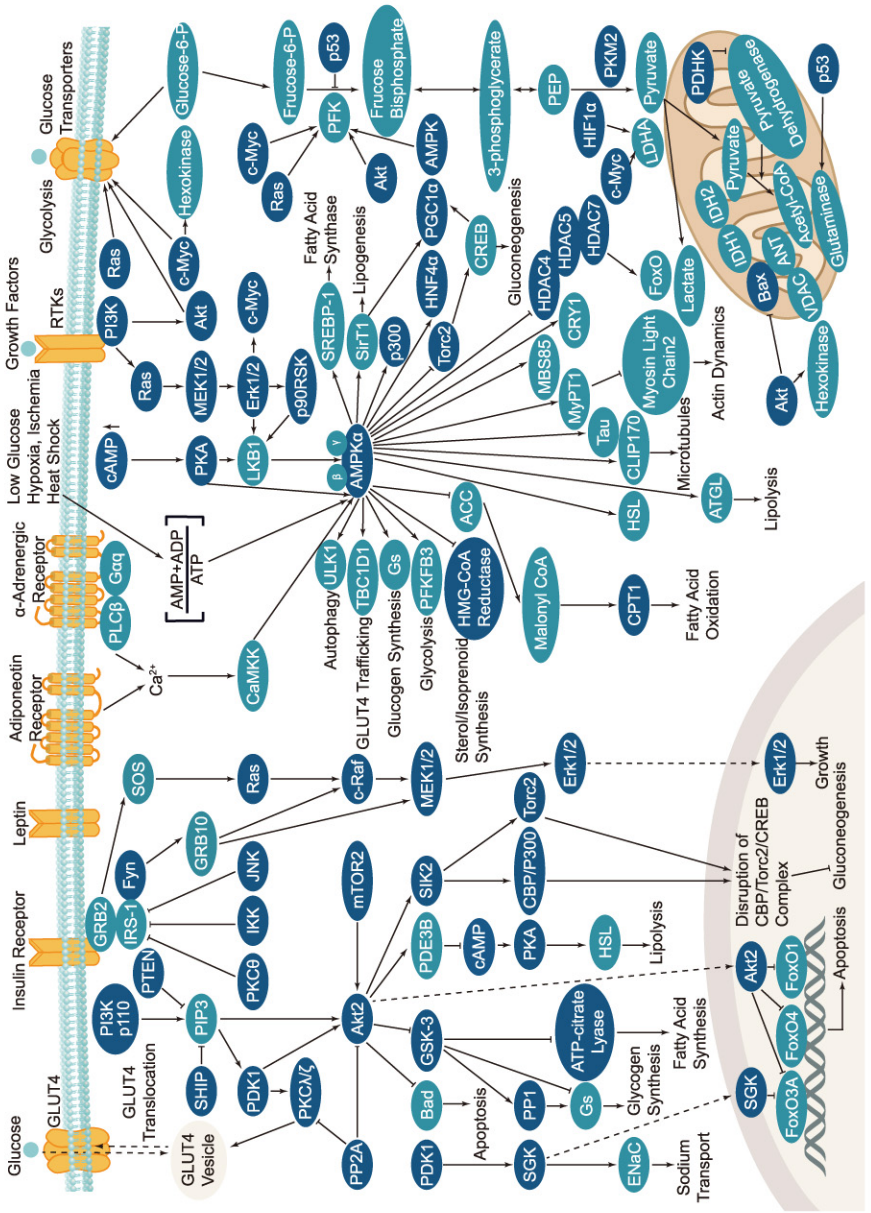
The results suggest that proliferation CHL cells increase after treated by supernatants of NR8383 cells exposed to 40 μg/ml nano-SiO_2. The cells in TGF-β1 receptor blocker group were preincubated with 4 nmol/ml TGF-β1 receptor blocker LY2109761 1 h. **Environ Sci Pollut Res Int. 2017. PMID:29067610**

Potency Comparison

Inhibitors	TGF-βR1	ALK2	ALK3	ALK4	ALK5	ALK7
LDN-193189		**** (IC50:5 nM)	*** (IC50:30 nM)			
LDN193189 Hydrochloride		**** (IC50:5 nM)	*** (IC50:30 nM)			
LY364947					*** (IC50:59 nM)	
Pirfenidone	*					
A 77-01					*** (IC50:25 nM)	
A 83-01				*** (IC50:45 nM)	*** (IC50:12 nM)	**** (IC50:7.5 nM)
DMH-1		** (IC50:107.9 nM)				
GW788388					*** (IC50:18 nM)	
K02288					** (IC50:321 nM)	
LDN-212854					* (IC50:2 μM)	
LY2157299	*** (IC50:56 nM)					
SB 431542					*** (IC50:94 nM)	
SB 505124 Hydrochloride				*	*	*
SB 525334					*** (IC50:14.3 nM)	

Notes: "*" represents potency. The higher the number of "*" is, the more potent an inhibitor or activator is. For more products information, please visit our website.

Metabolism



Introduction

Glucose metabolism plays a significant role in cell proliferation, growth, survival, and tumorgenesis. Hormones such as insulin regulate the maintenance of glucose homeostasis. Insulin binding to the insulin receptor (IR) activates the insulin receptor substrate (IRS) protein, followed by the activation of PI3K/Akt and Erk1/2 signaling pathways, leads to the translocation of Glut4 vesicles, glucose uptake, cell proliferation and survival. Abnormal insulin signaling is implicated in diabetes, obesity, atherosclerosis and neurodegenerative disease etc.

Serine/threonine kinase AMPK upregulates glucose uptake by promoting the expression and function of glucose transporters. AMPK is activated by increased AMP/ATP ratio, resulting from cellular and environmental stress, e.g. low glucose, heat shock, hypoxia and ischemia. AMPK activation positively modulates signaling transductions that refill ATP levels. Moreover, it also stimulates catabolic processes such as fatty acid oxidation and glycolysis through inhibition of ACC and activation of PFK2. AMPK negatively regulates various proteins which are important to ATP-consuming mechanisms, e.g. mTORC2, glycogen synthase, SREBP-1, and TSC2, causing the downregulation/inhibition of gluconeogenesis and glycogen, lipid and protein synthesis.

Dehydrogenase Inhibitors / Activators

Featured Products

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Cat.No.	Product Name	Short Summary	CAS	Solubility
A4333	CPI-613	PDH/α-KGDH inhibitor	95809-78-2	≥19.5 mg/mL in DMSO
B5508	Alda 1	ALDH2 activator	349438-38-6	≥15.15 mg/mL in DMSO
B7804	AG-221 (Enasidenib)	Mutant isocitrate dehydrogenase 2 (IDH2) inhibitor	1446502-11-9	≥47.3 mg/mL in DMSO
B7805	AG-120	Mutant IDH1 inhibitor	1448347-49-6	≥58.3 mg/mL in DMSO

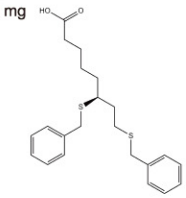
Product Citations

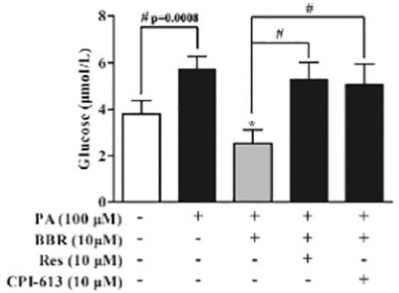
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

A4333 CPI-613

CPI-613 is a first-in-class anti-cancer agent.

Size 5 mg, 10 mg, 50 mg, 100 mg





Berberine restrainedmitochondrial pyruvate carboxylation in hepatocytes. Glucose production in primary mouse hepatocytes pretreated with indicated agents and then incubated with PA for 24 h. **EBioMedicine. 2018. PMID: 30093307**

Potency Comparison

Inhibitors	IMPDH I	IMPDH II	IDH	LDH	ALDH2	SCD1	PDH	α-KDH	DPD
AGI-5198			*** R132H-IDH1(IC50:0.07 μM), R132C-IDH1(IC50:0.16 μM)						
AGI-6780			*** R140Q-IDH2(IC50:23 nM), WT-IDH2(IC50:190 nM)						
Alda 1					*				
CPI-613							*	*	
Gimeracil									*
Isosafrole				*					
Mycophenolate Mofetil	*** (IC50:39 nM)	*** (IC50:27 nM)							
PluriSIn #1 (NSC 14613)						*			
Stiripentol				*					

Notes: "*" represents potency. The higher the number of "*" is, the more potent an inhibitor or activator is. For more products information, please visit our website.

HMG-CoA Reductase Inhibitors

Featured Products

APExBIO provides over 9000 products, for all the available compounds in this category, please visit our website.

Cat.No.	Product Name	Short Summary	CAS	Solubility
A3419	Fluvastatin	HMG-CoA reductase inhibitor	93957-54-1	≥20.6 mg/mL in DMSO
A8522	Simvastatin (Zocor)	HMG-CoA reductase inhibitor	79902-63-9	≥20.95 mg/mL in DMSO
A8504	Pitavastatin Calcium	HMG-CoA reductase inhibitor	147526-32-7	≥34.9 mg/mL in DMSO
A4365	Lovastatin	HMG-CoA reductase inhibitor	75330-75-5	≥20.2 mg/mL in DMSO
A4369	Pravastatin sodium	HMG-CoA reductase inhibitor, highly selective and competitive	81131-70-6	≥13.2 mg/mL in DMSO

Hsp Inhibitors

Featured Products

APExBIO provides over 9000 products, for all the available compounds in this category, please visit our website.

Cat.No.	Product Name	Short Summary	CAS	Solubility
A4387	VER 155008	Hsp70 inhibitor, adenosine-derived	1134156-31-2	≥27.8 mg/mL in DMSO
A4067	Radicicol	ATPase/kinase inhibitor	12772-57-5	Soluble in EtOH
A2213	17-DMAG (Alvespimycin) HCl	Hsp90 inhibitor	467214-21-7	≥26.2 mg/mL in DMSO
A4054	17-AAG (KOS953)	Hsp90 inhibitor	75747-14-7	≥25 mg/mL in DMSO
A4060	Geldanamycin	Hsp90 inhibitor, potent and specific	30562-34-6	≥16.9 mg/mL in DMSO
A4057	AUY922 (NVP-AUY922)	Potent Hsp90 inhibitor	747412-49-3	≥23.3 mg/mL in DMSO
A4385	Ganetespib (STA-9090)	Hsp90 inhibitor, non-geldanamycin	888216-25-9	≥18.2 mg/mL in DMSO
A4386	Elesclomol (STA-4783)	Oxidative stress/apoptosis inducer, potent and novel	488832-69-5	≥20.15 mg/mL in DMSO
A4062	KW-2478	Potent Hsp90 inhibitor, novel, non-ansamycin	819812-04-9	≥100 mg/mL in DMSO
A4064	NVP-BEP800	Oral Hsp90β inhibitor, novel, fully synthetic	847559-80-2	≥16 mg/mL in EtOH with gentle warming

Product Citations

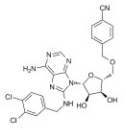
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

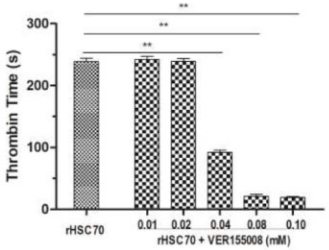
A4387 VER 155008

VER 155008 is a novel adenosine-derived inhibitor of heat shock protein 70 (Hsp70) with IC50 value of 0.5 μM.

Size 10 mg, 50 mg

4 citations





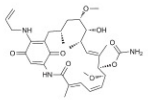
Effects of inhibition of rHSC70 on PT, APTT, TT and FIB. VER155008, an inhibitor of rHSC70, at different concentrations (from 0.01mM to 0.1 mM) were incubated with rHSC70, and TT was measured as previously described. **Ticks Tick Borne Dis. 2019. PMID:30366643**

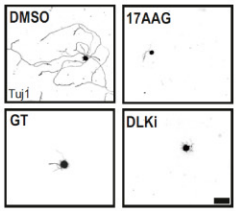
A4054 17-AAG (KOS953)

17-AAG is a potent inhibitor of Hsp90 with IC50 value of 6 nM in BT474 cells.

Size 10 mg, 50 mg, 100 mg, 200 mg

3 citations





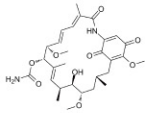
Hsp90 inhibitors potently block induction of the axon regeneration program. 17AAG was used at 1 μM on adult DRG neurons and 5 μM on embryonic DRG neurons. GT was used at 15 nM. **Proc Natl Acad Sci U S A. 2018. PMID:30275300**

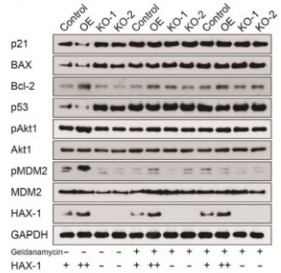
A4060 Geldanamycin

Geldanamycin, a crystalline antimicrobial compound derived from the culture filtrates of Streptomyces hygroscopicus var. geldanus var. nova., is a potent and specific inhibitor of heat shock protein 90 (Hsp90) with Kd of 1.2 μM.

Size 5 mg, 10 mg, 50 mg, 100 mg

2 citations



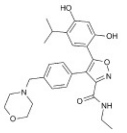


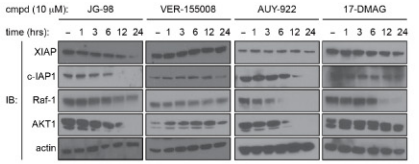
Inhibited Hsp90 could block the effect of HAX-1 on Akt1. **Stem Cells. 2018. PMID:29139175**

A4057 AUY922 (NVP-AUY922)

AUY922 (NVP-AUY922) is a highly potent inhibitor of Hsp90 for Hsp90α/β with IC50 of 13 nM / 21 nM.

Size 5 mg, 10 mg, 25 mg, 100 mg





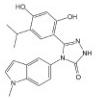
The treatment with NVP-AUY922 does not induce destabilization of IAPs MDA-MB-231 cells were treated with 10 μM JG-98, VER-155008, NVP-AUY922, or 17-DMAG for the indicated time points. Degradation of Hsp90 clients after Hsp90 inhibition is shown as a control. Proteins were visualized by Western blot. **University of Michigan. 2016.**

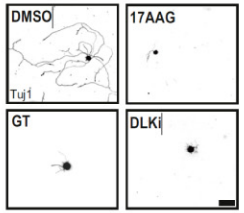
A4385 Ganetespib (STA-9090)

Ganetespib (STA-9090) is an inhibitor of Hsp90 with IC50 of 4 nM in OSA 8 cells.

Size 5 mg, 10 mg, 50 mg, 200 mg

3 citations





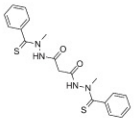
Hsp90 inhibitors potently block induction of the axon regeneration program. 17AAG was used at 1 μM on adult DRG neurons and 5 μM on embryonic DRG neurons. GT was used at 15 nM. **Proc Natl Acad Sci U S A. 2018. PMID:30275300**

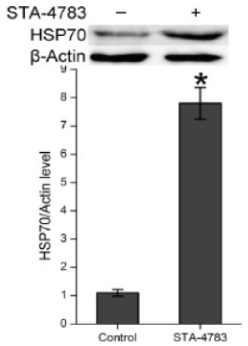
A4386 Elesclomol (STA-4783)

Elesclomol is a novel potent inducer of oxidative stress.

Size 5 mg, 10 mg, 50 mg, 200 mg

2 citations





LPS and Heat stress effects on the Smad3 phosphorylation and nuclear translocation in GCs. GCs were treated with only the Hsp70 activator STA-4783 alone at a concentration of 10μM for 3 h and 48 h. **Cell Signal. 2017. PMID:27940052**

Potency Comparison

Inhibitors	Hsp90	Hsp70	Hsp90α	Hsp90β
17-DMAG (Alvespimycin) HCl	*** (IC50:62±29 nM)			
VER 155008		** (IC50:0.5 μM)		
XL-888	*** (IC50:24 nM)		*** (IC50:22 nM)	*** (IC50:44 nM)
17-AAG (KOS953)	**** (IC50:5 nM)			
AT13387	*** (IC50:18 nM)			
AUY922 (NVP-AUY922)	*		*** (IC50:13 nM)	*** (IC50:21 nM)
BIIB021	***** (Ki:1.7 nM)(EC50:38 nM)			
Ganetespib (STA-9090)	**** (IC50:4 nM)			
HSP990 (NVP-HSP990)	*			
PF-04929113 (SNX-5422)	*** (IC50:50 nM)			
Radicicol	* (IC50<1 μM)			
Retaspimycin	*			
SNX-2112	*		*** (Ka:13 nM)	*** (Ka:21 nM)
Alvespimycin	*** (IC50:62 nM)			
EC 144	***** (IC50:1.1 nM)			

Inhibitors	Hsp90	Hsp70	Hsp90α	Hsp90β
Elesclomol (STA-4783)		*		
TRC 051384		*		

Notes: "*" represents potency. The higher the number of "*" is, the more potent an inhibitor or activator is. For more products information, please visit our website.

Lipid Metabolism Inhibitors

Featured Products

APExBIO provides over 9000 products, for all the available compounds in this category, please visit our website.

Cat.No.	Product Name	Short Summary	CAS	Solubility
B6095	RSL3	Glutathione peroxidase 4 inhibitor	1219810-16-8	≥125.4 mg/mL in DMSO
B7794	BMS 309403	FABP4 inhibitor, potent and selective	300657-03-8	≥18.15 mg/mL in DMSO
B6064	Myriocin	Immunosuppressant and specific serine palmitoyltransferase inhibitor	35891-70-4	Soluble in DMSO

Product Citations

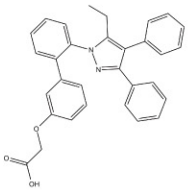
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

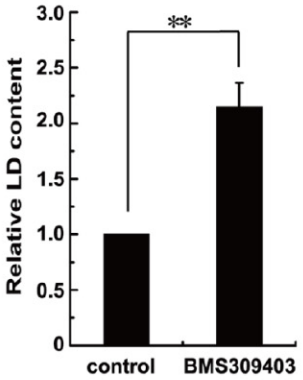
B7794 BMS 309403

BMS309403 is specifically designed to target FABP4 with a Ki value less than 2 nM.

Size 5 mg, 10 mg, 25 mg

2 citations





GL22 inhibits the expression of FABPs. BMS309403 treatment caused LD accumulation, cardiolipin content reduction and cell viability disease in Huh7.5 cells after cells were pre-treated for 10 min with 50 μM BMS309403. **Cell Death Dis. 2018. PMID:29880886**

PDE Inhibitors

Featured Products

APExBIO provides over 9000 products, for all the available compounds in this category, please visit our website.

Cat.No.	Product Name	Short Summary	CAS	Solubility
B7206	IBMX	Phosphodiesterase inhibitor	28822-58-4	≥9.5 mg/mL in DMSO
A4327	Tadalafil	PDE5 inhibitor	171596-29-5	≥16.6 mg/mL in DMSO
A4319	Roflumilast	PDE-4 inhibitor	162401-32-3	≥20.2 mg/mL in DMSO
A4321	Sildenafil Citrate	Treat erectile dysfunction and PAH	171599-83-0	≥25.4 mg/mL in DMSO
A3817	Sildenafil	PDE5 inhibitor, selective	139755-83-2	≥22.65 mg/mL in DMSO
A4317	Apremilast (CC-10004)	PDE4 inhibitor	608141-41-9	≥23.1 mg/mL in DMSO

Potency Comparison

Inhibitors	Pan-PDE	PDE1	PDE3	PDE4	PDE5
Apremilast (CC-10004)				*** (IC50:74 nM)	
GSK256066				***** (IC50:3.2 pM)	
Milrinone			*** (IC50:56 ± 12 nM)		
Pimobendan			** (IC50:0.32 μM)		
Rolipram				*	
Sildenafil					*
Sildenafil Citrate					**** (IC50:3.6 nM)
Vardenafil HCl Trihydrate		** (IC50:180 nM)			***** (IC50:0.7 nM)
Vinpocetine	* (Ki:14 ± 2 μM)				

Notes: "*" represents potency. The higher the number of "*" is, the more potent an inhibitor or activator is. For more products information, please visit our website.

PPAR Inhibitors / Activators

Featured Products

APExBIO provides over 9000 products, for all the available compounds in this category, please visit our website.

Cat.No.	Product Name	Short Summary	CAS	Solubility
B7797	GW 6471	PPARα antagonist	880635-03-0	Soluble in DMSO
A4300	GW9662	PPARγ antagonist	22978-25-2	≥13.75 mg/mL in DMSO
A4304	Rosiglitazone	Potent PPARγ agonist	122320-73-4	≥17.9 mg/mL in DMSO
A4305	WY-14643 (Pirinixic Acid)	PPARα agonist, selective and highly potent	50892-23-4	≥16.2 mg/mL in DMSO
A4303	GSK3787	PPARβ/δ antagonist, novel and irreversible	188591-46-0	≥15.8 mg/mL in DMSO

Product Citations

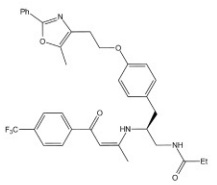
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

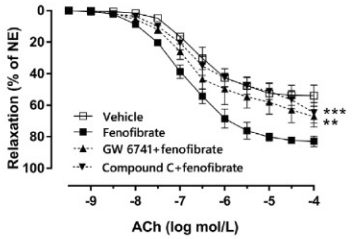
B7797 GW 6471

GW 6471 is a potent PPARα antagonist (IC50 = 0.24 μM).

Size 10 mg, 50 mg

2 citations



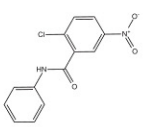


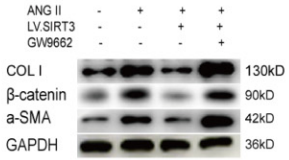
Protective effect of fenofibrate is abolished by PPARα antagonist and AMPKα inhibitor. Effect of 30-min preincubation with GW 6471 (10 μmol/L, PPARα inhibitor) on endothelium-dependent relaxation (EDR) in aorta from fenofibrate-treated DM. **Redox Biol. 2018. PMID:30296701**

A4300 GW9662

GW9662 is a potent antagonist of PPARγ with IC50 value of 3.3 nM.

Size 5 mg, 10 mg, 25 mg, 50 mg



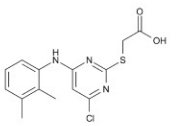


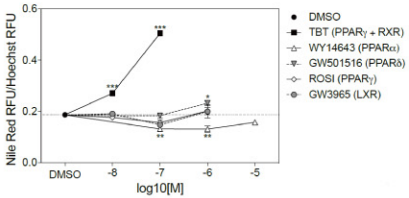
PPAR inhibition depresses the anti-fibrotic effect of SIRT3. Considering PPAR plays a key role in inhibiting the transdifferentiation of CFs, we deactivated it with GW9662 (100nM) for 30 min followed by treatment with ANG II and SIRT3 lentivirus transfection. **Life Sci. 2017. PMID: 28760678**

A4305 WY-14643 (Pirinixic Acid)

Y-14643 (Pirinixic Acid) is a potent peroxisome proliferator and activator of PPARα with EC50 of 1.5 μM.

Size 50 mg, 250 mg





Pretreatment with PPARα agonist (WY14643) fails to commit MSCs to an adipose fate. WY14643 were carried out at 100 nM, 1 μM, and 10 μM due to its higher EC50. **Endocrinology. 2017. PMID:28977589**

Potency Comparison

Inhibitors	PPARα	PPARβ	PPARγ	PPARδ
GSK3787				
T0070907				
GW9662	*** (IC50:32 nM)		**** (IC50:3.3 nM)	* (IC50:2000 nM)
GSK 0660				** (IC50:0.155 μM)
SR 202			* (IC50: 140 μM)	
BADGE			*	

Activators	PPARα	PPARβ	PPARγ	PPARδ
Balaglitazone			*	
Clofibrate	* (EC50:50 μM)			
GW0742		*		
GW501516		**** (EC50:1.1 nM)		
L-165041				**** (Ki:6 nM)
Troglitazone			** (EC50:555 nM)	
WY-14643 (Pirinixic Acid)	* (IC50:10.11 μM)			

Notes: "*" represents potency. The higher the number of "*" is, the more potent an inhibitor or activator is. For more products information, please visit our website.

SGLT Inhibitors

Featured Products

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Cat.No.	Product Name	Short Summary	CAS	Solubility
A4601	Empagliflozin (BI 10773)	SGLT2 inhibitor for oral treatment of type 2 diabetes	864070-44-0	≥20.75 mg/mL in DMSO
A5854	Dapagliflozin	SGLT2 inhibitor, potent and selective	461432-26-8	≥15.1 mg/mL in DMSO
A8333	Canagliflozin	SGLT2 inhibitor, potent and selective	842133-18-0	≥22.3 mg/mL in DMSO

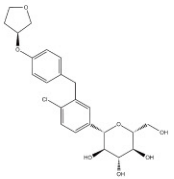
Product Citations

Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

A4601 Empagliflozin (BI 10773)

Empagliflozin is a selective inhibitor of SGLT-2 with IC50 value of 3.1 nM.

Size 5 mg, 10 mg, 50 mg, 100 mg



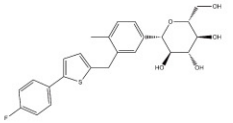
Parameter	Ratio difference coupled with modified isosbestic methods		Ratio subtraction coupled with extended ratio subtraction methods	
	CAG	MEF	EMG	LIG
Wavelength	$\Delta P_{301:339}$	250 nm	225 nm	226 nm
Linearity range (μg/ml)	5-30	2.5-16	2.5-16	1.25-8
LOD[a] (μg/ml)	0.51	0.24	0.40	0.33
LOQ[a] (μg/ml)	1.56	0.72	1.23	1.05
Slope	5.2570	12.3293	52.0775	148.0196
SE of slope (S_b)	0.0367	0.0721	0.3242	0.7395
Intercept	3.2913	19.0118	24.2915	26.5094
SE of intercept (S_a)	0.7253	0.7622	3.5189	4.0132
Regression coefficient	0.9998	0.9998	0.9998	0.9999
Confidence limit of the slope	5.2570±0.0944	12.3293±0.1854	57.0775 ± 0.8335	148.0196 ± 1.9011
Confidence limit of the intercept	3.2913±1.8647	19.0118±1.9597	24.2915 ± 9.0471	26.5094 ± 10.3180
Standard error of the estimation	0.8188	0.8846	4.0287	4.5946
Intraday[b] percision	0.796 - 1.268	0.957 - 1.510	0.658 – 1.184	0.211 – 0.543
Interday[c] percision	0.744 - 1.018	0.445 – 0.863	0.141 – 0.615	0.981 – 1.150
Drug in bulk	99.80±0.975	99.14±0.361	100.57±0.999	99.79±0.942

Assay parameters and validation results obtained by applying the developed spectrophotometric methods. EMG (8, 12 and 14 μg/ml) were repeated three times within the day. **Spectrochim Acta A Mol Biomol Spectrosc. 2018. PMID:30025293**

A8333 Canagliflozin

Canagliflozin is a novel, potent, and highly selective sodium glucose co-transporter (SGLT) 2 inhibitor and inhibit the Na^+-mediated 14C-AMG intakes in CHO-hSGLT2, CHO-rat SGLT2 and CHO-mouse SGLT2 with IC50 values of 4.4, 3.7 and 2.0 nM, respectively.

Size 100 mg, 500 mg



Transferase Inhibitors

Featured Products

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Cat.No.	Product Name	Short Summary	CAS	Solubility
B7417	Tunicamycin	Antibiotic, inhibits GlcNAc phosphotransferase (GPT)	11089-65-9	≥25 mg/mL in DMSO
A4381	FK866 (APO866)	NAMPT inhibitor, non-competitive, highly specific	658084-64-1	≥19.6 mg/mL in DMSO
A4227	Tipifarnib (Zarnestra)	Farnesyltransferase inhibitor, potent and specific	192185-72-1	≥8.2 mg/mL in DMSO
A4384	PF-04620110	DGAT-1 inhibitor, potent and selective	1109276-89-2	≥16.9 mg/mL in DMSO
B6062	Manumycin A	Farnesyltransferase inhibitor	52665-74-4	Soluble in DMSO

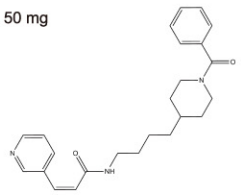
Product Citations

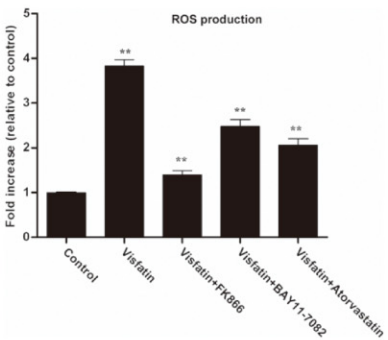
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

A4381 FK866 (APO866)

FK866 is an inhibitor of nicotinamide phosphoribosyl transferase (NMPRTase) with IC50 values ranging between 0.09 nM and 27.2 nM.

Size 5 mg, 10 mg, 25 mg, 50 mg





H2DCFDA incubation revealed the effect of atorvastatin on visfatin-induced ROS generation in HCAECs. HCAECs was incubated in the absence or presence of 50 ng/ml visfatin, with or without 50 nM FK866, 50 μM BAY11-0782 or 10 μM atorvastatin, for 24 h. **Oncol Lett. 2016. PMID:27446449**

Potency Comparison

Inhibitors	FTase	DGAT-1	COMT	NAMPT	PNMT	Transglutaminase	GGT
A922500		*** (IC50:7-24 nM)					
LB42708	***** (IC50:0.8 nM)						
Lonafarnib	**** (IC50:1.9 nM)						
PF-04620110		*** (IC50:19 nM)					
Tolcapone			*** (IC50:36 nM)				
Cystamine dihydrochloride						*	
FK866 (APO866)				***** (IC50:0.09 nM)			
GGsTop							* (Ki:0.17 mM)
GPP 78 hydrochloride				*			
LY 78335					*** (Ki:0.09 μM)		
Tipifarnib (Zarnestra)	***** (IC50:0.6 nM)						

Notes: "*" represents potency. The higher the number of "*" is, the more potent an inhibitor or activator is. For more products information, please visit our website.

Other Inhibitors

Featured Products

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Cat.No.	Product Name	Short Summary	CAS	Solubility
B5823	LP533401 HCl	Tph-1 inhibitor	1040526-12-2	≥56.3 mg/mL in DMSO
B3607	A939572	Stearoyl-CoA desaturase1 (SCD1) inhibitor	1032229-33-6	≥17.15 mg/mL in DMSO
A4345	MK-8245	SCD inhibitor, potent and liver-selective	1030612-90-8	≥23.4 mg/mL in DMSO
B1966	Menadione	Precursor to vitamin K2, inhibitor of Cdc25 phosphatase and mitochondrial DNA polymerase γ (pol γ)	58-27-5	≥5.2 mg/mL in DMSO
A8403	CP-91149	Selective inhibitor of glycogen phosphorylase	186392-40-5	≥16.4 mg/mL in DMSO
B6025	DASA-58	Activator of pyruvate kinase M2 (PKM2)	1203494-49-8	≥127.2 mg/mL in DMSO
B5462	Rotenone	Inhibitor of the mitochondrial complex I electron transport chain	83-79-4	≥77.6 mg/mL in DMSO
A4318	Avasimibe	ACAT inhibitor, orally bioavailable	166518-60-1	≥25.1 mg/mL in DMSO
A8723	GSK180	Inhibitor of kynurenine-3-monooxygenase (KMO)	N/A	≥27.6 mg/mL in DMSO
A8306	MOG (35-55)	Minor component of CNS myelin	149635-73-4	≥32.2 mg/mL in DMSO
B1793	NAD+	Coenzyme	53-84-9	≥28.55 mg/mL in DMSO
B6121	3-Deazaade-nosine	S-Adenosylhomocysteine (SAH) hydrolase inhibitor	6736-58-9	≥26.6 mg/mL in DMSO
B3422	U-73122	Inhibitor of phospholipase C, phospholipase A2, and 5-LO (5-lipoxygenase)	112648-68-7	≥5.66 mg/mL in DMSO
A8430	Ezetimibe	Cholesterol transport inhibitor	163222-33-1	≥20.5 mg/mL in DMSO
A4320	Voriconazole	CYP51 inhibitor	137234-62-9	≥34.9 mg/mL in DMSO
A3363	DGAT-1 inhibitor	Diacylglycerol acyltransferase (DGAT1) inhibitor	701232-20-4	≥39.4 mg/mL in DMSO
A4347	Methotrexate	Folate antagonist, inhibits DFHR	59-05-2	≥21.6 mg/mL in DMSO
A4371	Ferrostatin-1 (Fer-1)	Ferroptosis inhibitor, erastin-induced	347174-05-4	≥9.8 mg/mL in DMSO
B1524	Erastin	Cell-permeable ferroptosis activatior and antitumor agent	571203-78-6	≥27.4 mg/mL in DMSO
B4987	Liproxstatin-1	A potent ferroptosis inhibitor	950455-15-9	≥10.5 mg/mL in DMSO
A4373	NLG919	Potent IDO pathway inhibitor	1402836-58-1	≥47.7 mg/mL in DMSO
B6036	INCB-024360	Potent and selective inhibitor of IDO1	1204669-58-8	≥15.7 mg/mL in DMSO
B4900	Indoximod (NLG-8189)	Indoleamine 2,3-dioxygenase (IDO) pathway inhibitor	110117-83-4	≥1.12 mg/mL in H_2O w/t ultrasonic/warming

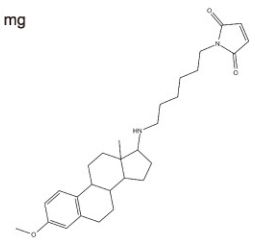
Product Citations

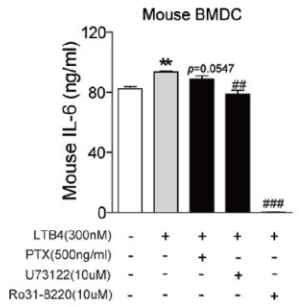
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

B3422 U-73122

U-73122 is an inhibitor of phospholipase C, phospholipase A2, and 5-LO (5-lipoxygenase).

Size 5 mg, 10 mg, 25 mg



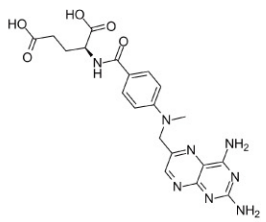


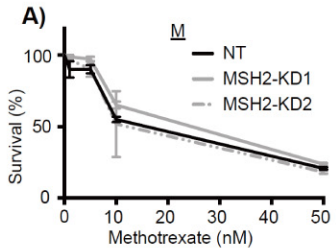
BLT1 regulates mouse and human DC-derived inflammatory cytokine production through the Gαi βγ subunit-PLC β-PKC pathway. WT and BLT1−/− BMDCs were treated with LPS (100 ng/ml) alone or in the presence of the PLC inhibitor U73122 for 24 h. **Cell Mol Immunol. 2018. PMID:29670278**

A4347 Methotrexate

Methotrexate (MTX), analog of folic acid, is a nonspecific inhibitor of the dihydrofolate reductase(DHFR) of bacteria and cancerous cells as well as normal cells.

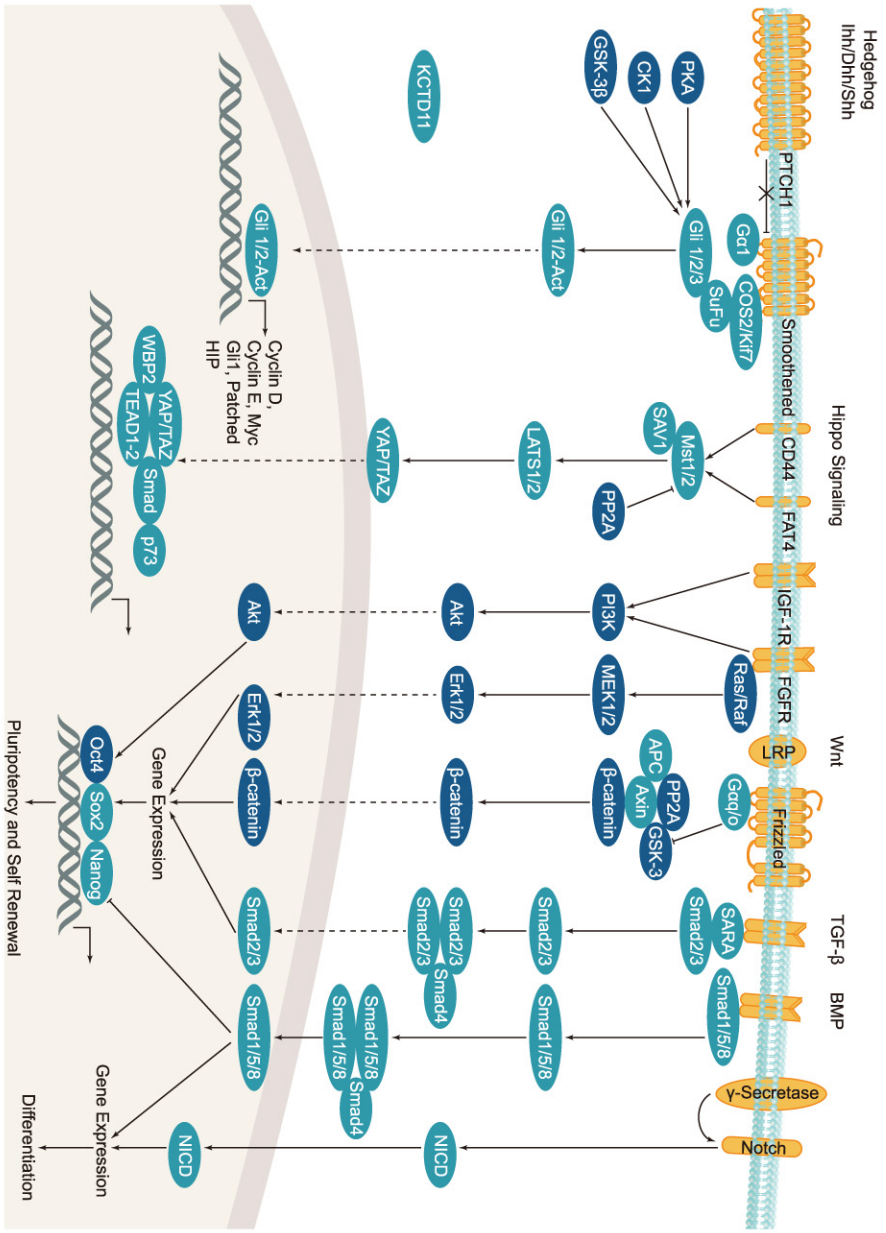
Size 100 mg, 200 mg, 500 mg





Cell viability of MGHU4 cells when treated with several chemotherapies is unaffected by MSH2 knockdown. MGHU4 bladder cancer cells were treated with methotrexate (A), vinblastine (B), doxorubicin (C), and gemcitabine (D) for 48 hours. **bioRxiv. 2018.**

Stem Cell



Introduction

Stem cells are a class of undifferentiated cells that are able to differentiate into specialized tissue cell types. There are two types of stem cells: embryonic stem cells (ESC) and adult stem cells (ASC). The ESC is originated from the inner cell of blastocysts, and the ASC is located in specific tissues, such as bone marrow, adipose tissue and blood.

In ESC, BMP/TGF-β signaling pathway plays a key role in maintaining pluripotency and self-renewal. It signals through Smad proteins, and the FGF signaling pathway, which activates the MAPK and Akt pathways. The Wnt signaling pathway also promotes pluripotency. OCT-4, SOX2, and NANOG are three main transcription factors that are expressed and activated by these pathways. Induced pluripotent stem cells (iPSC) are pluripotent cells that can be generated from differentiated cells with forced expression of specific reprogramming factors. Both ESC and iPSC can be induced to develop into distinct cell types that associated with three primary germ layers: ectoderm, mesoderm and endoderm. Signaling pathways that control the development of these cell lineages, including BMP/TGF-β, Notch, Wnt/β-catenin, Hedgehog and Hippo pathways, which regulate cell division, growth and differentiation. Defects in stem cell signaling are related to developmental disorders and cancer.

GSK-3 Inhibitors

See page 125 for the relevant product information.

EZH2 Inhibitors

Featured Products

APExBIO provides over 9000 products, for all the available compounds in this category, please visit our website.

Cat.No.	Product Name	Short Summary	CAS	Solubility
A3446	GSK126	EZH2 inhibitor	1346574-57-9	≥3.29 mg/mL in DMSO
A8182	3-Deazaneplanocin A (DZNep) hydrochloride	SAHH and EZH2 inhibitor	120964-45-6	≥14.9 mg/mL in DMSO
A3449	GSK343	EZH2 inhibitor, potent, selective and cell permeable	1346704-33-3	≥7.58 mg/mL in DMF with gentle warming
B5833	GSK503	EZH2 inhibitor	1346572-63-1	<2.7 mg/mL in H_2O, ≥26.85 mg/mL in EtOH

Product Citations

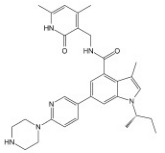
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

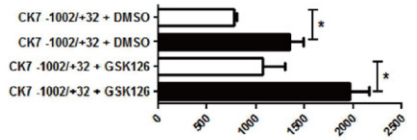
A3446 GSK126

GSK126 is an inhibitor of EZH2 with Ki value of 93 pM.

Size 5 mg, 10 mg, 50 mg, 100 mg

2 citations



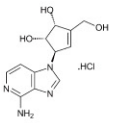


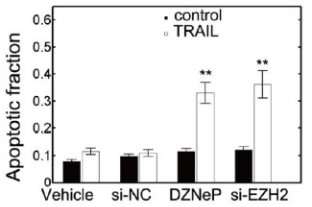
EZH2 inactivates transcription of FN, CK7, and CK19. The cells were infected an adenoviral construct to overexpress EZH2 or treated with 5 μM of EZH2 inhibitor GSK126. **PLoS One. 2016.PMID:27936185**

A8182 3-Deazaneplanocin A (DZNep) hydrochloride

3-Deazaneplanocin A (DZNep) hydrochloride is a selective inhibitor of EZH2 inhibitor with IC50 value of 0.08-0.24 μM.

Size 1 mg, 5 mg, 10 mg, 25 mg



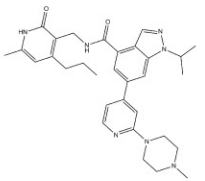


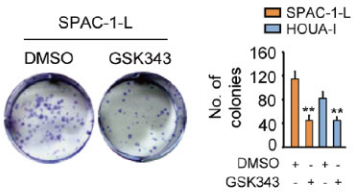
DZNeP can sensitize TRAIL-induced apoptosis in AsPC-1 cells. EZH2 knockdown also confirms that the sensitization effect. AsPC-1 cells were treated with DZNeP (5μM), transfected with either si-NC or si-EZH2 and then exposed to TRAIL (200 ng/ml) for 24 h. **Biochem Biophys Res Commun. 2017. PMID:29107694**

A3449 GSK343

GSK343 is a selective and SAM-competitive inhibitor of the histone lysine methyltransferase EZH2 with IC50 value of 4 nM.

Size 5 mg, 10 mg, 25 mg





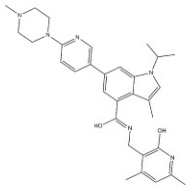
GSK343 mimics the effects of EZH2 knockdown on miR-361 and Twist expression. Cells were seeded and incubated for 1 d, then treated with GSK343 (0.5 or 1 μM) and/or 5-AZA (10 μM) for 3 or 14 d as indicated. **Oncotarget. 2017. PMID:28088786**

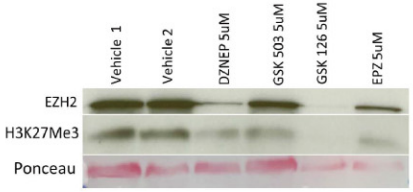
B5833 GSK503

GSK503 is a potent and specific inhibitor of EZH2 methyltransferase with Kiapp values of 3 to 27 nM.

Size 5 mg, 10 mg, 50 mg

2 citations





GSK 503 almost has no effect on reduction of ponceau staining in these conditions Immuno-blot analysis of EZH2 and H3K27me3 levels in CD4+CD62L+ cells cultured in Treg stimulating conditions in the presence of 5 μM GSK 503 for 36 hours. **J Biol Chem. 2016. PMID:27909059**

Hedgehog Inhibitors / Activators

Featured Products

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Cat.No.	Product Name	Short Summary	CAS	Solubility
A8340	Cyclopamine	Hedgehog (Hh) signaling Inhibitor	4449-51-8	≥6.9 mg/mL in DMSO
A3021	GDC-0449 (Vismodegib)	Hedgehog antagonist,potent and selective	879085-55-9	≥21.1 mg/mL in DMSO
B5837	SAG	Hh and Smo agonist	912545-86-9	≥24.5 mg/mL in DMSO
A1615	GANT61	GLI antagonist	500579-04-4	≥9.95 mg/mL in EtOH

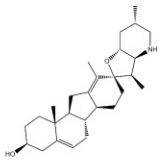
Product Citations

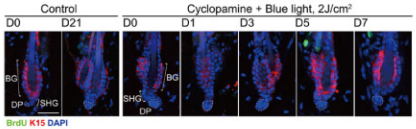
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

A8340 Cyclopamine

Cyclopamine is a naturally occurring Hedgehog specific small molecule signaling steroidal alkaloid inhibitor with EC50 value of 10.57 μM.

Size 5 mg, 10 mg, 25 mg



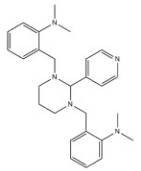


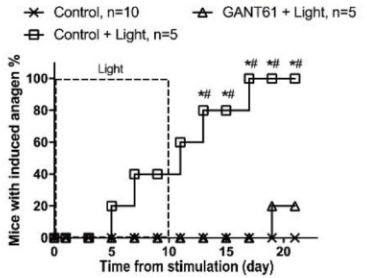
Light enhances hedgehog signaling in HFSCs to promote anagen entry. Cyclopamine (2 mg/kg) was dissolved in hand cream at 10 mg drug/1 g cream. It was applied to the shaved back of mice twice a day (50 mg cream per mouse) for 10 d. **Proc Natl Acad Sci U S A. 2018. PMID:29959210**

A1615 GANT61

GANT61 is an antagonist of GLI with IC50 value of 5 μM for GLI-induced transcription.

Size 5 mg, 25 mg, 100 mg





The role of hedgehog signaling in light-induced anagen entry. GANT61 (50 mg/kg) was dissolved in hand cream at 10 mg drug/1 g cream. GANT61 cream was applied to the shaved back of mice twice a day (50 mg cream per mouse) for 10 d. **Proc Natl Acad Sci U S A. 2018. PMID:29959210**

HSC Inhibitors / Activators

Featured Products

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Cat.No.	Product Name	Short Summary	CAS	Solubility
A8950	UM 171	HSC agonist	1448724-09-1	≥22.7 mg/mL in DMSO
B4925	C34	TLR4 inhibitor	40592-88-9	Soluble in DMSO
A8952	UM 729	Enhancer of AhR antagonists	1448723-60-1	≥36.7 mg/mL in DMSO
A8224	StemRegenin 1 (SR1)	AhR antagonist	1227633-49-9	≥21.5 mg/mL in DMSO

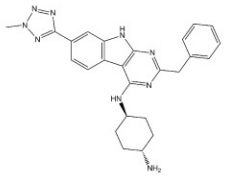
Product Citations

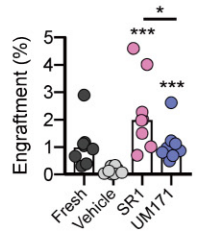
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

A8950 UM 171

UM171 is a selective agonist of human hematopoietic stem cell self-renewal.

Size 5 mg, 25 mg





Only SR1 (16-fold) and UM171 (8-fold) demonstrated enhanced engraftment at week 13 in the peripheral blood in NSG mice. Mice transplanted with the progeny of cord blood CD34+ cells expanded with vehicle, SR1 (500 nM), or UM171 (50 nM) for 10 days. **Cell Stem Cell.2018.**

Wnt / β-catenin Inhibitors

Featured Products

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Cat.No.	Product Name	Short Summary	CAS	Solubility
A8217	ICG 001	Wnt/β-catenin pathway inhibitor	847591-62-2	≥27.4 mg/mL in DMSO
B2306	IWR-1-endo	Potent Wnt signaling inhibitor	1127442-82-3	≥20.5 mg/mL in DMSO
B2307	LGK-974	PORCN inhibitor, potent and specific	1243244-14-5	≥19.8 mg/mL in DMSO
A8685	Wnt-C59	PORCN inhibitor, highly potent and selective	1243243-89-1	≥19 mg/mL in DMSO
A3512	IWP-2	Wnt production inhibitor, PORCN inhibitor	686770-61-6	≥23.35 mg/mL in DMF with gentle warming
A3785	Salinomycin	Polyether ionophore antibiotic, anti-cancer	53003-10-4	≥142.2 mg/mL in EtOH
B5626	Kartogenin	Induces differentiation of human mesenchymal stem cells into chondrocytes	4727-31-5	≥10.6 mg/mL in DMSO

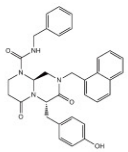
Product Citations

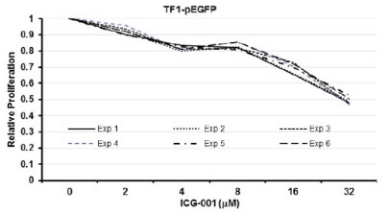
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

A8217 ICG 001

ICG-001 is an antagonist of Wnt/β-catenin/TCF-mediated transcription and specifically binds to element-binding protein (CBP) with IC50 of 3 μM.

Size 5 mg, 10 mg, 25 mg, 100 mg



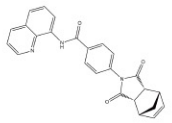


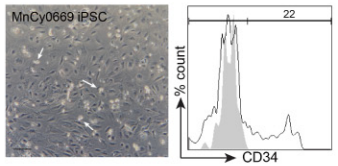
ICG-001 alone induced a dose-dependent inhibition of proliferation with IC50 values of 22 μM respectively, on TF1-pEGFP cells. TF1-pEGFP and TF1-hPRL3 cells were incubated with increasing concentration ICG-001 for 48 h. **J Hematol Oncol. 2018. PMID:29514683**

B2306 IWR-1-endo

IWR-1-endo is a small molecule inhibitor of Wnt Response with IC50 value of 180nM.

Size 10 mg, 25 mg



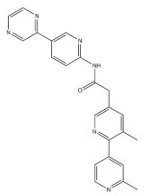


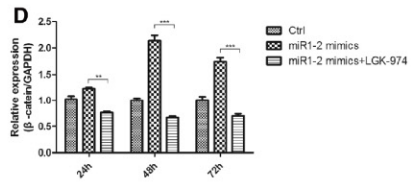
Similar combination of ETV2 and GATA2 modRNA induced formation of floating CD34+ hematopoietic cells from MnCy0669 iPSC. All PSCs were cultured on vitronectin-coated tissue culture plates in E8 medium and an addition of 2.5 μM of IWR1 for MnCy0669 iPSC. **Stem Cell Rev. 2018. PMID:29520567**

B2307 LGK-974

LGK-974 is a potent and specific small-molecule inhibitor of Porcupine (PORCN) with IC50 value of 1nM.

Size 5 mg, 50 mg





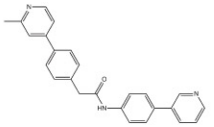
The levels of β-catenin together with JNK, Wnt11 and TCF are significantly decreased after adding LGK-974 in BMSCs. 1μM LGK-974 was added into BMSCs after miR1-2 mimics transfection at 4 h and incubated for 24 h, 48 h and 72 h. **J Biomed Sci. 2017. PMID:28490365**

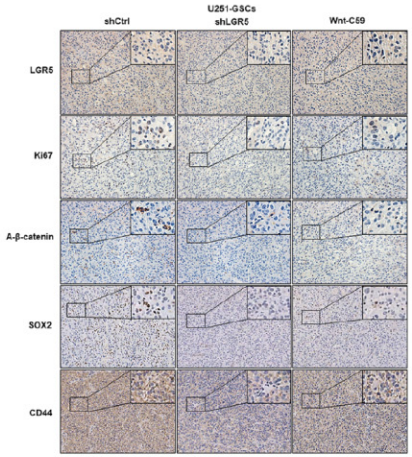
A8685 Wnt-C59

Wnt-C59 is a selective inhibitor of Wnt signaling with IC50 value of 74 pM.

Size 5 mg, 10 mg, 50 mg

2 citations





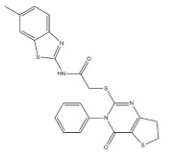
Effect of LGR5 on intracranial tumor growth and overall survival time of xenograft mice. After injection, 5 of 10 shCtrl mice were treated with 200 μL Wnt-C59 (15 mg/kg/day) by oral administration. **J Exp Clin Cancer Res. 2018. PMID:30208924**

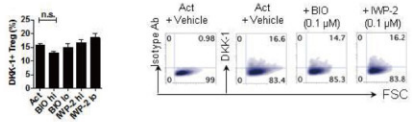
A3512 IWP-2

IWP-2 is an inhibitor of Wnt processing and secretion with IC50 of 27 nM in a cell-free assay, selective blockage of Porcn-mediated Wnt palmitoylation.

Size 10 mg, 50 mg

2 citations





De novo expressions of DKK-1 in Tregs is regulated by the MAPK pathway. Tregs were activated in the presence of GSK3ß inhibitor BIO (100 nM), and its negative control MeBIO (100 nM), and porcupine inhibitor IWP-2 (100 nM) for 72 hours. **Immunology. 2017. PMID:28556921**

Other Inhibitors / Activators

Featured Products

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Cat.No.	Product Name	Short Summary	CAS	Solubility
A3719	PF-670462	CK1 ε/δ inhibitor	950912-80-8	≥20.5 mg/mL in DMSO
A3342	D4476	CK1/ALK5 inhibitor, specific and cell permeable	301836-43-1	≥19.9 mg/mL in DMSO
K1022	Mouse iPSC Chemical Reprogramming Cocktails Kit	Chemical reprogramming from somatic cells to pluripotent stem cells	N/A	Soluble in DMSO
A8228	Purmorphamine	Hedgehog agonist	483367-10-8	≥8.7 mg/mL in DMSO
B2266	LDE225 (NVP-LDE225, Erismodegib)	Smoothened inhibitor, potent and selective	956697-53-3	≥24.3 mg/mL in DMSO

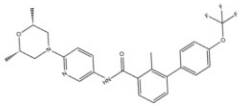
Product Citations

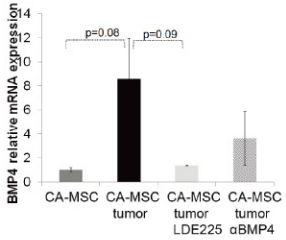
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

B2266 LDE225 (NVP-LDE225, Erismodegib)

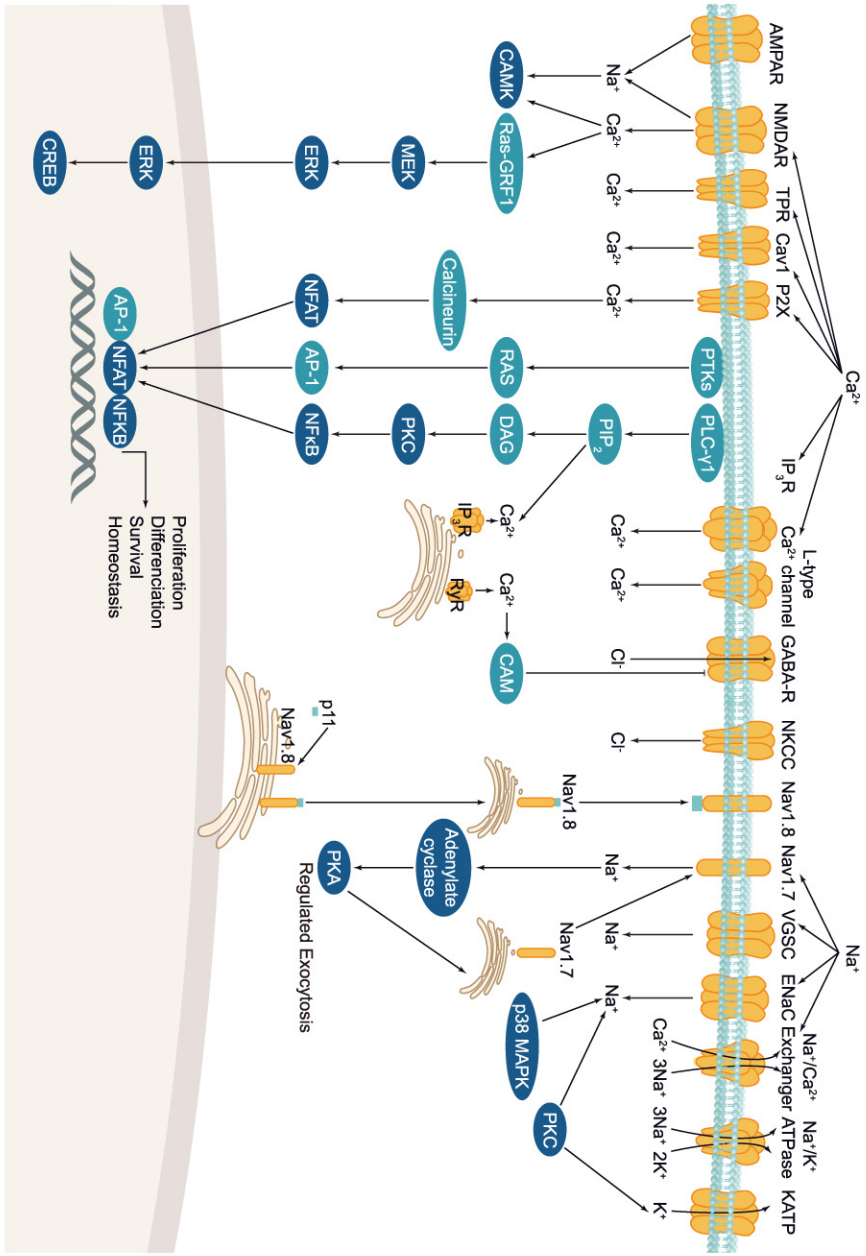
LDE225 is a potent and selective inhibitor of smoothened antagonist with IC50 values of 1.3nM in mouse and 2.5nM in human, respectively.

Size 5 mg, 10 mg, 50 mg, 100 mg, 200 mg





Ovarian tumor cells respond to BMP4 with increased HH forming a positive feedback loop interrupted by HH inhibition. Tumor cells were seeded into the lower chamber in 2.5 ml RPMI or DMEM ± 20 nM LDE225 for 5 days. **Oncotarget. 2016. PMID:26755648**



Introduction

Membrane Transporters mediate the movement of ions and molecules via binding and moving the substance across the membrane. There are two main actions of transporter: facilitated diffusion (passive transport) and active transport. Membrane transporters which bind the hydrolysis of ATP to the transport of target molecules are referred to as ATPases. For instance, Na^+,K^+-ATPases or Na^+,K^+-pumps are responsible for the transport of Na^+ out of and K^+ into cells.

Ion channels are pore-forming membrane proteins which allow the flow of ions across the membrane. The ion channels can be broadly grouped into six families including calcium channels, chloride channels, potassium channels, sodium channels, gap junction proteins and porins. Not all ion channels are gated, such as certain type of K^+ and Cl^- channels, transient receptor potential superfamily of cation channels, the ryanodine receptors and the IP3 receptors, but most Na^+, K^+, Ca^{2+} and some Cl^- channels are all gated by voltage. Ligand-gated channels are regulated in response to ligand binding (e.g. neurotransmitters signaling). These ligand-gated neurotransmitter receptors are known as ionotropic receptors. Various neurotransmitters couple to ionotropic receptors such as glutamate, acetylcholine, glycine, GABA, and serotonin.

GSK-3 Inhibitors

See page 125 for the relevant product information.

ATPase Inhibitors

Featured Products

APExBIO provides over 9000 products, for all the available compounds in this category, please visit our website.

Cat.No.	Product Name	Short Summary	CAS	Solubility
A5588	Oligomycin A	Mitochondrial ATP synthase inhibitor	579-13-5	≥9.9 mg/mL in DMSO
B1387	(-)-Blebbistatin	Non muscle myosin II ATPase inhibitor	856925-71-8	≥14.6 mg/mL in DMSO
B1400	Brefeldin A	ATPase inhibitor	20350-15-6	≥4.7 mg/mL in DMSO
B6614	Thapsigargin	Sarco-endoplasmic reticulum Ca2+-ATPases inhibitor	67526-95-8	Soluble in DMSO
A1605	Dynasore	Dynamin and GTPase inhibitor	304448-55-3	≥16.1 mg/mL in DMSO
C3007	Oligomycin Complex	Inhibits mitochondrial membrane-bound ATP synthases	1404-19-9	≤30 mg/mL in EtOH; 20 mg/mL in DMSO
A8720	MYK-461	Inhibits adenosine triphosphatase activity	1642288-47-8	≥13.7 mg/mL in DMSO
B5997	Dyngo-4a	Dynamin inhibitor	1256493-34-1	≥33.8 mg/mL in DMSO
A8349	Omecamtiv mecarbil	Cardiac myosin activator	873697-71-3	≥19.1 mg/mL in DMSO

Cat.No.	Product Name	Short Summary	CAS	Solubility
A3508	Istaroxime hydrochloride	Inhibitor of Na^+/K^+ ATPase	374559-48-5	Soluble in DMSO
B7684	Digoxin	Na^+/K^+ ATPase pump inhibitor	20830-75-5	≥33.3 mg/mL in DMSO
B1384	Ciclopirox ethanolamine	Iron chelator, broad-spectrum antifungal agent	41621-49-2	≥11.6 mg/mL in H_2O
B6920	Paxilline	High-conductance Ca^{2+}-activated K^+ (BKCa, KCa1.1) channels blocker	57186-25-1	Soluble in DMSO
B1385	Golgicide A	GBF1 inhibitor, potent, reversible and highly specific	1139889-93-2	≥13 mg/mL in DMSO
A8524	Sodium Orthovanadate	PTP inhibitor	13721-39-6	≥6.7 mg/mL in H_2O

Product Citations

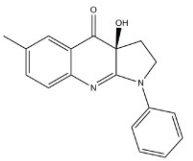
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

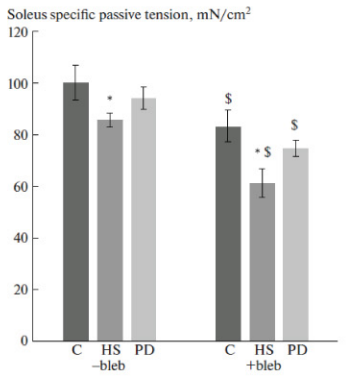
B1387 (-)-Blebbistatin

(-)-Blebbistatin is a cell-permeable non-muscle myosin II ATPases inhibitor with an IC50 range of 2 μM.

Size 10 mg, 25 mg

3 citations





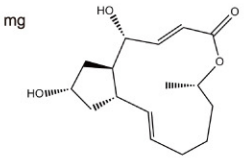
Soleus specific passive tension (mN/cm2). During the incubation at 37°C in the dark for 1 h, the incubation medium was supplemented with 75 μM (–)-blebbistatin. **Dokl Biochem Biophys. 2018. PMID:30168060**

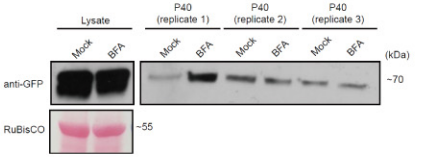
B1400 Brefeldin A

Brefeldin A (BFA) is an inhibitor of ATPase with IC50 value of 0.2 μM.

Size 5 mg, 25 mg, 100 mg

2 citations





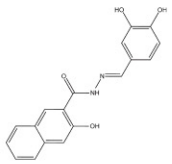
Pretreatment with Brefeldin A (BFA) does not affect EV recovery GFP-PEN1 plants were infiltrated by hand with either 300 μM BFA or a mock solution containing an equivalent amount of methanol. **Plant Physiol. 2017. PMID:27837092**

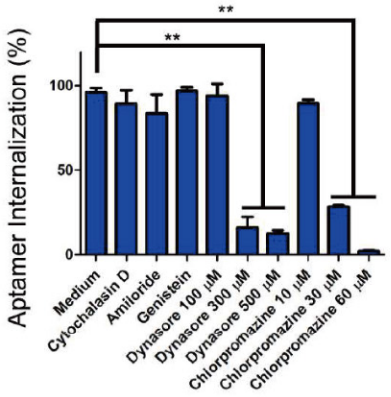
A1605 Dynasore

Dynasore is a noncompetitive inhibitor of GTPases with the IC50 value of 15 μM.

Size 10 mg, 25 mg, 100 mg

3 citations





Internalization of R1 aptamer in HUVECs. HUVEC cells were co-incubated with the following inhibitors before co-culture with thioaptamer: dynasore (inhibitor of dynamin-dependent endocytosis, 100 μM, 300 μM and 500 μM). **Mol Pharm. 2018. PMID:29537266**

Potency Comparison

Inhibitors	ATPase	GTPase	H⁺/K⁺-ATPase	Na⁺/K⁺ ATPase	Ca²⁺-ATPase
(-)-Blebbistatin	** (IC50:2 μM)				
BHQ					*
Brefeldin A	*** (IC50:0.2 μM)				
BTB06584	*				
Digoxin				*	
Dynasore		* (IC50:15 μM)			
Sodium Orthovanadate	*				
TAK-438					
SCH 28080			**** (IC50:19 nM)		
Sodium Orthovanadate	*		**** (IC50:20 nM)		

Notes: "*" represents potency. The higher the number of "*" is, the more potent an inhibitor or activator is. For more products information, please visit our website.

Calcium Channel Inhibitors

Featured Products

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Cat.No.	Product Name	Short Summary	CAS	Solubility
B1988	Nifedipine	L-type calcium channel blocker	21829-25-4	≥15.8 mg/mL in DMSO
B1867	Verapamil HCl	L-type calcium channel blocker	152-11-4	≥14.5 mg/mL in DMSO
B6947	Ionomycin free acid	Calcium ionophore	56092-81-0	Soluble in EtOH or DMSO
B6643	2-APB	Antagonist of Ins(1, 4, 5) P3-induced Ca^{2+} release	524-95-8	≥9.4 mg/mL in DMSO
B1375	Dehydroepiandrosterone (DHEA)	Endogenous steroid hormone	53-43-0	≥13.7 mg/mL in DMSO
B5165	Ionomycin calcium salt	Ionophore	56092-82-1	Soluble in DMSO

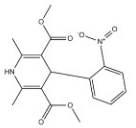
Product Citations

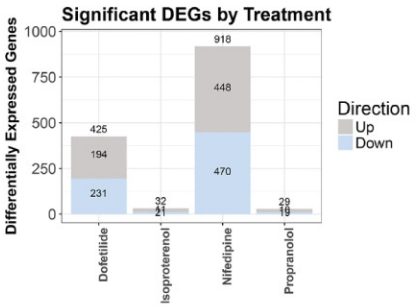
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

B1988 Nifedipine

Nifedipine is a calcium channel blocker and the drug of choice for angina, high blood pressure, Raynaud's phenomenon, and premature labor.

Size 50 mg





Differential gene expression assessment. Cleaned count data are normalized and assessed for DEGs (at max treatment dose) by treatment using DESeq2. **Front Genet. 2017. PMID:29163636**

Potency Comparison

Inhibitors	Calcium Channel	Voltage-sensitive Ca^{2+} channels	L-type Calcium Channel	N-type Calcium Channel	T-type Calcium Channel	IP3 Receptor	Ryanodine Receptor	Two-pore Channels
2-APB						* (IC50:42 μM)		
Amlodipine Besylate			*					
Cilnidipine			*	*				
Dehydroepian-drosterone					*			
Isradipine	*							

Inhibitors	Calcium Channel	Voltage-sensitive Ca^{2+} channels	L-type Calcium Channel	N-type Calcium Channel	T-type Calcium Channel	IP3 Receptor	Ryanodine Receptor	Two-pore Channels
Lacidipine			*					
Nilvadipine	*							
Nisoldipine			**** (IC50:10 nM)					
Ranolazine 2HCl	*							
Strontium Ranelate	*							
Verapamil HCl			*					
Zonisamide					*			
SR 33805 Oxalate			***** (Kd:20 pM)					
Ruthenium Red		*						
Zonisamide Sodium					*			

Activators	Calcium Channel	Voltage-sensitive Ca^{2+} channels	L-type Calcium Channel	N-type Calcium Channel	T-type Calcium Channel	IP3 Receptor	Ryanodine Receptor	Two-pore Channels
Strontium Ranelate	* (IC50:0.5 mM)					* (IC50:42 μM)		
(S)-(-)-Bay K 8644			*					
NAADP tetrasodium salt				*			*	*

Notes: "*" represents potency. The higher the number of "*" is, the more potent an inhibitor or activator is. For more products information, please visit our website.

CFTR Inhibitors

Featured Products

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Cat.No.	Product Name	Short Summary	CAS	Solubility
A8553	PTC124 (Ataluren)	CFTR-G542X nonsense allele inhibitor	775304-57-9	≥10.6 mg/mL in DMSO
A5047	Ivacaftor (VX-770)	Potent CFTR potentiator	873054-44-5	≥19.6 mg/mL in DMSO
A8351	VX-809	CFTR corrector	936727-05-8	≥22.6 mg/mL in DMSO
B1435	CFTRinh-172	CFTR inhibitor, highly potent and selective	307510-92-5	≥40.9 mg/mL in DMSO
A2664	VX-661	F508del CFTR corrector	1152311-62-0	≥21.8 mg/mL in DMSO

Other Inhibitors / Activators

Featured Products

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Cat.No.	Product Name	Short Summary	CAS	Solubility
B3308	Memantine hydrochloride	NMDA receptor antagonist	41100-52-1	≥12.6 mg/mL in DMSO
A3100	(+)-MK 801	Potent NMDA antagonist	70449-94-4	≥10.45 mg/mL in DMSO
A8896	(+)-MK 801 Maleate	Potent NMDA antagonist	77086-22-7	≥16.9 mg/mL in DMSO
B3304	ATP disodium salt	P2 purinoceptor agonist	987-65-5	≥19 mg/mL in H_2O
A3530	KN-92 hydrochloride	Inactive derivative of KN-93, experimental control	1431698-47-3	≥24.7 mg/mL in DMSO
A2813	Ivermectin	NAChR/purinergic P2X4 receptor modulator	70288-86-7	≥43.8 mg/mL in DMSO
A8208	Tariquidar	P-glycoprotein inhibitor, potent and non-competitive	206873-63-4	≥16.2 mg/mL in DMSO
A8549	LY335979 (Zosuquidar 3HCL)	Pgp (P-glycoprotein) inhibitor	167465-36-3	≥17.1 mg/mL in DMSO
B7644	Nigericin sodium salt	Ionophore that exchanges K^+ for H^+ across biological membranes	28643-80-3	≥74.7 mg/mL in EtOH, <3.735 mg/mL in DMSO
B6591	Iberiotoxin	Blocker of the big conductance Ca^{2+}-activated K^+ channel	129203-60-7	Soluble in H_2O
A8417	Dofetilide	Potassium channel inhibitor	115256-11-6	≥21.2 mg/mL in DMSO
B2023	Ropivacaine HCl	Sodium channel inhibitor	98717-15-8	≥10.1 mg/mL in H_2O
B1420	Bupivacaine HCl	Anaesthetic drug	18010-40-7	≥10.3 mg/mL in DMSO
B6616	SKF 96365 hydrochloride	Store-operated Ca^{2+} entry (SOCE) inhibitor	130495-35-1	≥40.3 mg/mL in DMSO
B2100	HC-030031	TRPA1 channel blocker, potent and selective	349085-38-7	≥16.4 mg/mL in DMSO
B7389	EIPA	TRPP3 channel inhibitor	1154-25-2	Soluble in DMSO
B2014	Probenecid	Inhibitor of organic anion transport, MRP and pannexin-1 channel	57-66-9	≥8.7 mg/mL in DMSO
B6367	NPPB	inhibitor of chloride channel	107254-86-4	≥11.1 mg/mL in DMSO
A3758	Retigabine dihydrochloride	Antiepileptic compound	150812-13-8	≥18.8 mg/mL in DMSO
B7273	Pertussis Toxin	GTPase Inhibitor, causes whooping cough	70323-44-3	Soluble in H_2O
B6226	Kainic acid	Kainate receptor agonist, selective	487-79-6	≥11.05 mg/mL in DMSO

Product Citations

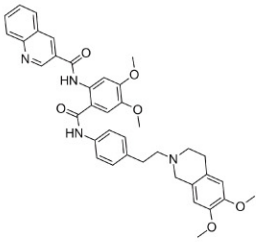
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

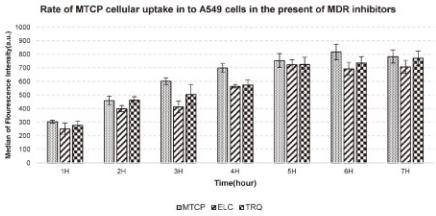
A8208 Tariquidar

Tariquidar (XR9576) is a potent and selective noncompetitive inhibitor of P-glycoprotein with Kd of 5.1 nM.

Size 10 mg, 50 mg

2 citations



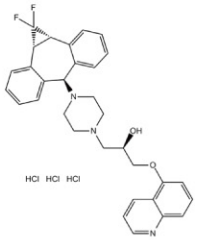


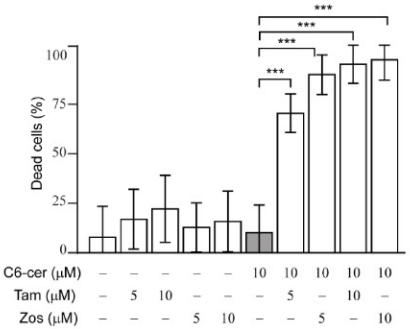
Multidrug resistance study. The rate of cellular uptake of MTCP was investigated in the presence of two multidrug resistance inhibitors, Tariquidar (TRQ) (150 × 10^{-9} m) and Elacridar (ELC) (1 × 10−6 m), that are potent and selective noncompetitive inhibitor of P-glycoprotein BCRP. **Macromol Biosci. 2016. PMID:27779358**

A8549 LY335979 (Zosuquidar 3HCL)

LY335979 is a selective inhibitor of P-gp with IC50 value of 1.2 nM.

Size 10 mg, 50 mg, 100 mg



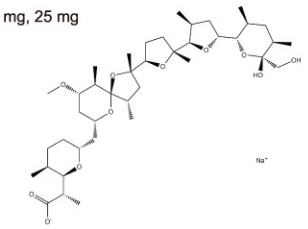


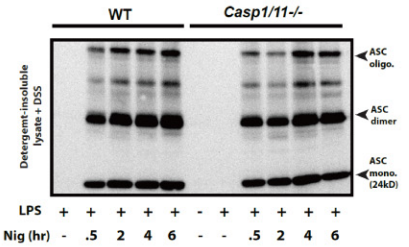
Zosuquidar is effective in reducing KG-1a cell viability when administered with C6-ceramide. Cells were seeded, and after a 2 h equilibration period, cells were exposed to the agents indicated at the concentrations shown, for 72 h. C6-cer, C6-ceramide; Tam, tamoxifen; Zos, zosuquidar. **Biochem Pharmacol. 2017.PMID:28189725**

B7644 Nigericin sodium salt

Nigericin sodium salt is an ionophore that exchanges K^+ for H^+ across biological membranes.

Size 5 mg, 10 mg, 25 mg





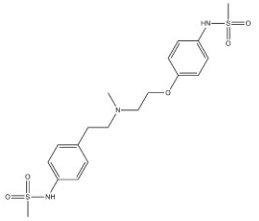
Sustained nigericin stimulation induces delayed processing and release of mature, bioactive IL-1β in LPS-primed Casp1/11-/- murine bone marrow-derived dendritic cells (BMDC). Detergent-insoluble lysates from WT and Casp1/11-/- BMDC treated with LPS and nigericin as described. **J Biol Chem. 2015. PMID: 26100631**

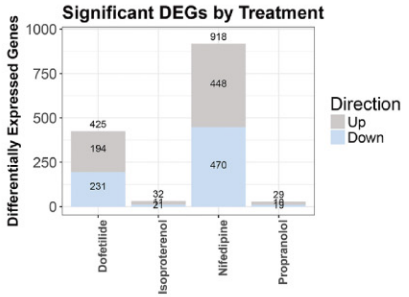
A8417 Dofetilide

Dofetilide is a selective potassium channel (hERG) blocker, used as a Class III antiarrhythmic drug.

Size 10 mg

2 citations



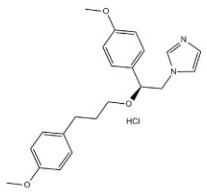


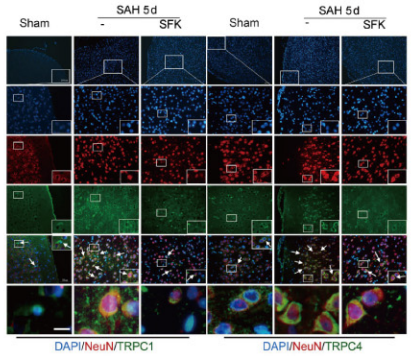
Differential gene expression assessment. Cleaned count data are normalized and assessed for DEGs (at max treatment dose) by treatment using DESeq2. **Front Genet. 2017. PMID:29163636**

B6616 SKF 96365 hydrochloride

SKF 96365 hydrochloride is an inhibitor of store-operated calcium entry (SOCE).

Size 5 mg, 10 mg, 50 mg





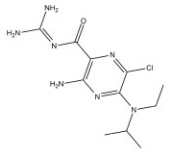
Effects of subarachnoid hemorrhage (SAH) stimulus and SFK96365 treatment on the protein levels of TRPC1/4.TRPC1/4 inhibitor SKF96365, prepared in DMSO at a concentration of 10 mM, was injected intraperitoneally at a dose range of 0.5–2.0 mg/kg body weight. **Sci Rep. 2016. PMID:27641617**

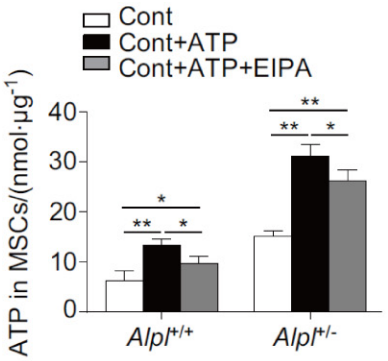
B7389 EIPA

EIPA is a TRPP3 channel inhibitor with an IC50 of 10.5 μM. EIPA also inhibits Na^+/H^+-exchanger (NHE) and macropinocytosis.

Size 50 mg, 10 mg

3 citations



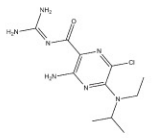


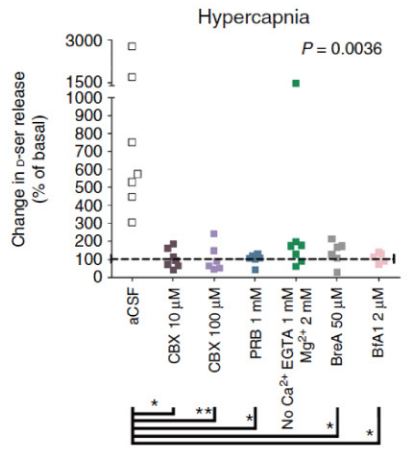
Alpl deficiency induces an elevation in extracellular ATP, which is internalized by MSCs and causes their dysfunction. Alpl+/+ and Alpl+/- MSCs were treated with 10 μmol/L ATP in the presence or absence of 50 μmol/L ethyl isopropyl amiloride (EIPA) for 1 h. **Bone Res. 2018. PMID:30210899**

B2014 Probenecid

Probenecid is an inhibitor of organic anion transport and MRP. Also, probenecid inhibited pannexin-1 channel with IC50 value of 150μM.

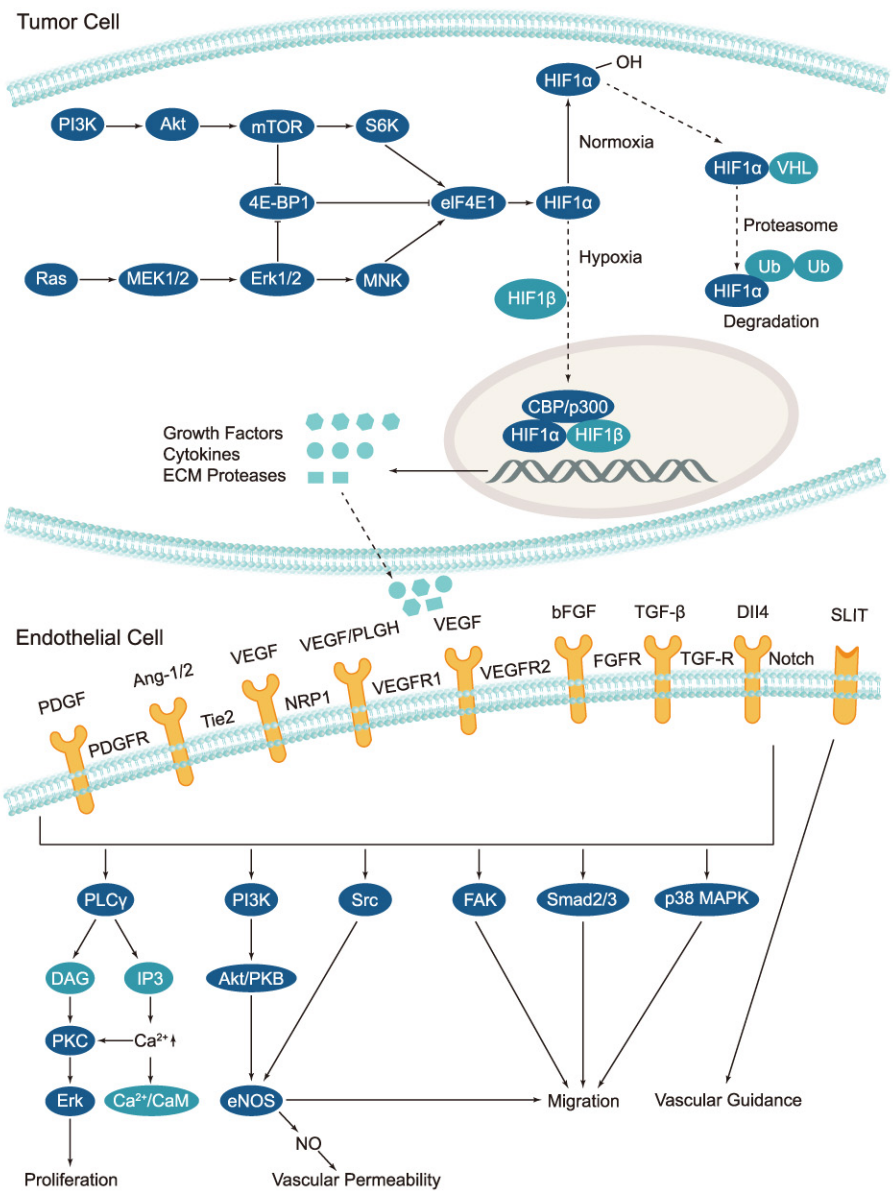
Size 1 g, 5 g





Medullary brainstem astrocytes release D-serine in response to hypercapnia. Astrocytes were incubated in basal aCSF or calcium-free aCSF ($CaCl_2$ was replaced with $MgCl_2$ in aCSF containing 1 mM EGTA) or aCSF containing 1 mM probenecid. **Nat Commun. 2017. PMID: 29018191**

Angiogenesis



Introduction

Angiogenesis is the growth of new blood vessels from the existing vasculature. This process is involved in development, wound healing, embryo formation and tumor growth. Activation of angiogenesis leads to the release of pro-angiogenic growth factors such as VEGF, PDGF, FGF and TGF, which bind their receptors on endothelial cells within pre-existing vessels. As a result, it induces signal transduction of various pathways such as PI3K/Akt, Erk1/2, Smad and Notch, causing endothelial cells proliferation and migration. Endothelial cells use matrix metalloproteases and integrins to digest extracellular matrix and migrate into new area, where they lengthen and form tubes, generating new blood vessel.

During tumor angiogenesis, cancer cells stimulate formation of new blood vessel for delivering oxygen and nutrients to a tumor. As the tumor grows, cells at the center of the mass become starved of oxygen, causing hypoxia. It stabilizes the expression of a transcription factor, HIF-1α (hypoxia inducible factor-1), which binds HIF-1β to upregulate the expression of several angiogenesis-promoting genes. Moreover, growth factor signaling also stimulates HIF-1 activity in order to maintain oxygen homeostasis for growing cells.

HIF Inhibitors

See page 105 for the relevant product information.

Btk Inhibitors

Featured Products

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Cat.No.	Product Name	Short Summary	CAS	Solubility
A3001	PCI-32765 (Ibrutinib)	Bruton's tyrosine kinase (Btk) inhibitor	936563-96-1	≥22 mg/mL in DMSO
B6185	ACP-196	Irreversible Btk inhibitor	1420477-60-6	≥46.6 mg/mL in DMSO
A3302	CGI-1746	Btk inhibitor	910232-84-7	≥29 mg/mL in DMSO
B5952	LFM-A13	Btk-specific tyrosine kinase inhibitor	244240-24-2	Soluble in DMSO
A3206	AVL-292	Btk inhibitor	1202757-89-8	≥21.2 mg/mL in DMSO

Product Citations

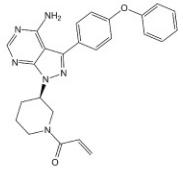
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

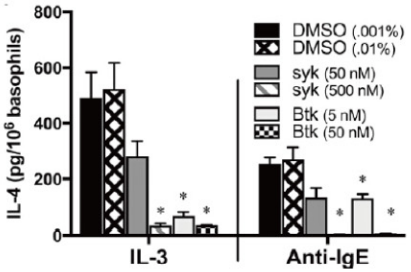
A3001 PCI-32765 (Ibrutinib)

Ibrutinib is a potent and highly selective inhibitor of Btk with IC50 of 0.5 nM, modestly potent to Bmx, CSK, FGR, BRK, HCK, less potent to EGFR, Yes, ErbB2, JAK3, etc.

Size 5 mg, 10 mg, 50 mg, 200 mg

4 citations





IL-4 secretion induced by IL-3 in the cocultures is inhibited nearly 90% by the Btk inhibitor (ibrutinib).IL-4 secretion in basophil+A549 cocultures using inhibitors of syk and BtK tyrosine kinase used at IC50s (50 and 5 nM, respectively). All cytokines assayed after 20 h incubation. **J Immunol. 2017. PMID: 28652400.**

Integrin Inhibitors

Featured Products

APExBIO provides over 9000 products, for all the available compounds in this category, please visit our website.

Cat.No.	Product Name	Short Summary	CAS	Solubility
B2052	Tirofiban	Selective platelet GPIIb/IIIa antagonist	144494-65-5	Limited solubility
A8164	Cyclo (-RGDfK)	Inhibitor of αvβ3 integrin	161552-03-0	≥30.2 mg/mL in DMSO
A8660	Cilengitide	Integrin inhibitor for αvβ3 and αvβ5	188968-51-6	≥29.4 mg/mL in DMSO
B3708	RGD (Arg-Gly-Asp) Peptides	Inhibits integrin binding to RGD motifs	99896-85-2	<0.69 mg/mL in DMSO, ≥17.3 mg/mL in H_2O

Product Citations

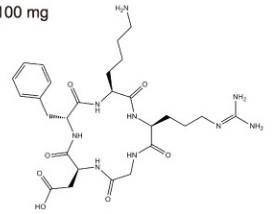
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

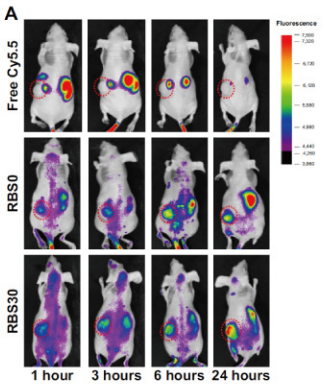
A8164 Cyclo (-RGDfK)

Cyclo (-RGDfK) is a potent and selective inhibitor of the αv β3 integrin.

Size 5 mg, 25 mg, 100 mg

2 citations





Noninvasive in vivo fluorescent imaging of free Cy5.5, Cy5.5-labeled RBS0, and Cy5.5-labeled RBS30 injected intravenously into U87MG tumor-bearing nude mice. cRGD were used in the coupling reaction. **Int J Nanomedicine. 2018. PMID:30127610.**

Potency Comparison

Inhibitors	Pan-Integrin	α1β1 integrin	α2β1 integrin	α4β1 integrin	α4β7 integrin	αvβ1 integrin	αvβ3 integrin	αvβ5 integrin	αvβ6 integrin	αvβ8 integrin	α9β1 integrin
Cilengitide							**** (IC50:4.1 nM)	*** (IC50:79 nM)			
Cyclo (-RGDfK)							*				
RGD Peptides	*										
A 286982	*** (IC50:44 nM)										
BIO 1211				**** (IC50:4 nM)							
BIO 5192			* (IC50:1053 nM)	**** (IC50:1.8 nM)							** (IC50:138 nM)
CWHM-12						**** (IC50:1.8 nM)	***** (IC50:0.8 nM)	*** (IC50:61 nM)	**** (IC50:1.5 nM)	***** (IC50:0.2 nM)	
Echistatin, α1 isoform							***** (KI:0.27 nM)				
Obtustatin		***** (IC50:0.8 nM)									
P11							*** (IC50:25.72 nM)				
TCS 2314				**** (IC50:4.4 nM)							
TR-14035				*** (IC50:87 nM)	**** (IC50:7 nM)						

Notes: "*" represents potency. The higher the number of "*" is, the more potent an inhibitor or activator is. For more products information, please visit our website.

VDA

Featured Products

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Cat.No.	Product Name	Short Summary	CAS	Solubility
A8233	DMXAA (Vadimezan)	Vascular disrupting agent, apoptosis inducer	117570-53-3	≥14.1 mg/mL in DMSO
B2298	Plinabulin (NPI-2358)	Vascular disrupting agent, blocks tubulin polymerization	714272-27-2	Soluble in DMSO

Product Citations

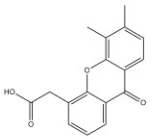
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

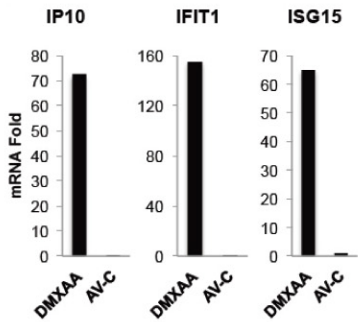
A8233 DMXAA (Vadimezan)

DMXAA (Vadimezan, AS-1404) is a selective inhibitor of DT-diaphorase with Ki50 and IC50 value of 20 μM and 62.5 μM, respectively.

Size 5 mg, 25 mg, 100 mg

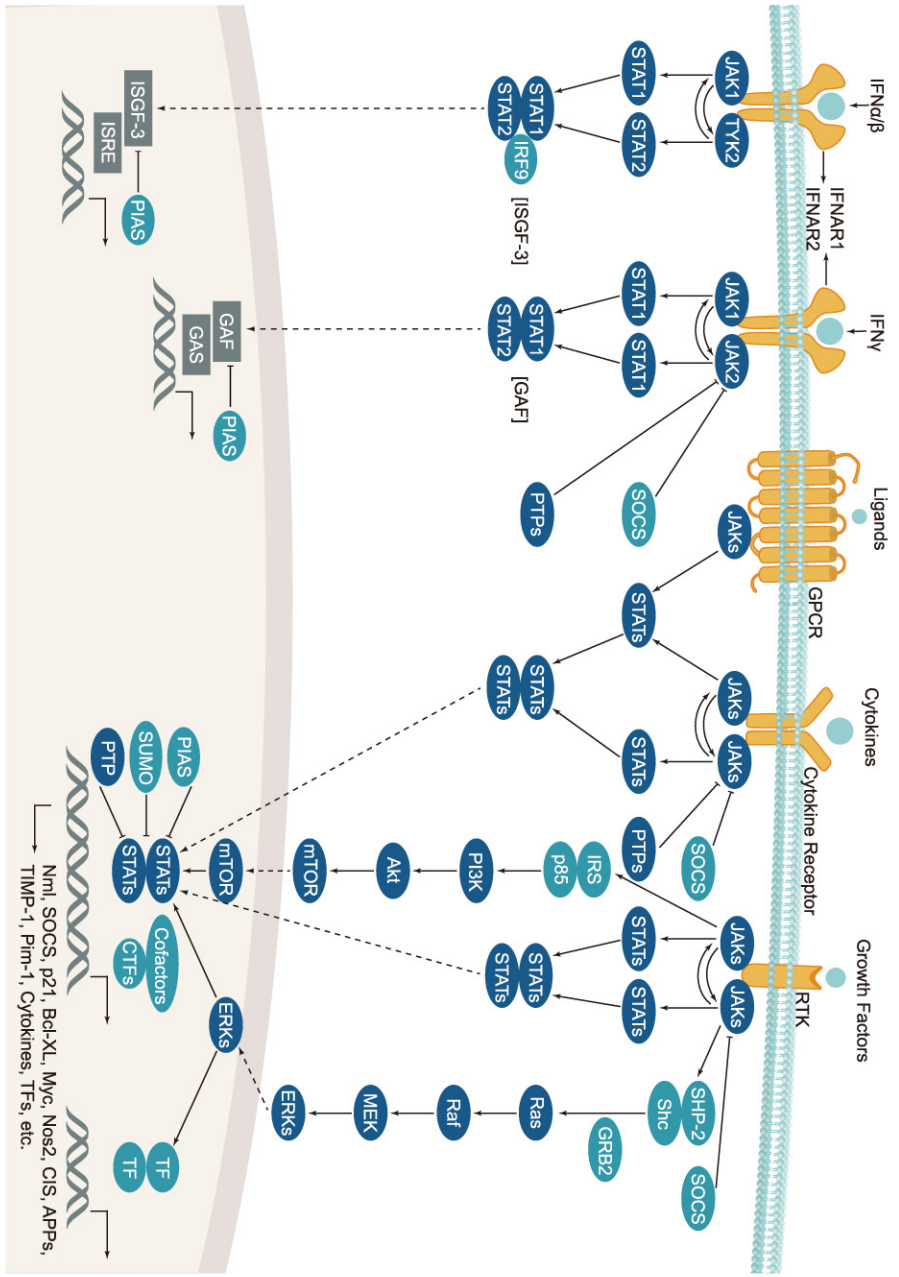
2 citations





AV-C is unable to trigger secretion of serum-associated type I IFN in mice, as also seen with DMXAA. Transcription of IP10/IFIT1/ ISG15 in murine RAW264.7 macrophage-like cells treated for 8h with serum harvested at 6h post treatment from C57BL/6J mice injected intraperitoneally with DMXAA or AV-C (25 mg/kg). **MBio. 2017. PMID: 28465426.**

JAK / STAT Signaling



Introduction

The JAK (Janus kinase) / STAT (signal transducer and activator of transcription) signaling pathway transduce signals that are essential for development, cellular differentiation and homeostasis. This pathway plays a critical role in cytokine receptor systems, regulating growth, survival and pathogen resistance. JAK is a family of non-receptor protein tyrosine kinases, consisting of JAK1, JAK2, JAK3 and TYK2 (Tyrosine Kinase-2). STATs are transcription factors that activated following recruitment to an activated receptor complex, seven STAT proteins have been identified: STAT1, STAT2, STAT3, STAT4, STAT5A, STAT5B and STAT6.

Various ligands including cytokines (e.g. interferons and interleukins), hormones (e.g. erythropoietin and growth hormone) and their cell surface receptors activate JAK proteins, which autophosphorylate, and then phosphorylate the receptor. Subsequently, JAKs phosphorylate a specific tyrosine residue on the STAT protein, promoting dimerization via SH2 domains. The activated STATs form homo-/heterodimers and translocate to the nucleus to trigger target gene transcription. In addition, suppressors of cytokine signaling (SOCS) family inhibit receptor signaling via homologous or heterologous feedback regulation. Dysregulation in JAK/STAT signaling is associated with diseases such as atherosclerosis, immunodeficiencies and cancer.

EGFR Inhibitors

See page 163 for the relevant product information.

JAK Inhibitors

See page 109 for the relevant product information.

Pim Inhibitors

See page 115 for the relevant product information.

STAT Inhibitors

Featured Products

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Cat.No.	Product Name	Short Summary	CAS	Solubility
B4789	SH-4-54	STAT inhibitor, potent	1456632-40-8	≥20.25 mg/mL in DMSO
A8338	NSC 74859	STAT3 inhibitor	501919-59-1	≥18.3 mg/mL in DMSO
A2224	Stattic	STAT3 inhibitor, small-molecule and potent	19983-44-9	≥10.6 mg/mL in DMSO
B6029	Napabucasin	STAT3 inhibitor	83280-65-3	≥8.7 mg/mL in DMSO
B2283	Niclosamide	Inhibitor of the STAT3 signaling pathway	50-65-7	≥12.75 mg/mL in EtOH
B4970	HO-3867	STAT3 inhibitor, selective	1172133-28-6	≥18.2 mg/mL in DMSO

Product Citations

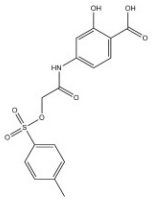
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

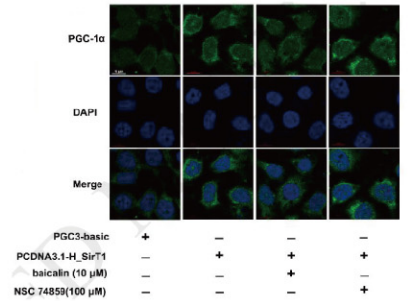
A8338 NSC 74859

S3I-201 is a selective inhibitor of STAT3 with IC50 value of 86 μM.

Size 10 mg, 50 mg, 200 mg

4 citations





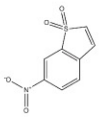
Baicalin attenuated hepatic PGC-1α expression via regulation of SirT1. **Pharmacol Res. 2018. PMID:30144531**

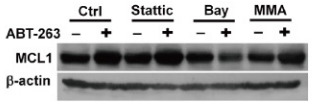
A2224 Stattic

Stattic is a small molecule inhibitor of STAT3 with IC50 values of 2.562 ± 0.409 μM, 3.481 ± 0.953 μM, 2.282 ± 0.423 μM and 2.648 ± 0.542 μM, respectively, in UM-SCC-17B, OSC-19, Cal33 and UM-SCC-22B cell lines.

Size 25 mg, 100 mg

4 citations





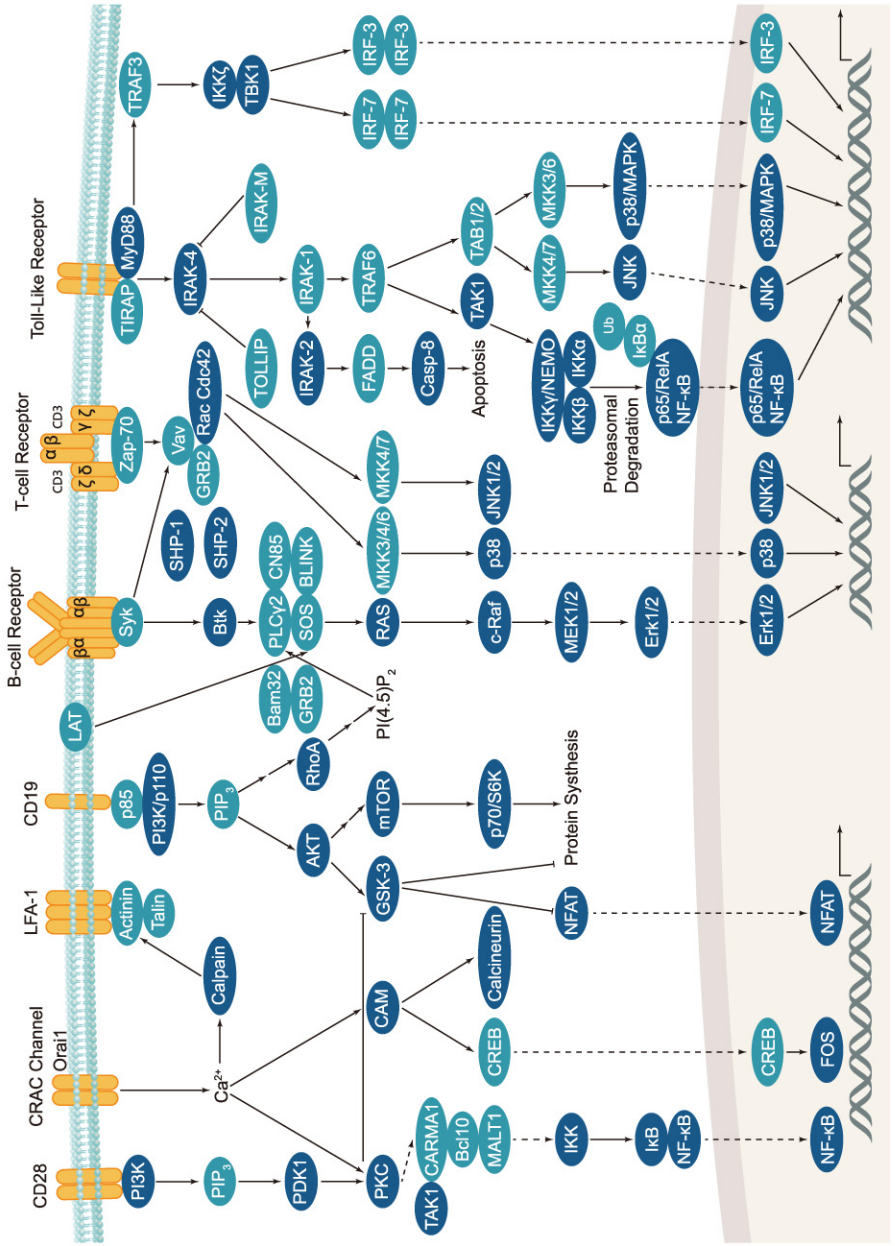
The involvement of ROS-stimulated activation of IKK α/b-NFκB pathway in ABT-263-induced MCL1 upregulation. U937 cells were pre-treated with 10 μM Stattic, 5 μM Bay 11-7028 (Bay), or 1 μM mithramycin A (MMA) for 1 h, and then incubated with 1 μM ABT-263 for 4 h. **Cancer Lett. 2018. PMID:29913235**

Potency Comparison

Inhibitors	STAT	STAT1	STAT3
NSC 74859			* (IC50:86 μM)
Stattic			* (IC50:2.282-3.481 μM)
Cryptotanshinone			* (IC50:4.6 μM)
Fludarabine Phosphate (Fludara)		*	
Fludarabine		*	
SD 1008			*
Cucurbitacin I			*
WP1066			* (IC50:2.43 μM)
Corylifol A			** (IC50:0.8 μM)
HO-3867			*
Niclosamide	* (IC50:0.7 μM)		

Notes: "*" represents potency. The higher the number of "*" is, the more potent an inhibitor or activator is. For more products information, please visit our website.

Immunology / Inflammation



Introduction

The innate immune system is triggered when microbial pathogens are targeted by pattern recognition receptors such as Toll-like receptors (TLRs) that recognize the pathogen-associated molecular patterns. The activated TLRs initiate a cascade of interaction between various intracellular signaling adaptors including MyD88, IRAKs, and TRAF6, resulting the activation of the MAP kinase, NF-κB, and IRF signaling pathways, which mediate inflammation through the production of inflammatory cytokines, chemokines, type I IFN, and antimicrobial peptides.

The adaptive immune system consists of B and T lymphocytes which mediate humoral immunity (e.g. antibody response) and cell-mediated immunity, respectively. B cell receptor and T cell receptor signaling is responsible for activation of Src family tyrosine kinases, such as Blk, Fyn, and Lyn in B cells and Fyn and Lck in T cells, resulting phosphorylation of the receptor-associated ITAM motifs. Phosphorylated ITAMs serve as the docking sites for Syk family tyrosine kinases, e.g. Syk in B cells and Zap-70 in T cells. Activated Syk kinases then propagate the signals via phosphorylation of downstream proteins. Furthermore, lymphocyte receptor signaling facilitates B and T cell development, differentiation, proliferation and survival.

IκB / IKK Inhibitors

Featured Products

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Cat.No.	Product Name	Short Summary	CAS	Solubility
A4210	Bay 11-7821 (BAY 11-7082)	IKK/NF-κB/TNFα inhibitor	19542-67-7	≥64 mg/mL in DMSO
A4602	TPCA-1	IKK-2 inhibitor, potent and selective	507475-17-4	≥14 mg/mL in DMSO
A3635	MRT67307	SIK/TBK-1/IKKe inhibitor	1190378-57-4 (free-base)	≥23.3 mg/mL in DMSO
B3033	Bay 11-7085	NK-κB activation inhibitor	196309-76-9	≥12.5 mg/mL in DMSO
A3628	MLN120B	IκB Kinase β Inhibitor	783348-36-7	≥13.2 mg/mL in DMSO
A3248	BMS345541 hydrochloride	IKK inhibitor, highly selective	547757-23-3	<2.92 mg/mL in DMSO, ≥60 mg/mL in H_2O
B1587	IMD 0354	IKKβ inhibitor	978-62-1	≥100.8 mg/mL in DMSO

Product Citations

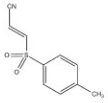
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

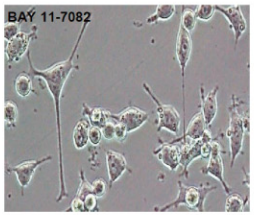
A4210 Bay 11-7821(BAY 11-7082)

Bay 11-7821(Bay 11-7082) is an inhibitor of IKK with IC50 value of 10 μM.

Size 10 mg, 25 mg, 50 mg, 100 mg

10 citations





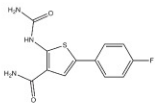
Screening of signaling pathways through different inhibitors. PC12 cells added with culture supernatant of M.smegmatis and different inhibitors for 48 h. **Front. Cell. Infect. Microbiol. 2018. PMID:29988402**

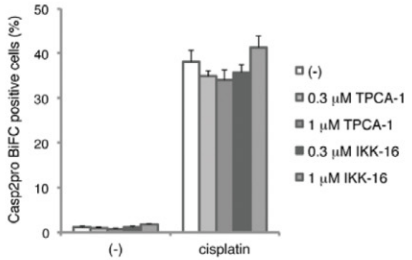
A4602 TPCA-1

Bay 11-7821(Bay 11-7082) is an inhibitor of IKK with IC50 value of 10 μM.

Size 5 mg, 10 mg, 100 mg

2 citations



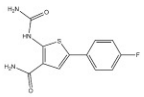


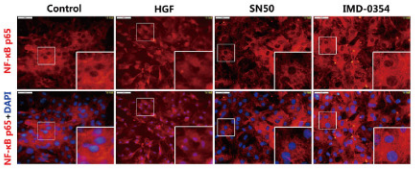
Workflow of mass spectrometry analysis. Cisplatin induces caspase-2 dimerization independently of either the PIDDosome or the NF-κB pathway. Casp2pro BiFC cells were treated with cisplatin and Q-VD(OMe)-OPh with or without TPCA-1 or IKK-16, at indicated concentrations for 24 h. **EMBO J. 2018. PMID:29875129**

B1587 IMD 0354

IMD-0354, serving as an IKKβ inhibitor, inhibits IκBα phosphorylation in NF-κB pathway.

Size 5 mg, 10 mg, 50 mg





SN50 and IMD-0354 inhibitors impairs NF-κB signaling at various stages. (A) Fluorescence micrograph illustrating the location of NF-κB within HGF-stimulated BMSCs. (B) The percentage of NF-κB-positive cells in cells treated or untreated with a NF-κB inhibitor. **Cell Biol Int. 2016. PMID:27249785**

NF-κB Inhibitors

Featured Products

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Cat.No.	Product Name	Short Summary	CAS	Solubility
B1645	JSH-23	NF-κB inhibitor	749886-87-1	≥24 mg/mL in DMSO
A3891	Triptolide	IL-2/MMP-3/MMP7/MMP19 inhibitor	38748-32-2	≥36 mg/mL in DMSO
A4217	QNZ (EVP4593)	Potent NF-κB inhibitor	545380-34-5	≥15.05 mg/mL in DMSO
C4074	PPM-18	NF-κB inhibitor	65240-86-0	≥27.7 mg/mL in DMSO
B6422	Pyrrolidinedithiocarbamate ammonium	NF-κB inhibitor	5108-96-3	≥7.3 mg/mL in DMSO
N1315	Parthenolide	NF-κB inhibitor	20554-84-1	≥9.2 mg/mL in DMSO

Product Citations

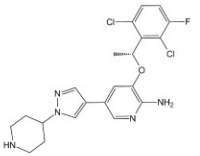
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

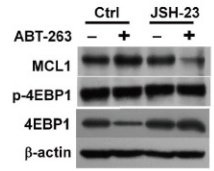
B1645 JSH-23

JSH-23 is an inhibitor of NF-κB transcriptional activity with IC50 value of 7.1 μM.

Size 5 mg, 25 mg

2 citations





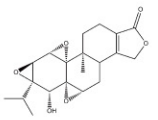
Effects of ABT-263 on 4EBP1 expression in U937 cells. U937 cells were either treated directly with 1 μM ABT-263 for 4 h or pre-treated with10 μM JSH-23, or 1 μM MG132 for 1 h. **Cancer Lett. 2018. PMID:29913235**

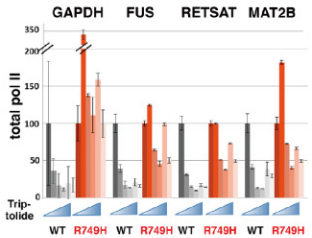
A3891 Triptolide

Triptolide inhibits the expression of IL-2 in activated T cells and NF-κB mediated transcription activation.

Size 5 mg, 10 mg, 25 mg, 1 g

2 citations





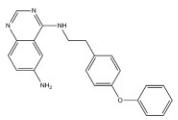
At four promoter regions tested, occupancy by the R749H mutant decays more slowly than that of the WT in the presence of triptolide. Cells were treated with a-amanitin (42 hr) and then triptolide (10 μM) for 0, 10, 20, 40, and 80 min. **Mol Cell. 2017. PMID:28506463**

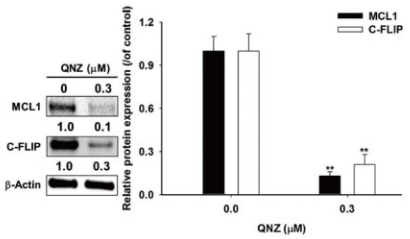
A4217 QNZ (EVP4593)

EVP4593 is an inhibitor of NF-κB pathway with IC50 value of 11nM.

Size 5 mg, 25 mg

2 citations



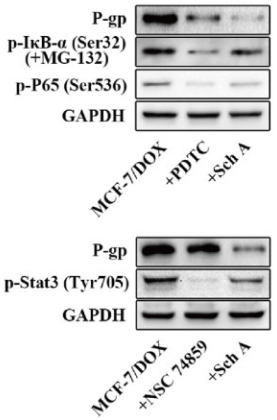


Blockage of NF-κB activation reduces expression of antiapoptotic proteins MCL1 and C-FLIP in U-87 MG glioblastoma cells. U-87 MG cells were treated with 0 and 0.3 μM QNZ for 48 h. **In Vivo. 2018. PMID:29475910**

B6422 Pyrrolidinedithiocarbamate ammonium

Pyrrolidinedithiocarbamate ammonium (PDTC) is a selective NF-κB inhibitor and prevents the increase in NO-synthase mRNA by interleukin-1.

Size 50 mg



Stat3 knockdown enhances the reversal effect of siP65 transfection. Western blot results of the MCF-7/DOX cells treated with 20 μM PDTC for 1.5 h, 100 μM NSC 74859 for 12 h or 20 μM Sch A for 12 h. **Breast Cancer. 2017. PMID:29181822**

TLR Inhibitors / Activators

Featured Products

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Cat.No.	Product Name	Short Summary	CAS	Solubility
A3850	TAK-242	TLR 4 signaling inhibitor	243984-11-4	≥18.1 mg/mL in DMSO
B5551	Poly(I:C)	Toll-like receptor 3 (TLR3) agonist	24939-03-5	≥21.5 mg/mL in H_2O, <0.4 mg/mL in DMSO
B1054	Resiquimod (R-848)	Immune response modifier	144875-48-9	≥15.85 mg/mL in DMSO
C5785	Gardiquimod	Agonist of human toll-like receptor 7 (TLR7)	1020412-43-4	≤12 mg/mL in EtOH; 20 mg/mL in DMSO
B5662	Pam3CSK4	Toll-like receptor 1/2 agonist	112208-00-1	Soluble to 1 mg/mL in 50% EtOH / sterile water

Product Citations

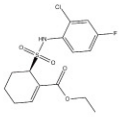
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

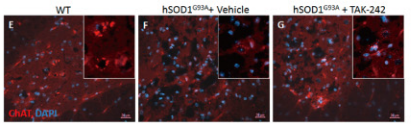
A3850 TAK-242

TAK-242 is a potent TLR 4 signaling inhibitor with IC50 of 1.1 to 11 nM.

Size 5 mg, 10 mg, 50 mg, 100 mg

4 citations



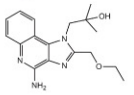


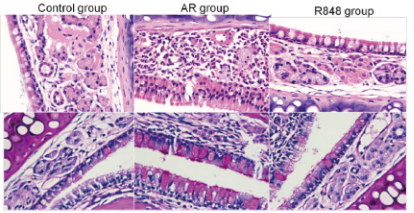
TAK-242 treatment attenuates motor neuron loss in the spinal cords of hSOD1G93A mice. Hemizygous hSOD1G93A transgenic mice were treated intraperitoneally, with vehicle (saline) or TAK-242 (concentration: 0.3 mg/mL; dosage: 3 mg/kg, three times per week). **Int J Mol Sci. 2017. PMID:28763002**

B1054 Resiquimod (R-848)

Resiquimod (R-848, S-27609) is a modifier of immune response.

Size 10 mg, 20 mg, 25 mg, 50 mg, 100 mg





R848 treatment reduced eosinophil cell infiltration, goblet cell hyperplasia in OVA-induced AR mice. R848 groups were injected intraperitoneally with R848(50 nmol of R848 in 200 μl of PBS) on days 20, 21, 22, 23, 24, 25. **Int Immunopharmacol. 2018. PMID:29665497**

Other Inhibitors / Activators

Featured Products

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Cat.No.	Product Name	Short Summary	CAS	Solubility
A3335	Curcumin	Tyrosinase inhibitor	458-37-7	≥36.8 mg/mL in DMSO
A8185	SR 11302	AP-1 transcription factor inhibitor	160162-42-5	Soluble in DMSO
B1052	HG-9-91-01	Pan-SIK (salt-inducible kinases) inhibitor	1456858-58-4	≥56.8 mg/mL in DMSO
A1025	a-MSH, amide	Melanocyte-stimulating hormones	N/A	≥166.5 mg/mL in DMSO
B1922	Cyclosporin A	Immunosuppressive agent	59865-13-3	≥60.2 mg/mL in DMSO
B4978	Glatiramer acetate	Immunomodulator	147245-92-9	<6.24 mg/mL in DMSO

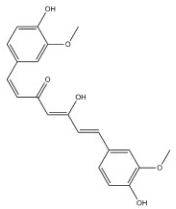
Product Citations

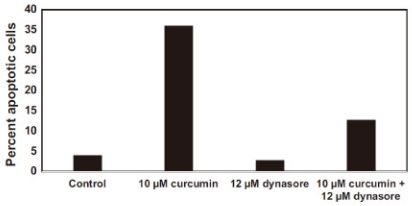
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

A3335 Curcumin

Curcumin is an inhibitor of tyrosinase with IC50 value of 47 μM.

Size 100 mg





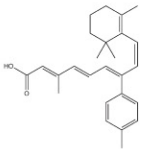
Experiment results from one student research project. A high dose of curcumin was applied along with an effective dose of dynasore that did not induce apoptosis, resulting in the inhibition of apoptosis. **Adv Physiol Educ. 2016. PMID:27231261**

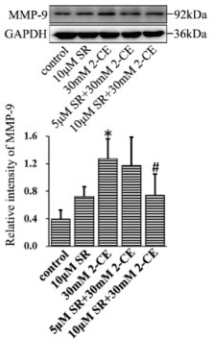
A8185 SR 11302

SR 11302 is an inhibitor of activator protein-1 (AP-1).

Size 5 mg, 10 mg, 25 mg

2 citations





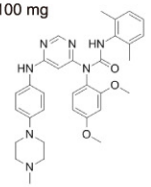
Role of nuclear factor kappa B (NF-kB) and activator protein-1 (AP-1) in 2-CE induced MMP-9 overexpression in astrocytes. Astrocytes were pre-treated with 5 and 10 μ M SR for 1 h and then treated with 30 mM 2-CE for 12 h. **Cells. 2018. PMID:30087244**

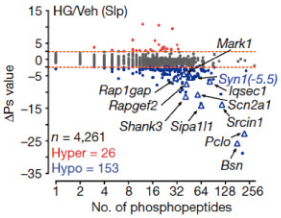
B1052 HG-9-91-01

HG-9-91-01 is a pan-SIK (salt-inducible kinases) inhibitor with IC50 values of 0.92 nM, 6.6n M and 9.6 nM for SIK1, SIK2, SIK3, respectively.

Size 2 mg, 5 mg, 10 mg, 50 mg, 100 mg

2 citations



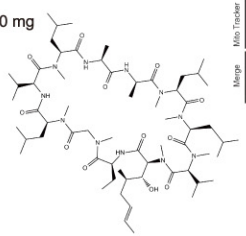


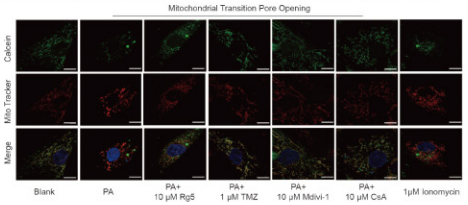
SLEEPY preferentially interacts with SNIPPs and alters sleep–wake homeostasis. For HG-9-91-01 treatment, we performed intracerebroventricular injection of mice with vehicle (3% DMSO) followed by 8 mg/kg HG-9-91-01. **Nature. 2018. PMID:29899451**

B1922 Cyclosporin A

Cyclosporin A is a selective cyclophilin inhibitor with IC50 value of 7 nM.

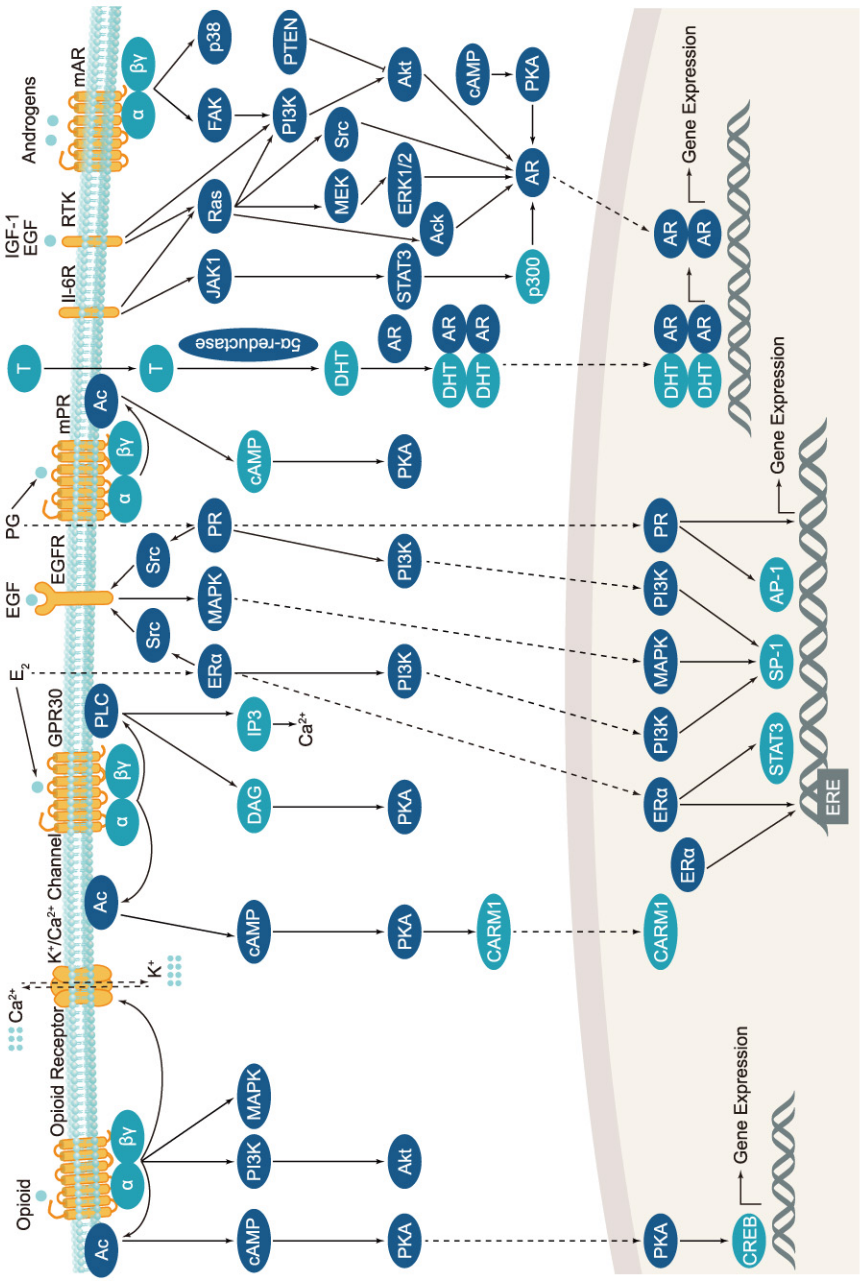
Size 100 mg, 200 mg, 500 mg





Cyclosporine A prevents mPTP opening in NRVMs. NRVMs were treated with cyclosporine A (10 μM) and stimulated by PA combined with hypoxia/reoxygenation. **Cell Death Dis. 2017. PMID:28230856**

Endocrinology and Hormones



Introduction

Endocrinology is the study of hormones, their receptors and intracellular signaling pathways, as well as the related diseases. The endocrine system functions can be broadly classified into several categories, including reproduction and sexual differentiation, development and growth, maintenance of the internal environment, and regulation of metabolism/nutrient supply.

There are three types of hormones based on their chemical composition: Amines (e.g. dopamine, adrenalin and noradrenalin); Steroids (e.g. estrogen, testosterone and glucocorticoids); Peptides (e.g. the peptide hormones insulin, ghrelin and vasopressin). Peptide hormones produced by secretory nervous tissue are known as neuropeptides. For example, thyroid hormone plays important parts in development, homeostasis and metabolism, while cortisol is essential for growth, nutrient supply and immune function. Moreover, the regulation of blood glucose involves several pancreatic peptide insulin and its counter regulatory hormone, glucagon, as well as cortisol, growth hormone and epinephrine.

Dysregulations in endocrine system are implicated in diseases such as Acromegaly, Cushing Syndrome, Diabetes, Dwarfism, Graves Disease, Hermaphroditism, Delayed and Precocious Puberty and Thyroid Diseases.

Androgen Receptor Inhibitors

Featured Products

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Cat.No.	Product Name	Short Summary	CAS	Solubility
B8214	Dihydrotestosterone (DHT)	Androgen receptor agonist	521-18-6	≥29 mg/mL in DMSO
A3003	MDV3100 (Enzalutamide)	Androgen receptor antagonist	915087-33-1	≥23.2 mg/mL in DMSO
A5065	Bicalutamide	Androgen receptor antagonist	90357-06-5	≥21.5 mg/mL in DMSO
A3190	ASC-J9	AR degradation enhancer, antiumor agent	52328-98-0	≥16.65 mg/mL in DMSO

Product Citations

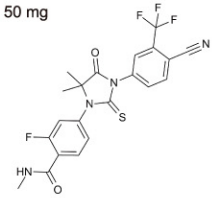
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

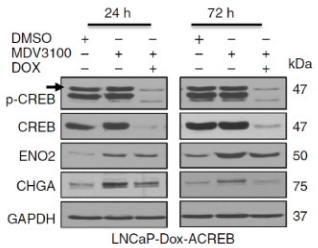
A3003 MDV3100 (Enzalutamide)

Enzalutamide (MDV3100) is an antagonist of androgen-receptor (AR) with IC50 of 36 nM.

Size 5 mg, 10 mg, 25 mg, 50 mg

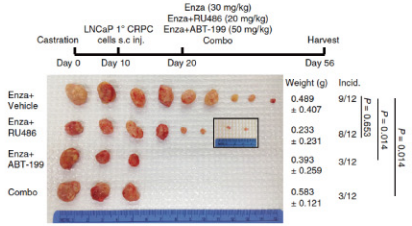
11 citations



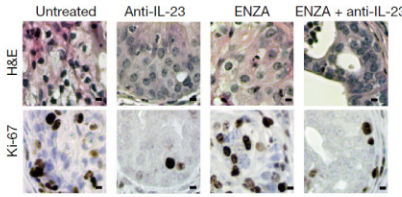


LNCaP-Dox-ACREB

Induced by ADT, CREB activation is critical for neuroendocrine phenotype of NEPC cells. LNCaP cells carrying Dox-inducible ACREB were treated with DMSO, 10 μM MDV3100, or 10 μM MDV3100 plus 1 μg/mL of Dox for 24 and 72 h, followed by western blotting. **Nat Commun. 2018. PMID:30287808**



BCL-2 inhibitor prevents AR+/hi LNCaP 2° CRPC. Drugs were delivered as follows: (1) Enza (n = 12, 30 mg/kg). **Nat Commun. 2018. PMID:30190514**

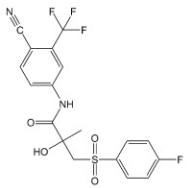


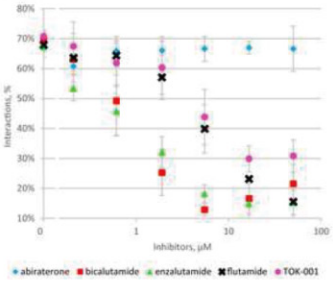
IL-23 inhibition improves ENZA efficacy in vivo. Enzalutamide was administered daily by oral gavage with a dose of 30 mg/kg per day on a Monday through Friday schedule. **Nature.2018.PMID:29950727**

A5065 Bicalutamide

Bicalutamide is an active non-steroidal androgen receptor antagonist with IC50 value of 160 nM.

Size 100 mg





F2H assay facilitates dose-response profiling of antiandrogens and DHT in endpoint assays and in live cells.F2H analysis compares concentration-dependent effects of abiraterone, bicalutamide, enzalutamide, flutamide, and TOK-001 on the wt AR N/C interaction induction by 0.25 nM. **DHT. J Steroid Biochem Mol Biol. 2017. PMID:27174722**

Estrogen / progestogen Receptor Inhibitors

Featured Products

APExBIO provides over 9000 products, for all the available compounds in this category, please visit our website.

Cat.No.	Product Name	Short Summary	CAS	Solubility
B1506	Estradiol valerate	Estrogen receptor agonist	979-32-8	≥15.5 mg/mL in DMSO
A1428	Fulvestrant (ICI 182,780)	Estrogen receptor antagonist, high affinity	129453-61-8	≥30.3 mg/mL in DMSO
B1518	Erteberel (LY500307)	ERβ agonist, potent and selective	533884-09-2	≥14.1 mg/mL in DMSO
B6167	4-Hydroxytamoxifen	Estrogen receptor modulator	68392-35-8	≥42 mg/mL in DMSO
B3238	XCT790	ERRα agonist	725247-18-7	≥14.9 mg/mL in DMSO
B5421	(Z)-4-Hydroxytamoxifen	ER modulator, potent and selective	68047-06-3	≥38.8 mg/mL in DMSO
A8425	Estradiol	Sex hormone	50-28-2	≥13.5 mg/mL in DMSO
B5469	G-15	GPER receptor antagonist	1161002-05-6	≥37 mg/ml in DMSO
B5455	G-1	GPR30 agonist, potent and selective	881639-98-1	≥41.2 mg/mL in DMSO

Product Citations

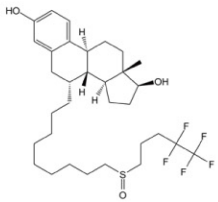
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

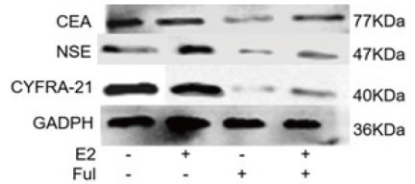
A1428 Fulvestrant (ICI 182,780)

Fulvestran is a newer type of estrogen receptor (ER) antagonist with IC50 value of 9.4 nM.

Size 25 mg, 100 mg

3 citations



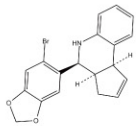


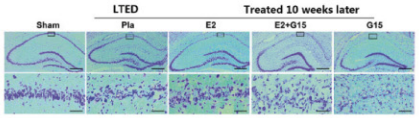
Expression of CEA, NSE, and CYFRA21 - 1 in lung cancer cells treated with E2 and Ful. Four lung cancer cells were treated with 1 μM E217 and 100 nM fulvestrant (estrogen receptor inhibitors, Ful) according to Figure 3. **J Cell Biochem. 2018. PMID:30216488**

B5469 G-15

G-15 is a selective antagonist of GPR30 with Ki value of 20 nM.

Size 10 mg



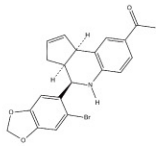


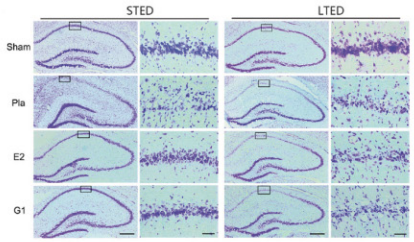
Effects of E2 treatment 10-weeks post-ovariectomy or during the ovariectomy period on GPR30 expression levels and hippocampal neuronal survival post-GCI in LTED rats. In STED or LTED rats, G15 (100 μg in 5 μl DMSO) was bilaterally administered using an intracerebroventricular injection 1 h pre-GCI. **Mol Med Rep. 2018. PMID:29484405**

B5455 G-1

G-1 is a potent and selective agonist of GPR30 with EC50 value of 2 nM.

Size 10 mg





No neuroprotection by E2 or GI in the CA1 region of the hippocampus in LTED rats. In certain STED or LTED rats, G1 (50 μg in 5 μl DMSO) was bilaterally administered using an intracerebroventricular injection 1 h pre-GCI. **Mol Med Rep. 2018. PMID:29484405**

Proteases

Hsp Inhibitors

See page 244 for the relevant product information.

Calpains Inhibitors

Featured Products

APExBIO provides over 9000 products, for all the available compounds in this category, please visit our website.

Cat.No.	Product Name	Short Summary	CAS	Solubility
A2602	Calpain Inhibitor I, ALLN	Calpain I/II/ B/L inhibitor	110044-82-1	≥19.1 mg/mL in DMSO
A4411	Calpeptin	Ca^{2+}-dependent protease, calpain inhibitor	117591-20-5	≥36.2 mg/mL in EtOH
A2603	Calpain Inhibitor II, ALLM	Calpain inhibitor	136632-32-1	≥14.85 mg/mL in DMSO
A4413	PD 150606	Non-peptide calpain inhibitor	179528-45-1	Soluble in DMSO

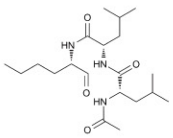
Product Citations

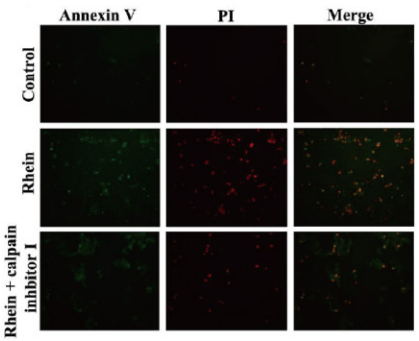
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

A2602 Calpain Inhibitor I, ALLN

Calpain Inhibitor I, ALLN is an inhibitor of calpain I, calpain II, cathepsin B and cathepsin L with Ki values of 190 nM, 220 nM, 150 nM and 500 pM, respectively.

Size 5 mg, 25 mg



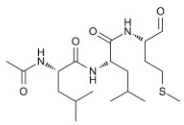


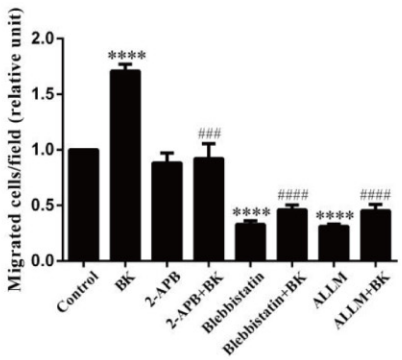
Rhein-induced apoptosis through elevation of intracellular calcium. HL-7702 cells were incubated with or without calpain inhibitor I (100 μM) for 1 h, then treated with rhein (100 μM) for 24 h. **Biochem Biophys Res Commun. 2016.PMID:27003256**

A2603 Calpain Inhibitor II, ALLM

Calpain Inhibitor II, ALLM is a cell-permeable inhibitor of calpain I, calpain II, cathepsin L and cathepsin B with Ki values of 120 nM, 230 nM, 0.6 nM and 100 nM, respectively.

Size 5 mg, 25 mg





The migration ability of HepG2 cells differed in agonist, antagonist and gene knockdown.The concentrations used in our study: blebbistatin (50 μM), ALLM (50 μM), KX2-391 (90 nM). **Exp Cell Res. 2016. PMID:27693494**

Potency Comparison

Inhibitors	Pan-Calpain	Calpain I	Calpain II	Cathepsin B	Cathepsin L
Calpain Inhibitor I, ALLN	*	** (Ki:190 nM)	** (Ki:220 nM)	** (Ki:150 nM)	** (Ki:500 nM)
Calpain Inhibitor II, ALLM		** (Ki:120 nM)	** (Ki:230 nM)	*** (Ki:100 nM)	**** (Ki:0.6 nM)
MG-132	* (IC50:1.2 μM)				
Acetyl-Calpastatin (184-210) (human)		***** (Ki:0.2 nM)	*		
Calpeptin	*				
MDL 28170	**** (Ki:10 nM)				
PD 150606		** (Ki:210 nM)	** (Ki:370 nM)		

Notes: "*" represents potency. The higher the number of "*" is, the more potent an inhibitor or activator is. For more products information, please visit our website.

Cathepsin Inhibitors

Featured Products

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Cat.No.	Product Name	Short Summary	CAS	Solubility
A2576	E-64	Cysteine protease inhibitor, irriversible	66701-25-5	≥53.6 mg/mL in DMSO
A1903	E 64d	Cysteine protease inhibitor	88321-09-9	≥17.1 mg/mL in DMSO
A8239	CA-074 Me	Cathepsin B inhibitor	147859-80-1	≥19.9 mg/mL in DMSO
A1926	CA 074	Cathepsin B inhibitor	134448-10-5	≥19.2 mg/mL in DMSO
A8174	Cathepsin G Inhibitor I	Cathepsin G inhibitor	429676-93-7	≥10.4 mg/mL in DMSO
A4412	MDL 28170	Calpain and cathepsin B inhibitor, selective	88191-84-8	≥16.75 mg/mL in DMSO
A3284	Cathepsin S inhibitor	Blocks MHCII antigen presentation	1373215-15-6	≥24.3 mg/mL in DMSO
B2084	Cathepsin Inhibitor 1	Cathepsin inhibitor	225120-65-0	Soluble in DMSO
A8162	E-64-c	Inhibitor of cysteine proteinases	76684-89-4	≥31.4 mg/mL in DMSO

Product Citations

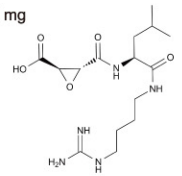
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

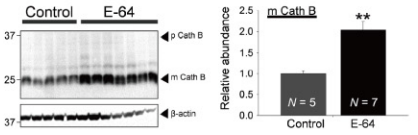
A2576 E-64

E-64 is a potent irreversible inhibitor of cysteine proteases with IC50 values of 1.4, 4.1, and 2.5 nM for cathepsins K, S, and L, respectively.

Size 5 mg, 25 mg, 100 mg, 250 mg

2 citations





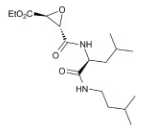
E-64 treated rats had increased mature Cath B and L levels in the renal cortex.Dahl salt-sensitive (SS) rats were fed an 8% high salt NaCl diet and intravenously infused with the irreversible cysteine cathepsin inhibitor E-64 (1 mg/day) or the vehicle (control). **Physiol Rep. 2016. PMID:27597769**

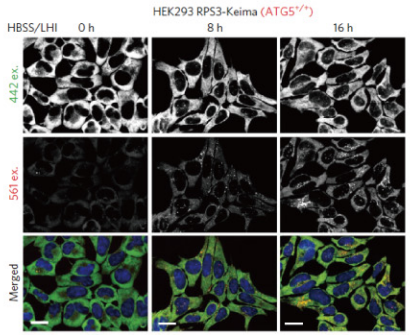
A1903 E 64d

E-64d, a membrane permeant derivative of E-64c, is a thiol protease inhibitor.

Size 1 mg, 5 mg, 25 mg, 100 mg

2 citations





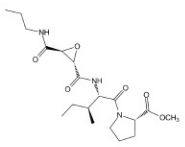
Ribophagy in response to mTOR inhibition in HEK293 cells is ATG 5-independent but BECN1-dependent. HEK293 RPS3–Keima cells were incubated with HBSS in the presence of lysosomal hydrolase inhibitors (LHI, E64d and pepstatin, 30 μ M each) for the indicated times. **Nat Cell Biol. 2017. PMID:29230017**

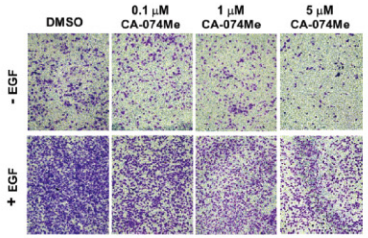
A8239 CA-074 Me

CA-074 Me is a membrane-permeable and selective inhibitor of cathepsin B with IC50 value of 36.3 nM.

Size 1 mg, 5 mg, 10 mg, 25 mg

3 citations



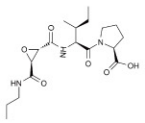


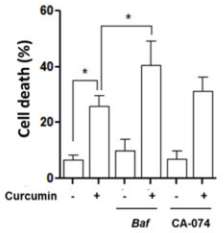
The lysosomal protease cathepsin B is important for both the EGF and the non-EGF dependent lung cancer cell migration. **Mol Cancer. 2018. PMID:29455656**

A1926 CA 074

CA-074, a specific cathepsin B inhibitor, also abolished the neurotoxic effects caused by Abeta42-activated BV2 cell.

Size 1 mg, 5 mg, 10 mg, 25 mg



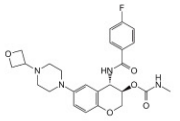


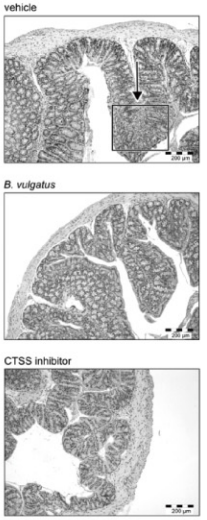
Lysosomal inhibition sensitizes curcumin-induced cell death.HCT116 cells were treated with Curcumin (20 μM), with or without bafilomycin A1 (Baf, 25 nM) or CA-074 (25 μM) for 24 hours. **Oncotarget. 2016. PMID:27689333**

A3284 Cathepsin S inhibitor

Cathepsin S is a lysosomal cysteine protease, playing an important role in antigen presentation.

Size 5 mg, 10 mg





CTSS inhibition protects from induction of a CD4+ T cell mediated colitis in Rag1-/- mice.The drug was reconstituted at 0.5 mg/mL in 200 μL suspension (83% NaCl (0.9%), 12% DMSO and 5% Tween-20) and administered daily by intraperitoneal injection to obtain an amount of 100 μg Cathepsin S inhibitor per dose.**J Autoimmun. 2016. PMID:27484364**

Potency Comparison

Inhibitors	Cathepsins B	Cathepsins F	Cathepsins G	Cathepsins H	Cathepsins K	Cathepsins L	Cathepsins S
CA 074	**** (Ki:2-5 nM)			* (Ki:40-200 μM)		* (Ki:40-200 μM)	
CA-074 Me	*** (IC50:36.3 nM)						
Cathepsin G Inhibitor I			*** (IC50:53 nM)				
E 64d	*	*		*	*	*	
E-64					**** (IC50:1.4 nM)	**** (IC50:2.5 nM)	**** (IC50:4.1 nM)
MDL 28170	*** (Ki:25 nM)						
Cathepsin S inhibitor	*** (Ki:76 nM)						***** (Ki:185 pM)
Odanacatib (MK-0822)	** (IC50:1034 nM)				***** (IC50:0.2 nM)	** (IC50:2995 nM)	*** (IC50:60 nM)
L 006235					***** (IC50:0.25 nM)		
SID 26681509						*** (IC50:56 nM)	

Notes: "*" represents potency. The higher the number of "*" is, the more potent an inhibitor or activator is. For more products information, please visit our website.

Gamma Secretase Inhibitors

Featured Products

APExBIO provides over 9000 products, for all the available compounds in this category, please visit our website.

Cat.No.	Product Name	Short Summary	CAS	Solubility
A4005	RO4929097	γ secretase inhibitor	847925-91-1	≥23.5 mg/mL in DMSO
A4018	YO-01027 (Dibenzazepine, DBZ)	γ-secretase inhibitor	209984-56-5	≥23.2 mg/mL in DMSO
A4006	MK-0752	γ-secretase inhibitor	471905-41-6	≥22.2 mg/mL in DMSO
A4023	LY3039478	Notch inhibitor, novel and potent	1421438-81-4	≥23.2 mg/mL in DMSO
A4019	LY-411575	γ-secretase inhibitor	209984-57-6	≥23.9 mg/mL in DMSO

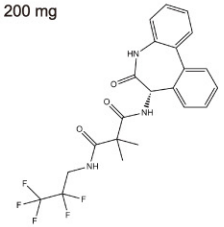
Product Citations

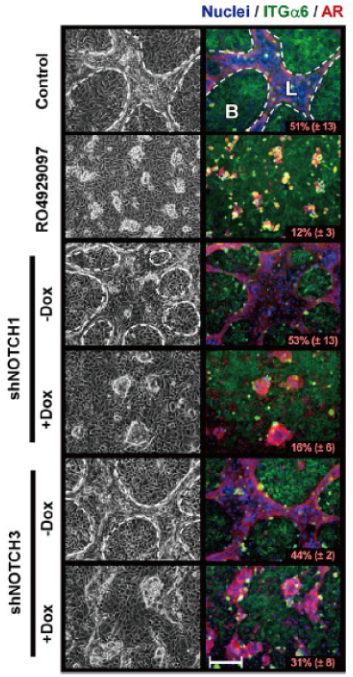
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

A4005 RO4929097

RO4929097 is a small-molecule inhibitor of γ secretase with IC50 of 4 nM and EC50 of 5 nM.

Size 5 mg, 10 mg, 50 mg, 200 mg



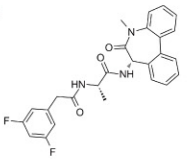


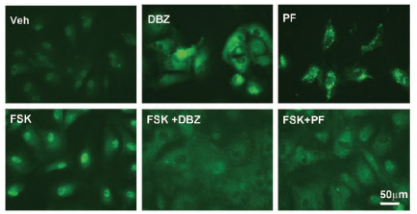
NOTCH1 and NOTCH3 are required for differentiation. IPrECs were differentiated for 12 days with DMSO and Dox (control) or 1 μM RO4929097. **J Cell Sci. 2017. PMID:28446540**

A4018 YO-01027 (Dibenzazepine, DBZ)

YO-01027 (Dibenzazepine, DBZ) is a dipeptidic inhibitor of γ-secretase with IC50 of 2.6 nM and 2.9 nM for APPL and Notch cleavage, respectively.

Size 5 mg, 10 mg, 25 mg, 50 mg



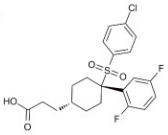


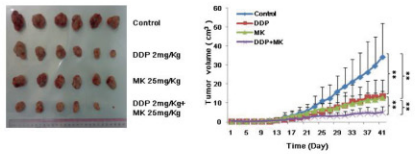
These studies clearly show that FSK mediated ADPKD cells are poised to respond to Notch inhibition by gamma secretase inhibitors. We first show that both DBZ (2 μg/ml media) and PF (5 nm) can reduce FSK mediated N3 expression in the nucleus in ADPKD cells. **Sci Rep. 2018. PMID:29463793**

A4006 MK-0752

MK-0752 is a potent gamma secretase inhibitor in clinical development (IC50 ~50 nM).

Size 5 mg, 10 mg, 50 mg, 100 mg



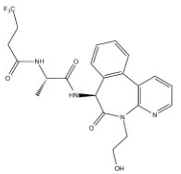


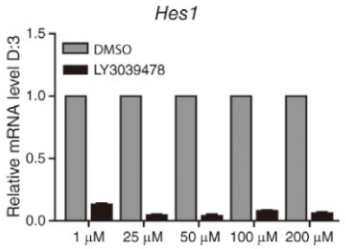
Sequential combination of cisplatin and MK-0752 increased the apoptosis of ovarian cancer cells.Mice were randomized into four groups and treated every 4 days with vehicle alone, MK-0752 (25 mg/kg in 0.5% methylcellulose by oral administration). **Gynecol Oncol. 2016. PMID:26704638**

A4023 LY3039478

LY3039478 is a novel and potent Notch inhibitor with IC50 of 0.41 nM.

Size 5 mg





Effects of decreased Notch signaling on a-dystroglycan glycosylation during differentiation. Culture proliferation and differentiation medium were modified by adding 50 μM DAPT or 25 μM LY3039478, and 50–25 μM DMSO for controls, respectively. **EMBO Mol Med. 2016. PMID: 27807076**

Potency Comparison

Inhibitors	γ-secretase	γ-secretase (membrane-based)	γ-secretase (cell-based)	γ-secretase (APP)	γ-secretase (Aβ38)	γ-secretase (Aβ40)	γ-secretase (Aβ42)	γ-secretase (Notch)
LY-411575		***** (IC50:0.078 nM)	***** (IC50:0.082 nM)					***** (IC50:0.39 nM)
MK-0752	**** (IC50:5 nM)							
RO4929097	**** (IC50:4 nM)					*** (IC50:14 nM)		**** (IC50:5 nM)
YO-01027				**** (IC50:2.6 nM)				**** (IC50:2.9 nM)
DAPT				*** (IC50:20 nM)				
BMS-708163						***** (IC50:0.3 nM)	***** (IC50:0.27 nM)	
Semagacestat					*** (IC50:12 nM)	*** (IC50:12.1 nM)	*** (IC50:10.9 nM)	* (IC50:14.1 nM)
RK 560						***** (IC50:0.65 nM)	***** (IC50:0.65 nM)	
Begacestat						*** (EC50:14.8 nM)	*** (EC50:12.4 nM)	
TC-E 5006							** (EC50:390 nM)	
LY-900009								***** (IC50:0.27 nM)
LY3039478								***** (IC50:0.41 nM)
PF-03084014	**** (IC50:6.2 nM)							

Notes: "*" represents potency. The higher the number of "*" is, the more potent an inhibitor or activator is. For more products information, please visit our website.

Serine Protease Inhibitors

Featured Products

APExBIO provides over 9000 products, for all the available compounds in this category, please visit our website.

Cat.No.	Product Name	Short Summary	CAS	Solubility
A2570	Leupeptin, Microbial	Inhibitor of serine and cysteine proteases	103476-89-7	≥24.7 mg/mL in DMSO
A2574	Aprotinin	Inhibitor of bovine pancreatic trypsin	9087-70-1	≥195 mg/mL in H_2O
A2573	AEBSF.HCl	Serine protease inhibitor	30827-99-7	≥12 mg/mL in DMSO
A2587	PMSF	Serine proteinases inhibitor, irreversible	329-98-6	≥17.4 mg/mL in DMSO
A2586	Nafamostat Mesylate (FUT-175)	Serine protease inhibitor	82956-11-4	≥27 mg/mL in DMSO, ≥54 mg/mL in H_2O

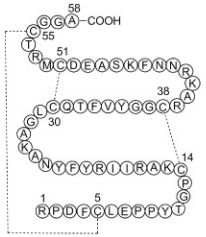
Product Citations

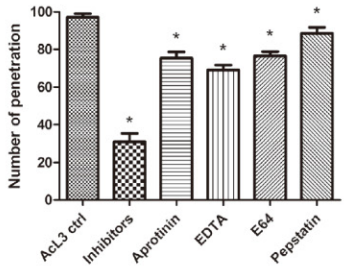
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

A2574 Aprotinin

Aprotinin is the small protein bovine pancreatic trypsin inhibitor (BPTI).

Size 10 mg, 25 mg, 50 mg, 100 mg



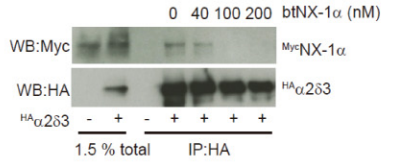


Protease inhibitors reduced larval penetration of the in vitro barrier. The working concentrations in the inhibitor cocktail were 10 mM of aprotinin, 1 M EDTA, 100 mM E64 and 10 mg/mL of pepstatin diluted in DMEM. **Arch Biol Sci. 2016.**

A2587 PMSF

PMSF (Phenylmethanesulfonyl fluoride) is an irreversible inhibitor of serine proteinases, which is associated with the development of the delayed organophosphorus neuropathy.

Size 10 g, 100 g



Co-immunoprecipitation of rat NX-1a and a2d-3 is efficiently blocked by adding purified recombinant cow Neurexin (btNX-1a) as a competitor. The membranes were solubilized in RIPA buffer containing proteinase inhibitor (Phenylmethylsulfonyl fluoride) and insoluble debris was removed by centrifugation. **Neuron. 2017. PMID:28669545**

HCV Protease Inhibitors

Featured Products

APExBIO provides over 9000 products, for all the available compounds in this category, please visit our website.

Cat.No.	Product Name	Short Summary	CAS	Solubility
A3195	Asunaprevir (BMS-650032)	NS3 protease inhibitor	630420-16-5	≥37.4 mg/mL in DMSO
A4024	Danoprevir (RG7227)	HCV NS3/4A protease inhibitor	850876-88-9	≥32.6 mg/mL in DMSO
A4031	Telaprevir (VX-950)	HCV NS3-4A protease inhibitor	402957-28-2	≥33 mg/mL in DMSO
A3820	Simeprevir	Inhibitor of HCV NS3/4A protease	923604-59-5	≥18.8 mg/mL in DMSO

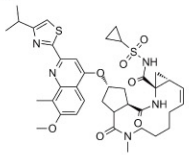
Product Citations

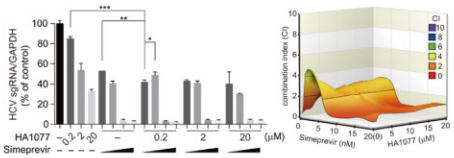
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

A3820 Simeprevir

Simeprevir is a potent inhibitor of HCV NS3/4A protease with Ki value of 0.36 nM.

Size 5 mg, 10 mg, 50 mg, 100 mg





Anti-HCV efficacy of HA1077 plus NS3/4A protease inhibitor combinations. R-1 cells were treated for 48 h with increasing concentrations of HA1077 in the absence or presence of simeprevir (a,b; 200 pM, 2 nM, 10 nM, and 20 nM). **Sci Rep. 2018. PMID:30127498**

Potency Comparison

Inhibitors	HCV Protease NS3	HCV NS3/4A Protease	NS5A	NS5B
Asunaprevir (BMS-650032)	***** (IC50:1 nM)			
Boceprevir	*** (Ki:14 nM)			
Daclatasvir (BMS-790052)			***** (EC50:9-146 pM)	
Danoprevir (RG7227)		***** (IC50:0.2-3.5 nM)		
Nesbuvir				**** (IC50:5 nM)
PSI-6206				*
Simeprevir		*		
Telaprevir (VX-950)		**** (Ki:7 nM)		
Ciluprevir (BILN-2061)	**** (IC50:3 nM)			
Narlaprevir	**** (Ki:6 nM; EC90:40 nM)			
Vaniprevir		*		

Notes: "*" represents potency. The higher the number of "*" is, the more potent an inhibitor or activator is. For more products information, please visit our website.

HIV Integrase Inhibitors

Featured Products

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Cat.No.	Product Name	Short Summary	CAS	Solubility
A4071	Fluorouracil (Adrucil)	Antitumor agent; inhibitor of thymidylate synthase	51-21-8	≥6.5 mg/mL in DMSO
A4073	Raltegravir (MK-0518)	HIV-1 integrase inhibitor	518048-05-0	≥20 mg/mL in DMSO
A3253	BMS-626529	HIV-1 attachment inhibitor	701213-36-7	≥1.48 mg/mL in DMSO
B4950	Darunavir Ethanolate	Nonpeptidic HIV protease inhibitor	635728-49-3	≥21 mg/mL in DMSO

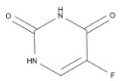
Product Citations

Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

A4071 Fluorouracil (Adrucil)

Fluorouracil (Adrucil), a heterocyclic aromatic organic compound, is a potent anticancer agent widely used for the treatment of solid tumors.

Size 100 mg, 200 mg, 500 mg



	MDA-MB-231	MDA-MB-231+Triptolide	P Value	MCF-7	MCF-7+Triptolide	P Value
	IC50 (μM,mean ±SD)			IC50 (μM,mean ±SD)		
Doxorubicin	2.7±0.19	0.87±0.06	P<0.05	5.3±0.21	1.9±0.04	P<0.05
Paclitaxel	$3x10^{-3}$±$6x10^{-4}$	$2.5x10^{-3}$±$3x10^{-4}$	p>0.05	$5.1x10^{-3}$±$5x10^{-4}$	$4.4x10^{-3}$±$7x10^{-4}$	p>0.05
5-Fluorouracil	23.2±2.6	25.5±3.1	p>0.05	7.7±1.2	6.9±0.8	p>0.05
Mitomycin C	9.6±0.33	8.5±0.21	p>0.05	6.1±0.53	6.3±0.29	p>0.05

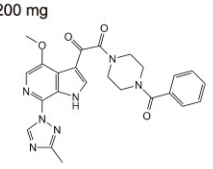
Triptolide specifically increases breast cancer cells' drug sensitivity to Doxorubicin. MDA-MB-231 and MCF-7 cells were pretreated with DMSO or Triptolide for 3 hours then removed the medium,followed by incubation with different chemotherapy drugs in fresh medium for additional 48 hours. **Mol Carcinog. 2018. PMID:29500880**

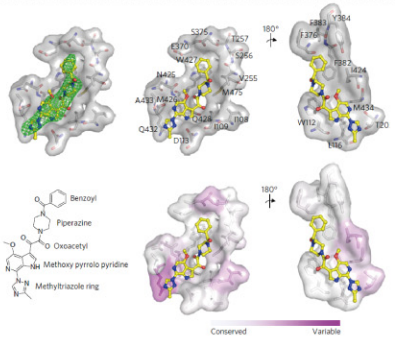
A3253 BMS-626529

BMS-626529 is a small-molecule attachment inhibitor of HIV-1 gp120 with IC50 values of 2.26 nM, 0.34 nM and 1.3 nM for HIV-1 subtype A, B, and C envelope, respectively.

Size 5 mg, 10 mg, 50 mg, 200 mg

2 citations





Detailed interactions of BMS-626529 with HIV-1 Env gp120. BMS-378806 and BMS-626529 were 60 and 120 μM for the titrations of the Env trimer and the gp120 monomer, respectively. **Nat Chem Biol. 2017. PMID:28825711**

Potency Comparison

Inhibitors	HIV-I integrase	Subtype B Integrase	Subtype C Integrase
Elvitegravir (GS-9137)	**** (IC50:7.2 nM)		
GSK744 (S/GSK1265744)	**** (IC50:3 nM)		
MK-2048		*** (IC50:75 nM)	*** (IC50:80 nM)
Raltegravir (MK-0518)	*		
S/GSK1349572	**** (IC50:2.7 nM)		
(±)-BI-D	*		
BI 224436	*		
BMS-538203	*		
BMS-707035	*** (IC50:15 nM)		
HIV-1 integrase inhibitor	*		
HIV-1 integrase inhibitor 2	*		

Notes: "*" represents potency. The higher the number of "*" is, the more potent an inhibitor or activator is. For more products information, please visit our website.

MMP Inhibitors

Featured Products

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Cat.No.	Product Name	Short Summary	CAS	Solubility
A2577	Batimastat (BB-94)	MMP inhibitor	130370-60-4	≥23.9 mg/mL in DMSO
A4050	GM 6001	Broad spectrum MMP inhibitor	142880-36-2	≥19.4 mg/mL in DMSO
B4686	TAPI-1	TACE/ADAM17 inhibitor	171235-71-5	≥25 mg/mL in DMSO
A4436	GI 254023X	Selective inhibitor of ADAM10 metalloprotease	260264-93-5	Soluble in DMSO
A4049	Marimastat	MMPs inhibitor, board spectrum	154039-60-8	≥16.6 mg/mL in DMSO
A8420	Doxycycline HCl	Tetracycline antibiotic; MMP inhibitor; cell selection reagent	10592-13-9	≥48.5 mg/mL in H_2O

Product Citations

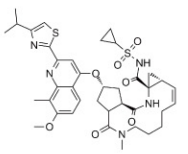
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

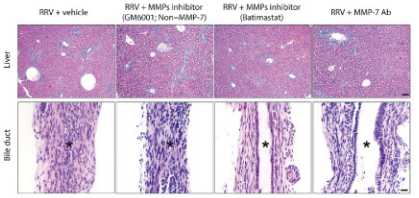
A2577 Batimastat (BB-94)

Batimastat (BB-94) is a potent, broad spectrum inhibitor of matrix metalloprotease (MMP) for MMP-1, MMP-2, MMP-9, MMP-7 and MMP-3 with IC50 of 3 nM, 4 nM, 4 nM, 6 nM and 20 nM, respectively.

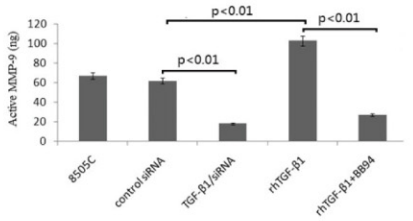
Size 1 mg, 5 mg, 10 mg, 25 mg

6 citations

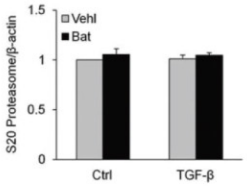




Effect of TGF-β1 on the expression levels of MMP-9. BB94 (10 μM) was used to block the MMPs. **Am J Transl Res. 2016. PMID:27347327**



Suppression of tissue injury, inflammation, and cytokine expression by batimastat and MMP-7 antibody in experimental BA. Mice were injected intraperitoneally with 30 mg/day of batimastat (5% DMSO, 28.5% propylene glycol, 5% Tween 80, and 62% of 0.9% NaCl) daily at 1, 3, 5, and 7 days. **Sci Transl Med. 2017. PMID:29167395**

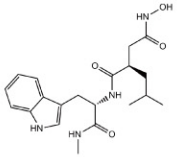


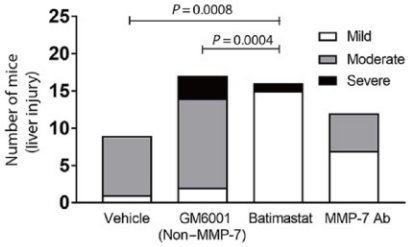
Effects of proteasome or MMP inhibition on proteasome and collagen I levels in CAMs. We also tested the effects of MMP inhibitor, batimastat (Bat) (3.0. μg/ml pretreatment for 30 mins) on proteasome and collagen degradation. **Front Biosci (Landmark Ed). 2017. PMID:27814632**

A4050 GM 6001

GM 6001 is a broad-spectrum inhibitor of MMP with Ki values of 0.4 nM, 0.5 nM, 27 nM, 0.1 nM and 0.2 nM, respectively for MMP-1,2,3,8 and 9.

Size 5 mg, 10 mg, 50 mg



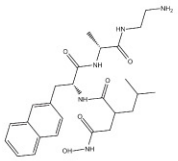


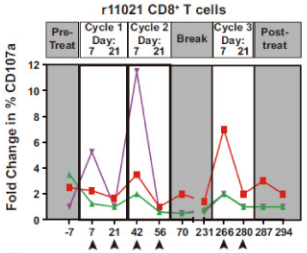
Suppression of tissue injury, inflammation, and cytokine expression by batimastat and MMP-7 antibody in experimental BA. Mice were injected intraperitoneally with 3 mg/day of GM6001 (5% DMSO, 28.5% propylene glycol, 5% Tween 80, and 62% of 0.9% NaCl) daily at 1, 3, 5, and 7 days. **Sci Transl Med. 2017. PMID:29167395**

B4686 TAPI-1

TAPI-1 is an inhibitor of tumour necrosis factor with IC50 value of 8.09 μM.

Size 1 mg, 5 mg





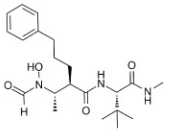
CD8+ T cell responsiveness 1032 to peptide in ICS assays is similar in all three cycles of ALT-803 treatment. PBMCs were treated for 30 minutes with Tumor necrosis factor α protease inhibitor (TAPI-1) to inhibit basal TNF-α production. **J Virol. 2017.PMID:29118125**

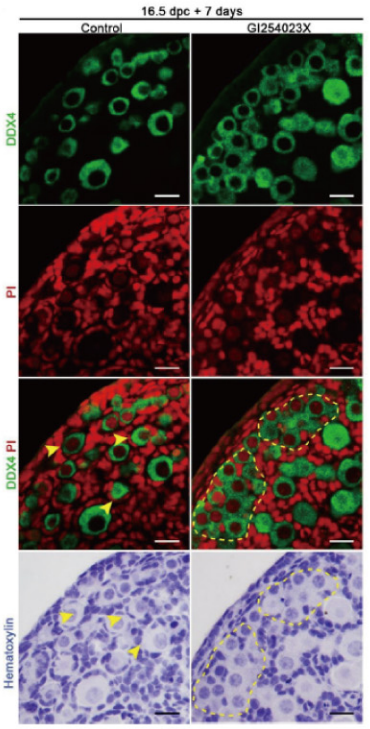
A4436 GI 254023X

GI 254023X, synthesized by GSK, was reported to inhibit ADAM10 100-fold over ADAM17. The IC50 values for recombinant ADAM10 amd ADAM17 are 5.3 nM and 541 nM, respectively.

Size 1 mg

2 citations





Suppressing ADAM10 disrupts germline cyst breakdown and primordial follicle formation. **J Cell Sci. 2016. PMID: 27084580**

Potency Comparison

Inhibitors	MT1-MMP	MMP-1	MMP-2	MMP-3	MMP-7	MMP-8	MMP-9	MMP-12	MMP-13	MMP-14
Batimastat		**** (IC50:3 nM)	**** (IC50:4 nM)	*** (IC50:20 nM)	**** (IC50:6 nM)		**** (IC50:4 nM)			
Doxycycline HCl		* (IC50:300 μM)				* (IC50:30 μM)				
GM 6001		***** (Ki:0.4 nM)	***** (Ki:0.5 nM)	*** (Ki:27 nM)		***** (Ki:0.1 nM)	***** (Ki:0.2 nM)			
Marimastat		**** (IC50:5 nM)	***** (IC50:6 nM)		*** (IC50:13 nM)		**** (IC50:3 nM)			**** (IC50:9 nM)
NSC 405020	* (IC50:>100 μM)									
CTS-1027		** (IC50:800 nM)	***** (IC50:0.4 nM)						***** (IC50:0.6 nM)	
UK 356618				**** (IC50:5.9 nM)			** (IC50:840 nM)		*** (IC50:73 nM)	
ARP 100			*** (IC50:12 nM)							
ARP 101			***** (IC50:0.81 nM)							
CP 471474		* (IC50:1170 nM)	***** (IC50:0.7 nM)	*** (IC50:16 nM)			*** (IC50:13 nM)		***** (IC50:0.9 nM)	
ONO 4817		* (Ki:1600 nM)	***** (Ki:0.73 nM)	*** (Ki:42 nM)	* (Ki:2500 nM)	**** (Ki:1.1 nM)	**** (Ki:2.1 nM)	***** (Ki:0.45 nM)	**** (Ki:1.1 nM)	
PD 166793			**** (IC50:4 nM)	**** (IC50:7 nM)					**** (IC50:8 nM)	
Ro 32-3555		**** (Ki:3.0 nM)	** (Ki:154 nM)	** (Ki:527 nM)		**** (Ki:4.4 nM)	*** (Ki:59 nM)		**** (Ki:3.4 nM)	
UK 370106				*** (IC50:23 nM)	* (IC50:5.8 μM)	* (IC50:1.75 μM)	* (IC50:30.4 μM)	*** (IC50:42 nM)	* (IC50:2.3 μM)	
WAY 170523									*** (IC50:17 nM)	
Batimastat sodium salt		**** (IC50:3 nM)	**** (IC50:4 nM)	*** (IC50:20 nM)	**** (IC50:6 nM)		**** (IC50:4 nM)			

Notes: "*" represents potency. The higher the number of "*" is, the more potent an inhibitor or activator is. For more products information, please visit our website.

Other Inhibitors / Activators

Featured Products

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Cat.No.	Product Name	Short Summary	CAS	Solubility
B3602	Heparin	Injectable anticoagulant	9005-49-6	Soluble in DMSO
A4077	BIBR 953 (Dabigatran, Pradaxa)	Thrombin inhibitor, potent, reversible and direct	211914-51-1	<2.36 mg/mL in H_2O
A8381	BIBR-1048	Thrombin inhibitor	211915-06-9	≥30 mg/mL in DMSO
A5066	Heparin sodium	Antithrombin III activator	9041-08-1	≥12.75 mg/mL in H_2O
A2575	Bestatin	Aminopeptidase inhibitor	58970-76-6	≥12.34 mg/mL in DMSO
A8621	Bestatin hydrochloride	Inhibitor of aminopeptidase N (APN) / CD13 and aminopeptidase B	65391-42-6	≥125 mg/mL in DMSO
B3941	Talabostat mesylate	Orally active, specific inhibitor of DPP4	150080-09-4	≥11.45 mg/mL in DMSO
A4036	Sitagliptin phosphate monohydrate	Potent DPP-4 inhibitor	654671-77-9	≥23.8 mg/mL in DMSO
A2571	Pepstatin A	Aspartic proteinases inhibitor	26305-03-3	≥34.3 mg/mL in DMSO
B4790	Phosphoramidon Disodium Salt	Metalloproteinase inhibitor	164204-38-0	≥58.7 mg/mL in DMSO

Product Citations

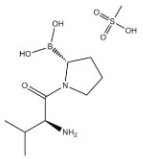
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

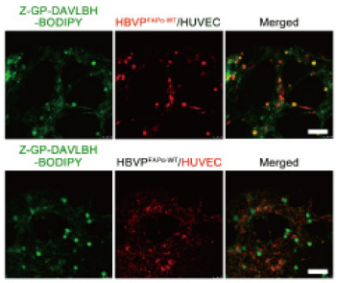
B3941 Talabostat mesylate

Talabostat mesilate (PT-100; Val-boroPro) is an orally active, specific inhibitor of dipeptidyl peptidases for DPP4, including tumor-associated FAP.

Size 10 mg, 50 mg

2 citations





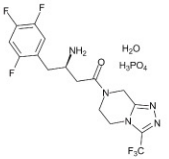
Z-GP-DAVLBH targeting pericytes disrupts pericyte-EC–cocultured tubes. After a 2-hour incubation period, the above supernatants were collected. For the inhibitory study, 100 μM ValboroPro was added to the reactive system and preincubated for 1 hour. **J Clin Invest. 2017. PMID:28846068**

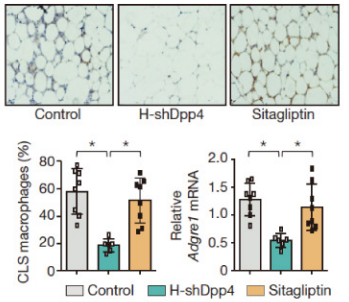
A4036 Sitagliptin phosphate monohydrate

Sitagliptin phosphate is a potent inhibitor of DPP-IV with IC50 of 19 nM in Caco-2 cell extracts.

Size 200 mg, 500 mg

4 citations



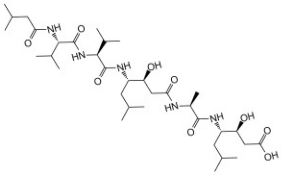


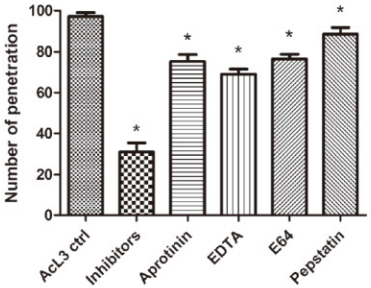
Silencing of hepatocyte DPP4, but not treatment with the oral sitagliptin, lowers VAT inflammation and improves metabolism in DIO mice. DIO mice were treated for four or seven weeks with 0.3 mg/ml sitagliptin in drinking water, which results in a dose of ~30–45 mg/kg/day. **Nature. 2018. PMID:29562231**

A2571 Pepstatin A

Pepstatin A is a well-known inhibitor of aspartic proteinases with IC50 values of 15 μM, 2 μM, < 5 nM and < 40 nM for human renin, HIV protease, pepsin and cathepsin D, respectively.

Size 10 mg, 50 mg, 100 mg





Protease inhibitors reduced larval penetration of the in vitro barrier. The working concentrations in the inhibitor cocktail were 10 mM of aprotinin, 1 M EDTA, 100 mM E64 and 10 mg/mL of pepstatin diluted in DMEM. **Arch Biol Sci. 2016.**

Microbiology & Virology

Antibiotics Inhibitors

Featured Products

APExBIO provides over 9000 products, for all the available compounds in this category, please visit our website.

Cat.No.	Product Name	Short Summary	CAS	Solubility
B1716	Colistin Sulfate	Cationic polypeptide antibiotic	1264-72-8	≥42.5 mg/mL in H_2O with gentle warming
B2143	Tacrolimus (FK506)	Macrolide calcineurin inhibitor, immunosuppressant	104987-11-3	≥26.6 mg/mL in DMSO
A2513	Geneticin, G-418 Sulfate	Aminoglycosidic antibiotic	108321-42-2	<1.34 mg/mL in DMSO
A5124	Meropenem	β-lactam antibiotic of the carbapenem subclass	96036-03-2	≥19.2 mg/mL in DMSO
B2094	Fluconazole	Triazole antifungal agent	86386-73-4	≥10.9 mg/mL in DMSO
A2515	Hygromycin B	Suitable for mammalian cell selection	31282-04-9	≥26.375 mg/mL in H_2O
B1885	Amphotericin B	Amphipathic polyene antibiotic	1397-89-3	≥46.2 mg/mL in DMSO
A5181	Linezolid	Antibacterial reagent	165800-03-3	≥16.9 mg/mL in DMSO
B1993	Nystatin (Fungicidin)	Antifungal antibiotic	1400-61-9	<4.72 mg/mL in EtOH, <4.74 mg/mL in H_2O
B4972	Caspofungin	Lipopeptide antifungal drug	162808-62-0	≥48.1 mg/mL in DMSO
C5621	Spectinomycin (hydrochloride hydrate)	Aminocyclitol antibiotic	22189-32-8	≥49.5 mg/mL in H_2O
B2078	Atovaquone	Unique naphthoquinone with broad-spectrum antiprotozoal activity	95233-18-4	≥17.03 mg/mL in DMSO
B2104	Itraconazole	Antifungal agent	84625-61-6	≥8.8 mg/mL in DMSO
A3863	Tedizolid	Oxazolidinone for gram-positive infections	856866-72-3	≥9.25 mg/mL in DMSO
A5786	Natamycin	Antifungal macrolide polyene	7681-93-8	≥16.425 mg/mL in DMSO with gentle warming
A3786	Salinomycin sodium salt	Antibacterial and coccidiostat ionophore therapeutic drug	55721-31-8	≥7.74 mg/mL in DMSO
B7832	(+)-Aphidicolin	Tetracyclic diterpene antibiotic	38966-21-1	≥33.3 mg/mL in DMSO
C3238	Methicillin (sodium salt)	Semisynthetic penicillin antibiotic	132-92-3	≥14.4 mg/mL in DMSO
B1791	Minocycline HCl	Tetracycline antibiotic	13614-98-7	≥7.86 mg/mL in H_2O
B2126	Rifabutin	Anti-TB (tuberculosis) medicine	72559-06-9	≥42.4 mg/mL in DMSO
B2285	Daunorubicin HCl	DNA topoisomerase II inhibitor	23541-50-6	≥28.2 mg/mL in DMSO
B3416	Pentamidine dihydrochloride	Antimicrobial agent	50357-45-4	≥41.3 mg/mL in DMSO
B5918	Solithromycin	Broad-spectrum fluoroketolide antibiotic	760981-83-7	≥34.35 mg/mL in DMSO
B1217	Meropenem trihydrate	Broad-spectum β-lactam antibiotic	119478-56-7	≥20.7 mg/mL in H_2O with gentle warming
B6034	Filipin III	Cholesterol-binding, fluorescent antibiotic used for the detection of lipoproteins	480-49-9	Soluble in DMSO

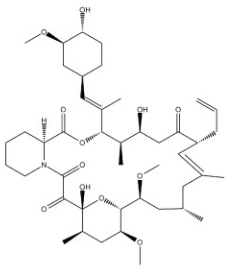
Product Citations

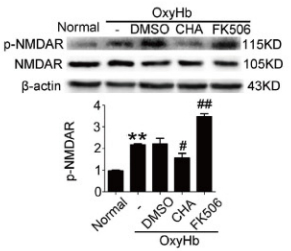
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

B2143 Tacrolimus (FK506)

Tacrolimus (FK506) is a potent and selective inhibitor of T-lymphocyte and the macrolide immunosuppressant.

Size 50 mg, 100 mg, 200 mg, 500 mg, 1 g



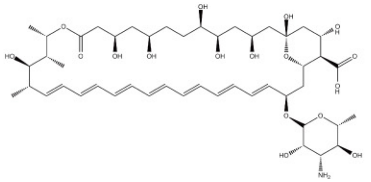


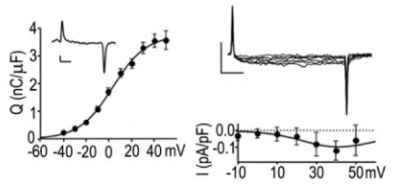
TRPC1/4 supressed the phosphorylation of NMDAR via calcineurin in neurons exposed to oxyHb.CN antagonist FK506 was prepared in DMSO at a concentration of 1 mM and was used to inhibit the activation of CN in culture neurons at a final concentration of 1 μM. **Sci Rep. 2016. PMID:27641617**

B1885 Amphotericin B

Amphotericin B, a polyene antifungal antibiotic, has been produced from a strain of Streptomyces nodosus with an IC50 of 0.028–0.290 μg/ml.

Size 50 mg



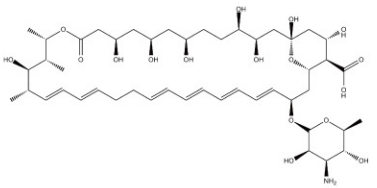


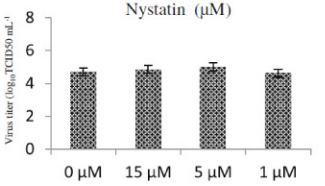
JP2 causes CaV1.1 to insert into discrete domains of the PM, but channel function is minimal without Stac3. The pipette was then back-filled with a 100-fold dilution (in the same solution) of amphotericin stock solution: 20 mg/mL amphotericin and 0.5% (wt/vol) pluronic in DMSO. **Proc Natl Acad Sci U S A. 2017. PMID:29229815**

B1993 Nystatin (Fungicidin)

Nystatin (Fungicidin) is a polyene antifungal antibiotic.

Size 200 mg, 500 mg



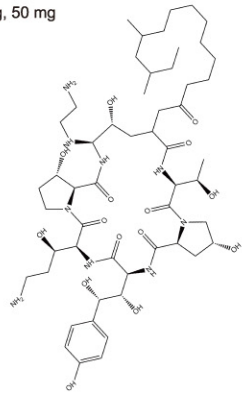


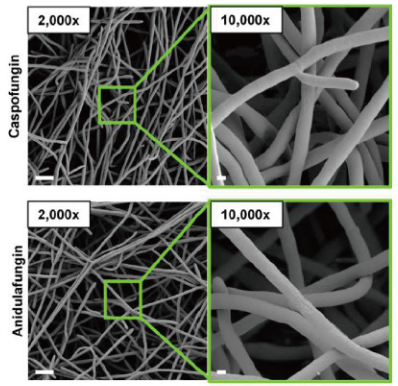
Inhibitor screening for GCRV104 infection. CIK cells were treated with different inhibitors at the indicated concentrations and then infected with GCRV104 (MOI = 5) for 5 days. **Virol J. 2018. PMID:29793525**

B4972 Caspofungin

Caspofungin is a lipopeptide antifungal drug.

Size 5 mg, 10 mg, 50 mg





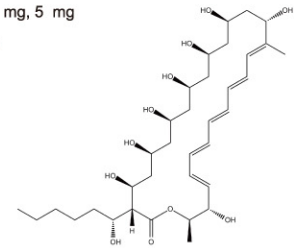
Identification of sub-inhibitory concentrations of echinocandins. These included 0.05 μg/ml, 0.025 μg/ml, and 0.0015 μg/ml, for micafungin, caspofungin, and anidulafungin, respectively. **Antimicrob Agents Chemother. 2018. PMID:29987146**

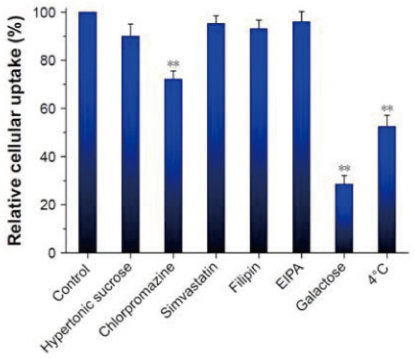
B6034 Filipin III

Filipin III is a polyene antibiotic which can be used in fluorescent cholesterol stain. It also inhibits formation of the pathological form of prion protein (PrP-res) from the normal membrane-bound (PrP-sen) form.

Size 500 μg, 1 mg, 5 mg

2 citations





Cellular trafficking mechanisms characterized by relative cellular uptake rate in the presence of physiological inhibitors, galactose or under limited condition. Caco-2 cells were pretreated with Filipin (1.5 μM) at 37°C for 0.5 h. **Int J Nanomedicine. 2018. PMID:30038494**

Other Inhibitors

Featured Products

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Cat.No.	Product Name	Short Summary	CAS	Solubility
B1114	T 705	Potent and selective RNA-dependent RNA polymerase inhibitor	259793-96-9	≥6.2 mg/mL in DMSO
A3765	Rilpivirine	Inhibitor of next-generation nonnucleoside reverse transcriptase	500287-72-9	≥12.3 mg/mL in DMSO
B2097	Ganciclovir	Antiviral drug for CMV infections	82410-32-0	≥6.95 mg/mL in DMSO with gentle warming
B2223	Zalcitabine	Reverse transcriptase inhibitor	7481-89-2	≥10.65 mg/mL in DMSO with gentle warming
B2136	Zanamivir	Influenza A/B virus neuraminidases inhibitor	139110-80-8	≥16.6 mg/mL in DMSO
A3689	Oseltamivir acid	Influenza neuraminidase inhibitor	187227-45-8	≥14.2 mg/mL in DMSO

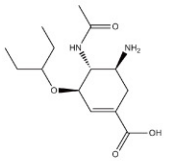
Product Citations

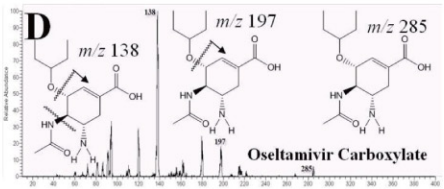
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

A3689 Oseltamivir acid

Oseltamivir is an inhibitor of influenza neuraminidase.

Size 10 mg, 50 mg





MS/MS fragmentation and MRM methods setting by the m/z values of the precursor and the product ions: (D) unmodified OC (m/z 285) and its product ions at m/z 197 and 138. **J Chromatogr B Analyt Technol Biomed Life Sci. 2018. PMID:29702353**

Others

LXR Activators

Featured Products

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Cat.No.	Product Name	Short Summary	CAS	Solubility
A2249	T0901317	LXR agonist, potent and selective	293754-55-9	≥24.1 mg/mL in DMSO
B1264	LXR-623	LXR agonist	875787-07-8	≥19.4 mg/mL in DMSO
A3454	GW3965	HLXRα/hLXRβ agonist, potent and selective	405911-09-3	Soluble in DMSO
A8444	GW3965 HCl	LXR agonist, selective and orally active	405911-17-3	≥30.55 mg/mL in DMSO

Product Citations

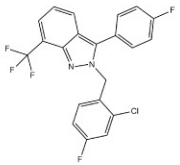
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

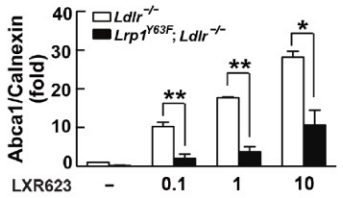
B1264 LXR-623

LXR-623 is an agonist of liver X-receptor with IC50 values of 179 nM and 24 nM for LXR-α and LXR-β, respectively.

Size 5 mg, 10 mg, 50 mg, 100 mg

2 citations



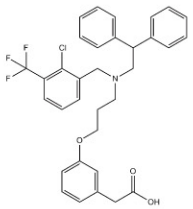


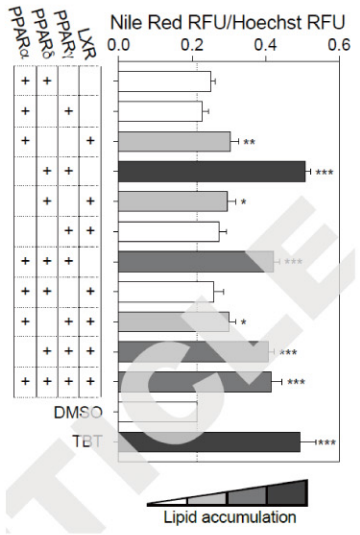
Lrp1Y63F impairs Abca1 induction through inhibiting the PPARg/LXR pathway. LXR623 (LXRb full agonist and LXRa partial agonist) at indicated concentrations in mM. **Elife. 2017. PMID:29144234**

A3454 GW3965

GW3965 is a potent and selective activitor of liver X receptors (LXRs) with EC50 value of 190 and 30 nM respectively to hLXRα and hLXRβ.

Size 5 mg, 10 mg, 50 mg





The effect of PPARδ/PPARγ agonist combination is attenuated by the further addition LXR agonist (GW3965). Lipid accumulation following pretreatment with vehicle control (DMSO), TBT (50 nM), and all possible combinations of WY14643 (10 μM), GW501516 (1 μM), ROSI (1 μM), and GW3965 (1 μM) . **Endocrinology. 2017. PMID: 28977589**

Potency Comparison

Activators	LXR	LXRα	LXRβ	FXR
GW3965 HCl		** (EC50:190 nM)	*** (EC50:30 nM)	
LXR-623		** (IC50:179 nM)	*** (IC50:24 nM)	
SR-9243	*			
T0901317		**** (Kd:7 nM)	*** (Kd:22 nM)	
Fexaramine				*** (EC50:25 nM)

Notes: "*" represents potency. The higher the number of "*" is, the more potent an inhibitor or activator is. For more products information, please visit our website.

RAR / RXR Inhibitors / Activators

Featured Products

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Cat.No.	Product Name	Short Summary	CAS	Solubility
B4654	AM580	Selective RARα agonist	102121-60-8	≥14.3 mg/mL in DMSO
C3742	9-cis-Retinoic Acid	Ligand for RAR/RXR	5300-03-8	20 mg/mL in DMSO
B4702	AGN 194310	Pan-RAR antagonist	229961-45-9	≥16.8 mg/mL in DMSO
A2415	Acitretin	Metabolite of etretinate	55079-83-9	≥16.3 mg/mL in DMSO

Potency Comparison

Activators	RAR	RARα	RARβ	RARγ
Fenretinide	*			
Acitretin	*			
Tretinoin (Aberela)		*	*	*
TTNPB (Arotinoid Acid)		**** (IC50:3.8 nM)	**** (IC50:4 nM)	**** (IC50:4.5 nM)
AGN 205327		* (EC50:3766 nM)	** (EC50:734 nM)	*** (EC50:32 nM)
Palovarotene				*
AM580		*		
Tamibarotene		***** (EC50:0.79 nM)		
Tazarotene			*	*
CD 2314			** (Kd:145 nM)	
BMS 753		**** (Ki:2 nM)		

Notes: "*" represents potency. The higher the number of "*" is, the more potent an inhibitor or activator is. For more products information, please visit our website.

Other Targets Inhibitors / Activators

Featured Products

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Cat.No.	Product Name	Short Summary	CAS	Solubility
B6645	Cytochalasin D	Inhibitor of actin polymerization, selective	22144-77-0	Soluble in DMSO
B7555	Latrunculin A	Reversible inhibitor of actin assembly	76343-93-6	Limited solubility
B1421	Forskolin	Adenylate cyclase activator	66575-29-9	≥20.5 mg/mL in DMSO
A3720	PF-8380	Autotaxin inhibitor, potent and specific	1144035-53-9	≥20.9 mg/mL in DMSO
B7416	NPE-caged-proton	Generates rapid acidifications down to pH 2	1186195-63-0	<26.92 mg/mL in DMSO, <26.92 mg/mL in H_2O
A3532	KN-93	CaMKII inhibitor, selective and competitive	139298-40-1	≥19.15 mg/mL in DMSO
A8180	KN-62	CaM kinase II inhibitor	127191-97-3	≥36.1 mg/mL in DMSO
B1306	KN-93 hydrochloride	CaMK II inhibitor	1956426-56-4	≥26.9 mg/mL in DMSO
B1920	Crystal Violet	Used in staining cell nucleus, gram stain for differentiation of negative versus positive bacteria, antibacterial, antifungal, and anthelmintic	548-62-9	≥19.7 mg/mL in DMSO
B2025	Salubrinal	Selective eIF2α inhibitor	405060-95-9	≥48 mg/mL in DMSO
A3946	YK-4-279	RNA Helicase A (RHA) inhibitor	1037184-44-3	≥16.35 mg/mL in DMSO
B7810	RK-33	DDX3 (a RNA helicase) inhibitor	1070773-09-9	≥21.4 mg/mL in DMSO
A3586	MB05032	GNG inhibitor	261365-11-1	Soluble in DMSO
B1723	Deferiprone	Chelating agent	30652-11-0	≥10.96 mg/mL in H2O
B4888	Obeticholic Acid	FXR agonist with anticholeretic activity	459789-99-2	≥21.5 mg/mL in DMSO
A2173	SC 144	Gp130 inhibitor	895158-95-9	≥16.1 mg/mL in DMSO
B3490	Eptifibatide	Glycoprotein (GP) IIb/IIIa inhibitor	188627-80-7	≥28.7 mg/mL in DMSO
B1111	N6022	GSNOR inhibitor	1208315-24-5	≥20.7 mg/mL in DMSO
A8225	Ezatiostat	(GST) P1-1 inhibitor	168682-53-9	≥26.5 mg/mL in DMSO
B1250	Ezatiostat hydrochloride	GST inhibitor	286942-97-0	≥28.3 mg/mL in DMSO
B1027	2-Deoxy-D-glucose	Glycolysis inhibitor	154-17-6	≥8.2 mg/mL in DMSO
B1274	AP20187	Dimerizer, synthetic and cell-permeable	195514-80-8	≥74.1375 mg/mL in DMSO ≥100 mg/mL in EtOH
B4168	AP1903	Homodimer binding to FKBP	195514-63-7	≥23.5 mg/mL in DMSO
B6730	1400W dihydrochloride	INOS inhibitor, potent and highly selective	214358-33-5	≥25 mg/mL in DMSO
B5011	L-690,330	Competitive inhibitor of inositol monophosphatase (IMPase)	142523-38-4	<29.81 mg/mL in H_2O

Cat.No.	Product Name	Short Summary	CAS	Solubility
A3307	CHIR-090	Potent LpxC inhibitor	728865-23-4	≥21.9 mg/mL in DMSO
A3840	ST 2825	Inhibitor of MyD88 dimerization	894787-30-5	Soluble in DMSO
B1036	MLN4924	NAE inhibitor	905579-51-3	≥22.2 mg/mL in DMSO
B6031	GMX1778 (CHS828)	NAMPT inhibitor	200484-11-3	≥18.3 mg/mL in DMSO
B7460	GSK 4112	Rev-Erbα agonist	1216744-19-2	≥11.9 mg/mL in DMSO
B1832	Sevelamer Carbonate	Non-absorbed phosphate binding crosslinked polymer	845273-93-0	<4.7 mg/mL in DMSO with gentle warming
B6055	TCEP hydrochloride	Reducing Agent	51805-45-9	≥28.7 mg/mL in H_2O
B7675	DIDS	Anion transport inhibitor	67483-13-0	≥24.9 mg/mL in DMSO
A8895	p-Cresyl sulfate	Protein-bound uremic retention solute	3233-58-7	≥30.1 mg/mL in DMSO, ≥50 mg/mL in H_2O
A8380	Bexarotene	Retinoid Receptor agonist	153559-49-0	≥10.4 mg/mL in DMSO
A3932	WAY 316606	sFRP-1 inhibitor	915759-45-4	≥44.8 mg/mL in DMSO with ultrasonic
A3389	EMD638683	SGK1 inhibitor	1181770-72-8	≥18.2 mg/mL in DMSO
B4664	T-5224	C-Fos/AP-1 inhibitor	530141-72-1	≥25.9 mg/mL in DMSO
C4291	Anhydrotetracycline (hydrochloride)	Powerful effector in both the tetracycline repressor (TetR) and reverse TetR (revTetR) systems	13803-65-1	≥15.4 mg/mL in EtOH with gentle warming
B2083	Caspofungin Acetate	Lipopeptide antifungal drug	179463-17-3	≥60.7 mg/mL in DMSO
A3606	Micafungin sodium	Inhibitor of β-(1,3)-D-glucan synthesis; fungicide	208538-73-2	≥64.7 mg/mL in DMSO

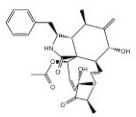
Product Citations

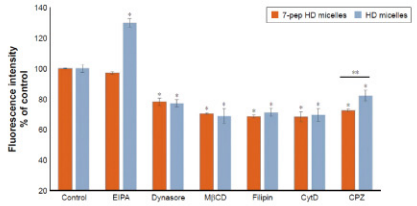
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

B6645 Cytochalasin D

Cytochalasin D is a selective inhibitor of actin polymerization with IC50 value of 25 nM.

Size 1 mg, 5 mg, 10 mg



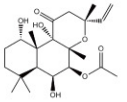


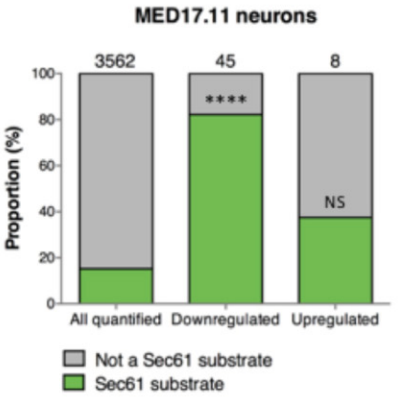
The internalization of 7-pep HD micelles/DOX and HD micelles/DOX is inhibited by CytD. The cells were preincubated with actin polymerization inhibitor CytD (0.5 μM) for 1 hour. The DOX fluorescence intensity was determined using a FACScan flow cytometer. **Int J Nanomedicine. 2017. PMID:28223798**

B1421 Forskolin

Forskolin is a cell-permeable activator of adenylyl cyclase (AC).

Size 25 mg, 50 mg, 100 mg



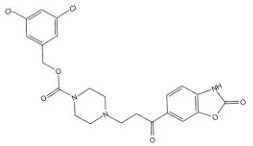


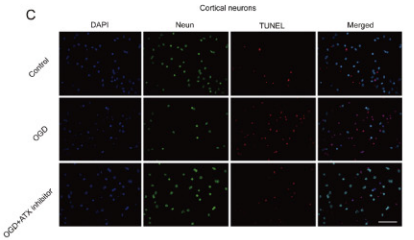
Conserved and variable features of mycolactone-induced proteomic alterations. MED17.11 were cultured in DMEM / F12 Glutamax, supplemented with 10% FCS, 10 ng/ml bFGF, 0.5 mM di-butryl cAMP, 25 μM Forskolin, 5 μg/ml Y-27632, 100 ng/ml NGF, 10 ng/ml GDNF and 100 U/ml penicillin, 100 μg/ml streptomycin. **Mol Cell Proteomics. 2018. PMID:29915147**

A3720 PF-8380

PF-8380 is a potent and specific inhibitor of autotaxin with an IC50 value of 2.8 nM in isolated enzyme assay.

Size 5 mg, 10 mg, 50 mg, 100 mg



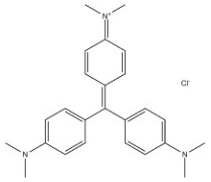


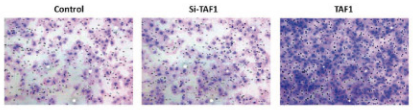
Blockade of LPA production attenuates neuronal death in vitro. The medium was exchanged for glucose-free DMEM with or without autotaxin inhibitor (PF8380) and incubated 4 h (Cortical neurons) or 12 h (PC12 cells). **Exp Neurol. 2018. PMID:29673933**

B1920 Crystal Violet

Crystal Violet is used in staining cell nucleus and gram staining for differentiation of negative versus positive bacteria, with antibacterial, antifungal, and anthelmintic activity.

Size 50 mg



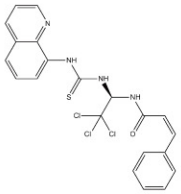


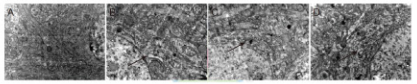
Transwell assay confirmed that the cell invasion of low-expressed TAFI was stagnated after 48 h, and significantly accelerated when TAFI was overexpressed. The invaded cells were fixed with 100% methanol for 10 min, stained in 0.5% crystal violet for 20 min. **Eur Rev Med Pharmacol Sci. 2017. PMID:29271982**

B2025 Salubrinal

Salubrinal is a cell-permeable and selective inhibitor of eIF2α dephosphorylation with an IC50 of 15 M.

Size 10 mg, 25 mg



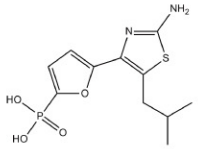


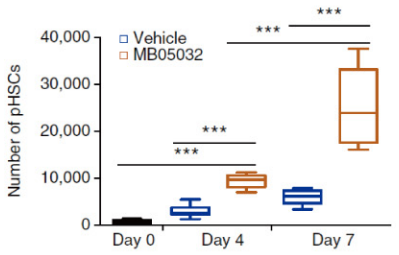
Effect of atorvastatin on the ultrastructure of neurons in infarcted brain tissue of rats with cerebral ischemia / reperfusion injury. Rats in the atorvastatin + salubrinal group were given salubrinal(11.2 mg/kg, intragastrically), 2 hours after atorvastatin administration once per day, from preoperative 1 day to postoperative 3 days. **Neural Regen Res. 2015. PMID:26487850**

A3586 MB05032

MB05032 is a potent and selective GNG inhibitor targeted the AMP binding site of fructose 1,6-bisphosphatase (FBPase) with an IC50 value of 16 nM.

Size 2 mg, 5 mg, 10 mg, 50 mg, 100 mg





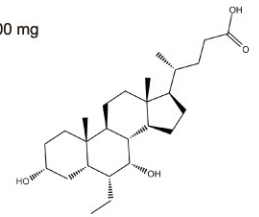
Relative to vehicle treatment, treatment with MB05032 significantly promote expansion of human CB pHSCs and CD34+CD38− cells. CB CD34+ cells were cultured in expansion medium with 0.5% FBS in the presence of vehicle, GW9662 or MB05032 for 4 d. **Nat Med. 2018. PMID:29377004**

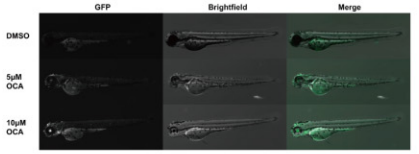
B4888 Obeticholic Acid

Obeticholic Acid (6alpha-ethyl-chenodeoxycholic acid, 6-ECDCA, INT-747) is a potent and selective agonist of FXR with EC50 value of 99 nM.

Size 5 mg, 25 mg, 100 mg

2 citations



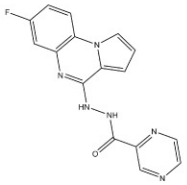


FXR transgenic zebrafish respond to exogenously added ligand. Transgenic FXR embryos were treated with 5 μM and 10 μM OCA. **University of Toronto.2018.**

A2173 SC 144

SC144 is an inhibitor of gp130 with IC50 values of 0.43 μ mol/L and 0.88 μmol/L in NCI/ADR-RES and HEY cell lines, respectively.

Size 5 mg, 25 mg, 100 mg



Inhibitors	IL-6			OSM		
	CCL2	YKL40	STAT3	CCL2	YKL40	STAT3
KNS42						
DMSO	0.5	1.7	0.9	0.7	11.2	0.6
Imatinib	0.9	1.7	0.8	1.1	8.0	0.7
Crytoptanshinone	0.7	0.7	0.5	1.5	3.7	0.7
S3I-201	0.8	0.6	0.4	1.2	1.1	0.4
SC144	0.6	1.0	0.5	1.1	8.7	0.6
Ruxolitinib	0.4	0.8	0.6	0.5	1.4	0.8
GBM2						
DMSO	1.3	1.5	1.4	2.2	2.0	2.4
Imatinib	1.6	2.3	1.8	2.4	3.0	1.9
Crytoptanshinone	1.9	1.6	1.8	1.0	2.0	1.4
S3I-201	2.4	0.8	1.9	2.2	1.2	2.7
SC144	1.1	0.9	0.7	1.3	1.4	1.4
Ruxolitinib	0.5	0.4	0.5	0.5	0.5	0.7
SF188						
DMSO	1.0	2.0	1.5	0.8	16.9	2.3
Imatinib	0.6	2.7	0.6	0.9	23.1	1.7
Crytoptanshinone	1.0	2.1	1.2	0.8	13.3	1.4
S3I-201	2.1	0.9	1.1	1.3	5.4	1.1
SC144	0.7	1.5	0.7	1.0	11.9	1.5
Ruxolitinib	1.0	0.4	0.8	1.2	0.4	1.0

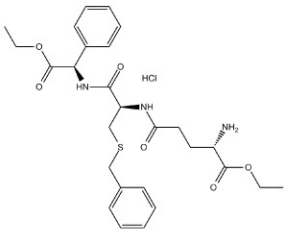
Average fold change of PMT-associated transcripts in vitro following JAK / STAT pathway inhibition and cytokine stimulation. S3I-201 was used at 100 μM; SC144 was used at 1 μM. **ProQuest LLC. 2016**

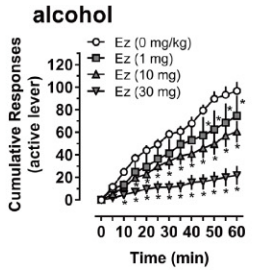
B1250 Ezatiostat hydrochloride

Ezatiostat hydrochloride (TLK199) is an effective inhibitor of glutathione S-transferase (GST).

Size 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

3 citations



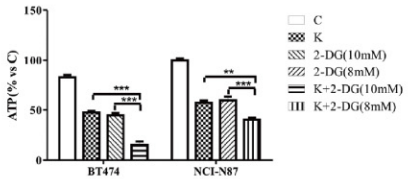


Ezatiostat was due to a non-specific locomotor effect in these mice. **Psychopharmacology (Berl). 2018. PMID: 29502276**

B1027 2-Deoxy-D-glucose

2-Deoxy-D-glucose (2DG), glucose analogue, is a competitive glycolytic inhibitor.

Size 1g, 5g



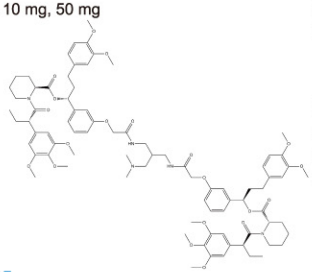
Exposure to 2-Deoxy-D-glucose (2-DG) causes a depletion of ATP. Cells were treated with 1 μM KU004, 2-DG (10 mM for BT474 and 8 mM for NCI-N87) or combination for 24 h, then cellular ATP levels were determined. **Exp Cell Res. 2017. PMID:28532652**

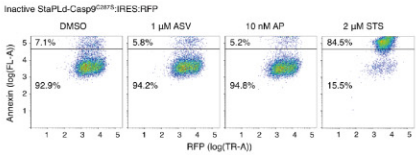
B1274 AP20187

AP20187 is a synthetic and cell-permeable drug that can dimerize and activate fusion proteins containing a growth factor receptor signaling domain.

Size 1 mg, 5 mg, 10 mg, 50 mg

2 citations





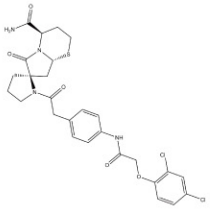
Comparison of StaPLd-Casp9 and iCasp9. StaPLd-Casp9 and iCasp9 are similarly effective at inducing cell death after a 24 h incubation in asunaprevir (ASV) and AP20187 (AP), respectively. **Nat Methods. 2018. PMID:29967496**

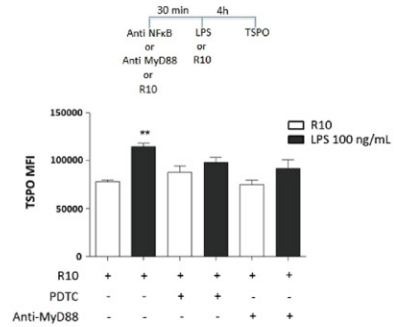
A3840 ST 2825

ST 2825 is a specific inhibitor of MyD88 dimerization.

Size 1 mg, 5 mg, 10 mg

4 citations





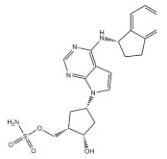
LPS induces TSPO expression via MyD88 expression and NF-κB nuclear translocation. BV-2 cells were treated with PDTC anti NF-κ (50 μM) or anti MyD88 (20 μM) 30 min before LPS stimulation (100 ng/mL) and TSPO expression was quantified 4 h later. **Exp Cell Res. 2018. PMID: 29649428**

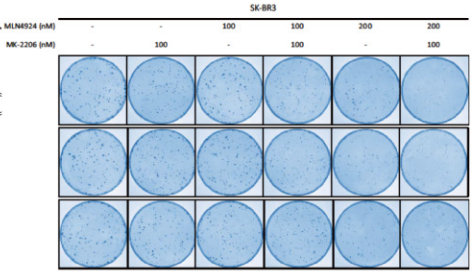
B1036 MLN4924

MLN4924 is a potent and selective inhibitor of NEDD8-activating enzyme (NAE) with IC50 value of 4 nM.

Size 5 mg, 10 mg, 50 mg, 100 mg

3 citations



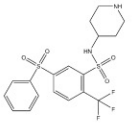


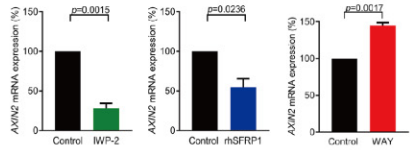
AKT inhibitor MK-2206 enhances the suppression of migration in breast cancer cells by MLN4924. Cells were seeded in 6-well plates and treated with MLN4924 (1 μM) for 24 h, followed by MK-2206 treatment (1 μM) for 24 h. **Cell Cycle. 2018. PMID:30198810**

A3932 WAY 316606

WAY 316606 is a selective small-molecule inhibitor of secreted frizzled-related protein-1 (sFRP-1) with EC50 value of 0.65 μM.

Size 5 mg, 10 mg, 50 mg, 100 mg





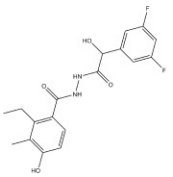
SFRP1 modulates canonical β-catenin activity at the ligand level in the human HF bulb ex vivo. For qRT-PCR analysis, HFs were incubated with WAY-316606 at 2 μM for 24 hours. **PLoS Biol. 2018. PMID:29738529**

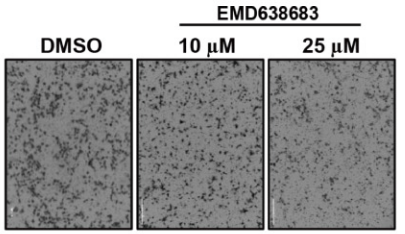
A3389 EMD638683

EMD638683 is a highly selective inhibitor of the serum and glucocorticoid inducible kinase (SGK) with IC50 value of 3 μM.

Size 5 mg, 10 mg, 50 mg, 200 mg

4 citations





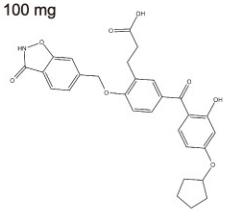
Pharmacological SGK inhibition prevents downstream target phosphorylation and cell migration and invasion. A549 cells were treated with vehicle control (DMSO) or with EMD638683 at the concentrations indicated. **Mol Cancer Res. 2018. PMID:30257988**

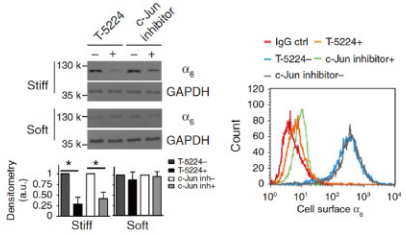
B4664 T-5224

T-5224 is a non-peptidic, small molecule and novel inhibitor of c-Fos/AP-1.

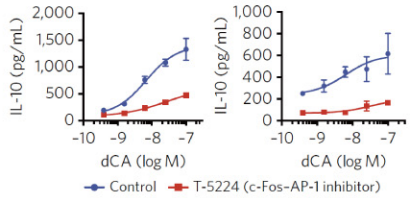
Size 5 mg, 10 mg, 50 mg, 100 mg

8 citations

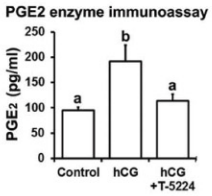




Stiff matrix upregulates a6-expression by ROCK-dependent activation of c-Fos/c-Jun transcription complex. For T-5224 treatment, a dosage of 30 mg/kg bodyweight perday was given to WT C57BL6 mice daily by oral gavage, 10 days after bleomycin administration. Mice were killed at 21 days. **Nat Commun. 2016. PMID: 27535718**



Modulation of c-Jun–AP-1 links CDK8 inhibition to enhanced IL-10 production. Co-treatment of BMDC s with the c-Fos–AP-1 inhibitor T-5224 (100 μM) suppresses the IL -10 enhancing activity of dCA in BMDC s stimulated with R848. **Nat Chem Biol. 2017. PMID:28805801**

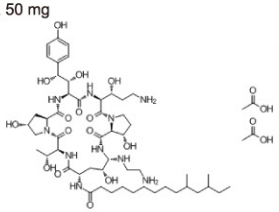


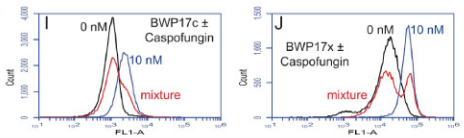
Effect of FOS inhibitor on the production of progesterone and PGE2 and on the expression of mRNA for PG synthases and transporters in hGLC. Primary hGLC were treated with or without T-5224 (FOS inhibitor, 20 μM) in the absence or presence of hCG (1 IU/ml). **J Clin Endocrinol Metab. 2018. PMID:30124866**

B2083 Caspofungin Acetate

Caspofungin Acetate is a potent antifungal agent with MIC values of 13 and 25 nM for C. Albicans and C. Krusei, resepectively.

Size 5 mg, 10 mg, 50 mg





Enhanced binding of anti-Ywp1 to a strain variant. When grown in the presence of a subinhibitory dose of Caspofungin (10 nM), which subtly modifies the cell wall, both BWP17c and BWP17x bound more anti-Ywp1 (2±4 times more in stationary phase). **PLoS One.2018. PMID: 29329339**

Other Inhibitors / Activators

Featured Products

APExBIO provides over 9000 products, for all the available compounds in this category, please visit our website.

Cat.No.	Product Name	Short Summary	CAS	Solubility
B6052	Nitrocefin	Used for detection of β-lactamases	41906-86-9	Soluble in DMSO
B2026	Sodium Nitroprusside	Nitric oxide (NO) donor	14402-89-2	≥11.2 mg/mL in DMSO
B1772	Ibandronate sodium	Potent bisphosphonate drug	138926-19-9	≥10.25 mg/mL in H_2O
B1970	Metformin HCl	Anti-diabetic drug	1115-70-4	≥8.3 mg/mL in DMSO
A3963	A-769662	AMPK activator, potent and reversible	844499-71-4	≥18 mg/mL in DMSO
B4758	BAPTA-AM	Calcium chelator, selective and membrane permeable	126150-97-8	≥16.3 mg/mL in DMSO
B6035	2-NBDG	Fluorescent glucose analog for visualizing glucose uptake into living cells	186689-07-6	≥17.1 mg/mL in H_2O with ultrasonic
B6008	BPTES	GLS inhibitor	314045-39-1	≥18 mg/mL in DMSO
A8327	Verteporfin	Photosensitizer used in photodynamic therapy	129497-78-5	≥18.3 mg/mL in DMSO
B3399	Tirapazamine	Anticancer drug	27314-97-2	≥8.9 mg/mL in DMSO
B4763	GKT137831	Dual NADPH oxidase Nox1/Nox4 inhibitor	1218942-37-0	≥39.5 mg/mL in DMSO
B4799	CB-839	Glutaminase inhibitor	1439399-58-2	≥28.6 mg/mL in DMSO
B4874	Hydroxychloroquine Sulfate	Autophagy inhibitor	747-36-4	≥17.6 mg/mL in H_2O
B1858	Tranexamic Acid	Competitive inhibitor of plasminogen activation	1197-18-8	≥6.6 mg/mL in H_2O
B4877	URMC-099	MLK3 inhibitor, orally bioavailable and brain penetrant	1229582-33-5	≥21.1 mg/mL in DMSO
B3675	Apocynin	Selective NADPH-oxidase inhibitor	498-02-2	≥8.25 mg/mL in DMSO
B2048	Thiamet G	O-GlcNAcase inhibitor, potent and selective	1009816-48-1	≥12.4 mg/mL in DMSO, ≥100 mg/mL in H_2O
B1373	Phenformin HCl	Biguanidine drug with anti-diabetic activity	834-28-6	≥12.1 mg/mL in DMSO
A3740	Puromycin aminonucleoside	Aminonucleoside portion of the antibiotic puromycin	58-60-6	≥14.5 mg/mL in DMSO
B4751	L-Mimosine	Iron chelator and prolyl 4-hydroxylase inhibitor	500-44-7	<2 mg/mL in DMSO with gentle warming
B3021	Atglistatin	ATGL inhibitor, potent and selective	1469924-27-3	≥14.2 mg/mL in DMSO
A2842	Melatonin	Melatonin receptors agonist	73-31-4	≥23.2 mg/mL in DMSO
B6083	LiCl	Used to precipitate RNA	7447-41-8	≥4.2 mg/mL in H_2O
A3384	Elacridar	BCRP inhibitor	143664-11-3	≥56.4 mg/mL in DMSO
B3060	CORM-3	Exhibits anti-inflammatory/cardioprotective effects	475473-26-8	≥29.5 mg/mL in DMSO
B1765	Gadodiamide	Gadolinium-based MRI contrast agent	122795-43-1	≥29.2 mg/mL in H_2O
A5938	Cyclosporine A	Immunosuppressant drug	59865-13-3	≥53 mg/mL in DMSO

Cat.No.	Product Name	Short Summary	CAS	Solubility
B2148	Prednisone	Glucocorticoid receptor agonist	53-03-2	≥15.4 mg/mL in DMSO
A4052	Doxycycline hyclate	MMP inhibitor	24390-14-5	≥22.2 mg/mL in DMSO
A8492	Orlistat	Lipase inhibitor for obesity treatment	96829-58-2	≥17.4 mg/mL in DMSO
B1952	ID-8	DYRK inhibitor	147591-46-6	≥13.95 mg/mL in DMSO
B3702	MSDC-0160	mTOT-modulating insulin sensitizer	146062-49-9	≥37 mg/mL in DMSO
B6068	Deferoxamine mesylate	Iron-chelating agent	138-14-7	≥65.7 mg/mL in H_2O
B4814	ESI-09	EPAC inhibitor, specific	263707-16-0	≥33.1 mg/mL in DMSO

Product Citations

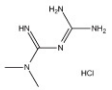
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

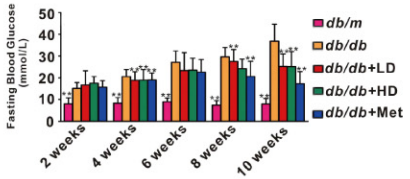
B1970 Metformin HCl

Metformin HCl is one of the most effective and widely used therapeutics for treatment of type 2 diabetes.

Size 10 g, 50 g

2 citations





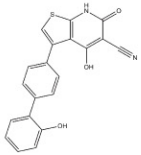
Effect of A. japonicus hydrolysate on physiological and biochemical indexes of db/db mice. The mice in the db/db+Met group received metformin by gavage at a dosage of 250 mg/kg·d for 10 weeks. **J Agric Food Chem. 2017. PMID:29249162**

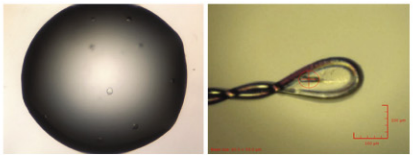
A3963 A-769662

A-769662 is a small-molecule activator of AMPK with EC50 value of 116 nM.

Size 10 mg, 25 mg, 50 mg

2 citations



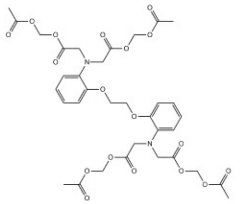


Crystals obtained with this ligand diffract less well possibly due to the lower affinity of A-769662 compared to 991. As an alternative to 991, the commercially available small molecule ADaM-binding activator, A-769662. **Methods Mol Biol. 2018. PMID:29480465**

B4758 BAPTA-AM

BAPTA-AM is a selective calcium chelator. It can also be used as calcium indicators, since the absorption maximum for BAPTA changes when it is complexed with calcium (absorption maxima free/complexed = 254/274 nm, emission maxima free/complexed = 363/363 nm).

Size 10 mg, 50 mg



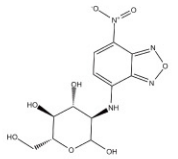
Application of BAPTA-AM to abolish the intracellular Ca^{2+} increase did not change GLT-1 degradation induced by SAG. Representative immunoblots of the total lysates of cultured astrocytes after SAG or DMSO with or without BAPTA-AM for 2 hs. **Neuroscience. 2017. PMID:28993237**

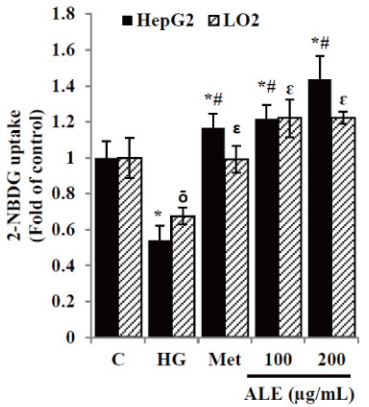
B6035 2-NBDG

2-NBDG is a fluorescence-labeled 2-deoxy-glucose analog useful as a tracer for evaluation of cellular glucose metabolism.

Size 5 mg, 10 mg

2 citations



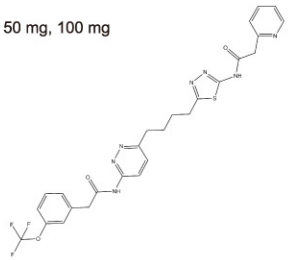


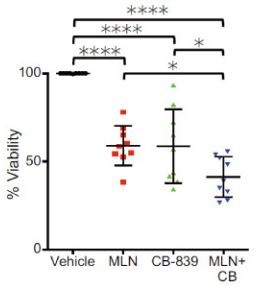
ALE at concentrations of 100 and 200 μg/mL is able to avoid the inhibited glucose uptake. Cells were seeded into a 24-well plate at a density of 5×10^4 cells/well and after the treatments cells were exposed to 0.1 mM 2-NBDG and 100 nM insulin for 30 min at 37 °C. **J Agric Food Chem. 2017. PMID:28758742**

B4799 CB-839

CB-839 is an orally bioavailable noncompetitive inhibitor of the glutaminase 1 (GLS1) splice variants, kidney-type (KGA) and glutaminase C (GAC). CB-839 inhibits human recombinant GAC with IC50 values of less than 50 nM.

Size 5 mg, 10 mg, 50 mg, 100 mg



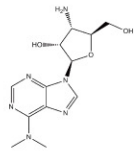


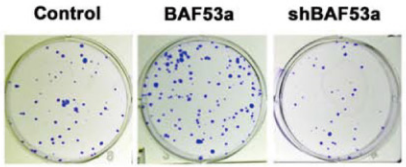
GLS Inhibition Overcomes Resistance to MLN128 in Lung SCC Tumors. Cell viability of a panel of nine lung SCC/LCC cell lines and were treated with vehicle, 20 nM MLN128 (MLN), 1 μM CB-839 (CB-839), or 20 nM MLN128 + 1 μM CB-839 (MLN + CB) for 72 hr. **Cancer Cell. 2018. PMID:29763624**

A3740 Puromycin aminonucleoside

Puromycin aminonucleoside is the aminonucleoside portion of the antibiotic puromycin. Puromycin aminonucleoside is used to study human glomerular disease by inducing damage of murine glomerular podocytes and is used to study glomerular function and morphology.

Size 50 mg, 250 mg





BAF53a promotes proliferation, migration and invasion of glioma cells. Puromycin (2 μg/ml) was used to select stable clones if necessary. After 48-72-h transfection, the cells were harvested for subsequent assays. **Oncol Rep. 2017. PMID:29039584**

Peptide Coupling Reagents

Featured Products

APExBIO provides over 9000 products, for all the available compounds in this category, please visit our website.

Cat.No.	Product Name	Short Summary	CAS	Solubility
A7025	HOBt (anhydrous)	Racemization inhibitor	2592-95-2	≥6.8 mg/mL in DMSO
A7024	HOAt	Coupling activator for racemization-free coupling in peptide synthesis	39968-33-7	≥6.8 mg/mL in DMSO
A7029	PyBOP	Peptide coupling reagent	128625-52-5	≥52 mg/mL in DMSO
A7021	EDC.HCl	Water soluble condensing reagent	25952-53-8	Soluble in water or 1% acetic acid
A7023	HBTU	Peptide coupling reagent	94790-37-1	≥37.9 mg/mL in DMSO

Product Citations

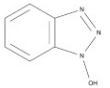
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

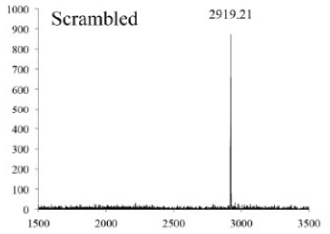
A7025 HOBt (anhydrous)

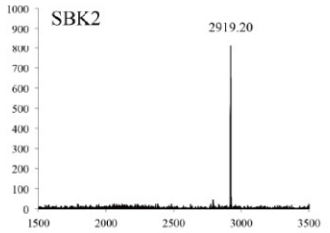
HOBt is mainly used to suppress the racemization of single-enantiomerchiral molecules and to improve the efficiency ofpeptide synthesis.

Size 250g, 500g

4 citations







MALDI-TOF spectra of the Scrambled-Lys-(Gd-DOTA) and SBK2-Lys-(Gd-DOTA) following complexation. Fmoc-L-Lys-monoamide-DOTA-tris (t-Bu ester) was manually coupled to each peptide using PyBOP and 1-hydroxybenzotriazole (HOBt) as the coupling agents. **Anal Chem. 2017. PMID:28481080**

Natural Products

Featured Products

APExBIO provides over 9000 products, for all the available compounds in this category, please visit our website.

Cat.No.	Product Name	Short Summary	CAS	Solubility
N2060	12-O-tetradecanoyl phorbol-13-acetate (PMA)	ERK activator, potent	16561-29-8	≥112.9 mg/mL in DMSO
N2379	Caffeine	Adenosine receptor antagonist and (cAMP) phosphodiesterase inhibitor	58-08-2	Soluble in DMSO
N1592	(+)-Bicuculline	GABAA receptor antagonist, competitve and classical	485-49-4	≥13.1 mg/mL in DMSO
N1748	Isochlorogenic acid C	Extracted from Honeysuckle	32451-88-0	≥51.6 mg/mL in DMSO
N2252	Vincristine	Microtubule disrupter,antitumor agent	57-22-7	N/A
N1792	Glycyrrhizic acid	11-βHSD II Inhibitor; extracted from sweet root of licorice	1405-86-3	≥36.6 mg/mL in DMSO
N1769	Chlorogenic acid	An intermediate in lignin biosynthesis; extracted from Lonicera acuminata	327-97-9	≥13.8 mg/mL in DMSO
N2703	Nicotine	Extracted from Nicotiana sanderae	54-11-5	≥15.4 mg/mL in EtOH

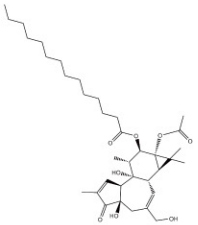
Product Citations

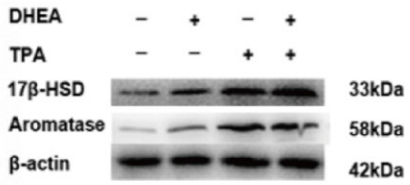
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

N2060 12-O-tetradecanoyl phorbol-13-acetate (PMA)

12-O-tetradecanoyl phorbol-13-acetate (TPA) is an activator of ERK/MAPK with the concentration of 50 μM.

Size 5 mg





The role of the cAMP/PKA-ERK1/2 signaling on the conversion of DHEA to active steroid hormones in primary chicken hepatocytes. Hepatocytes were cultured for 24 h in M199 media at 37 °C, and were then pre-incubated with vehicle or 10 μM TPA for 1 h. **Biochim Biophys Acta. 2018. PMID:29571766**

Tag Peptides

Featured Products

APExBIO provides over 9000 products, for all the available compounds in this category, please visit our website.

Cat.No.	Product Name	Short Summary	CAS	Solubility
A6001	3X FLAG Peptide	Synthetic peptide tag	N/A	≥143.1 mg/mL in DMSO, ≥143.4 mg/mL in H_2O
A6002	FLAG tag Peptide	Versatile fusion tag	98849-88-8	≥34.03 mg/mL in EtOH, ≥210.6 mg/mL in H_2O
A6004	Influenza Hemagglutinin (HA) Peptide	Epitope of HA tag peptide	92000-76-5	≥55.1075 mg/mL in DMSO
A6006	Hexa His tag peptide	Synthetic 6XHis peptide	N/A	≥84.1 mg/mL in DMSO
A6003	c-Myc tag Peptide	Synthetic peptide tag	N/A	≥60.165 mg/mL in DMSO
A6005	V5 Epitope Tag Peptide	Peptide sequence-GKPIPNPLLGLDST	N/A	≥71.082 mg/mL in DMSO
A6009	VSV-G Peptide	Peptide sequence-YTDIEMNRLGK	N/A	≥134 mg/mL in DMSO

Product Citations

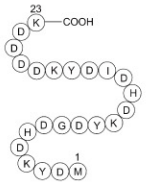
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

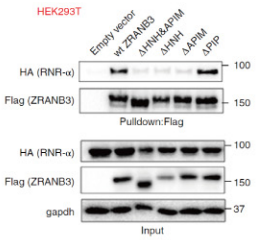
A6001 3X FLAG Peptide

3X FLAG Peptide is a synthetic peptide with a 3-time repeated DYKXXD motif.

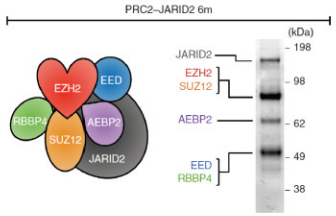
Size 4 mg, 25 mg

42 citations

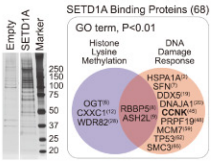




Functional interaction of RNR-α with nuclear protein ZRANB3. Proteins were eluted using 3X FLAG peptide in wash buffer at 4 °C for 1 h. **Nat Chem Biol. 2018. PMID: 30150681**



JARID2 enhances PRC2 histone methyltransferase activity but does not prevent eviction by RNA. Beads were washed with 20 C.V. of FLAG-buffer (10 mM Tris, pH 7.5, at RT and 150 mM NaCl) and eluted with FLAG buffer supplemented with 3×FLAG peptide to 0.2 mg/ml. **Nat Struct Mol Biol. 2017. PMID:29058709**



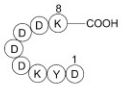
The FLOS Domain of SETD1A Binds Cyclin K. After three TBS washes, we eluted the FLAG-tagged protein with 40 mL of TBS containing 3x FLAG peptides. **Cell. 2018. PMID:29474905**

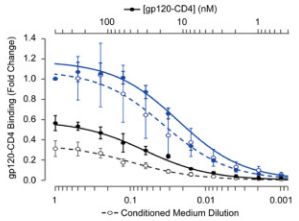
A6002 FLAG tag Peptide

FLAG tag Peptide is a 8 amino acid peptide with an enterokinase-cleavage site used for the purification of recombinant proteins.

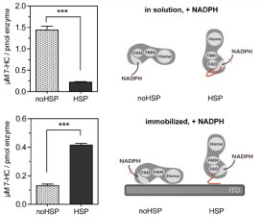
Size 4 mg, 25 mg

6 citations

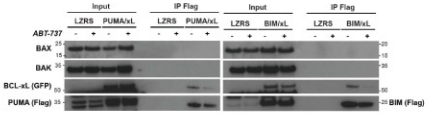




BaL gp120–CD4– FLAG was eluted with five CV of 200 mg/ml DYKDDDDK peptide in PBS. **J Immunol. 2018. PMID:29678950**



7-Ethoxycoumarin activity assay on specifically vs. unspecifically immobilized cytochrome P450 BM3. After loading the supernatant of the lysate on the agarose, the column was washed with TBS buffer and protein was eluted using 100μg/ml FLAG-tag peptide in TBS buffer. **ChemCatChem. 2017.**



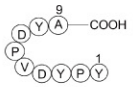
tBID and PUMA can display a dependence on BAK to kill. Bound protein complexes were then eluted in 100 ug/ml FLAG-peptide in TBS for 20 min at room temperature, resuspended. **Nat Commun. 2016. PMID:26833356**

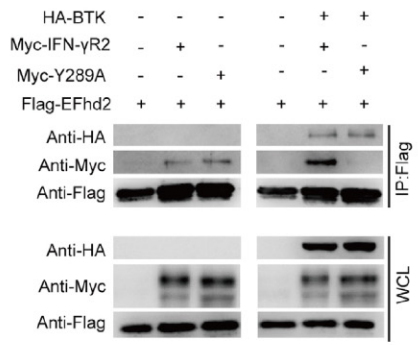
A6004 Influenza Hemagglutinin (HA) Peptide

Influenza Hemagglutinin (HA) Peptide is a tag peptide derived from an epitope of the influenza hemagglutinin protein.

Size 5 mg, 25 mg

2 citations





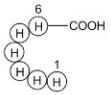
The Calcium-Binding Protein EFhd2 Is Required for Driving Membrane Translocation of IFN-gR2. HA-tagged constitutively active BTK expressed in HEK293T cells was immunoprecipitated by Monoclonal Anti-HA-Agarose antibody and eluted by HA peptide. **Cell. 2018. PMID: 30318148**

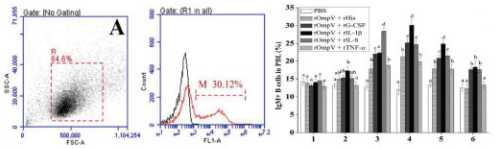
A6006 Hexa His tag peptide

Hexa His tag peptide is a 6 x His tag used as a metal binding site for the recombinant protein.

Size 5 mg, 25 mg

3 citations



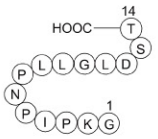


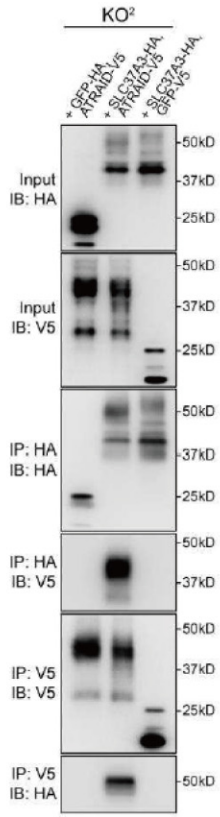
Flow cytometric analysis of the IgM+ B lymphocytes in PBL, SL and HKL of vaccinated flounder. The fish injected with 100 μL PBS containing 200 μg rOmpV plus 20 μg 6 × histidine-tag (rHis) was set as the negative control group. **Dev Comp Immunol. 2018. PMID:29746982**

A6005 V5 Epitope Tag Peptide

V5 Epitope Tag Peptide is a tag peptide derived from a small epitope present on the P and V proteins of the paramyxovirus of simian virus 5.

Size 5 mg, 25 mg





SLC37A3 and ATRAID form a lysosomal complex and are inter-dependent for their stable expression. Lysates were incubated with the beads for 90 min at 4°C, washed three times in low-salt wash buffer supplemented with protease inhibitors and three times in high-salt wash buffer with protease inhibitors, and eluted in 100 μL elution buffer (1% Triton X-100, 10 mM Tris-HCl pH 7,5 and 150 mM NaCl) containing 2 mg/mL HA or V5 peptide. **Elife. 2018. PMID:29745899**

Other Reagents

Featured Products

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Cat.No.	Product Name	Short Summary	CAS	Solubility
A7901	Fmoc-Gly-OH	Fmoc-glycine coupling of saccharide β-glycosylamines for the fractionation of oligosaccharides and formation of neoglycoconjugate	29022-11-5	≥29.7 mg/mL in DMSO
A7010	Fmoc-Cl	Amino acid derivatizing agent for HPLC analysis. N-protecting reagent for peptide and oligonucleotide syntheses	28920-43-6	≥25.9 mg/mL in DMSO
A6791	2-Chlorotrityl Chloride Resin	Acid labile resin used in Fmoc-based solid phase peptide synthesis	N/A	N/A
A1023	Laminin (925-933)	Extracellular matrix glycoprotein	110590-60-8	≥48.4 mg/mL in DMSO
A1042	Angiotensin II	Potent vasopressor and a powerful stimulus for production and release of aldosterone from the adrenal cortex.	4474-91-3	<2.09 mg/mL in DMSO, ≥100.2 mg/mL in H_2O
P1001	TNF-alpha, recombinant human protein	TNF-α, human recombinant, expressed in *E. coli*, is a 17.4 kDa protein containing 157 amino acid residues, a potent cytokine suitable for cell culture	N/A	N/A
C3486	Thymidine	Pyrimidine nucleoside	50-89-5	≥24.2 mg/mL in DMSO
A8713	Boc-Lys(Ac)-AMC	Substrate for Histone deacetylase (HDAC)	233691-67-3	≥16.2 mg/mL in DMSO
P10075	Imipenem	Intravenous β-lactam antibiotic	64221-86-9	≥29.9 mg/mL in H_2O
A2500	Agarose GPG/LE	Suitable for DNA electrophoresis	9012-36-6	N/A
A8011	Biotin-tyramide	Reagent used for tyramide signal amplification (TSA)	41994-02-9	≥72.6 mg/mL in DMSO

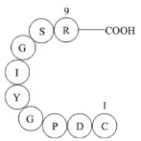
Product Citations

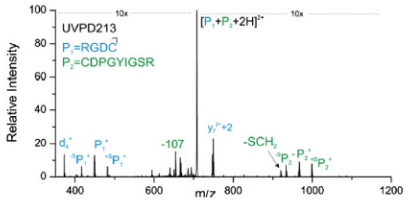
Citation data is collected at the end of 2018, for more updated citation info, please visit our website.

A1023 Laminin (925-933)

Laminin (925-933) is a peptide (Cys-Asp-Pro-Gly-Tyr-Ile-Gly-Ser-Arg) derived from residues 925-933 of the laminin B1 chain that binds to the laminin receptor.

Size 1 mg, 5 mg, 10 mg, 25 mg





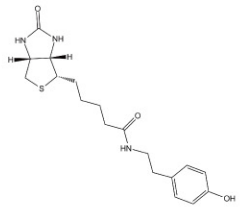
Similar to results obtained at 266 nm, S–S bond fracture is favorable. In addition, 213 nm light also cleaves the adjacent C–S bonds, yielding peaks at ± 32 Da relative to the S–S bond dissociation products. **J Am Soc Mass Spectrom. 2018. PMID:29623659**

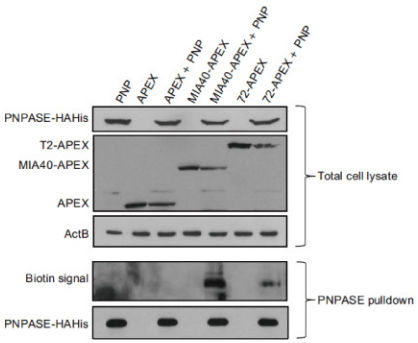
A8011 Biotin-tyramide

Biotin-tyramide is used for tyramide signal amplification (TSA) which is a powerful, patented technology that significantly enhances both chromogenic and fluorescent signals.

Size 100 mg,1 g

2 citations





Biotinylation of mitochondrial IMS protein PNPASE was observed only when MIA40-APEX or RNASET2-APEX was expressed but not when cytosolic APEX was expressed at a similar level, further proving a mitochondrial localization of RNASET2. **Protein Cell. 2017. PMID: 28730546**

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