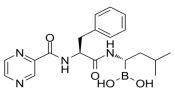
Proteasome

Proteasomes are very large protein complexes inside all eukaryotes and archaea, and in some bacteria. In eukaryotes, they are located in the nucleus and the cytoplasm. The main function of the proteasome is to degrade unneeded or damaged proteins by proteolysis, a chemical reaction that breaks peptide bonds. Enzymes that carry out such reactions are called proteases. Proteasomes are part of a major mechanism by which cells regulate the concentration of particular proteins and degrade misfolded proteins. The degradation process yields peptides of about seven to eight amino acids long, which can then be further degraded into amino acids and used in synthesizing new proteins. Proteins are tagged for degradation with a small protein called ubiquitin. The tagging reaction is catalyzed by enzymes called ubiquitin ligases. Once a protein is tagged with a single ubiquitin molecule, this is a signal to other ligases to attach additional ubiquitin molecules. The result is a polyubiquitin chain that is bound by the proteasome, allowing it to degrade the tagged protein.

(1S,2S)-Bortezomib

Cat. No.: HY-135396

(1S,2S)-Bortezomib is an enantiomer of Bortezomib. Bortezomib is a cell-permeable, reversible, and selective **proteasome** inhibitor, and potently inhibits **20S proteasome** (K_i of 0.6 nM) by targeting a threonine residue.



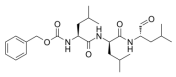
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

(R)-MG-132

((S,R,S)-(-)-MG-132; Z-Leu-D-Leu-Leu-al)

Cat. No.: HY-13259C

(R)-MG-132 ((S,R,S)-(-)-MG-132) is the enantiomer of MG-132. (R)-MG-132 is a **proteasome** inhibitor with weaker cell cytotoxicity than MG-132. (R)-MG-132 stereoisomer is a more potent **proteasome** inhibitor than MG-132.

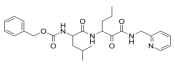


Purity: >98.0%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 50 mg

(Rac)-Calpain Inhibitor XII

Cat. No.: HY-116171

(Rac)-Calpain Inhibitor XII is a reversible and selective inhibitor of **calpain I** (μ-calpain, K_i=19 nM), with lower affinities for calpain II (m-calpain, K_i=120 nM) and cathepsin B (K_i=750 nM).

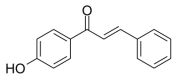


Purity: >98%
Clinical Data: No Development Reported
Size: 5 mg

4'-Hydroxychalcone

Cat. No.: HY-N7056

4'-Hydroxychalcone is a chalcone isolated from licorice root, with hepatoprotective activity. 4'-Hydroxychalcone inhibits TNFα-induced NF-κB activation via **proteasome** inhibition.



Purity: >98.0%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 100 mg

Acetyl-Calpastatin(184-210)(human)

Cat. No.: HY-P1081

Acetyl-Calpastatin(184-210)(human) is a potent, selective and reversible **calpain** inhibitor with K_i values of 0.2 nM and 6 μM for μ-calpain and cathepsin L, respectively.

Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Acetyl-Calpastatin(184-210)(human) TFA

Cat. No.: HY-P1081A

Acetyl-Calpastatin(184-210)(human) TFA is a potent, selective and reversible **calpain** inhibitor with K_i values of 0.2 nM and 6 μM for μ-calpain and cathepsin L, respectively.

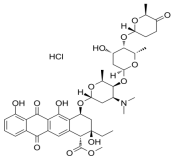
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Aclacinomycin A hydrochloride

(Aclarubicin hydrochloride)

Cat. No.: HY-N2306A

Aclacinomycin A hydrochloride (Aclarubicin hydrochloride), a fluorescent molecule and the first described non-peptidic inhibitor showing discrete specificity for the CTRL (chymotrypsin-like) activity of the **20S proteasome**.



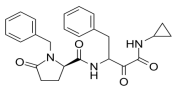
Purity: 98.08%
Clinical Data: Launched
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg

Alicapistat

(ABT-957)

Cat. No.: HY-109001

Alicapistat (ABT-957) is an orally active selective inhibitor of human **calpains 1** and **2** for the potential use in the treatment of Alzheimer's disease (AD).



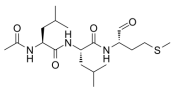
Purity: >98.0%
Clinical Data: Phase 1
Size: 5 mg, 10 mg, 50 mg, 100 mg

ALLM

(Calpain inhibitor II)

Cat. No.: HY-118355

ALLM (Calpain inhibitor II) is a potent inhibitor of **calpain** and **cathepsin** proteases. ALLM inhibits neuronal cell death and improves chronic neurological function after spinal cord injury (SCI).



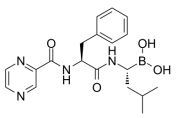
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Bortezomib

(PS-341; LDP-341; NSC 681239)

Cat. No.: HY-10227

Bortezomib (PS-341) is a reversible and selective **proteasome** inhibitor, and potently inhibits **20S proteasome** (K_i=0.6 nM) by targeting a threonine residue. Bortezomib disrupts the cell cycle, induces apoptosis, and inhibits **NF-κB**.



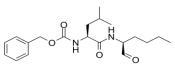
Purity: 99.97%
Clinical Data: Launched
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

Tel: 609-228-6898 Fax: 609-228-5909 Email: sales@MedChemExpress.com

Calpeptin

Cat. No.: HY-100223

Calpeptin is a potent, cell penetrating **calpain** inhibitor, with an **ID_{50}** of 40 nM for Calpain I in human platelets. Calpeptin is also an inhibitor of **cathepsin K**.

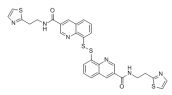


Purity: >98.0%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

Capzimin

Cat. No.: HY-110404

Capzimin is a potent and moderately specific proteasome isopeptidase **Rpn11** inhibitor.



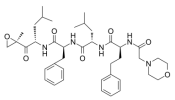
Purity: 99.32%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg

Carfilzomib

(PR-171)

Cat. No.: HY-10455

Carfilzomib is an irreversible **proteasome** inhibitor with an **IC_{50}** of 5 nM in ANBL-6 and RPMI 8226 cells.



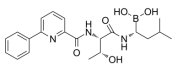
Purity: 99.96%
Clinical Data: Launched
Size: 5 mg, 10 mg, 50 mg, 100 mg, 200 mg

Delanzomib

(CEP-18770)

Cat. No.: HY-10454

Delanzomib (CEP-18770) is a potent and orally active **chymotrypsin-like activity of the proteasome** inhibitor with an **IC_{50}** of 3.8 nM. Delanzomib inhibits **NF-κB** activity, induces cancer cell **apoptotic**, and has strong antiangiogenic and anti-cancer activities.



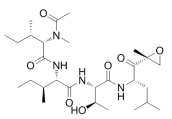
Purity: >98.0%
Clinical Data: Phase 2
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Epoxomicin

(BU-4061T)

Cat. No.: HY-13821

Epoxomicin (BU-4061T) is an epoxyketone-containing natural product and a potent, selective and irreversible **proteasome** inhibitor.

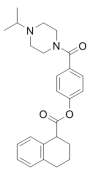


Purity: 98.81%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 100 μg, 1 mg, 5 mg, 10 mg, 20 mg

FK-448 Free base

Cat. No.: HY-100193

FK-448 Free base is an effective and specific inhibitor of **chymotrypsin**, with an **IC_{50}** of 720 nM.



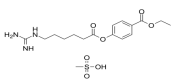
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Gabexate mesylate

(FOY)

Cat. No.: HY-B0385

Gabexate mesylate is a Factor X inhibitor; serine protease inhibitor .



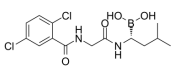
Purity: 98.12%
Clinical Data: Launched
Size: 10 mM × 1 mL, 10 mg, 100 mg

Ixazomib

(MLN2238)

Cat. No.: HY-10453

Ixazomib (MLN2238) is a selective, potent, and reversible **proteasome** inhibitor, which inhibits the chymotrypsin-like proteolytic (β5) site of the 20S proteasome with an **IC_{50}** of 3.4 nM (**K_i** of 0.93 nM).



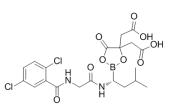
Purity: >98.0%
Clinical Data: Launched
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg

Ixazomib citrate

(MLN9708)

Cat. No.: HY-10452

Ixazomib citrate (MLN9708) is a reversible inhibitor of the chymotrypsin-like proteolytic β5 site of the **20S proteasome** with an **IC_{50}** of 3.4 nM and a **K_i** of 0.93 nM.

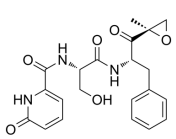


Purity: 99.80%
Clinical Data: Launched
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

KZR-504

Cat. No.: HY-101786

KZR-504 is a highly selective inhibitor of immunoproteasome low molecular mass polypeptide 2 **(LMP2)**, with **IC_{50}s** of 51 nM, 4.274 μM for LMP2 and LMP7, respectively. KZR-504 is of interest for the treatment of autoimmune disease.

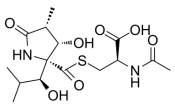


Purity: >98%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Lactacystin

Cat. No.: HY-16594

Lactacystin, an antibiotic Streptomyces spp. metabolite, is a potent and selective **proteasome** inhibitor with an IC_{50} of 4.8 μM for 20S proteasome. Lactacystin also inhibits the lysosomal enzyme cathepsin A. Lactacystin inhibits cell growth and induces neurite outgrowth.

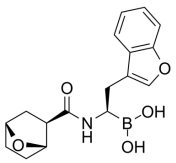


Purity: >98%
Clinical Data: No Development Reported
Size: 500 μg, 1 mg

LMP7-IN-1

Cat. No.: HY-111790

LMP7-IN-1 is an inhibitor of **immunoproteasome (LMP7)**, may used in the research of inflammatory and autoimmune diseases, neurodegenerative diseases, proliferative diseases and cancer.



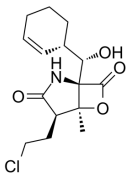
Purity: >98.0%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg

Marizomib

(Salinosporamide A; NPI-0052)

Cat. No.: HY-10985

Marizomib (Salinosporamide A) is a second-generation, irreversible, brain-penetrant, **pan-proteasome** inhibitor.



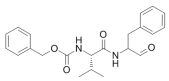
Purity: >98.0%
Clinical Data: Phase 3
Size: 100 μg, 1 mg, 5 mg

MDL-28170

(Calpain Inhibitor III)

Cat. No.: HY-18236

MDL-28170 (Calpain Inhibitor III) is a potent, selective and membrane-permeable cysteine protease inhibitor of **calpain** that rapidly penetrates the blood-brain barrier following systemic administration. MDL-28170 also block γ-secretase.



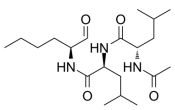
Purity: >99.0%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

MG-101

(Calpain inhibitor I; Ac-LLnL-CHO; ALLN)

Cat. No.: HY-18964

MG-101 is a potent inhibitor of **cysteine proteases** which inhibits calpain I, calpain II, cathepsin B and cathepsin L with K_is of 190, 220, 150 and 500 pM, respectively.



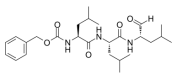
Purity: >98.0%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

MG-132

(Z-Leu-Leu-Leu-al; MG132)

Cat. No.: HY-13259

MG-132 (Z-Leu-Leu-Leu-al) is a potent **proteasome** and **calpain** inhibitor with IC_{50}s of 100 nM and 1.2 μM, respectively. MG-132 effectively blocks the proteolytic activity of the 26S proteasome complex. MG-132, a peptide aldehyde, also is an **autophagy** activator.

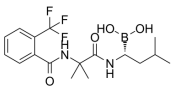


Purity: >98.0%
Clinical Data: No Development Reported
Size: 10 mg, 25 mg, 50 mg, 100 mg, 200 mg, 500 mg

ML604440

Cat. No.: HY-114170

ML604440 is a potent, specific and cell permeable proteasome β1i (**LMP2**) subunit inhibitor. ML604440 impairs MHC class I cell surface expression, IL-6 secretion and differentiation of naïve T helper cells to T helper 17 cells.

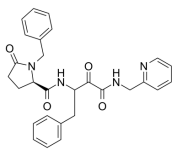


Purity: 99.67%
Clinical Data:
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg

Neurodegenerative Disorder-Targeting Compound 1

Cat. No.: HY-U00362

Neurodegenerative Disorder-Targeting Compound 1 is a calpain inhibitor extracted from patent WO2010128102A1, compound example 63.



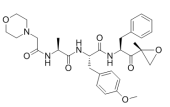
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

ONX-0914

(PR-957)

Cat. No.: HY-13207

ONX-0914 (PR-957) is a potent and selective inhibitor of **immunoproteasome subunit LMP7**.



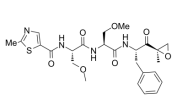
Purity: 98.86%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

Oprozomib

(ONX 0912; PR-047)

Cat. No.: HY-12113

Oprozomib (ONX 0912; PR047) is an orally bioavailable inhibitor for CT-L activity of 20S proteasome β5/LMP7 with IC50 of 36 nM/82 nM.

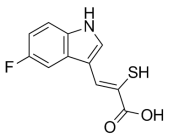


Purity: 99.60%
Clinical Data: Phase 2
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg

PD 151746

Cat. No.: HY-19749

PD151746 is a calpain inhibitor, shows a 20-fold selectivity for u-calpain (Ki = 0.26 ± 0.03 μM) over m-calpain (Ki = 5.33 ± 0.77 μM).

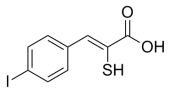


Purity: 98.24%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

PD150606

Cat. No.: HY-100529

PD 150606 is a selective, cell-permeable non-peptide **calpain** inhibitor with K_i values of 0.21 μM and 0.37 μM for μ- and m-calpains respectively, which is neuroprotective.



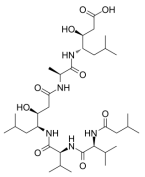
Purity: >98.0%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Pepstatin

(Pepstatin A)

Cat. No.: HY-P0018

Pepstatin (Pepstatin A) is a specific **aspartic protease** inhibitor produced by actinomycetes, with IC_{50}s of 4.5 nM, 6.2 nM, 150 nM, 290 nM, 520 nM and 260 nM for hemoglobin-pepsin, hemoglobin-proctase, casein-pepsin, casein-proctase, casein-acid protease...



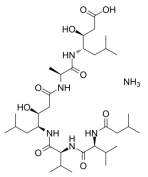
Purity: >98.0%
Clinical Data: No Development Reported
Size: 10 mg, 50 mg

Pepstatin Ammonium

(Pepstatin A Ammonium)

Cat. No.: HY-P0018B

Pepstatin Ammonium is a specific **aspartic protease** inhibitor produced by actinomycetes, with IC_{50}s of 4.5 nM, 6.2 nM, 150 nM, 290 nM, 520 nM and 260 nM for hemoglobin-pepsin, hemoglobin-proctase, casein-pepsin, casein-proctase, casein-acid protease and hemoglobin-acid...



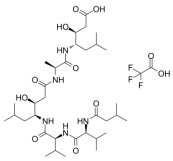
Purity: 99.76%
Clinical Data: No Development Reported
Size: 10 mg, 25 mg, 50 mg

Pepstatin Trifluoroacetate

(Pepstatin A Trifluoroacetate)

Cat. No.: HY-P0018A

Pepstatin Trifluoroacetate (Pepstatin A Trifluoroacetate) is a specific **aspartic protease** inhibitor produced by actinomycetes, with IC_{50}s of 4.5 nM, 6.2 nM, 150 nM, 290 nM, 520 nM and 260 nM for hemoglobin-pepsin, hemoglobin-proctase, casein-pepsin, casein-proctase,...

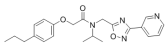


Purity: 99.11%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 10 mg, 50 mg

PI-1840

Cat. No.: HY-12286

PI-1840 is a potent and selective inhibitor for chymotrypsin-like (CT-L) (IC50 value = 27 ± 0.14 nM) over trypsin-like and peptidylglutamyl peptide hydrolyzing (IC50 values >100 μM) activities of the proteasome.



Purity: 99.11%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

PR-39

Cat. No.: HY-P1259

PR-39, a natural proline- and arginine-rich antibacterial peptide, is a noncompetitive, reversible and allosteric **proteasome** inhibitor.

Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

PR-39 TFA

Cat. No.: HY-P1259A

PR-39 TFA, a natural proline- and arginine-rich antibacterial peptide, is a noncompetitive, reversible and allosteric **proteasome** inhibitor.

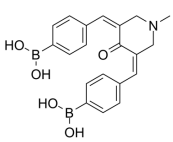
Purity: >98%
Clinical Data: No Development Reported
Size: 1 mg, 5 mg

Proteasome inhibitor IX

(PS-IX; AM114)

Cat. No.: HY-107412

Proteasome inhibitor IX (PS-IX; AM114) is a Chalcone derivative and a **chymotrypsin-like activity of the 20S proteasome** inhibitor with an IC_{50} value of ~1 μM. Proteasome inhibitor IX exhibits HCT116 $p53^{+/+}$ cells growth inhibitory activity with an IC_{50} value of 1.49 μM.

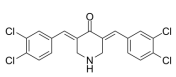


Purity: 98.53%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 10 mg, 25 mg, 50 mg, 100 mg

PTP1B-IN-9

Cat. No.: HY-W054146

PTP1B-IN-9 is a **ubiquitin-proteasome system (UPS)-stressor**. PTP1B-IN-9 inhibits ubiquitin-mediated protein degradation upstream of the 20S proteasomal catalytic activites.

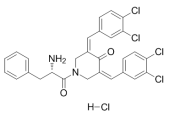


Purity: 98.43%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

RA190

Cat. No.: HY-100739

RA190, a bis-benzylidine piperidon, inhibits proteasome function by covalently binding to cysteine 88 of ubiquitin receptor **RPN13**.

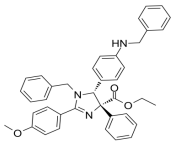


Purity: 99.47%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

TCH-165

Cat. No.: HY-120722

TCH-165 is a small molecule modulator of **proteasome assembly**, which increases **20S** levels and facilitates **20S**-mediated protein degradation.



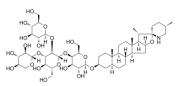
Purity: 99.31%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 50 mg, 100 mg

Tomatine

(α-Tomatine; Lycopersicin; Tomatin)

Cat. No.: HY-N2166

Tomatine is a glycoalkaloid, found in the tomato plant (Lycopersicon esculentum Mill.). Tomatine elicits neurotoxicity in RIP1 kinase and caspase-independent manner. Tomatine promotes the upregulation of nuclear apoptosis inducing factor (AIF) in neuroblastoma cells.



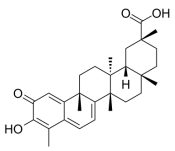
Purity: 99.38%
Clinical Data: No Development Reported
Size: 5 mg, 10 mg, 20 mg

Tripterin

(Celastrol)

Cat. No.: HY-13067

Tripterin (Celastrol) is a **proteasome** inhibitor which potently and preferentially inhibits the chymotrypsin-like activity of a purified **20S proteasome** with IC_{50} of 2.5 μM.

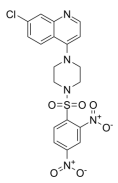


Purity: 99.91%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 10 mg, 50 mg, 100 mg

VR23

Cat. No.: HY-18741

VR23 is a small molecule that potently inhibits the activities of **trypsin-like proteasomes** (IC_{50}=1 nM), **chymotrypsin-like proteasomes** (IC_{50}=50-100 nM), and **caspase-like proteasomes** (IC_{50}=3 μM).



Purity: 95.51%
Clinical Data: No Development Reported
Size: 10 mM × 1 mL, 5 mg, 10 mg, 50 mg, 100 mg