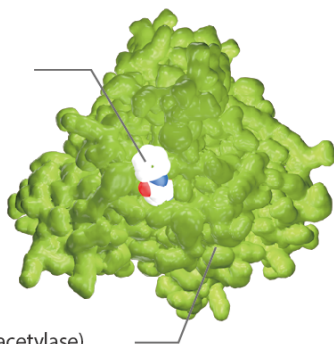


# Cathepsin

HDAC Inhibitor:  
Vorinostat (SAHA)




HDAC (Histone deacetylase)


Cathepsins are proteases (enzymes that degrade proteins) found in all animals as well as other organisms. The cathepsin family of proteolytic enzymes contains several diverse classes of proteases. Most of the members become activated at the low pH found in lysosomes. The activity of this family lies almost entirely within those organelles. Cathepsins have a vital role in mammalian cellular turnover, e.g. bone resorption. They degrade polypeptides and are distinguished by their substrate specificities. Classification: Cathepsin A, Cathepsin B, Cathepsin C, Cathepsin D, Cathepsin E, Cathepsin F, Cathepsin G, Cathepsin H, Cathepsin K, Cathepsin L1, Cathepsin L2, Cathepsin O, Cathepsin S, Cathepsin W, Cathepsin Z. Most cathepsins


are lysosomal and each is involved in cellular metabolism, participating in various events such as peptide biosynthesis and protein degradation. Cathepsins may also cleave some protein precursors, thereby releasing regulatory peptides.


## Cathepsin Inhibitors & Modulators


<p><b>Aloxistatin</b> (E64d; E64c ethyl ester) <span style="float: right;">Cat. No.: HY-100229</span></p>	<p><b>Balicatib</b> (AAE581) <span style="float: right;">Cat. No.: HY-15100</span></p>
<p><b>Bioactivity:</b> Aloxistatin (E64d) is a cell-permeable and irreversible broad-spectrum <b>cysteine protease</b> inhibitor.</p> <p><b>Purity:</b> 98.22% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 25 mg</p> 	<p><b>Bioactivity:</b> Balicatib(AAE-581) is a potent and selective inhibitor of cathepsin K; 10-100 fold more potent in cell-based enzyme occupancy assays than against cathepsin B, L, and S.</p> <p><b>Purity:</b> 97.23% <b>Clinical Data:</b> Phase 2 <b>Size:</b> 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</p> 
<p><b>CA-074</b> <span style="float: right;">Cat. No.: HY-103350</span></p>	<p><b>CA-074 methyl ester</b> (CA-074Me) <span style="float: right;">Cat. No.: HY-100350</span></p>
<p><b>Bioactivity:</b> CA-074 is a potent inhibitor of <b>cathepsin B</b> with a <math>K_i</math> of 2 to 5 nM.</p> <p><b>Purity:</b> 99.85% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg</p> 	<p><b>Bioactivity:</b> CA-074 methyl ester is a specific inhibitor of <b>Cathepsin B</b>, which has potent bioactivities such as neuroprotective, anti-cancer, and anti-inflammatory effects.</p> <p><b>Purity:</b> 98.40% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 10mM x 1mL in DMSO, 1 mg, 5 mg, 10 mg, 25 mg</p> 
<p><b>Calpeptin</b> <span style="float: right;">Cat. No.: HY-100223</span></p>	<p><b>Cathepsin Inhibitor 2</b> <span style="float: right;">Cat. No.: HY-U00377</span></p>
<p><b>Bioactivity:</b> Calpeptin is a potent, cell penetrating <b>calpain</b> inhibitor, with an <math>ID_{50}</math> of 40 nM for Calpain I in human platelets <sup>[1]</sup>. Calpeptin is also an inhibitor of <b>cathepsin K</b> <sup>[2]</sup>.</p> <p><b>Purity:</b> 98.0% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg, 200 mg</p> 	<p><b>Bioactivity:</b> Cathepsin Inhibitor 2 is a potent <b>Cathepsin S</b> inhibitor extracted from patent WO2009123623A1, has a <math>K_i</math> of &lt;20 nM.</p> <p><b>Purity:</b> &gt;98% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 1 mg, 5 mg, 10 mg</p> 
<p><b>Cysteine Protease inhibitor (2-Pyrimidinecarbonitrile, 4-[[4'-(aminomethyl)[1,1'-biphenyl]-3-yl]oxy]-)</b> <span style="float: right;">Cat. No.: HY-17541</span></p>	<p><b>Cysteine Protease inhibitor hydrochloride</b> <span style="float: right;">Cat. No.: HY-17541A</span></p>
<p><b>Bioactivity:</b> Cysteine Protease inhibitor is an inhibitor of <b>cysteine protease</b>. IC50 &amp; Target: Cysteine Protease</p> <p><b>Purity:</b> 97.14% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</p> 	<p><b>Bioactivity:</b> Cysteine Protease inhibitor hydrochloride is an inhibitor of <b>cysteine protease</b>. IC50 &amp; Target: Cysteine Protease</p> <p><b>Purity:</b> 96.22% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg</p> 
<p><b>E 64c</b> <span style="float: right;">Cat. No.: HY-100227</span></p>	<p><b>E-64</b> (Proteinase inhibitor E 64) <span style="float: right;">Cat. No.: HY-15282</span></p>
<p><b>Bioactivity:</b> E 64c is a derivative of naturally occurring epoxide inhibitor of <b>cysteine proteases</b>, a Calcium-activated neutral protease (<b>CANP</b>) inhibitor and a very weak irreversible <b>cathepsin C</b> inhibitor.</p> <p><b>Purity:</b> &gt;98% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 5 mg, 10 mg, 25 mg, 50 mg</p> 	<p><b>Bioactivity:</b> E-64 is a potent irreversible inhibitor against general <b>cysteine proteases</b> with <math>IC_{50}</math> of 9 nM for <b>papain</b>.</p> <p><b>Purity:</b> 99.62% <b>Clinical Data:</b> No Development Reported <b>Size:</b> 10mM x 1mL in DMSO, 5 mg, 10 mg, 25 mg, 50 mg</p> 


<b>L-873724</b>	<b>Cat. No.:</b> HY-50887
<b>Bioactivity:</b> L-873724 is a potent, orally bioavailable, selective and reversible <sup>[2]</sup> non-basic cathepsin K inhibitor, with <b>IC<sub>50</sub>s</b> of 0.2, 178, 264, and 5239 nM for cathepsin K, cathepsin S, cathepsin L, cathepsin B, respectively <sup>[1]</sup> . L-873724 a...	
<b>Purity:</b> >98%	
<b>Clinical Data:</b> Phase 3	
<b>Size:</b> 1 mg, 5 mg, 10 mg, 20 mg	


<b>Leupeptin hemisulfate</b>	<b>Cat. No.:</b> HY-18234A
<b>Bioactivity:</b> Leupeptin hemisulfate is a reversible, competitive <b>serine/cysteine protease</b> inhibitor.	
<b>Purity:</b> 98.00%	
<b>Clinical Data:</b> No Development Reported	
<b>Size:</b> 10mM x 1mL in Water, 5 mg, 10 mg	


<b>LY 3000328</b>	<b>Cat. No.:</b> HY-15533
<b>Bioactivity:</b> LY 3000328 is a potent and selective <b>Cathepsin S (Cat S)</b> inhibitor with <b>IC<sub>50</sub>s</b> of 7.7 and 1.67 nM for hCat S and mCat S, respectively.	
<b>Purity:</b> 99.35%	
<b>Clinical Data:</b> Phase 1	
<b>Size:</b> 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg	


<b>MIV-247</b>	<b>Cat. No.:</b> HY-112583
<b>Bioactivity:</b> MIV-247 is a selective <b>cathepsin S</b> inhibitor with <b>K<sub>s</sub></b> of 2.1, 4.2 and 7.5 nM for human, mouse and cynomolgus monkey cathepsin S, respectively.	
<b>Purity:</b> >98%	
<b>Clinical Data:</b> No Development Reported	
<b>Size:</b> 250 mg, 500 mg	


<b>MK-0674</b>	<b>Cat. No.:</b> HY-10290
<b>Bioactivity:</b> MK-0674 is a potent, orally bioavailable and selective <b>cathepsin K</b> inhibitor, with an <b>IC<sub>50</sub></b> of 0.4 nM, shows 1156, 1465, 11857 and 243 fold selectivity over Cat B, Cat F, Cat L and Cat S <sup>[1]</sup> .	
<b>Purity:</b> >98%	
<b>Clinical Data:</b> No Development Reported	
<b>Size:</b> 500 mg, 250 mg	

<b>N-Ethylmaleimide (NEM)</b>	<b>Cat. No.:</b> HY-D0843
<b>Bioactivity:</b> N-Ethylmaleimide (NEM) is a <b>cysteine protease</b> inhibitor <sup>[1]</sup> . N-ethylmaleimide specific inhibits phosphate transport in mitochondria <sup>[2]</sup> .	
<b>Purity:</b> 99.67%	
<b>Clinical Data:</b> No Development Reported	
<b>Size:</b>	

<b>NSC 185058</b>	<b>Cat. No.:</b> HY-125169
<b>Bioactivity:</b> NSC 185058 is an inhibitor of <b>ATG4B</b> , a major <b>cysteine protease</b> . NSC185058 markedly attenuates <b>autophagic</b> activity <sup>[1]</sup> .	
<b>Purity:</b> >98%	
<b>Clinical Data:</b> No Development Reported	
<b>Size:</b> 5 mg, 10 mg	

<b>Odanacatib (MK-0822)</b>	<b>Cat. No.:</b> HY-10042
<b>Bioactivity:</b> Odanacatib (MK-0822) is a potent and selective inhibitor of <b>cathepsin K</b> , with an <b>IC<sub>50</sub></b> of 0.2 nM for human cathepsin K.	
<b>Purity:</b> 99.80%	
<b>Clinical Data:</b> Phase 3	
<b>Size:</b> 10mM x 1mL in DMSO, 5 mg, 10 mg, 50 mg, 100 mg	

<b>Papain</b>	<b>Cat. No.:</b> HY-P1645
<b>Bioactivity:</b> Papain is a cysteine protease of the peptidase C1 family, which is used in food, pharmaceutical, textile, and cosmetic industries.	
<b>Purity:</b>	
<b>Clinical Data:</b> No Development Reported	
<b>Size:</b> 100 mg	

<b>Petesicatib</b>	<b>Cat. No.:</b> HY-109069
<b>Bioactivity:</b> Petesicatib is a <b>cathepsin S</b> inhibitor, used in research of immune diseases <sup>[1]</sup> .	
<b>Purity:</b> >98%	
<b>Clinical Data:</b> No Development Reported	
<b>Size:</b> 500 mg, 250 mg	

**PMSF**

(Phenylmethylsulfonyl fluoride; Benzylsulfonyl fluoride)

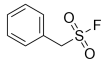
Cat. No.: HY-B0496

**Bioactivity:** PMSF is an irreversible **serine/cysteine protease** inhibitor commonly used in the preparation of cell lysates.

**Purity:** 99.0%

**Clinical Data:** No Development Reported

**Size:** 10mM x 1mL in DMSO,  
100 mg, 500 mg, 5 g, 10 g

**S130**

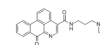
Cat. No.: HY-112818

**Bioactivity:** S130 is a high affinity, selective inhibitor of **ATG4B** (a major cysteine protease) with an **IC<sub>50</sub>** of 3.24  $\mu$ M. S130 suppresses autophagy flux <sup>[1]</sup>.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 250 mg, 100 mg, 500 mg

**VBY-825**

Cat. No.: HY-15958

**Bioactivity:** VBY-825 is a novel, reversible cathepsin inhibitor with high potency against cathepsins B, L, S and V.

**Purity:** >98%

**Clinical Data:** No Development Reported

**Size:** 5 mg, 10 mg, 25 mg

