

PROTEASE INHIBITORS

Cat. No.	Product	M.W.	Target Protease Class and Mechanism of Action	Solubility	Suggested Working Concentration	Ref.
101500-Y	AEBSF, Hydrochloride	239.5	Water soluble, non-toxic alternative to PMSF. Irreversible inhibitor of serine proteases. Reacts covalently with a component of the active site. Inhibits chymotrypsin, kallikrein, plasmin, trypsin and related thrombolytic enzymes.	H ₂ O	< 1 mM	1,2
129875-Y	Amastatin, <i>Streptomyces</i> sp.	474.6	Binds to cell surfaces and reversibly inhibits aminopeptidases. A slow binding, competitive inhibitor of aminopeptidase M and leucine aminopeptidase. Has no significant effect on aminopeptidase B.	0.5% Acetic Acid, Ethanol	1 - 10 μM	3,4
1381-Y	ε-Amino- <i>n</i> -caproic Acid (EACA)	131.2	A lysine analog that inhibits carboxypeptidase B. Promotes rapid dissociation of plasmin by inhibiting the activation of plasminogen.	H ₂ O	1 - 2 mM	5
178196-Y	α ₁ -Antichymotrypsin, Human Plasma	68,000	An acute phase plasma protein that functions as a specific inhibitor of chymotrypsin-like serine proteases.	H ₂ O	Use at equimolar concentrations.	6,7
178220-Y	Antipain, Dihydrochloride	677.6	A reversible inhibitor of cysteine and serine proteases.	H ₂ O, DMSO, Methanol	1 - 100 μM	8
169756-Y	Antithrombin III, Human Plasma	65,000	Complexes with serine proteases of blood coagulation system including thrombin, plasmin, kallikrein, and factors IXa, Xa, XIa, and XIIa. Potency is strongly enhanced in the presence of heparin.	H ₂ O	Use at equimolar concentrations.	9,10
178251-Y	α ₁ -Antitrypsin, Human Plasma	52,000	A serine protease inhibitor that also acts as a major physiological regulator of elastase.	H ₂ O	Use at equimolar concentrations.	11,12
178281-Y	<i>p</i> -APMSF, Hydrochloride	252.7	A specific irreversible inhibitor of trypsin-like serine proteases. A suitable alternative to DFP and PMSF. Has about a hundred-fold higher inhibitory activity than PMSF.	H ₂ O	10 - 100 μM	13,14
616398-Y 616399-Y 178484-Y	Aprotinin, Bovine Lung, Lyophilized Aprotinin, Bovine Lung, Solution Aprotinin, Human, Recombinant	6512	A competitive and reversible inhibitor of proteolytic and esterolytic activity. A serine protease inhibitor. In cell cultures, extends the life of cells and prevents proteolytic damage to intact cells.	H ₂ O	0.6 - 2.0 μg/ml	15
199001-Y	Benzamidine, Hydrochloride	156.6	Inhibitor of trypsin and trypsin-like enzymes. Benzamidine derivatives have been used in inhibiting the growth of colon carcinoma cells. Inhibits factor VII autoactivation.	Ethanol, H ₂ O	0.5 - 4.0 mM	16,17

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200484-Y	Bestatin	308.4	Binds to cell surfaces and inhibits cell surface aminopeptidases, notably aminopeptidase B and leucine aminopeptidase. Activates macrophages and T lymphocytes. Has antitumor properties.	Methanol	1 - 10 μ M	18
208719-Y	Calpain Inhibitor I	383.5	Inhibitor of calpain I (K_i = 190 nM), calpain II (K_i = 220 nM), cathepsin B (K_i = 150 nM) and cathepsin L (K_i = 0.5 nM).	Methanol, Ethanol, DMSO	0.2 - 2 μ M	19
208721-Y	Calpain Inhibitor II	401.6	Inhibitor of calpain I (K_i = 120 nM), calpain II (K_i = 230 nM), cathepsin B (K_i = 100 nM) and cathepsin L (K_i = 600 nM).	Methanol, Ethanol, DMSO	0.2 - 2 μ M	19,20
208900-Y	Calpastatin, Human, Recombinant	14,000	A potent inhibitor of calpain, a Ca^{2+} -dependent cysteine protease. Has greater inhibitory action than calpain inhibitors I and II. Inhibitory sequence has 18 amino acid residues.	H ₂ O, Aqueous buffers	0.04 - 0.1 mg/ml	21,22
03-34-0051-Y	Calpeptin	362.5	A cell permeable calpain inhibitor. Inactivates calpain I (ID_{50} = 52 nM), calpain II (ID_{50} = 34 nM), and papain (ID_{50} = 138 nM).	DMSO, DMF	0.3 - 1.0 μ M	23
217359-Y	Carboxypeptidase Inhibitor, Potato	4,200	A potent inhibitor of a wide variety of digestive tract carboxypeptidases. In immobilized form, suitable for the purification of carboxypeptidases.	H ₂ O, Aqueous buffers	1 - 2 mg	24
219415-Y	Cathepsin Inhibitor I (Z-Phe-Gly-NHO-Bz)	475.5	A cysteine protease inhibitor that inhibits cathepsin B, cathepsin L, cathepsin S and papain.	DMSO, Ethanol	10 - 200 μ M	25
219417-Y	Cathepsin Inhibitor II (Z-Phe-Gly-NHO-Bz- <i>p</i> ME)	489.5	A cysteine protease inhibitor that inhibits cathepsin B, cathepsin L, cathepsin S and papain.	DMSO, Ethanol	10 - 200 μ M	25
219419-Y	Cathepsin Inhibitor III (Z-Phe-Gly-NHO-Bz- <i>p</i> OME)	505.5	A cysteine protease inhibitor that inhibits cathepsin B, cathepsin L, cathepsin S and papain.	DMSO, Ethanol	10 - 200 μ M	25
342000-Y	Cathepsin B Inhibitor I	386.4	An active site-directed irreversible inhibitor of Cathepsin B and other lysosomal cysteine proteinases.	DMSO	10 - 100 μ M	26
219385-Y	Cathepsin B Inhibitor II	384.5	A more active lysinal analog of leupeptin (Cat. No. 108975). Inhibits Cathepsin B at nanomolar levels.	H ₂ O	10 - 50 nM	27
219420-Y	Cathepsin/Subtilisin Inhibitor (Boc-Val-Phe-NHO-Bz- <i>p</i> Cl)	518.0	Inhibits cysteine proteases and serine proteases such as subtilisin and thermitase.	DMSO, Ethanol	10 - 100 μ M	28
230790-Y	Chymostatin	604.9	A reversible serine and cysteine protease inhibitor. Inhibits chymotrypsin-like serine proteases.	DMSO, Acetic Acid	10 - 100 μ M	29

230906-Y	Chymotrypsin Inhibitor I, Potato	40,000	A pentamer consisting of 5-8 kDa monomeric subunits. Each subunit inhibits one molecule of chymotrypsin. Suppresses radiation transformation of C3H/10T1/2 cells in vitro.	Aqueous buffers	10 - 20 $\mu\text{g/ml}$	30,31
230907-Y	Chymotrypsin Inhibitor II, Potato	20,000	A potent inhibitor of chymotrypsin and trypsin.	Aqueous buffers	0.5 - 2.0 $\mu\text{g}/\mu\text{g}$ enzyme	32
240891-Y	Cystatin, Egg White	12,700	A competitive and reversible cysteine protease inhibitor. Inhibits papain, ficin, and cathepsin B.	Tris buffer	Use at equimolar concentrations.	33,34
240893-Y	Cystatin A, Human Placenta	12,000	Functions as a tight-binding reversible cysteine protease inhibitor. A potent inhibitor of papain ($K_i = 0.019 \text{ nM}$).	Tris buffer	Use at equimolar concentrations.	35
287815-Y	3,4-Dichloroisocoumarin	215.0	A potent irreversible inhibitor of serine proteases. Reacts with serine proteases to release acyl chloride moiety that can acylate another active site residue. Has no action on thiol proteases and metalloproteases.	DMF, DMSO	5 - 100 μM	36
30967-Y	Diisopropylfluorophosphate (DFP)	184.2	A potent irreversible inhibitor of serine proteases. Also irreversibly inactivates acetylcholinesterase.	Isopropanol	100 μM	37,38
416200-Y	Dipeptidyl Peptidase IV Inhibitor I	455.5	A serine protease inhibitor.	DMSO	1 - 100 μM	39
317638-Y	Dipeptidyl Peptidase IV Inhibitor II	355.8	A reversible inhibitor of dipeptidyl peptidase II ($K_i = 3.8 \mu\text{M}$) and dipeptidyl peptidase IV ($K_i = 1.0 \mu\text{M}$)	H ₂ O, DMSO, Ethanol	1 - 10 μM	40
03-34-0012-Y	Diprotin A	341.5	A reversible inhibitor of dipeptidyl peptidase IV.	H ₂ O, Methanol, Ethanol	10 - 50 μM	39,41
03-34-0013-Y	Diprotin B	327.4	A reversible inhibitor of dipeptidyl peptidase IV.	H ₂ O, Methanol, Ethanol	50 - 100 μM	39
324890-Y	E-64 Protease Inhibitor	357.4	An irreversible cysteine protease inhibitor that has no action on cysteine residues in other proteins. Specific active site titrant.	H ₂ O, DMSO	1 - 10 μM	42,43
324475-Y	Ebelactone A, <i>Streptomyces</i> sp.	338.5	A non-toxic inhibitor of esterase. Also inhibits formylmethionine aminopeptidase.	Methanol	1 - 2 $\mu\text{g/ml}$	44,45
324478-Y	Ebelactone B, <i>Streptomyces</i> sp.	352.5	A non-toxic inhibitor of esterase. Also inhibits N-formylmethionine aminopeptidase.	Methanol	1 - 2 $\mu\text{g/ml}$	44
34103-Y	EDTA, Tetrasodium Salt	380.2	A reversible metalloprotease inhibitor. A chelator that may interfere with other metal ion-dependent biological processes.	H ₂ O	1 - 10 mM	46
324625-Y	EGTA	380.4	A metalloprotease inhibitor. Highly useful for removal of heavy metal ions in biological systems. May be used to chelate Ca ²⁺ in the presence of Mg ²⁺ .	NH ₄ OH, NaOH	1 - 10 mM	47

324692-Y	Elastase Inhibitor	450.5	A serine protease inhibitor that inhibits pancreatic elastase and thermolysin.	DMSO, Ethanol	$k_i = 128 \text{ M}^{-1}\text{sec}^{-1}$	48
324691-Y	Elastatinal	512.6	A competitive inhibitor of elastase ($K_i = 240 \text{ nM}$).	H ₂ O, DMSO, Methanol,	0.5 - 2 $\mu\text{g/ml}$	49,50
330005-Y	EST (E-64d)	342.4	A membrane permeable calpain inhibitor. Its action is similar to E-64 (Cat. No. 324890); however, it is devoid of charged groups.	Ethanol	20 - 50 $\mu\text{g/ml}$	51,52
432125-Y	L-Leucinethiol, Dihydrochloride	337.4	A potent inhibitor of aminopeptidase B and M. Sold in oxidized form. Must be reduced with DTT before use. 400 times more potent than Bestatin (Cat. No. 200484).	H ₂ O, DMSO, Methanol	1 - 2 μM	53
108975-Y	Leupeptin, Hemisulfate	493.6	A reversible inhibitor of trypsin-like proteases and cysteine proteases.	H ₂ O	10 - 100 μM	49,54
441251-Y	α_2 -Macroglobulin, Human Plasma	725,000	A broad-range irreversible protease inhibitor. Forms "trap" around most proteases. Known to inhibit neurite outgrowth by blocking the activity of β -nerve growth factor.	Aqueous buffers	Use at equimolar concentrations.	55,56
479919-Y	NCO-700	1141.3	An epoxysuccinic acid derivative that acts as a specific cysteine protease inhibitor. Inhibits cathepsin B ($\text{IC}_{50} = 800 \text{ nM}$), cathepsin L ($\text{IC}_{50} = 67 \mu\text{M}$), and papain ($\text{IC}_{50} = 280 \text{ nM}$).	H ₂ O, PBS, Ethanol	0.5 - 100 μM	57,58
499502-Y	Ovoinhibitor, Egg White	44,000	An inhibitor of serine proteases.	Tris buffer, pH 8.2	Use at equimolar concentrations.	59,60
516482-Y	Pepstatin A	658.9	A reversible inhibitor of aspartic proteases. Inhibits cathepsin D, cathepsin G, pepsin, and renin.	DMSO, Methanol	1 μM	61,62
52332-Y	Phenylmethylsulfonyl Fluoride (PMSF)	174.2	An irreversible inhibitor of serine proteases. Causes sulfonylation of the active-site serine residues.	Ethanol, Methanol	0.1 - 1 mM	63,64
525275-Y	Phosphoramidon, Ammonium Salt	579.6	A highly specific inhibitor of thermolysin. Also inhibits the conversion of big endothelin-1 to endothelin-1.	H ₂ O, DMSO, Methanol	1 - 10 μM	65,66
445825-Y	Plummer's Inhibitor (DL-2-Mercaptomethyl-3-guanidinoethylthiopropionic acid)	237.3	A potent and reversible inhibitor of human plasma carboxypeptidase N ($K_i = 2 \text{ nM}$). Also inhibits the hydrolysis of bradykinin.	Aqueous buffers	1 - 10 nM	67
520222-Y	PPACK, Dihydrochloride (D-Phe-Pro-Arg-Chloromethylketone, Dihydrochloride)	524.2	A potent and selective inhibitor of thrombin. Specifically alkylates an active center histidine and thus is classified as an affinity label for thrombin.	10 mM HCl	1 - 10 μM	68,69
520218-Y	PPACK II, Dihydrochloride (D-Phe-Phe-Arg-Chloromethylketone, Dihydrochloride)	574.3	A potent and irreversible inhibitor of plasma and glandular kallikreins.	100 mM HCl	1 - 10 μM	68

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572915-Y	Subtilisin Inhibitor I (Boc-Ala-Ala-NHO-Bz)	379.4	A serine protease inhibitor that inhibits subtilisin and thermitase.	DMSO, Ethanol	10 - 100 μ M	28,70
572917-Y	Subtilisin Inhibitor II (Z-Gly-Phe-NHO-Bz)	475.5	A serine protease inhibitor that inhibits subtilisin and thermitase.	DMSO, Ethanol	10 - 100 μ M	28
572920-Y	Subtilisin Inhibitor III (Z-Gly-Phe-NHO-Bz- <i>p</i> -OMe)	505.5	A serine protease inhibitor that inhibits subtilisin and thermitase.	DMSO, Ethanol	10 - 100 μ M	28
572922-Y	Subtilisin Inhibitor IV (Boc-Pro-Phe-NHO-Bz- <i>p</i> Cl)	516.0	A serine protease inhibitor that inhibits subtilisin.	DMSO, Ethanol	10 - 100 μ M	28
572925-Y	Subtilisin Inhibitor V (Boc-Ala-Pro-Phe-NHO-Bz)	552.6	An irreversible cysteine and serine protease inhibitor that inhibits subtilisin and elastase.	DMSO, Ethanol	10 - 100 μ M	71,72
616382-Y	TLCK, Hydrochloride (N ^{α} -Tosyl-Lys-Chloromethyl- ketone, Hydrochloride)	369.3	An irreversible inhibitor of trypsin-like serine proteases. Inactivates trypsin, specifically and irreversibly. Does not have any significant inhibitory effect on chymotrypsin.	1 mM HCl, DMSO	10 - 100 μ M	73,74
616387-Y	TPCK (N ^{α} -Tosyl-Phe-Chloromethyl- ketone)	351.1	An irreversible inhibitor of chymotrypsin. Useful for inhibiting chymotrypsin activity in trypsin preparations.	Ethanol	10 - 100 μ M	75,76
650345-Y	Trypsin Inhibitor, Corn	14,000	A specific inhibitor of human factor XIIa.	20 mM Tris- HCl buffer	Use at equimolar concentrations.	77
65035-Y	Trypsin Inhibitor, Soybean	20,000	A reversible serine protease inhibitor. Inhibits factor Xa, trypsin, chymotrypsin, kallikrein, and plasmin.	Aqueous buffers	Use at equimolar concentrations.	78,79
650357-Y	Trypsin Inhibitor, Soybean, High Activity	20,000	A reversible serine protease inhibitor. Inhibits factor Xa, trypsin chymotrypsin, kallikrein, and plasmin.	Aqueous buffers	Use at equimolar concentrations.	78,80
691568-Y	ZINCOV™ Inhibitor	302.3	A reversible inhibitor of Zn ²⁺ -containing metalloproteases, including thermolysin ($K_i = 480$ nM). Also inhibits membrane-bound enkephalinase ($IC_{50} = 3.1$ nM).	H ₂ O, Ethanol	1 - 10 μ M	81,82

NEW

PROTEASE INHIBITOR COCKTAIL**Cat. No. 539131-Y**

A cocktail of five protease inhibitors that will inhibit a broad range of proteases. Reconstitute each vial with 1 ml H₂O to obtain a 100x stock solution. 1x stock solution contains 500 μM AEBSF, HCl (Cat. No. 101500), 1 μg/ml Aprotinin (Cat. No. 616398), 1 μM E-64 (Cat. No. 324890), 500 μM EDTA and 1 mM Leupeptin (Cat. No. 108975). Material provided will generate 10 x 1 ml of 100x concentrated stock solution.

NEW

PROTEASE INHIBITOR SET**Cat. No. 539128-Y**

Contains 50 mg of AEBSF, HCl (Cat. No. 101500), 1 mg of E-64 (Cat. No. 324890), 1 mg of EST (E-64d; Cat. No. 330005), 5 mg of Leupeptin, Hemisulfate (Cat. No. 108975), 5 mg of Pepstatin A (Cat. No. 516482), 50 mg of TLCK (Cat. No. 616382) and 250 mg of TPCK (Cat. No. 616387). Supplied with an informational insert.

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