

PROTEASE INHIBITORS

Inhibitor	Cat. No.	M.W.	Comments/Applications	Solubility	Suggested Working Concentration	Ref.
Acetyl-Pepstatin	110175	643.8	An aspartyl protease inhibitor that acts as an effective inhibitor of HIV-1 proteinase ($K_i = 20$ nM at pH 4.7).	50% acetic acid	100 - 200 nM	1
AEBSE, Hydrochloride	101500	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	0.1 - 1 mM	2, 3
ALLN	208719	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 ($K_i = 500$ pM).	Methanol, Ethanol, DMSO	0.2 - 2 μ M	4
ALLM	208721	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 ($K_i = 600$ pM).	Methanol, Ethanol, DMSO	0.2 - 2 μ M	4, 5
Amastatin, <i>Streptomyces</i> sp.	129875	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 μ M	6, 7
ϵ -Amino- <i>n</i> -caproic Acid (EACA)	1381	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	8
α_1 -Antichymotrypsin, Human Plasma	178196	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.	9, 10
Antipain, Dihydrochloride	178223	677.6	Peptidyl arginine aldehyde protease inhibitor produced by actinomycetes. Inhibitor of Ca ²⁺ -dependent endopeptidases. Has specificity similar to Leupeptin (Cat. No. 108975). Inhibits trypsin-like serine proteases, papain and some cysteine proteases ($IC_{50} = 300$ μ M).	H ₂ O	1 - 100 μ M	11, 12
Antipain, Hydrochloride	178220	641.2	A reversible inhibitor of cysteine and serine proteases.	H ₂ O	1 - 100 μ M	11
Antithrombin III, Human Plasma	169756	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.	13
α_1 -Antitrypsin, Human Plasma	178251	52,000	A serine protease inhibitor that also acts as a major physiological regulator of elastase.	H ₂ O	Use at equimolar concentrations.	14
<i>p</i> -APMSF, Hydrochloride	178281	252.7	A specific irreversible inhibitor of trypsin-like serine proteases. A suitable alternative to DFP and PMSE.	H ₂ O	10 - 100 μ M	15, 16
Aprotinin, Bovine Lung, Lyophilized Aprotinin, Bovine Lung, Solution	616398 616399	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 μ g/ml	17

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Benzamidine, Hydrochloride	199001	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	18, 19
Bestatin	200484	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 μM	20
Calpastatin, Human, Recombinant	208900	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	40 - 100 μg/ml	21, 22
Calpeptin	03-34-0051	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 μM	23
Carboxypeptidase Inhibitor, Potato	217359	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
Cathepsin Inhibitor I (Z-Phe-Gly-NHO-Bz)	219415	475.5	Selectively inhibits cathepsin B ($k_2/K_i = 8.9 \times 10^3 \text{ M}^{-1} \text{ sec}^{-1}$), cathepsin L ($k_2/K_i = 3.8 \times 10^5 \text{ M}^{-1} \text{ sec}^{-1}$), cathepsin S ($k_2/K_i = 4.2 \times 10^4 \text{ M}^{-1} \text{ sec}^{-1}$), and papain ($k_2/K_i = 1.8 \times 10^3 \text{ M}^{-1} \text{ sec}^{-1}$).	DMSO, Ethanol	10 - 200 μM	25
Cathepsin Inhibitor II (Z-Phe-Gly-NHO-Bz-pMe)	219417	489.5	Selectively inhibits cathepsin B ($k_2/K_i = 6.9 \times 10^3 \text{ M}^{-1} \text{ sec}^{-1}$), cathepsin L ($k_2/K_i = 3.1 \times 10^5 \text{ M}^{-1} \text{ sec}^{-1}$), cathepsin S ($k_2/K_i = 6.6 \times 10^4 \text{ M}^{-1} \text{ sec}^{-1}$), and papain ($k_2/K_i = 1.8 \times 10^3 \text{ M}^{-1} \text{ sec}^{-1}$).	DMSO, Ethanol	10 - 200 μM	25
Cathepsin Inhibitor III (Z-Phe-Gly-NHO-Bz-pOMe)	219419	505.5	Cysteine protease inhibitor. Selectively inhibits cathepsin B ($k_2/K_i = 1.0 \times 10^4 \text{ M}^{-1} \text{ sec}^{-1}$), cathepsin L ($k_2/K_i = 1.5 \times 10^5 \text{ M}^{-1} \text{ sec}^{-1}$), cathepsin S ($k_2/K_i = 6.6 \times 10^4 \text{ M}^{-1} \text{ sec}^{-1}$), and papain ($k_2/K_i = 1.0 \times 10^3 \text{ M}^{-1} \text{ sec}^{-1}$).	DMSO, Ethanol	10 - 200 μM	25
Cathepsin B Inhibitor I (Caspase Inhibitor Negative Control)	342000	386.4	A cathepsin B inhibitor. Suitable as a negative control for caspase-1.	DMSO	10 - 200 μM	26
Cathepsin B Inhibitor II (Ac-Leu-Val-Lysinal)	219385	384.5	A more active lysinal analog of leupeptin (Cat. No. 108975). Inhibits cathepsin B at nanomolar levels (IC ₅₀ = 4 nM).	H ₂ O	10 - 50 nM	27
Cathepsin L Inhibitor I (Z-Phe-Phe-CH ₂ F)	219421	462.5	A potent, cell-permeable, and irreversible inhibitor of cathepsins B and L.	DMSO	1 - 10 μM	28
Cathepsin L Inhibitor II (Z-Phe-Tyr-CHO)	219426	446.5	A potent and selective inhibitor of cathepsin L.	DMSO, Ethanol	10 - 30 μM	28
Cathepsin L Inhibitor III [Z-Phe-Tyr-(t-Bu)-CHN ₂]	219427	542.6	An irreversible cathepsin L inhibitor. About 10 ⁴ more effective against cathepsin L ($k_2/K_i = 2 \times 10^5 \text{ M}^{-1} \text{ sec}^{-1}$) than cathepsin S.	DMSO	10 - 30 μM	29
Cathepsin L Inhibitor IV	219433	491.6	A potent inhibitor of cathepsin L (IC ₅₀ = 1.9 nM). Also inhibits the release of Ca ²⁺ and hydroxyproline from bone in an <i>in vitro</i> bone culture system.	DMSO	10 - 20 nM	29
Cathepsin L Inhibitor V	219435	548.6	A slow, tight-binding reversible inhibitor of recombinant human cathepsin L (K _i = 600 pM). Exhibits over 360-fold greater selectivity for cathepsin L compared to cathepsin B (K _i = 214 nM).	DMSO	5 - 10 nM	30

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Cathepsin S Inhibitor	219393	442.5	A slow, tight-binding reversible inhibitor of recombinant cathepsin S ($K_i = 185 \text{ pM}$). Exhibits over 410-fold greater selectivity for cathepsin S than for cathepsin B ($K_i = 76 \text{ nM}$).	DMSO	1 - 2 nM	31
Cathepsin/Subtilisin Inhibitor (Boc-Val-Phe-NHO-Bz-pCl)	219420	518.0	Inhibits members of the cysteine protease family including cathepsin L, and members of the serine protease family including subtilisin Carlsberg and thermolysin.	DMSO, Ethanol	10 - 100 μM	32
Chymostatin	230790	—	A reversible serine and cysteine protease inhibitor. Inhibits chymotrypsin-like serine proteases.	DMSO, Acetic Acid	10 - 100 μM	33
Chymotrypsin Inhibitor I, Potato	230906	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 <i>in vitro</i> .	Aqueous buffers	10 - 20 $\mu\text{g/ml}$	34, 35
Cystatin, Egg White	240891	12,700	A competitive and reversible cysteine protease inhibitor.	Tris buffer	0.5 - 2.0 $\mu\text{g}/\mu\text{g}$ enzyme	36
3,4-Dichloroisocoumarin	287815	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	37
Diisopropylfluorophosphate (DFP)	30967	184.2	A potent irreversible inhibitor of serine proteases. Also irreversibly inactivates acetylcholinesterase.	Isopropanol	100 μM	38
Dipeptidyl Peptidase IV Inhibitor I	416200	455.5	A serine protease inhibitor.	DMSO	1 - 100 μM	39, 40
Dipeptidyl Peptidase IV Inhibitor II [H-Glu-(NHO-Bz)-Pyr, HCl]	317638	355.8	A reversible inhibitor of dipeptidyl peptidase II ($K_i = 3.8 \text{ }\mu\text{M}$) and dipeptidyl peptidase IV ($K_i = 1.0 \text{ }\mu\text{M}$).	H ₂ O, DMSO, Ethanol	1 - 10 μM	41
Diprotin A	03-34-0012	341.5	A reversible inhibitor of dipeptidyl peptidase IV.	H ₂ O, Methanol, Ethanol	10 - 50 μM	40, 42
E-64 Protease Inhibitor	324890	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	43, 44
Ebelactone B, <i>Streptomyces</i> sp.	324478	352.5	A non-toxic inhibitor of esterase. Also inhibits N-formylmethionine aminopeptidase.	Methanol	1 - 2 $\mu\text{g/ml}$	45
Ecotin, <i>E. coli</i>	330200	32,200	A potent, broad range inhibitor of serine proteases. Exhibits picomolar binding constant for the inhibition of chymotrypsin, elastase, Factor Xa Factor XIIa, kallikrein, and trypsin. Also an effective inhibitor of collagenase and granzyme B.	1.0 mM HCl	0.5 - 5 nM	46
EDTA, Disodium Salt, Dihydrate Molecular Biology Grade	324503	372.2	A reversible metalloprotease inhibitor. A chelator that may interfere with other metal ion-dependent biological processes.	H ₂ O	1 - 10 mM	47
EDTA, Tetrasodium Salt	34103	380.2	A reversible metalloprotease inhibitor. A chelator that may interfere with other metal ion-dependent biological processes.	H ₂ O	1 - 10 mM	47
EGTA EGTA, Molecular Biology Grade	324625 324626	380.0	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	48

Inhibitor	Cat. No.	M.W.	Comments/Applications	Solubility	Suggested Working Concentration	Ref.
Elastase Inhibitor I (Boc-Ala-Ala-Ala-Na-NHO-Bz)	324692	450.5	A serine protease inhibitor that inhibits pancreatic elastase ($K_i = 128 \text{ m}^{-1}\text{sec}^{-1}$) and thermitase.	DMSO, Ethanol	—	49
Elastase Inhibitor II (MeO-Sac-Ala-Ala-Pro-Ala-CMK)	324744	474.9	A potent inhibitor of human neutrophil elastase.	DMSO, Methanol	1 - 10 nM	50
Elastase Inhibitor III	324745	503.0	A potent inhibitor of human neutrophil elastase ($K_i = 10 \text{ }\mu\text{M}$).	DMSO, Methanol	20 - 100 μM	51, 52
Elastatinal	324691	512.6	A competitive inhibitor of elastase ($K_i = 240 \text{ nM}$).	H ₂ O, DMSO, Ethanol	0.5 - 2 $\mu\text{g/ml}$	11, 53
EST (E-64d)	330005	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 $\mu\text{g/ml}$	54
GGACK, Dihydrochloride	347435	465.8	Irreversible inhibitor of urokinase ($\text{IC}_{50} < 1 \text{ }\mu\text{M}$).	HCl	1 - 10 μM	55
2-Guanidinoethylmercaptosuccinic Acid	369334	235.2	Potent inhibitor of a carboxypeptidase B-like processing enzyme referred to as enkephalin convertase ($K_i = 8.8 \text{ nM}$). Ideal for use in affinity chromatography of the enzyme.	H ₂ O	50 - 100 nM	56
HIV Protease Inhibitor	382135	403.5	A potent HIV protease inhibitor ($\text{IC}_{50} = 900 \text{ nM}$) that acts by binding to the active site of the HIV protease. Also inhibits cathepsin D ($\text{IC}_{50} = 37 \text{ }\mu\text{M}$) and pepsin ($\text{IC}_{50} = 100 \text{ }\mu\text{M}$) at high concentrations.	Methanol	1 - 10 μM	57
Leuhistin	432077	241.3	Microbial product. Competitively inhibits aminopeptidase M ($K_i = 0.23 \text{ }\mu\text{M}$).	H ₂ O	1 - 3 μM	58
Leupeptin, Hemisulfate (Ac-Leu-Leu-Arginal)	108975	475.6	A reversible inhibitor of trypsin-like proteases and cysteine proteases.	H ₂ O	10 - 100 μM	11, 59
α_2 -Macroglobulin, Human Plasma	441251	725,000	A broad-range irreversible protease inhibitor. Forms "trap" around most proteases.	Aqueous buffers	Use at equimolar concentrations.	60
NCO-700	479919	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 ($\text{IC}_{50} = 67 \text{ }\mu\text{M}$), and papain ($\text{IC}_{50} = 280 \text{ nM}$).	H ₂ O, PBS, Ethanol	0.5 - 100 μM	61, 62
Pepstatin A	516482	685.9	A reversible inhibitor of aspartic proteases. Inhibits cathepsin D, cathepsin G, pepsin, and renin.	DMSO, Methanol	~1 μM	63
Phenylmethylsulfonyl Fluoride (PMSF)	52332	174.2	An irreversible inhibitor of serine proteases. Causes sulfonylation of the active-site serine residues.	Ethanol, Methanol	0.1 - 1 mM	64
Phosphoramidon, Disodium Salt	525276	587.5	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
Plummer's Inhibitor (DL-2-Mercaptomethyl-3-guanidino-ethylthiopropanoic Acid)	445825	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
PPACK, Biotinylated	520224	979.5	Biotin-X-analog of Cat. No. 520222. Specific probe for active serine proteases. Potent inhibitor of thrombin and tissue plasminogen activator (tPA). Useful for Western blot analyses of Factor VIIa, Factor XIa, thrombin and tPA.	10 mM HCl	1 - 10 μM	68

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PPACK, Dihydrochloride (D-Phe-Pro-Arg-Chloromethylketone, Dihydrochloride)	520222	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 μ M	68
PPACK, Trifluoroacetate Salt	520219	501.0	A potent and irreversible inhibitor of plasma and glandular kallikreins.	H ₂ O	1 - 10 μ M	68
Subtilisin Inhibitor I (Boc-Ala-Ala-NHO-Bz)	572915	379.4	A serine protease inhibitor that inhibits subtilisin and thermitase.	DMSO, Ethanol	10 - 100 μ M	69, 70
Subtilisin Inhibitor II (Z-Gly-Phe-NHO-Bz)	572917	475.5	A serine protease inhibitor that inhibits subtilisin and thermitase.	DMSO, Ethanol	10 - 100 μ M	70
Subtilisin Inhibitor III (Z-Gly-Phe-NHO-Bz-pOMe)	572920	505.5	A serine protease inhibitor that inhibits subtilisin and thermitase.	DMSO, Ethanol	10 - 100 μ M	70
Subtilisin Inhibitor IV (Boc-Pro-Phe-NHO-Bz-pCl)	572922	516.0	A serine protease inhibitor that inhibits subtilisin.	DMSO, Ethanol	10 - 100 μ M	70
Subtilisin Inhibitor V (Boc-Ala-Pro-Phe-NHO-Bz)	572925	552.6	An irreversible cysteine and serine protease inhibitor that inhibits subtilisin and elastase.	DMSO, Ethanol	10 - 100 μ M	71, 72
TLCK, Hydrochloride (N $^{\alpha}$ -Tosyl-Lys-Chloromethylketone, Hydrochloride)	616382	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
TPCK (N $^{\alpha}$ -Tosyl-Phe-Chloromethylketone)	616387	351.1	An irreversible inhibitor of chymotrypsin. Useful for inhibiting chymotrypsin activity in trypsin preparations.	Ethanol	10 - 100 μ M	73
Trypsin Inhibitor, Corn	650345	14,000	A specific inhibitor of human factor XIIa.	20 mM Tris-HCl buffer	Use at equimolar concentrations.	74
Trypsin Inhibitor, Soybean	65035	20,000	A reversible serine protease inhibitor. Inhibits factor Xa, trypsin, chymotrypsin, kallikrein, and plasmin.	Aqueous buffers	Use at equimolar concentrations	75
Trypsin Inhibitor, Soybean, High Activity	650357	20,000	A reversible serine protease inhibitor. Inhibits factor Xa, trypsin chymotrypsin, kallikrein, and plasmin.	Aqueous buffers	Use at equimolar concentrations.	75
Trypsin Inhibitor, Soybean, High Purity, Endotoxin Free	650358	14,000	Endotoxins removed chromatographically. Inhibitor inactivates trypsin on an equimolar basis. Exhibits no effects on enzymatic activity of porcine pancreatic elastase.	Aqueous buffers	Use at equimolar concentrations.	75

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PROTEASE INHIBITOR COCKTAIL SET I

Cat. No. 539131

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), 150 nM Aprotinin (Cat. No. 616398), 1 µM E-64 (Cat. No. 324890), 0.5 mM EDTA, Disodium Salt and 1 µM Leupeptin Hemisulfate (Cat. No. 108975).

PROTEASE INHIBITOR COCKTAIL SET II

Cat. No. 539132

A cocktail of five protease inhibitors with broad specificity for the inhibition of aminopeptidases, aspartic-, cysteine-, serine-, and metallo-proteases. Recommended for use with bacterial cell extracts. Reconstitute each vial with 1 ml DMSO and 4 ml H₂O. Each vial contains 20 mM AEBSF, HCl (Cat. No. 101500), 1.7 mM Bestatin (Cat. No. 200484), 200 µM E-64 (Cat. No. 324890), 85 mM EDTA, and 2 mM Pepstatin A (Cat. No. 516482).

PROTEASE INHIBITOR COCKTAIL SET III

Cat. No. 539134

A cocktail of six protease inhibitors with broad specificity for the inhibition of aminopeptidases, aspartic-, cysteine-, and serine proteases. Recommended for use with mammalian cell and tissue extracts. Each vial contains 100 mM AEBSF, HCl (Cat. No. 101500), 80 µM Aprotinin (Cat. No. 616398), 5 mM Bestatin (Cat. No. 200484), 1.5 mM E-64 (Cat. No. 324890), 2 mM Leupeptin (Cat. No. 108975) and 1 mM Pepstatin A (Cat. No. 516482). One ml is sufficient for 20 g of tissue.

PROTEASE INHIBITOR SET

Cat. No. 539128

Lyophilized solids. HYGROSCOPIC. 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, HCl (Cat. No. 616382) and 250 mg of TPCK (Cat. No. 616387). Supplied with an informational insert.

PROTEASE INHIBITOR COCKTAIL SET IV

Cat. No. 539136

A cocktail of four protease inhibitors with broad specificity for the inhibition of aspartic-, cysteine-, metallo, and serine- proteases. Recommended for fungal and yeast cell extracts. Each vial contains 100 nM AEBSF, HCl (Cat. No. 101500), 1.5 mM E-64 (Cat. No. 324890), 2 mM Pepstatin A (Cat. No. 516482), and 500 mM 1,10-Phenanthroline (Cat. No. 516705). Note: 1 set = 5 X 1 ml.

PROTEASE INHIBITOR COCKTAIL SET V, EDTA-FREE

Cat. No. 539137

A cocktail of four protease inhibitors for the inhibition of serine, cysteine, but not metalloproteases. Compatible with Ni⁺-charged resin affinity chromatography. Reconstitute each vial with 1 ml H₂O to obtain a 100x stock solution. 1x stock solution contains 500 µM AEBSF, HCl (Cat. No. 101500), 150 nM Aprotinin (Cat. No. 616398), 1 µM E-64 (Cat. No. 324890), and 1 µM Leupeptin Hemisulfate (Cat. No. 108975).

Please call our Technical Service Department or your local sales office for more information on these products.

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