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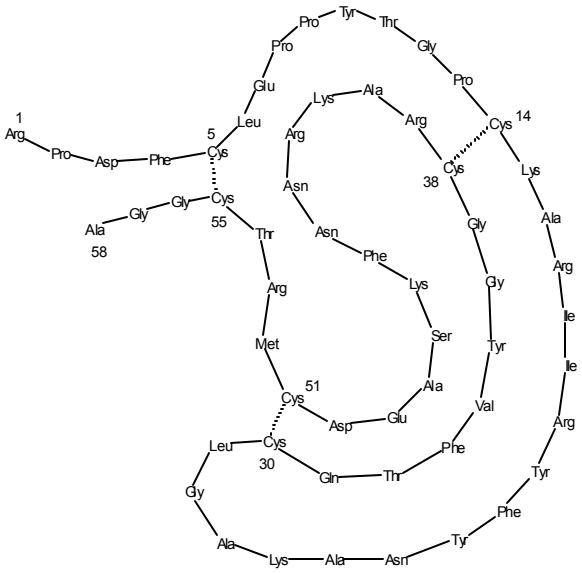
ProductInformation

APROTININ



STABILITY / STORAGE AS SUPPLIED:
If stored at 2-8°C products A1153, A4529 and A3428 have a shelf-life of two years. Product numbers A6012 and A6279 have a shelf-life of four years.

SOLUBILITY / SOLUTION STABILITY:
Aprotinin is freely soluble in water (>10 mg/mL) and in aqueous buffers of low ionic strengths.[5,6] Dilute solutions are generally less stable than concentrated ones. Solution stability also depends on pH; values of 1-12 can be tolerated.[4] Repeated freeze-thaw cycles should be avoided. The Cys^{14}-Cys^{38} disulfide bridge is readily split by reducing agents like β-mercaptoethanol.[4] Due to its compact tertiary structure, aprotinin is relatively stable against denaturation due to high temperature, acids, alkalies, organic solvents or proteolytic degradation (only thermolysin has been found capable of degrading aprotinin after heating to 60-80°C).[4] The high basicity of aprotinin causes it to adhere to commonly used dialysis tubing and even gel filtration matrices, but the use of acetylaated materials and concentrated salt solutions (e.g., ≥0.1 M NaCl in buffer) minimizes the problem.[4] Sterilization may be achieved by filtration through a 0.2 μm filter.[5]

SOLVENT	CONCENTRATION	STORAGE TEMP.	% LOSS/TIME
Sterile water with 0.9% NaCl and 0.9% benzyl alcohol, pH 5.7-6.2	10 mg/mL	0-5°C	<4.3%/year[5]
2.5% Trichloroacetic acid	N/A	80°C	No loss[2]
pH <12.6	N/A	N/A	No loss observed after 24 hrs.[7]
pH >12	N/A	N/A	Irreversibly denatured[8]
pH 7-8	0.065-1.95 μg/mL	4°C	About 1 week[6]
pH 7-8	0.065-1.95 μg/mL	-20°C	>6 months[6]

APROTININ

PRODUCT DESCRIPTION:

SIGMA PRODUCT NUMBER	DESCRIPTION
A-1153	**Aprotinin from Bovine Lung** Lyophilized powder **Activity:** 3-8 TIU/mg solid
A-4529	**Aprotinin from Bovine Lung** Lyophilized powder **Activity:** 3-7 TIU/mg solid **Starting material:** A-1153 Affinity purified to remove trace impurities.
A-3428	**Aprotinin from Bovine Lung, Cell Culture Tested** Lyophilized powder **Activity:** 3-7 TIU/mg solid **Starting material:** A-1153
A-6012	**Aprotinin from Bovine Lung** Aseptically filled solution in 0.9% NaCl and 0.9% benzyl alcohol. **Activity:** 5-10 TIU/mL solution
A-6279	**Aprotinin from Bovine Lung** Aseptically filled solution in 0.9% NaCl and 0.9% benzyl alcohol. **Activity:** 3-7 TIU/mg protein; 5-10 TIU/ml solution Similar to A-6012, but produced by Sigma.

USAGE:

Aprotinin is a competitive serine protease inhibitor which forms stable complexes with and blocks the active sites of enzymes. The binding is reversible, and most aprotinin-protease complexes dissociate at pH >10 or <3.[2]

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USAGE: (continued)

ENZYME - SOURCE - CONDITION	INHIBITION (K_i = Dissociation Constant)
Acrosin	Weak inhibition[6]
Chymotrypsin	K_i = 9 nM[9]
Chymotrypsinogen (bovine), pH 8.0	K_i = 9 nM[4]
CMP-N-Acetylneuraminate lactosylceramide α-2,3-sialyltransferase	74% Inhibition at 300 nm[9]
Elastase (human leukocytes), pH 8.0	K_i = 3.5 μM[4]
Kallikrein (pancreatic), pH 8.0	K_i = 1.0 nM[4]
Kallikrein (plasma)	K_i = 30 nM; 100 nM[9]
Kallikrein (tissue)	K_i = 1 nM[9]
Kallikrein (urine)	K_i = 1.7 nM[9]
Plasmin (porcine), pH 7.8	K_i = 4.0 nM[4]
Plasminogen activator	K_i = 8 μM; 27 μM[9]
Trypsin (bovine), pH 8.0	K_i = 0.06 pM[4]
Trypsinogen (bovine), pH 8.0	K_i = 1.8 μM[4]
Tryptase TL-2	16% Inhibition at 10 μM[9]
Urokinase (human), pH 8.8	K_i = 8.0 μM[4]

UNIT DEFINITION:
One Trypsin Inhibitor Unit (TIU) will decrease the activity of 2 trypsin units by 50%, where 1 trypsin unit will hydrolyze 1.0 μmole of Nα-benzoyl-DL-arginine p-nitroanilide (BAPNA) per minute at pH 7.8 and 25°C. Another commonly used unit of activity is the KIU (Kallikrein Inhibitor Unit). A conversion factor for Aprotinin is: 1 TIU .1,300 KIU.[5] A published ratio is: 1 TIU .1,025 KIU.[10]

REFERENCES:

1. *Merck Index*, 12th Ed., S. Budavari, Ed., # 796, p. 128 (1996).
2. *J. Gen. Physiol.*, 19, 991 (1936).
3. *Hoppe-Seyler's Z. Physiol. Chem.*, 192,1 (1930).
4. *Drug Res.*, 33(1), No. 4, 479 (1983).
5. Sigma data.
6. *Biochemica Information*, 1st Ed., J. Keesey, Ed., Boehringer Mannheim Biochemicals, p. 111, Indianapolis (1987).
7. *Biochem.*, 7, 3634 (1968).
8. *Life Sci*., 28, 1861 (1981).
9. *Handbook of Enzyme Inhibitors*, 2nd Ed., Part B, H. Zollner, Ed., p. 572, VCH Verlagesgesellschaft, Weinheim (1993).
10. *Biotechnology*, p. 565 (June 1990).