Product Information

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Aprotinin
bovine, recombinant,
expressed in *Nicotiana* (tobacco)

Catalog Number **A6103**
Storage Temperature 2–8 °C

CAS RN 9087-70-1
Synonyms: Antikrein; Antilysin(e); Basic pancreatic trypsin inhibitor (BPTI); Kallikrein-trypsin inactivator; Kir Richter; Kunitz protease inhibitor

Product Description
Molecular formula: $C_{284}H_{432}N_{84}O_{79}S_7$
Molecular weight: 6,512 Da
pI: 10.5
Extinction coefficient: $E^{1\%}$ = 8.4 (280 nm, water)

Aprotinin is a protein consisting of 58 amino acids, arranged in a single polypeptide chain that is cross-linked by three disulfide bridges (See Appendix). Aprotinin is a competitive serine protease inhibitor that forms stable complexes with and blocks the active sites of enzymes (See Table 1). The binding is reversible and most aprotinin-protease complexes dissociate at pH >10 or <3.

This product is a recombinant form of the native, bovine-sequence aprotinin, which is traditionally isolated primarily from bovine lung by methods involving fractional precipitation, gel filtration, and ion exchange chromatography. Unlike animal-derived aprotinin, this product is isolated and purified from plant tissue by proprietary methods.

Purity: ≥98% (SDS-PAGE)

Inhibitory Activity: ≥5 TIU/mg of protein

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 between aprotinin units is: 1 TIU = 1,300 KIU.[1]
A published ratio is: 1 TIU = 1,025 KIU.[2]

Table 1.
Inhibition by Aprotinin

Enzyme (Source), Condition	Inhibition (K_i)
Acrosin	Weak inhibition[3]
Chymotrypsin	K_i = 9 nM[4]
Chymotrypsinogen (bovine), pH 8.0	K_i = 9 nM[5]
CMP-N-Acetyl-neuraminate lactosylceramide α-2,3-sialyltransferase	74% Inhibition at 300 nM[4]
Elastase (human leukocyte), pH 8.0	K_i = 3.5 μM[5]
Kallikrein (pancreatic), pH 8.0	K_i = 1.0 nM[5]
Kallikrein (plasma)	K_i = 30 nM; 100 nM[4]
Kallikrein (tissue)	K_i = 1 nM[4]
Kallikrein (urine)	K_i = 1.7 nM[4]
Plasmin (porcine), pH 7.8	K_i = 4.0 nM[5]
Plasminogen activator	K_i = 8 μM; 27 μM[4]
Trypsin (bovine), pH 8.0	K_i = 0.06 pM[5]
Trypsinogen (bovine), pH 8.0	K_i = 1.8 μM[5]
Tryptase TL-2	16% Inhibition at 10 μM[4]
Urokinase (human), pH 8.8	K_i = 8.0 μM[5]

Precautions and Disclaimer
This product is for R&D use only, not for drug, household, or other uses. Please consult the Material Safety Data Sheet for information regarding hazards and safe handling practices.

Preparation Instructions
Aprotinin is freely soluble in water (5 mg/ml) and in aqueous buffers of low ionic strengths.[1,3]

Storage/Stability
Store the lyophilized powder at 2–8 °C. When stored at 2–8 °C, the product retains activity for at least 2 years.

Dilute solutions of aprotinin are generally less stable than concentrated ones. Solution stability is pH dependent, a pH range of 1–12 can be tolerated.[5] Repeated freeze-thaw cycles should be avoided. The Cys^{14}-Cys^{38} disulfide bridge is readily split by reducing agents like 2-mercaptoethanol.[5] Due to its compact tertiary structure, aprotinin is relatively stable against denaturation due to high temperature, organic solvents, or proteolytic degradation (See Table 2). Only thermolysin has been found capable of degrading aprotinin after heating to 60–80 °C.[5] The high basicity of aprotinin causes it to adhere to commonly used dialysis tubing and even gel filtration matrices, but the use of acetylated materials and concentrated salt solutions (e.g., ≥0.1 M NaCl in buffer) minimizes this problem.[5] Sterilization may be achieved by filtration through a 0.2 μm filter.[1]

Table 2.
Aprotinin Solution Stability

Solvent	Concentration	Temperature	% 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[1]
2.5% Trichloroacetic acid	N/A	80 °C	No loss[6]
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[3]
pH 7–8	0.065–1.95 μg/ml	–20 °C	>6 months[3]

References

1. Sigma data.
2. Biotechnology, (June 1990) p. 565.
3. Biochemica Information, 1st Ed., J. Keesey, Ed., Boehringer Mannheim Biochemicals, (Indianapolis, IN: 1987) p. 111.
4. Handbook of Enzyme Inhibitors, 2nd Ed., Part B, H. Zollner, Ed., VCH Verlagesgesellschaft, (Weinheim, Germany: 1993) p. 572.
5. Drug Res., **33**(1), No. 4, 479 (1983).
6. J. Gen. Physiol., **19**, 991 (1936).
7. Biochem., **7**, 3634 (1968).
8. Life Sci., **28**, 1861 (1981).

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Appendix
Aprotinin Sequence