

Data Sheet

Product Name:	Aprotinin
Cat. No.:	CS-5118
CAS No.:	9087-70-1
Molecular Formula:	C284H432N84O79S7
Molecular Weight:	6511.44
Target:	Influenza Virus
Pathway:	Anti-infection
Solubility:	H ₂ O : 100 mg/mL (15.36 mM; Need ultrasonic)

RPDFCLEPPYTGPKARIIRYFYNAKAGLCQTFVYGGGAKRNNFKSAEDCMRTCGGA

BIOLOGICAL ACTIVITY:

Aprotinin is a **bovine pancreatic trypsin inhibitor (BPTI)** inhibitor which inhibits **trypsin** and **chymotrypsin** with K_i s of 0.06 pM and 9 nM, respectively. IC₅₀ & Target: K_i : 0.06 pM (Trypsin), 9 nM (Chymotrypsin)^[1] **In Vitro**: Aprotinin, a serine protease inhibitor isolated from bovine lung, is a complex protease inhibitor that is an antifibrinolytic, inhibits contact activation, and decreases the inflammatory response to cardiopulmonary bypass^[2]. Aprotinin inhibits trypsin (bovine, K_i = 0.06 pM), chymotrypsin (bovine, K_i = 9 nM), plasmin (human, 0.23 nM)^[1]. Aprotinin is also a competitive protein inhibitor of NOS activity. It inhibits NOS-I and NOS-II with K_i values of 50 μ M and 78 μ M, respectively^[3]. Aprotinin significantly inhibits fibrinolysis with an IC₅₀ of 0.16 \pm 0.05 μ M^[4]. **In Vivo**: High dose aprotinin can reduce blood loss and transfusion requirements associated with primary cardiac procedures such as coronary artery bypass graft (CABG) or heart valve replacement surgery^[5]. Aprotinin inhibits thrombus formation in a dose-dependent manner. Aprotinin at a dose of 1.5 mg kg⁻¹ (bolus) and 3 mg kg⁻¹ h⁻¹ infusion (maintenance infusion) causes a tendency towards a reduction in bleeding time. Aprotinin significantly reduces the bleeding time starting at a dose of 3 mg kg⁻¹ bolus plus 6 mg kg⁻¹ h⁻¹ showing a reduction of approximately 84% \pm 2.9%. At the highest dose of 5 mg kg⁻¹ and 10 mg kg⁻¹ h⁻¹, the strongest effects are observed^[4]. Aprotinin may affect tumor necrosis factor-alpha (TNF) levels. Soluble TNFRI levels are significantly increased following I/R in the aprotinin treated wild type mice and not detected in all TNFRI^{null} mice^[6].

PROTOCOL (Extracted from published papers and Only for reference)

Animal Administration: ^[4]^[6]Rats: Male Wistar rats (180-220 g) are used in the study. Aprotinin is dissolved in physiological saline. Aprotinin is administered by bolus injection followed by a maintenance infusion. The doses given are 1.5 mg kg⁻¹ and 3 mg kg⁻¹ h⁻¹, 3mg kg⁻¹ and 6 mg kg⁻¹ h⁻¹ up to 5 mg kg⁻¹ and 10 mg kg⁻¹ h⁻¹. Plasma concentrations for the two agents are assessed by pharmacokinetic studies in rats^[4].

Mice: An intact mouse model of ischemia/reperfusion (30 min-I/60 min-R) is used and left ventricular peak + dP/dt is measured in wild type mice (WT, C57BL/6; n=10), WT mice with aprotinin (4mL/kg; n=10), transgenic mice devoid of the TNFRI (TNFRI^{null}; n=10), and TNFRI^{null} with aprotinin (n=10)^[6].

References:

- [1]. Fritz H, et al. Biochemistry and applications of aprotinin, the kallikrein inhibitor from bovine organs. *Arzneimittelforschung*. 1983;33(4):479-94.
- [2]. Levy JH, et al. Efficacy and safety of aprotinin in cardiac surgery. *Orthopedics*. 2004 Jun;27(6 Suppl):s659-62.

- [3]. Venturini G, et al. Aprotinin, the first competitive protein inhibitor of NOS activity. *Biochem Biophys Res Commun*. 1998 Aug 10;249(1):263-5
- [4]. Sperzel M, et al. Evaluation of aprotinin and tranexamic acid in different in vitro and in vivo models of fibrinolysis, coagulation and thrombus formation. *J Thromb Haemost*. 2007 Oct;5(10):2113-8. Epub 2007 Jul 31.
- [5]. Davis R, et al. Aprotinin. A review of its pharmacology and therapeutic efficacy in reducing blood loss associated with cardiac surgery. *Drugs*. 1995 Jun;49(6):954-83.
- [6]. Sabbagh MJ, et al. Aprotinin exacerbates left ventricular dysfunction after ischemia/reperfusion in mice lacking tumor necrosis factor receptor I. *J Cardiovasc Pharmacol*. 2008 Oct;52(4):355-62.

CAIndexNames:

Trypsin inhibitor, pancreatic basic

SMILES:

[RPDFCLEPPYTGPKARIIRYFYNAKAGLCQTFVYGGCRAKRNNFKSAEDCMRTCGGA]

Caution: Product has not been fully validated for medical applications. For research use only.

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