Aprotinin

A popular serine proteases inhibitors

Product Description

**Name:** Aprotinin
**Form:** powder, lyophilized, approx. 6 Mio.KIU/g
**Catalog number:** 185583, 25mg  
185584, 100mg  
Soluble in water (10 mg/ml, pH = 6 +/- 1)  
Activity : 5000 – 7125 KIU/mg  
Purity : >95%  
Moisture : ≤6%

**Name:** Aprotinin solution
**Form:** sterile solution, approx. 200 000 KIU/ml
**Catalog number:** UP244319, 50ml  
UP24431E, 5 Mio KUI  
UP24431F, 25 Mio KUI

**Storage:**
- 4°C (L)
- Warm to room temperature before opening
- Keep dry (powder).
- May be frozen for long terme storage.

Competitive, reversible serine protease inhibitor
- Chymotrypsin
- Trypsin
- Kallikrein
- Plasmin
- Does not inhibit Factor Xa or thrombin

Scientific and Technical Information

- **Structure**

  Aprotinin is a monomeric (single-chain) globular polypeptide derived from bovine lung tissue; it has a molecular weight of 6512 and consists of 16 different amino acids arranged in a chain of 58 amino acid residues. It was one of the first protein to have its structure solved by NMR, nevertheless, its physiological function was not fully known. Its active center is formed by 4 lysine groups, the tertiary structure shows a pearshaped unit which fits exactly into the binding site of the serine proteinases.
• Activity

Aprotinin inhibits several serine proteases, specifically trypsin, chymotrypsin and plasmin at a concentration of about 125,000 IU/ml, and kallikrein at 300,000 IU/ml\(^3\). Its action on kallikrein leads to the inhibition of the formation of factor XIIa. As a result, both the intrinsic pathway of coagulation and fibrinolysis are inhibited. Its action on plasmin independently slows fibrinolysis. Because of its antifibrinolytic activity, it has been used in treatment of myocardial infarctus, until withdrawn in 2008 as it presents higher risks than aminocaproic and tranexamic acids. It was also initially used in the treatment for acute pancreatitis.

Inhibitory constants:

<table>
<thead>
<tr>
<th>Enzyme</th>
<th>( Ki ) [M]</th>
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<tbody>
<tr>
<td>Trypsin, bovine</td>
<td>6.0 ( 10^{-14} )</td>
</tr>
<tr>
<td>Trypsinogen, bovine</td>
<td>1.8 ( 10^{-6} )</td>
</tr>
<tr>
<td>Chymotrypsin, bovine</td>
<td>9.0 ( 10^{-9} )</td>
</tr>
<tr>
<td>Plasmin, human</td>
<td>2.3 ( 10^{-10} )</td>
</tr>
<tr>
<td>Kallikrein, pancreatic porcine</td>
<td>1.0 ( 10^{-9} )</td>
</tr>
<tr>
<td>Kallikrein, urinary porcine</td>
<td>1.7 ( 10^{-9} )</td>
</tr>
<tr>
<td>Kallikrein, urinary human</td>
<td>9.0 ( 10^{-9} )</td>
</tr>
<tr>
<td>Kallikrein, plasma porcine</td>
<td>3.0 ( 10^{-8} )</td>
</tr>
<tr>
<td>Elastase, leukocytes human</td>
<td>3.5 ( 10^{-6} )</td>
</tr>
<tr>
<td>Urokinase, single chain</td>
<td>2.7 ( 10^{-5} )</td>
</tr>
<tr>
<td>Urokinase, two chains</td>
<td>2.5 ( 10^{-5} )</td>
</tr>
</tbody>
</table>

• Biochemical and Biotechnological Applications

Aprotinin can be used for the isolation of proteins as well as for biopharmaceutical downstream purification to prevent protein degradation during lysis or homogenization of cells and tissues: it inhibits undesired proteolytic activity of serine proteases such as trypsin, plasmin, trypsinogen, urokinase, chymotrypsin, kallikrein, elastase and others. It is useful for general purpose and especially for mammalian samples. It can be used during immunodiffusion, radioimmunoassay or enzyme-linked immunoassay procedures. Standard concentration working range is 0.3 – 0.5\( \mu \)M, but much higher concentrations are used when high enzyme concentration is present such as in cell culture and enzymatic assays. Aprotinin is also useful in study of antifibrinolytic and pancreatic enzymes. I.e. it is used in chromogenic assays for the determination of antithrombin III, heparin, \( \alpha_2 \)-macroglobulin, factor Xa and thrombin to inhibit disturbing kallikrein or plasmin activities. Small amounts of aprotinin can be added to tubes of drawn blood to enable laboratory measurement of certain rapidly degraded proteins such as glucagon.

• Directions for use

**Preparation:** Freely soluble in water (10 mg/ml) or aqueous buffer solution (e.g., Tris, 0.1 M, pH 8.0). The aprotinin solution 200,000 KIU/ml is about 33 mg/ml. A solution adjusted to pH 7–8 is stable for approximately 1 week at 2-8°C. Aliquots stored at -15 to -25°C are stable for approximately 6 months.

**Working range:** 1-100\( \mu \)M (refer to applications above)
Literature


Related products:

Other protease inhibitors: i.e. AEBSF UP401071, PMSF #UP147376
Desalting tools: CelluSep Dialysis, Gelfiltration columns