

# Aprotinin

## For pharmaceutical manufacturing

**Description:** Aprotinin is a polyvalent reversible inhibitor of serine proteinases. Aprotinin is a polypeptide of 58 amino acids. Its active center is formed by 4 lysine groups, the tertiary structure shows a pear-shaped unit which fits exactly into the binding site of serine proteinases.

## Therapeutic Application:

In a finished dosage form, Aprotinin is used to reduce perioperative blood loss and transfusion requirements in patients at high risk of major blood loss during and following cardiopulmonary bypass (CPB) in the course of coronary artery bypass graft surgery. The effects of aprotinin use in CPB involves a reduction in inflammatory response, through its inhibition of multiple mediators (e.g., kallikrein, plasmin), which translates into a decreased need for allogeneic blood transfusions, reduced bleeding, and decreased mediastinal re-exploration for bleeding.

**MW:** 6512

**K<sub>i</sub> constants:** The following table shows the inhibition of serine proteases:

| Enzyme                         | K <sub>i</sub> [M]    |
|--------------------------------|-----------------------|
| Trypsin, bovine                | 6.0·10 <sup>-14</sup> |
| Trypsinogen, bovine            | 1.8·10 <sup>-6</sup>  |
| Chymotrypsin, bovine           | 9.0·10 <sup>-9</sup>  |
| Plasmin, human                 | 2.3·10 <sup>-10</sup> |
| Kallikrein, pancreatic porcine | 1.0·10 <sup>-9</sup>  |
| Kallikrein, urinary porcine    | 1.7·10 <sup>-9</sup>  |
| Kallikrein, urinary human      | 0.9·10 <sup>-10</sup> |
| Kallikrein, plasma porcine     | 3.0·10 <sup>-8</sup>  |
| Elastase, leukocytes human     | 3.5·10 <sup>-6</sup>  |
| Urokinase, single chain        | 27.0·10 <sup>-6</sup> |
| Urokinase, two chain           | 25.0·10 <sup>-6</sup> |

**Activity:** Aprotinin solution (~200'000 KIU/ ml)

**Storage:** May be used by the expiry date given on the label when stored unopened, protected from moisture, in the dark, 2 - 8°C. Avoid contamination of the product by microorganisms. Shipment of product does not require cooling during the time of transportation.

**References:** Lemmer JH Jr, Standford W, Bonney SL, *et al.* Aprotinin for coronary bypass operations: efficacy, safety, and influence on early saphenous vein graft patency. A multicenter randomized, double blind, placebo-controlled study. J Thorac Cardiovasc Surg. 1994; 107:543-553

Sedrakyan A, Treasure T, Elefteriades JA. Effect of aprotinin on clinical outcomes in coronary artery bypass graft surgery: A systemic review and meta-analysis of randomized clinical trials. J Thorac Cardiovasc Surg. 2004; 128: 442-448.

**Package size:** Bulk [50ml, 1000ml]

**Code:** 073-70