APROTININ

Description:

Aprotinin is a polyvalent reversible inhibitor of serine proteases. Aprotinin is a polypeptide consisting 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 proteases.

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 Daltons

Unit Definition:

One Trypsin Inhibitor Unit (TIU) will decrease the activity of 2 trypsin units by 50%, where one trypsin unit will hydrolyze 1.0 µmole of N-α-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 equals ~1,300 KIU.

Available form:

Aprotinin lyophilized (~6,000 KIU/ mg)

Aprotinin solution (~2,00,000 KIU/ ml)

Solubility:

Soluble in water (> 10 mg./mL.) and in isotonic solutions, practically insoluble in organic solvents.

Stability and Storage:

Aprotinin Lyophilized:Stable for 3 years at 2-8°C in sealed tamper proof containers.

Aprotinin Solution:Stable for 3 years at 25°C in sealed tamper proof containers.

Reference:

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).