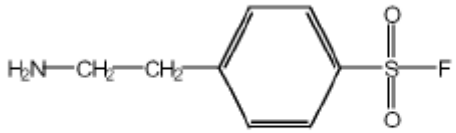
76307 Pefabloc® SC
(4-(2-Aminoethyl)benzenesulfonyl fluoride hydrochloride, AEBSF)

CAS number: 30827-99-7

Product Description:



• HCL

Melting Point: 180-183°C[1,8]
Molecular Formula: $C_8H_{10}N_SO_2F \cdot HCl$
Molecular Weight: 239.69 g/mol

Storage/Solubility/Stability:
Storage Temperature of the powder is 2-8°C. Avoid contamination of the reagents by microorganisms.
Directly soluble in water 200 mg/ml.[9]
Solutions in water are slightly acidic and retain inhibitory activity for up to six months when stored refrigerated. Solutions at pHs above 7 are less stable. [1,2] Stock solutions should be stored at a pH less than 7.
If a final pH of greater than 7 is required, the pH adjustment should be done shortly before use.

Method of Preparation:
Synthetic.

Applications:
Pefabloc SC is an irreversible inhibitor of serine proteases [1,3,4,5,12,15] and is readily soluble in water, can be added directly to an aqueous buffer[1,2,9].
Serine proteases can destroy the proteins you have isolated and/or purified. In the past, PMSF and DFP were used to eliminate this problem. However, both PMSF and DFP are highly toxic substances (PMSF is a neurotoxin, DFP is a cholinesterase inhibitor) and provide uncertain protection for your protein samples due to their very poor stability and solubility in aqueous solutions[1]. The LD50 determined from oral doses in mice for Pefabloc SC is higher than those for DFP and PMSF.[1] Pefabloc SC has been used in cell culture at concentrations up to 0.25 mM.[1] Our recommended working concentration range is 0.1 mM to 1 mM.
Pefabloc SC has been shown to inhibit trypsin[1], chymotrypsin[1], plasmin[1,10], kallikrein [1,5], and thrombin[1,3,4]. Inhibition constants for Pefabloc SC are similar to those of PMSF and DFP.[1]

IC_{50} values: The following table shows IC_{50} values for a selection of enzymes determined at pH 7.0, 25°C after 15 minutes incubation time.

Enzyme	Enzyme conc.	IC_{50} [mM]
Trypsin	0.2 µg/ml	0.081
Chymotrypsin	2.44 µg/ml	0.044
Thrombin	0.079 0.72 µg/ml	p.92
Factor Xa	0.12 U/ml	24.0
Plasmin	4 CTA-U/ml	1.99
tPA	5.0 µg/ml	0.72
uPA	24.0 µg/ml	0.072
Glandular kallikrein	3.5 µg/ml	2.86
Elastase	2.44 µg/ml	0.525
Subtilisin	0.49 µg/ml	1.801
Factor XIIa	0.013 U/ml	0.256

References

1. Mintz, G.R., An irreversible serine protease inhibitor, BioPharm, 6, 34 (1993).
2. Lunn, G. and Sansone, E.B., Appl. Biochem. Biotechnol., 48, 57 (1994).
3. Markwardt, F. et al., Thrombosis Research, 2, 343 (1973).
4. Lawson, W.B. et al., Folia Haematol., Leipzig, 109, 52 (1982).
5. Markwardt, F. et al., Biochem. Pharmac., 23, 2247 (1974).
6. Baker, B.R. and Cory, M., J. Med. Chem. 14, 119 (1971)
7. W.B: Lawson, et al., Folia Haematol. 109, 52 (1982)
8. Sigam-Aldrich Quality Control
9. Pentapharm data sheet
10. Chu T.M., Kawinski E., Plasmin, subtilisin-like endoproteases, tissue plasminogen activator, and urokinase plasminogen activator are involved in activation of latent TGF-_1 in human seminal plasma., Biochem. Biophys. Res. Commun., 253 : 128-34. (1998)
11. Kaino S., Furui T., Hatano S., Kaino M., Okita K., Nakamura K., Two dimensional zymography for analysis of proteolytic enzymes in human pure pancreatic juice., Electrophoresis., 19 : 782-7 (1998)
12. Mackarel A.J., Cottell D.C., Russell K.J., Fitzgerald M.X., O'Connor C.M., Migration of neutrophils across human pulmonary endothelial cells is not blocked by matrix metalloproteinase or serine protease inhibitors., Am. J. Respir. Cell. Mol. Biol., 20: 1209-19 (1999)
13. Ofosu F.A., Freedman J., Dewar L., Song Y., Fenton J.W., A trypsin-like platelet protease propagates protease-activated receptor-1 cleavage and platelet activation., Biochem. J., 336: 283-5 (1998)
14. Salamone P.R., Wodzinski R.J., Production, purification and characterization of a 50-kDa extracellular metalloprotease from Serratia marcescens., Appl. Microbiol. Biotechnol., 48: 317-24 (1997)
15. Winton H.L., Wan H., Cannell M.B., Thompson P.J., Garrod D.R., Stewart G.A., Robinson C., Class specific inhibition of house dust mite proteinases which cleave cell adhesion, induce cell death and which increase the permeability of lung epithelium., Brit. J. Pharmacol. 124: 1048-59 (1998)

Precautions and Disclaimer:
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