interchim

PENTAPHARM

Pefabloc®Try

Description: Pefabloc®Try inhibits trypsin-like serine proteinases rapidly by acylation of the Ser-195 residue at the active site forming a benzoyl-enzyme complex. Since the rate of deacylation is slower than the rate of acylation, it reacts like a temporary inhibitor of serine proteinases.

Application: Pefabloc®Try can be used to suppress undesired activity of trypsin-like serine proteases during their isolation and purification followed by subsequent deacylation. It can be used in research and industrial processes.

Formula: $C_{14}H_{12}O_2N_2 \cdot HCl \cdot H_2O$ **MW:** 294.7

Chem. name: 4-Amidinophenylbenzoate hydrochloride hydrate

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 reagents by micro-organisms. Shipment of product does not require cooling during the time of transportation.

Solubility: Bulk: readily soluble in water (12.5 mg/ml), ethanol (> 50.0 mg/ml > 170 mM), DMSO and dimethylformamide (> 10 mg/ml)
Vials: reconstitute content in water or buffer, not in organic solvents

Assay: Suggested protocol for inhibition of trypsin:

0.050 ml Trypsin from bovine pancreas (47 units/mg, 1 µg/ml in NaCl) 0.200 ml Pefabloc® Try (1.0-100 nM in buffer) => incubate at room temperature for 10 minutes

0.025 ml substrate Pefachrome® tPA (2 mM in water) => incubate at room temperature for 5 minutes

stop reaction by adding 25 µl acetic acid => determination of OD at 405 nm

Inhibitory constants:

The deacylation rate constants k_3 and half-time $t_{½}$ of reactivation of benzoyl-enzymes at pH 7.5 and 37 °C or pH 7.2 and 25 °C (*) are given in the table below:

Enzyme	**k_3 [min $^{-1}$]**	**t ½ [min]**
tPA	0.29	2.4
Trypsin	0.108*	6.4*
uPA	0.087	8.0
Kallikrein	0.042	16.5
Plasmin	0.032	22.0
Batroxobin	0.009	77.0
Thrombin	0.0029*	239.0*

References:

Smith RAG, Dupe RJ, English PD, Green J.
Fibrinolysis with acyl-enzymes: a new approach to thrombolytic therapy.
Nature 1981; 290: 505-8.

Stürzebecher J, Richter M, Markwardt F.
Stable acyl-derivatives of tissue-type plasminogen activator.
Thromb Res 1987; 47: 699-703.

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Package size: Bulk [g] **Code:** 384-01

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