

# Pefabloc<sup>®</sup>tPA/Xa (Pefa-2093)

**Description:** Pefabloc<sup>®</sup>tPA/Xa is a low molecular weight synthetic bis-benzamidine derivative. Bis-benzamidines with a central cycloalkanone ring act reversibly and competitively with trypsin-like serine proteinases.

**Application:** Pefabloc<sup>®</sup>tPA/Xa can be used to exclude undesired activity of different serine proteinases in research and industrial purification processes.

**Formula:** C<sub>23</sub>H<sub>24</sub>ON<sub>4</sub>·2HCl **MW:** 445.4

**Chem. name:** 2,7-Bis(4-Amidinobenzylidene)-cycloheptanone-(1) dihydrochloride

**Solubility:** Bulk: soluble in H<sub>2</sub>O (8 mg/ml), DMSO and in ethanol (2.5 mg/ml)  
Vials: reconstitute content in H<sub>2</sub>O or buffer

**Note:** The solution should be left standing at room temperature for three hours to allow for equilibration of possible cis/trans isomers

**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.

**K<sub>i</sub> constants:** K<sub>i</sub> values for the inhibition of several trypsin-like serine proteinases by Pefabloc<sup>®</sup>tPA/Xa

Enzyme	K <sub>i</sub> [μM]
Trypsin	0.090
Thrombin	0.32
Factor Xa	0.013
Factor XIIa	0.36
Plasmin	6.4
uPA	3.4
sc-tPA	0.38
tc-tPA	0.035
Plasma kallikrein	0.72
Glandular kallikrein	18
Acrosin	0.19
Batroxobin (B. atrox)	12
Batroxobin (B. moojeni)	9.3
PCa	0.11
Tryptase	1.6

**References:** Stürzebecher J, Prasa D, Hauptmann J, Vieweg H, Wikström P. Synthesis and structure-activity relationship of potent thrombin inhibitors: Piperazides of 3-Amidinophenylalanine. J Med Chem 1997; 40: 3091-99.

**Package size:** Bulk [mg] **Code:** 391-03  
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