Patamostat

Cat. No.: HY-114080

CAS No.: 114568-26-2

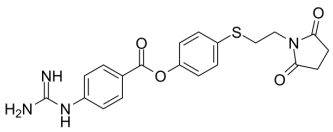
Molecular Formula: $C_{20}H_{20}N_4O_4S$

Molecular Weight: 412.46

Target: Ser/Thr Protease

Pathway: Metabolic Enzyme/Protease

Storage: 4°C, sealed storage, away from moisture and light
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (242.45 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.4245 mL	12.1224 mL	24.2448 mL
	5 mM	0.4849 mL	2.4245 mL	4.8490 mL
	10 mM	0.2424 mL	1.2122 mL	2.4245 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (6.06 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.06 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.06 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Patamostat (E-3123) is a potent protease inhibitor. Patamostat potently inhibits trypsin, plasmin and thrombin with IC_{50}s of 39 nM, 950 nM and 1.9 μM, respectively. Patamostat may possess suppressing effects on pathogenesis and development of acute pancreatitis[1][2].

IC_{50} & Target

IC50: 39 nM (trypsin), 950 nM (plasmin) and 1.9 μM (thrombin)[1]

In Vivo

Patamostat (intravenous infusion) at 0.03-0.3 mg/kg in rats or at 0.3-3.0 mg/kg in rabbits reduces mortality after the induction of pancreatitis in a dose-dependent manner[1].

Patamostat (1.0-3.0 mg/kg; intravenous infusion) reduces the increases of serum trypsin and lipase activities in dogs with pancreatitis[1].

Patamostat (2 mg/kg per h; continuous infusion) improves almost all parameters, including mortality rate, serum and ascitic fluid amylase levels, plasma endotoxin and serum FDP levels, and distribution of lysosomal enzyme in male Wistar rats[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male Wistar rats weighing about 350 g[2]
Dosage:	2 mg/kg
Administration:	Continuous infusion per h for 1 h
Result:	Significantly improved the survival rate.

REFERENCES

[1]. K Miyamoto, et al. [Effects of E-3123, a New Protease Inhibitor, on Several Protease Activities and on Experimental Acute Pancreatitis]. Nihon Yakurigaku Zasshi. 1988 May;91(5):285-93.

[2]. T Hirano, et al. Protective Effect of a Cephalosporin, Shiomarin, Plus a New Potent Protease Inhibitor, E3123, on Rat Taurocholate-Induced Pancreatitis. J Gastroenterol Hepatol. Jan-Feb 1993;8(1):52-9.

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