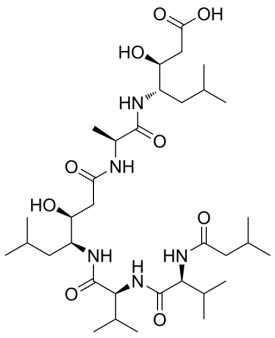
Pepstatin



Cat. No.:	HY-P0018
CAS No.:	26305-03-3
Molecular Formula:	$C_{34}H_{63}N_5O_9$
Molecular Weight:	685.89
Sequence:	IsoValeryl-Val-Val-Sta-Ala-Sta-OH
Sequence Shortening:	IsoVeryl-VV-Sta-A-Sta-OH
Target:	HIV Protease; Autophagy
Pathway:	Anti-infection; Metabolic Enzyme/Protease; Autophagy
Storage:	Sealed storage, away from moisture Powder -80°C 2 years -20°C 1 year * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)

SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 33.33 mg/mL (48.59 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.4580 mL	7.2898 mL	14.5796 mL
	5 mM	0.2916 mL	1.4580 mL	2.9159 mL
	10 mM	0.1458 mL	0.7290 mL	1.4580 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: 2.08 mg/mL (3.03 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (3.03 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.03 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Pepstatin (Pepstatin A) is a specific, orally active aspartic protease inhibitor produced by actinomycetes, with IC_{50}s of 4.5 nM, 6.2 nM, 150 nM, 290 nM, 520 nM and 260 nM for hemoglobin-pepsin, hemoglobin-proctase, casein-pepsin, casein-proctase, casein-acid protease and hemoglobin-acid protease, respectively. Pepstatin also inhibits HIV protease[1][2].

IC_{50} & Target	IC50: 4.5 nM (Hemoglobin-pepsin), 6.2 nM (Hemoglobin-proctase), 150 nM (Casein-pepsin), 260 nM (Hemoglobin-acid protease), 290 nM (Casein-proctase), 520 nM (Casein-acid protease)[1]
In Vitro	Pepstatin (Pepstatin A) (7 μM; 48 h) affects the intracellular processing of HIV-specific gag protein[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Pepstatin (Pepstatin A) has a very low toxicity, with LD_{50}s of 1090 mg/kg, 875 mg/kg, 820 mg/kg and 450 mg/kg for mice, rats, rabbits, and dogs by i.p. route, and > 2000 mg/kg for all species by oral route[1]. ?Pepstatin (0.5-50 mg/kg, p.o.) suppresses stomach ulceration of the pylorus in ligated Shay rats[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Pylorus ligated male Wistar rats[1]
Dosage:	0.5, 1, 10 and 50 mg/kg
Administration:	Oral administration, 15 minutes after pyloric ligation
Result:	Effectively prevented stomach ulceration.

CUSTOMER VALIDATION

- Adv Sci (Weinh). 2022 Oct 10;e2203831.
- Sci Adv. 2022 Nov 11;8(45):eabn6579.
- Environ Sci Technol. 2017 Dec 5;51(23):13938-13948.
- Int J Antimicrob Agents. 2019 Dec;54(6):814-819.
- Cancer Lett. 2022 Mar 9;215629.

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REFERENCES

[1]. Umezawa H, et al. Pepstatin, a new pepsin inhibitor produced by Actinomycetes. J Antibiot (Tokyo). 1970 May;23(5):259-62.

[2]. Seelmeier S, et al. Human immunodeficiency virus has an aspartic-type protease that can be inhibited by pepstatin A. Proc Natl Acad Sci U S A. 1988 Sep;85(18):6612-6.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA