Pepstatin Ammonium

Cat. No.: HY-P0018B

Molecular Formula: $C_{34}H_{66}N_6O_9$

Molecular Weight: 702.92

Target: HIV Protease; Autophagy

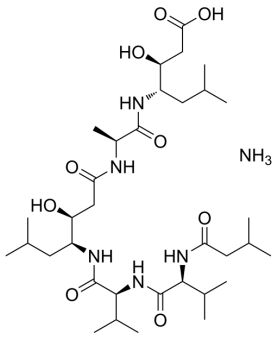
Pathway: Anti-infection; Metabolic Enzyme/Protease; Autophagy

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 : 41.67 mg/mL (59.28 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.4226 mL	7.1132 mL	14.2264 mL
	5 mM	0.2845 mL	1.4226 mL	2.8453 mL
	10 mM	0.1423 mL	0.7113 mL	1.4226 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 (2.96 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.08 mg/mL (2.96 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (2.96 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Pepstatin (Pepstatin A) Ammonium 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 Ammonium 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.
- Carbohydr Polym. 2023 Jul 17, 121208.
- Int J Antimicrob Agents. 2019 Dec;54(6):814-819.

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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