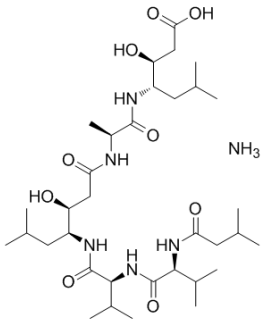
Pepstatin Ammonium

Cat. No.:	HY-P0018B
Molecular Formula:	$C_{34}H_{66}N_6O_9$
Molecular Weight:	702.92
Target:	Proteasome; HIV Protease
Pathway:	Metabolic Enzyme/Protease
Storage:	Powder -20°C 3 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 41.67 mg/mL (59.28 mM; Need ultrasonic)
H_2O : < 0.1 mg/mL (insoluble)

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% corn oil**
   Solubility: ≥ 2.08 mg/mL (2.96 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Pepstatin Ammonium is a specific **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.

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 Ammonium is a specific acid 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[1]. Pepstatin (Pepstatin A) inhibits the recombinant HIV protease with an IC_{50} of 250 μM. Pepstatin shows no effect on cellular protein synthesis and probably does not exert severe cell toxicity[2].
In Vivo	Pepstatin 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. Pepstatin (0.5-50 mg/kg, p.o.) suppresses stomach ulceration of the pylorus in ligated Shay rats[1].

PROTOCOL

Cell Assay [2]	**Pepstatin A is freshly dissolved in DMSO at 7 mM**. It is very slowly diluted (1:100) into the medium of **HIV-infected H9 suspension cultures** so that no pepstatin A precipitated (final concentration, 70 μM pepstatin A and 1% DMSO), and the cultures are incubated without change of culture medium for 48 hr. As control, uninfected H9 cells are also incubated with pepstatin and in addition HIV infected and uninfected cells are incubated with 1% DMSO but without pepstatin[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- **Environ Sci Technol**. 2017 Dec 5;51(23):13938-13948.
- **Int J Antimicrob Agents**. 2019 Aug 31. pii: S0924-8579(19)30241-9.
- **Toxicol Appl Pharmacol**. 2018 Oct 1;356:159-171.
- **Int J Oncol**. 2019 Jul;55(1):331-339.
- **Mol Med Rep**. 2019 Jan;19(1):41-50.

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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