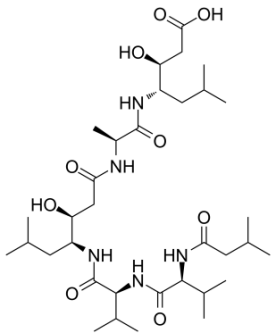
Pepstatin



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:	Proteasome; HIV Protease; Autophagy
Pathway:	Metabolic Enzyme/Protease; Anti-infection; Autophagy
Storage:	Powder -80°C 2 years -20°C 1 year In solvent -80°C 6 months -20°C 1 month

SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (182.24 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / 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 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 (Pepstatin A) 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]. 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. 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.

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 Dec;54(6):814-819.
- Int J Oncol. 2019 Jul;55(1):331-339.
- Toxicol Appl Pharmacol. 2018 Oct 1;356:159-171.
- Biochem Bioph Res Co. 2020 Sep 10;530(1):292-300.

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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.

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