Pepstatin Trifluoroacetate

Cat. No.: HY-P0018A

Molecular Formula: $C_{36}H_{64}F_3N_5O_{11}$

Molecular Weight: 799.92

Sequence: IsoValeryl-Val-Val-Sta-Ala-Sta-OH

Sequence Shortening: IsoVeryl-VV-Sta-A-Sta-OH

Target: HIV Protease; Autophagy

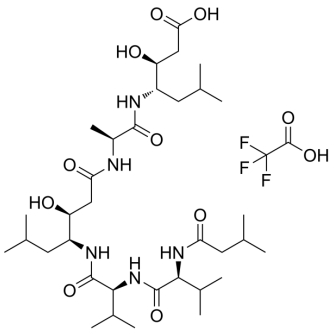
Pathway: Anti-infection; Metabolic Enzyme/Protease; Autophagy

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 : 32 mg/mL (40.00 mM; Need warming)

H_2O : 1.1 mg/mL (1.38 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.2501 mL	6.2506 mL	12.5013 mL
	5 mM	0.2500 mL	1.2501 mL	2.5003 mL
	10 mM	0.1250 mL	0.6251 mL	1.2501 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 (2.60 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.08 mg/mL (2.60 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (2.60 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Pepstatin (Pepstatin A) Trifluoroacetate 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 Trifluoroacetate 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.
- Int J Antimicrob Agents. 2019 Dec;54(6):814-819.
- Sci Adv. 2022 Nov 11;8(45):eabn6579.
- Cell Rep. 2021 Nov 2;37(5):109931.
- Environ Sci Technol. 2017 Dec 5;51(23):13938-13948.

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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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