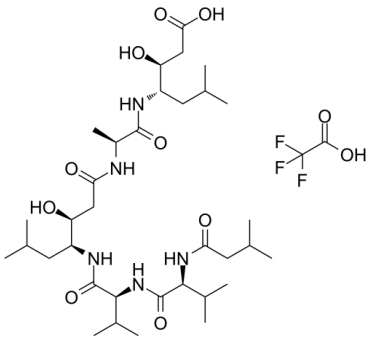
Data Sheet

Product Name:	Pepstatin Trifluoroacetate
Cat. No.:	CS-0021273
Molecular Formula:	C36H64F3N5O11
Molecular Weight:	799.92
Target:	HIV Protease; Proteasome
Pathway:	Metabolic Enzyme/Protease
Solubility:	H_2O : < 0.1 mg/mL;DMSO : 32 mg/mL (warming);H_2O : < 0.1 mg/mL



BIOLOGICAL ACTIVITY:

Pepstatin Trifluoroacetate (Pepstatin A Trifluoroacetate) 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. IC50 & 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 Trifluoroacetate (Pepstatin A Trifluoroacetate) 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 Trifluoroacetate (Pepstatin A Trifluoroacetate) 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 (Extracted from published papers and Only for reference)

Cell Assay: Pepstatin is dissolved in DMSO, and the diluted (1:100) into the medium.[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].

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.

CAIndexNames:

L-Alaninamide, N-(3-methyl-1-oxobutyl)-L-valyl-L-valyl-(3S,4S)-4-amino-3-hydroxy-6-methylheptanoyl-N-[(1S)-1-[(1S)-2-carboxy-1-hydroxyethyl]-3-methylbutyl]-,2,2,2-trifluoroacetate

SMILES:

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