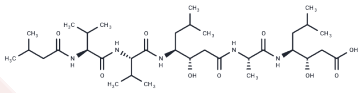
Data Sheet (Cat.No.T3695)

TargetMol

Pepstatin

Chemical Properties



CAS No. : 26305-03-3

Formula: C34H63N5O9

Molecular Weight: 685.89

Appearance: no data available

Storage: keep away from moisture
Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	Pepstatin (Pepsin Inhibitor S 735A) is an aspartate protease inhibitor that also inhibits HIV protease activity with specific and oral activity. Pepstatin inhibits autophagy.
Targets(IC50)	Amino Acids and Derivatives,Proteasome,HIV Protease,Autophagy
In vitro	**METHODS**: F. pedrosoi sclerotic cells were treated with Pepstatin (0.1-20 μM) for 20 h and cell viability was measured by CFU assay. **RESULTS**: Pepstatin was able to block the viability of sclerotic cells in a typical dose-dependent manner. [1] **METHODS**: SV40-transformed skin fibroblasts were treated with Pepstatin (100 μM) for 1 h, then incubated with doxorubicin (1 μM) or TNF-α (50 ng/mL) in 1% FCS for 48 h. Cell viability was measured by MTT assay. **RESULTS**: Cathepsin D activity was strongly inhibited by pretreatment of human cells with 100 μM Pepstatin. Despite this inhibition, cell death induced by doxorubicin or TNF-α in fibroblasts was not prevented by Pepstatin. [2]
In vivo	**METHODS**: To examine the kinetics of inhibition in vivo, pepstatin (25-200 mg/kg) was administered intraperitoneally to CD-1 mice. **RESULTS**: In the liver, significant inhibition of cathepsin D persisted for at least 15 days, whereas in the heart and skeletal muscle this inhibition lasted for a much shorter period. The return of enzyme activity to normal values was dose-dependent, and there were significant differences in the recovery of enzyme activity in these organ tissues at the same dose level, with the liver being the most sensitive. [3]
Cell Research	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 a 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].
Animal Research	To investigate the effect of pepsins on bacterial motility, similar experiments were performed, but the pepsin in the stomach was inactivated by rinsing the stomach with pepstatin (100 μl of a 2-mg/ml stock solution). Samples were taken and analyzed for bacterial motility at the test pH values of 2.0, 3.0, 4.0, 4.5, and 5.0 and at the same periods after application of the bacterial suspension as in the experiments with active pepsins [4].

Solubility Information

Solubility	Ethanol: 1 mg/mL (1.46 mM),Sonication is recommended. H2O: Insoluble, DMSO: 25 mg/mL (36.45 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.458 mL	7.2898 mL	14.5796 mL
5 mM	0.2916 mL	1.458 mL	2.9159 mL
10 mM	0.1458 mL	0.729 mL	1.458 mL
50 mM	0.0292 mL	0.1458 mL	0.2916 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

Palmeira VF, et al. Fonsecaea pedrosoi Sclerotic Cells: Secretion of Aspartic-Type Peptidase and Susceptibility to Peptidase Inhibitors. Front Microbiol. 2018 Jun 29;9:1383.

Jiang T Y, Feng X F, Fang Z, et al. PTEN deficiency facilitates the therapeutic vulnerability to proteasome inhibitor bortezomib in gallbladder cancer. Cancer Letters. 2021, 501: 187-199.

Tardy C, et al. Stress-induced apoptosis is impaired in cells with a lysosomal targeting defect but is not affected in cells synthesizing a catalytically inactive cathepsin D. Cell Death Differ. 2003 Sep;10(9):1090-100.

Leto G, et al. Kinetics of in vivo inhibition of tissue cathepsin D by pepstatin A. Int J Biochem. 1988;20(9):917-20.

Schreiber S, et al. Rapid loss of motility of Helicobacter pylori in the gastric lumen in vivo. Infect Immun. 2005 Mar; 73(3):1584-9.

Jiang T Y, Feng X F, Fang Z, et al. PTEN deficiency facilitates the therapeutic vulnerability to proteasome inhibitor bortezomib in gallbladder cancer[J]. Cancer Letters. 2020