Product Data Sheet

Product Name: Pepstatin A
Cat. No.: GC11974

Chemical Properties

Cas. No.	26305-03-3		
Chemical Name	3-hydroxy-4-[2-[[3-hydroxy-6-methyl-4-[[3-methyl-2-[[3-methyl-2-(3-methylbutanoylamino)butanoyl]amino]butanoyl]amino]heptanoyl]amino]propanoylamino]-6-methylheptanoic acid		
SMILES	CC(C)CC(C(CC(=O)O)O)NC(=O)C(C)NC(=O)CC(C(CC(C)C)NC(=O)C(C(C)C)NC(=O)C(C(C)C)NC(=O)CC(C)C)O		
Formula	$C_{34}H_{63}N_5O_9$	M.Wt	685.9
Solubility	≥ 34.3mg/mL in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		

Caution: Product has not been fully validated for medical applications. For research use only.
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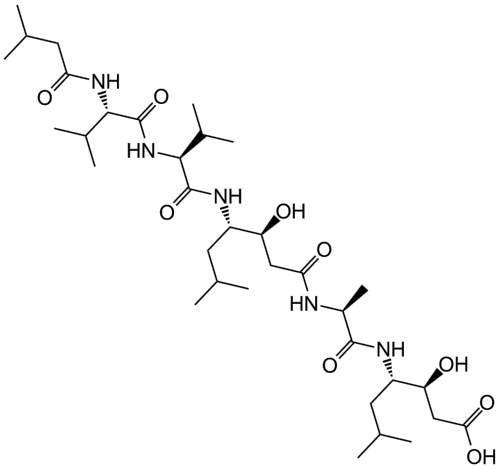
Structure



Protocol

Cell experiment [1]:

Cell lines	Osteoclasts
Preparation Method	Pepstatin A was added at 15-120 μM to the growth medium.
Reaction Conditions	0-120 μM
Applications	Pepstatin A suppressed the formation of TRAP-positive multinuclear cells in a dose-dependent manner.

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Animal experiment [2]:

Animal models	Ligated Shay rats
Dosage form	0.5-50 mg/kg, p.o.
Applications	After using Pepstatin A, free sialic acid and bound sialic acid were decreased in the gastric juice of the Shay rats.

References:

[1]. Yoshida H, Okamoto K, et,al. Pepstatin A, an aspartic proteinase inhibitor, suppresses RANKL-induced osteoclast differentiation. J Biochem. 2006 Mar;139(3):583-90. doi: 10.1093/jb/mvj066. PMID: 16567424.
[2]. Umezawa H, Aoyagi T, et,al. Pepstatin, a new pepsin inhibitor produced by Actinomycetes. J Antibiot (Tokyo). 1970 May;23(5):259-62. doi: 10.7164/antibiotics.23.259. PMID: 4912600.

Background

Pepstatin A is an orally active inhibitor of aspartic proteases, which is produced by actinomycetes. It exhibits inhibitory activity with IC_{50} values of 4.5 nM, 6.2 nM, 150 nM, 290 nM, 520 nM, and 260 nM against hemoglobin-pepsin, hemoglobin-proctase, casein-pepsin, casein-proctase, casein-acid protease, and hemoglobin-acid protease, respectively. Additionally, pepstatin has been found to inhibit HIV protease [1-3].

Pepstatin A(0-120 μM) suppressed receptor activator of NF-κB ligand (RANKL)-induced osteoclast differentiation[4]. Pepstatin A(0.1-0.3 μM) caused a reproducible, concentration-related increase in the extracellular acidification rate in two microglial cell lines, Ra2 and 6-3[5]. Pepstatin A significantly hindered influenza virus replication, probably by modulating host cell autophagic/apoptotic responses[6].

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Pepstatin (0.5-50 mg/kg; p.o.) suppresses stomach ulceration of the pylorus in ligated Shay rats [1].

References:

[1]. Yoshida H, Okamoto K, et,al. Pepstatin A, an aspartic proteinase inhibitor, suppresses RANKL-induced osteoclast differentiation. J Biochem. 2006 Mar;139(3):583-90. doi: 10.1093/jb/mvj066. PMID: 16567424.
[2]. Seelmeier S, Schmidt H, 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. doi: 10.1073/pnas.85.18.6612. PMID: 3045820; PMCID: PMC282027.
[3]. Seelmeier S, Schmidt H, 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. doi: 10.1073/pnas.85.18.6612. PMID: 3045820; PMCID: PMC282027.
[4]. Yoshida H, Okamoto K, et,al.Pepstatin A, an aspartic proteinase inhibitor, suppresses RANKL-induced osteoclast differentiation. J Biochem. 2006 Mar;139(3):583-90. doi: 10.1093/jb/mvj066. PMID: 16567424.
[5]. Okada M, Irie S, S et,al. Pepstatin A induces extracellular acidification distinct from aspartic protease inhibition in microglial cell lines. Glia. 2003 Aug;43(2):167-74. doi: 10.1002/glia.10237. PMID: 12838508.
[6]. Matarrese P, Nencioni L, et,al. Pepstatin A alters host cell autophagic machinery and leads to a decrease in influenza A virus production. J Cell Physiol. 2011 Dec;226(12):3368-77. doi: 10.1002/jcp.22696. PMID: 21344392.

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