

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 C₃₄H₆₃N₅O₉

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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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 IC₅₀ 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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