

Product Data Sheet

Product Name: Bestatin
Cat. No.: GC11780

Chemical Properties

Cas. No. 58970-76-6

Chemical Name (2S)-2-[[[(2S,3R)-3-amino-2-hydroxy-4-phenylbutanoyl]amino]-4-methylpentanoic acid

SMILES CC(C)CC(C(=O)O)NC(=O)C(C(CC1=CC=CC=C1)N)O

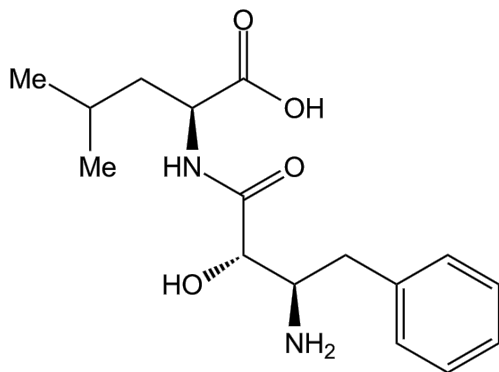
Formula $C_{16}H_{24}N_2O_4$ M.Wt 308.37

Solubility $\geq 12.34\text{mg/mL}$ 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.

Structure



Protocol

Cell experiment [1]:

Cell lines Mammary breast cancer cell lines SKRB3

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

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Preparation Method SKRB3 were cultured in McCoy's 5A supplemented with 10% fetal bovine serum at 37°C in a humidified 5% CO₂ atmosphere. Cells were cultured until they were 70% confluent in 6-well plate, then a wound was drawn by a sterile 200µl pipette tip. Subsequently, cells were cultured in fresh serum-free medium with/without 0.25mM Bestatin for 24h.

Reaction Conditions 0.25mM; 24h

Applications Bestatin can inhibit the migration and proliferation of breast cancer cells.

Animal experiment [2]:

Animal models male and female Balb/c mice

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Preparation Method The mice were immunized intraperitoneally with 0.2ml of 10% SRBC suspension (4×10^8 cells per mouse). The blood was taken from the jugular vein of cross-bred clinically healthy sheep. The sheep blood was collected in sterile manner into Alsever's solution containing glucose (2.05%), sodium citrate (0.8%), sodium chloride (0.42%), and citric acid (0.055%) and kept there at 4°C for at least 3 days. The SRBC suspension was prepared extempore in phosphate-buffered saline (PBS). Bestatin was dissolved in PBS. The agent (doses of 10, 1, and 0.1mg/kg) was injected i.p. to mice, 5 or 10 times at 24h intervals before SRBC immunization. The mice were immunized 24h after the last dose of bestatin.

Anti-SRBC hemagglutinin titre was determined on days 4, 7, and 14 after SRBC immunization. The blood samples were taken from retro-ocular arteria of halothane anesthetized mice. The sera were obtained by blood centrifugation and inactivated at 56°C for 30min. The total and 2-mercaptoethanol-resistant serum agglutination titers were defined by active hemagglutination test carried out on microplates.

Dosage form 0.1 or 1 or 10mg/kg; i.p. ; 5 or 10 times at 24h intervals

Applications Bestatin potentiated the humoral response to sheep erythrocytes in mice, resulting in an increased number of plaque-forming cells and 2-mercaptoethanol-resistant anti-SRBC hemagglutinations.

References:

- [1] MA Y, YANG X, PAN P, et al. Bestatin attenuates breast cancer stemness by targeting puromycin-sensitive aminopeptidase [J]. Discov Oncol, 2024, 15(1): 197.
- [2] LIS M, SZCZYPKA M, SUSZKO A, et al. The effects of bestatin on humoral response to sheep erythrocytes in non-treated and cyclophosphamide-immunocompromised mice [J]. Immunopharmacol Immunotoxicol, 2013, 35(1): 133-8.

Background

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Bestatin is known to be an inhibitor of Aminopeptidase N (APN/CD13), which has the IC₅₀ values of 14.9±3.4μM^[1]. Bestatin can also inhibits aminopeptidase B and LTA4 hydrolase^[2, 3]. Bestatin was shown to enhance antibody-dependent cell mediated cytotoxicity and natural killer cell activity^[4].

Bestatin (10μM; 24h) significantly reduced melflufen (125 or 250nM; 24h) induced cytotoxicity in AMOaBTZ cells^[5]. Bestatin (0.25mM; 24h) can inhibit the migration and proliferation of breast cancer cells^[6].

Bestatin (30mg/kg; i.p. ; 15min) alleviated the acute lung injury in one-lung ventilation model rats^[7]. Bestatin (0.1 or 1 or 10mg/kg; i.p. ; 5 or 10 times at 24h intervals) potentiated the humoral response to sheep erythrocytes (SRBC; i.p.) suspension in mice, resulting in an increased number of plaque-forming cells^[8].

References:

- [1] LUAN Y, MA C, SUI Z, et al. LYP3, a new bestatin derivative for aminopeptidase N inhibition [J]. Med Chem, 2011, 7(1): 32-6.
- [2] JIA M R, WEI T, XU W F. The Analgesic Activity of Bestatin as a Potent APN Inhibitor [J]. Front Neurosci, 2010, 4(50).
- [3] AOZUKA Y, KOIZUMI K, SAITOH Y, et al. Anti-tumor angiogenesis effect of aminopeptidase inhibitor bestatin against B16-BL6 melanoma cells orthotopically implanted into syngeneic mice [J]. Cancer Lett, 2004, 216(1): 35-42.
- [4] SCORNIK O A, BOTBOL V. Bestatin as an experimental tool in mammals [J]. Current drug metabolism, 2001, 2(1): 67-85.
- [5] BYRGAZOV K, BESSE A, KRAUS M, et al. Novel Peptide-drug Conjugate Melflufen Efficiently Eradicates Bortezomib-resistant Multiple Myeloma Cells Including Tumor-initiating Myeloma Progenitor Cells [J]. Hemasphere, 2021, 5(7): e602.
- [6] MA Y, YANG X, PAN P, et al. Bestatin attenuates breast cancer stemness by targeting puromycin-sensitive aminopeptidase [J]. Discov Oncol, 2024, 15(1): 197.
- [7] LUO J, MA Q, TANG H, et al. LTB4 Promotes Acute Lung Injury via Upregulating the PLCepsilon-1/TLR4/NF-kappaB Pathway in One-Lung Ventilation [J]. Dis Markers, 2022, 2022(1839341).
- [8] LIS M, SZCZYPKA M, SUSZKO A, et al. The effects of bestatin on humoral response to sheep erythrocytes in non-treated and cyclophosphamide-immunocompromised mice [J]. Immunopharmacol Immunotoxicol, 2013, 35(1): 133-8.

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