Product Data Sheet

Product Name: Bestatin trifluoroacetate
Cat. No.: GC35499

Chemical Properties

Cas. No.	223763-80-2		
SMILES	FC(F)(C(O)=O)F.CC(C)C[C@@H](C(O)=O)NC([C@@H](O)[C@H](N)CC1=CC=CC=C1)=O		
Formula	$C_{18}H_{25}F_3N_2O_6$	M.Wt	422.4
Solubility	Soluble in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ 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	Bestatin trifluoroacetate		

Protocol

Kinase experiment:	Cells are harvested, washed, and lysed in NP-40 lysis buffer (50 mM Tris-HCl [pH 7.5], 150 mM NaCl, 0.5% NP-40). Total cell protein is quantified using the Bradford assay and 1-mg/mL protein aliquots are made. Ten microliters of total cell protein is mixed with 290 µL of substrate solution (0.1 mg/mL dithiothreitol [DTT], 0.1 mg/mL albumin, and 1 mM alanine-β-naphthylamide). Fluorometric measurements (340 nm excitation, 400 nm emission) are made after 15 and 30 min. The slope of the line between the 15- and 30-min measurements is used to represent aminopeptidase activity. Total cell protein is preincubated with bestatin, amastatin, puromycin, EDTA, and/or ZnCl2 for 20 min before the fluorometric aminopeptidase assay.

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Cell experiment:

Growing cells (1×106 to 2×106 cells/mL) are diluted to 1.0×103 cells/mL and transferred (3 mL) into a well in a 12-well multiwell plate (2.5-cm diameter/well). Cells are treated with 0, 10, 50, 100, 300, or 600 μM Bestatin and allowed to grow at 21°C shaking at 180 rpm for 48 h. A hemocytometer is used to measure cell density after 0, 24, and 48 h.

Animal experiment:

Bestatin is dissolved in PBS. The agent (doses of 10, 1, and 0.1 mg/kg) is injected i.p. to non-cyclophosphamide-treated mice, 5 or 10 times at 24-h intervals before SRBC immunization. The mice are immunized 24 h after the last dose of bestatin. Pharmacological immunosuppression is induced by a single intraperitoneal injection of cyclophosphamide administered at a dose of 350 mg/kg, 12 days before SRBC immunization. Bestatin at the doses of 1 and 0.1 mg/kg is injected to cyclophosphamide-immunosuppressed mice i.p. five times at 48-h intervals or 10 times at 24-h intervals before SRBC immunization. The first dose of bestatin is administered 24 h after cyclophosphamide, while the last dose of the drug is injected 24h before SRBC immunization.

References:

[1]. Hossain A, et al. Protective effects of bestatin in the retina of streptozotocin-induced diabetic mice. Exp Eye Res. 2016 Aug;149:100-6

[2]. Qian X, et al. Inhibition of p38 MAPK

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[3]. Lis M, et al. The effects of bestatin on humoral response to sheep erythrocytes in non-treated and cyclophosphamide-immunocompromised mice. Immunopharmacol Immunotoxicol. 2013 Feb;35(1):133-8
[4]. Poloz Y, et al. Bestatin inhibits cell growth, cell division, and spore cell differentiation in Dictyostelium discoideum. Eukaryot Cell. 2012 Apr;11(4):545-57

Background

Bestatin is an aminopeptidase inhibitor originally isolated from *S. olivoreticuli*.[1] It inhibits aminopeptidase B (IC_{50} = 0.05 ?g/ml), aminopeptidase N (IC_{50} = 16.9 ?M), leucine

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aminopeptidase (IC_{50} = 0.01 ?g/ml), and the aminopeptidase activity of leukotriene A_4 (LTA_4) hydrolase (K_{app} = 172 nM).[1,2,3] It is selective for these aminopeptidases over aminopeptidase A, trypsin, chymotrypsin, elastase, papain, pepsin, and thermolysin.[1] Bestatin inhibits the production of LTB_4 in erythrocytes when used at a concentration of 70 ?M.[3] It increases the expression of Akt, inhibits proliferation, migration, and invasion, and induces autophagy and apoptosis in 5637 bladder cancer cells.[4] Bestatin (5 and 15 mg/kg) decreases serum levels of LTB_4 and reduces tumor growth in a patient-derived xenograft (PDX) mouse model of colorectal cancer.[5]

1.Umezawa, H., Ayoagi, T., Suda, H., et al.Bestatin, an inhibitor of aminopeptidase B, produced by actinomycetesJ. Antibiot. (Tokyo)29(1)97-99(1976) 2.Melzig, M.F., and Bormann, H.Betulinic acid inhibits aminopeptidase N activityPlanta Med.64(7)655-657(1998) 3.?rning, L., Krivi, G., and Fitzpatrick, F.A.Leukotriene A4 hydrolase. Inhibition by bestatin and intrinsic aminopeptidase activity establish its functional resemblance to metallohydrolase enzymesJ. Biol. Chem.266(3)1375-1378(1991) 4.Wang, X., Liu, Y., Liu, W., et al.Ubenimex, an APN inhibitor, could serve as an anti?tumor drug in RT112 and 5637 cells by operating in an Akt?associated mannerMol. Med. Rep.17(3)4531-4539(2018) 5.Zhao, S., Yao, K., Li, D., et al.Inhibition of LTA4H by bestatin in human and mouse colorectal cancerEBioMedicine44361-374(2019)

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