

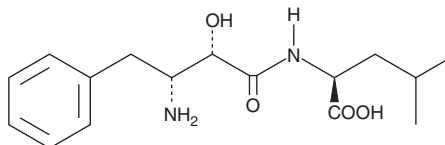
PRODUCT INFORMATION



Bestatin

Item No. 21217

CAS Registry No.: 58970-76-6
Formal Name: N-[(2S,3R)-3-amino-2-hydroxy-1-oxo-4-phenylbutyl]-L-leucine
Synonyms: NK 421, NSC 265489
MF: C₁₆H₂₄N₂O₄
FW: 308.4
Purity: ≥98%
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥2 years
Item Origin: Synthetic



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Bestatin is supplied as a crystalline solid. Aqueous solutions of bestatin can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of bestatin in PBS, pH 7.2, is approximately 0.16 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Bestatin is an aminopeptidase inhibitor originally isolated from *S. olivoreticuli*.¹ It inhibits aminopeptidase B (IC₅₀ = 0.05 µg/ml), aminopeptidase N (IC₅₀ = 16.9 µM), leucine aminopeptidase (IC₅₀ = 0.01 µg/ml), and the aminopeptidase activity of leukotriene A₄ (LTA₄) 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 LTB₄ (Item No. 20110) in erythrocytes when used at a concentration of 70 µM.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 LTB₄ and reduces tumor growth in a patient-derived xenograft (PDX) mouse model of colorectal cancer.⁵

References

1. Umezawa, H., Ayoagi, T., Suda, H., *et al.* Bestatin, an inhibitor of aminopeptidase B, produced by actinomycetes. *J. Antibiot. (Tokyo)* **2991**, 97-99 (1976).
2. Melzig, M.F. and Bormann, H. Betulinic acid inhibits aminopeptidase N activity. *Planta Med.* **64**(7), 655-657 (1998).
3. Örning, L., L., Krivi, G. and Fitzpatrick, F.A. Leukotriene A₄ hydrolase. Inhibition by bestatin and intrinsic aminopeptidase activity establish its functional resemblance to metallohydrolase enzymes. *J. 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 manner. *Mol. 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 cancer. *EBioMedicine* **44**, 361-374 (2019).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the [complete](#) Safety Data Sheet, which has been sent via email to your institution.

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