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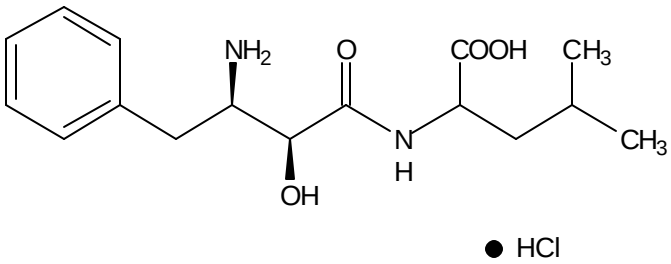
ProductInformation

BESTATIN HYDROCHLORIDE
Product Number **B8385**

CAS #: 65391-42-6
Synonyms: (for free base) Ubenimex; ([S-R*)]-n-3-amino-2-hydroxy-1-oxo-4-phenylbutyl)-L-leucine; [(2S, 3R)-3-amino-2-hydroxy-4-phenylbutanoyl]-L-leucine; NK-421[1]

Product Description



Appearance: White powder
Molecular formula: $C_{16}H_{24}N_2O_4 \cdot HCl$
Molecular weight: 344.8
pK_a values: 8.1 and 3.1
Optical rotation (c = 1.0 in 1 N HCl, free base) = -15.5°
Spectral data (for free base): 241.5, 248, 253, 258, 264.5, 268 nm
$E^{1\%}$ = 3.8, 4.0, 5.0, 6.0, 4.6, 2.7[1]
Full IR and UV spectra are reported.[2]

Originally isolated from streptomyces olivoreticuli, bestatin hydrochloride B8385 is a synthetic dipeptide which was found to have antitumor properties in mice, inhibiting Gardner lymphosarcoma and IMC-carcinoma tumor growth.[3] Umezawa has extensively studies this inhibitor since the late 1970s.[1] Properties (including its binding to cellular surfaces) and use in cancer therapy have been reported.[4,5] A study of structural analogues was reported by Nishizawa and Saio.[6]

Bestatin is a competitive and specific inhibitor of leucine aminopeptidase, aminopeptidase B and triamino peptidase, etc. It inhibits aminopeptidase B at 60 nM (arginine-β-naphthylamide as substrate); leucine aminopeptidase at 20 nM (leucine-beta-naphthylamide as substrate).[7] It showed no inhibition of aminopeptidase A, trypsin, chymotrypsin, elastase, papain, pepsin or themolysin.[2]

Preparation Instructions

Many references cite solubility and stability of the free base,[1,8] but Sigma tests the hydrochloride salt in water at 25 mg/mL, obtaining a clear solution. Stock solutions at 1 mM are expected to be stable at least 1 month if stored at -20°C. Working dilutions in aqueous solution (approximately 1 µM) are reported to be good only for one day. The free base is soluble in DMSO[1]; customers have reported solubility of the hydrochloride salt in DMSO to be similar to that in water.

References

1. Merck Index, 12th ed., 9973 (1996).
2. Umezawa, H., et al., J. Antibiot., 29, 97-99; 100-101, (1976).
3. Umezawa, H., et al., Ann. Rev. Microbiol, 36, 75-99 (1982).
4. Aoyagi , T., et al., Japanese J. Antibiotics, XXX-supp., S121-123 (1977).
5. Umezawa, H., Recent Results Cancer Res., 75, 115-125 (1980).
6. Nishizawa, R. and Saio, T., J. Medicinal Chem., 20, 510 (1977).
7. Aoyagi, T. and Umezawa, H. Acta Biol. Med. Ger., 40, 1523-1529 (1981).
8. Beynon, R.J. and Bond, R.S., Proteolytic Enzymes: A Practical Approach (IRL Press, 1989), p. 247.

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