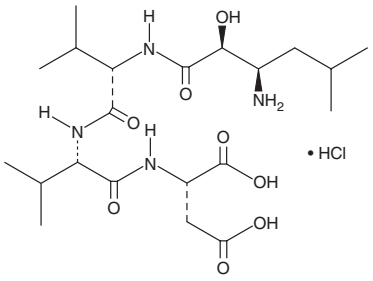
PRODUCT INFORMATION

Amastatin (hydrochloride)

Item No. 16719

CAS Registry No.: 100938-10-1
Formal Name: N-[(2S,3R)-3-amino-2-hydroxy-5-methyl-1-oxohexyl]-L-valyl-L-valyl-L-aspartic acid, monohydrochloride
MF: $C_{21}H_{38}N_4O_8 \bullet HCl$
FW: 511.0
Purity: ≥95%
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



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

Laboratory Procedures

Amastatin (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the amastatin (hydrochloride) in the solvent of choice, which should be purged with an inert gas. Amastatin (hydrochloride) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of amastatin (hydrochloride) in these solvents is approximately 1, 2, and 10 mg/ml, respectively.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of amastatin (hydrochloride) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of amastatin (hydrochloride) in PBS (pH 7.2) is approximately 5 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Amastatin is a slow, tight binding, competitive aminopeptidase (AP) inhibitor, first described as an inhibitor of human serum AP-A (glutamyl AP; IC_{50} = 0.54 µg/ml) but not of AP-B (arginine AP).[1,2] It also inhibits AP-N (AP-M, alanyl AP; K_i = 20-200 nM), leucyl-cystinyl AP (K_i = 20-220 nM), and endoplasmic reticulum AP 1 (K_i = 41.8 µM).[3-6] Amastatin is without effect on trypsin, papain, chymotrypsin, elastase, pepsin, or thermolysin.[1]

References

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2. Wilkes, S.H. and Prescott, J.M. The slow, tight binding of bestatin and amastatin to aminopeptidases. *J. Biol. Chem.* **260(24)**, 13154-13162 (1985).
3. Rich, D.H., Moon, B.J., and Harbeson, S. Inhibition of aminopeptidases by amastatin and bestatin derivatives. Effect of inhibitor structure on slow-binding processes. *J. Med. Chem.* **27(4)**, 417-422 (1984).
4. Harbeson, S.L. and Rich, D.H. Inhibition of aminopeptidases by peptides containing ketomethylene and hydroxyethylene amide bond replacements. *J. Med. Chem.* **32(6)**, 1378-1392 (1989).
5. Grembecka, J., Mucha, A., Cierpicki, T., *et al.* The most potent organophosphorus inhibitors of leucine aminopeptidase. Structure-based design, chemistry, and activity. *J. Med. Chem.* **46(13)**, 2641-2655 (2003).
6. Goto, Y., Tanji, H., Hattori, A., *et al.* Glutamine-181 is crucial in the enzymatic activity and substrate specificity of human endoplasmic-reticulum aminopeptidase-1. *Biochem. J.* **416(1)**, 109-116 (2008).

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