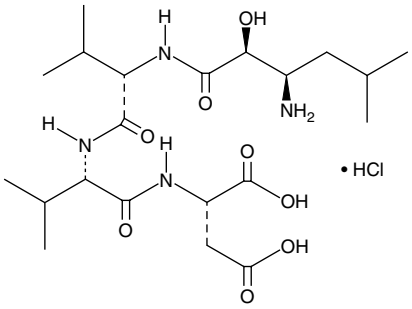
Product Information

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: $C_{21}H_{38}N_4O_8 \cdot HCl$
FW: 511.0
Purity: ≥95%
Stability: ≥2 years at -20°C
Supplied as: A crystalline solid



Laboratory Procedures

For long term storage, we suggest that amastatin (hydrochloride) be stored as supplied at -20°C. It should be stable for at least two years.

Amastatin (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the amastatin (hydrochloride) in the solvent of choice. Amastatin (hydrochloride) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide, which should be purged with an inert gas. 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.

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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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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