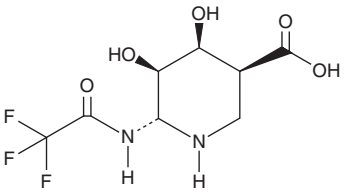
PRODUCT INFORMATION

Heparastatin

Item No. 32899

CAS Registry No.: 153758-25-9
Formal Name: 4S,5R-dihydroxy-6R-[(2,2,2-trifluoroacetyl) amino]-3S-piperidinecarboxylic acid
Synonym: SF4
MF: $C_8H_{11}F_3N_2O_5$
FW: 272.2
Purity: ≥95%
Supplied as: A powder
Storage: -20°C
Stability: ≥4 years



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

Laboratory Procedures

Heparastatin is supplied as a powder. A stock solution may be made by dissolving the heparastatin in the solvent of choice. Heparastatin is soluble in organic solvents such as methanol and DMSO, which should be purged with an inert gas. Heparastatin is also soluble in water. We do not recommend storing the aqueous solution for more than one day.

Description

Heparastatin is an inhibitor of heparanase, an enzyme that cleaves heparan sulfate into glucuronic acid (GlcUA) and N-acetylglucosamine (GlcNAc).[1] It inhibits heparanase in A375-M human melanoma cells expressing the recombinant human enzyme (IC_{50} = 10.55 µM). Heparastatin also inhibits bovine liver β-glucuronidase and almond β-glucosidase (IC_{50}s = 0.31 and 11 µM, respectively). It reduces air pouch neutrophil and monocyte infiltration and levels of chemokine (C-C motif) ligand 2 (CCL2) in a mouse model of dorsal air pouch inflammation induced by carrageenan.[2] Heparastatin (100 mg/kg once per day) inhibits metastasis by 57.1% in a murine Lewis lung carcinoma model.[3]

References

1. Nishimura, Y., Shitara, E., Adachi, H., *et al.* Flexible synthesis and biological activity of uronic acid-type gem-diamine 1-N-iminosugars: A new family of glycosidase inhibitors. *J. Org. Chem.* **65(1)**, 2-11 (2000).
2. Sue, M., Higashi, N., Shida, H., *et al.* An iminosugar-based heparanase inhibitor heparastatin (SF4) suppresses infiltration of neutrophils and monocytes into inflamed dorsal air pouches. *Int. Immunopharmacol.* **35**, 15-21 (2016).
3. Nishimura, Y., Satoh, T., Kondo, S., *et al.* Effect on spontaneous metastasis of mouse Lewis lung carcinoma by a trifluoroacetamide analogue of siastatin B. *J. Antibiot. (Tokyo)* **47(7)**, 840-842 (1994).

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