Product Data Sheet

Product Name: Heparastatin
Cat. No.: GC31531

Chemical Properties

Cas. No.	153758-25-9		
SMILES	O=C([C@H]1CN[C@H](NC(C(F)(F)F)=O)[C@@H](O)[C@H]1O)O		
Formula	$C_8H_{11}F_3N_2O_5$	M.Wt	272.18
Solubility	Soluble in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	Heparastatin		

Protocol

Cell experiment:

Influence of a heparanase inhibitor on TGF-β3-induced EMT of NMuMG cells. NMuMG cells are cultured with 1 ng/mL TGF-β3 together with 10 or 100 μM Heparastatin (SF4) for 48 h[2].

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References:

[1]. Sue M, et al. An iminosugar-based heparanase inhibitor heparastatin (SF4) suppresses infiltration of neutrophils and monocytes into inflamed dorsal air pouches. Int Immunopharmacol. 2016 Jun;35:15-21.
[2]. Yusuke Kogane, et al. Heparanase Downmodulation in the Process of Epithelial-to-Mesenchymal Transition of Mouse Mammary Epithelial Cells. Kogane et al., J Glycomics Lipidomics 2013, 3:1.

Background

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 ?M). Heparastatin also inhibits bovine liver β-glucuronidase and almond β-glucosidase (IC_{50}s = 0.31 and 11 ?M, 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]

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 inhibitorsJ. 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 pouchesInt. Immunopharmacol.3515-21(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 BJ. Antibiot. (Tokyo)47(7)840-842(1994)

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