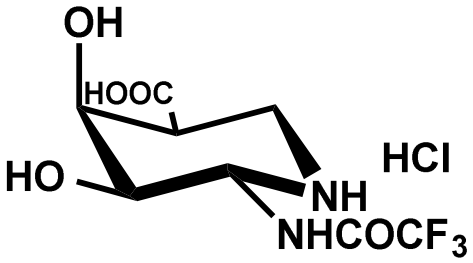
PRODUCT DATA SHEET

Heparastatin (SF4) Hydrochloride

(Inhibitor for heparanase)



Synonyms: SF4

Specifications

CAS# : 153758-25-9
Molecular Formula : $C_8H_{11}F_3N_2O_5$.HCl
Molecular Weight : 308.64 (hydrochloride)
Source :
Appearance : white powder
Purity : >95%
Long Term Storage : at -20℃
Solubility : Soluble in MeOH, DMSO, H_2O
Insoluble in $CHCl_3$

Application Notes

Heparastatin (SF4) inhibits recombinant human heparanase from human melanoma A375M cells transfected with pBK-CMV expression vectors containing the heparanase cDNA with IC_{50} 1.02μM[3]. Heparastatin (SF4) inhibits β-D-glucuronidase from bovine liver with IC_{50} 6.5 x 10^{-2}μM[3]. Heparastatin (SF4) (100μM) completely inhibits the enzyme activity of recombinant heparanase of murine mammary epitherial cells (NMuMG) transefected with a mouse heparanase expression vector pcDNA3.1(-)-Hygro-Hep at 0.15μg/mL in a *in vitro* HS degradation assay[6]. Heparastatin (SF4) inhibits heparan sulfate (HS) chain degradation of HSPGs of Matrigel by heparanase of the LPS-treated microglial lysates from the forebrain cells of Wistar rats and the *in vitro* transmigration of microglia through the Matrigel-coated insert in a dose-dependent manner[5]. Heparastatin (SF4) markedly inhibits degradation of HS by heparanase in the nucleus translocated from the cytoplasm of the calcium-induced human esophageal keratinocyte cells and keratinocyte differentiation at 100μM[4]. Heparastatin (SF4) markedly inhibits in a dose-dependent manner experimentally induced pulmonary metastasis of the B16BL6 in mice. Inhibitory ratio by *ex vivo* treatment with 50 μg/mL of Heparastatin (SF4) is 90.8%[1]. Heparastatin (SF4) shows 57% inhibition of lung metastasis of 3LL cells by s.c. inoculation in mice with i.v. administration of 100mg/kg/day for 5 days[1].

Specifications

1) Effect on spontaneous metastasis of mouse Lewis lung carcinoma by a trifluoroacetamide analogue of siastatin B. Nishimura Y, Satoh T, Kondo S, Takeuchi T, Azetaka M, Fukuyasu H, Iizuka Y, Shibahara S, *J. Antibiotics*, 1994, 47, 840-842.
2) A practical synthesis of (3*S*,4*S*,5*R*,6*R*)-4,5-dihydroxy-6-(trifluoroacetamido)piperidine
-3-carboxylic acid having antimetastatic activity in mice from siastatin B. Satoh T., Nishimura Y., Kondo S., Takeuchi T. *Carbohyd. Res.*, 1996,286, 173-178
3) Flexible synthesis and biological activity of uronic acid-type gem-diamine 1-N-iminosugars: a new family of glycosidase inhibitors. Nishimura Y, Shitara E, Adachi H, Toyoshima M, Nakajima M, Okami Y, Takeuchi T *J. Org. Chem*., 2000, 65, 2-11
4) Heparanase regulates esophageal keratinocyte differentiation through nuclear translocation and heparin sulfate cleavage. Kobayashi M., Naomoto Y., Nobuhisa T., Okawa T., Takaoka M., Shirakawa Y., Yamatsuji T., Matsuoka J., Mizushima T., Matsuura H., Nakajima M., Nakagawa H., Rustgi A., Tanaka N. *Differentiation*, 2006, 74, 235-243
5) Involvement of heparanase in migration of microglial cells. Takahashi H., Matsumoto H., Smirkin A., Itai T., Nishimura Y., Tanaka J., *Biochim. Biophys. Acta*., 2008, 1780, 709-715
6) Heparanase downmodulation in the process of epithelial-to-mesenchymal transition of mouse mammary epithelial cells. Kogane Y., Higashi N., Nishimura Y., Nakajima M., T.Irimura T., *J. Glycomics Lipdomics,* 2013 (online publication), 3 (1), 1000107

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