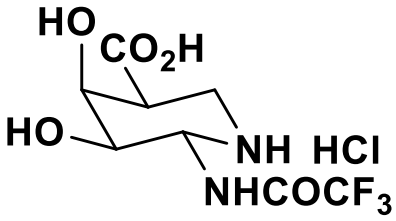
PRODUCT DATA SHEET

Date: Aug. 16, 2022

Heparastatin (SF4) Hydrochloride (Inhibitor for heparanase)



Synonyms: SF4

Specifications

Code No.	: 11829
CAS#	: 153758-26-0 (hydrochloride salt)
Parent CAS#	: 153758-25-9 (salt free form)
Molecular Formula	: $C_8H_{11}F_3N_2O_5$ HCl
Molecular Weight	: 308.638
Source	: Chemically synthesized from natural siastatin B
Supplied as	: Powder, hydrochloride salt
Purity	: >95%
Long Term Storage	: at -20 °C
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} 1.02 μM[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 0.15 μg/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 100 μM[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 100 mg/kg/day for 5 days[1].

References

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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, *et al*. *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, *et al*. *J Org Chem*. 2000 **65**(1) 2-11.
4) Heparanase regulates esophageal keratinocyte differentiation through nuclear translocation and heparin sulfate cleavage. Kobayashi M, *et al*. *Differentiation* 2006 **74**(5) 235-243.
5) Involvement of heparanase in migration of microglial cells. Takahashi H, *et al*. *Biochim Biophys Acta*. 2008 **1780**(4) 709-715.
6) Heparanase downmodulation in the process of epithelial-to-mesenchymal transition of mouse mammary epithelial cells. Kogane Y, *et al. J Glycomics Lipdomics* 2013 **3**(1) 107.