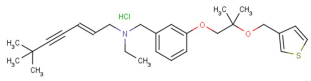
Data Sheet (Cat.No.T11320)

FR194738

Chemical Properties



CAS No.:	204067-52-7
Formula:	C27H38ClNO2S
Molecular Weight:	476.11
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	FR194738 inhibits squalene epoxidase activity in HepG2 cell homogenates with an IC50 of 9.8 nM. FR194738 is a squalene epoxidase inhibitor.
Targets(IC_{50})	squalene epoxidase, in HepG2 cell homogenates: 9.8 nM
In vitro	FR194738 potently inhibits squalene epoxidase (SE) in HepG2 cell homogenate and liver microsomes in dogs and rats. The inhibitory effect of FR194738 in comparison to the HMG-CoA reductase inhibitors, Simvastatin, Fluvastatin and Pravastatin, on cholesterol biosynthesis in HepG2 cells is examined. Among these compounds, FR194738 is the most potent, with an IC50 of 2.1 nM. The IC50s of Simvastatin, Fluvastatin and Pravastatin are 40, 28 and 5100 nM, respectively. FR194738 inhibits hamster liver microsomal squalene epoxidase activity in a concentration-dependent manner with an IC50 of 14 nM.In intact HepG2 cells<, FR194738 concentration-dependently inhibits the incorporation of [14C]acetate into free cholesterol and cholesteryl ester, with IC50s of 4.9 and 8.0 nM, respectively. FR194738 induces intracellular [14C]squalene accumulation. FR194738 increases the incorporation of [14C]acetate into squalene, an intermediate of cholesterol synthesis.
In vivo	Serum lipid levels in hamsters after daily administration of FR194738 and Pravastatin for 10 d are measured. FR194738 reduces the serum levels of total, non high density lipoprotein (HDL) and HDL cholesterol, and triglyceride. Treatment of hamsters with FR194738 increases HMG-CoA reductase activity by 1.3-fold at 32 mg/kg compared to the control group and does not significantly change that at 100 mg/kg.

Solubility Information

Solubility	< 1 mg/ml refers to the product slightly soluble or insoluble

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.1 mL	10.502 mL	21.004 mL
5 mM	0.42 mL	2.1 mL	4.201 mL
10 mM	0.21 mL	1.05 mL	2.1 mL
50 mM	0.042 mL	0.21 mL	0.42 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

1. Sawada M, et al. Effect of FR194738, a potent inhibitor of squalene epoxidase, on cholesterol metabolism in HepG2 cells. Eur J Pharmacol. 2001 Nov 9;431(1):11-6.
2. Sawada M, et al. Synthesis and biological activity of a novel squalene epoxidase inhibitor, FR194738. Bioorg Med Chem Lett. 2004 Feb 9;14(3):633-7.
3. Sawada M, et al. Inhibition of cholesterol synthesis causes both hypercholesterolemia and hypocholesterolemia in hamsters. Biol Pharm Bull. 2002 Dec;25(12):1577-82.

Tel:781-999-4286 E-mail:info@targetmol.com Address:36 Washington Street,Wellesley Hills,MA 02481