Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

FR194738 free base

Catalog No: tcsc0018455

Available Sizes

Size: 1mg

Size: 5mg

Size: 10mg

Specifications

CAS No:
204067-45-8

Formula:
$C_{27}H_{37}NO_2S$

Pathway:
Others

Target:
Others

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Observed Molecular Weight:
439.65

Product Description

FR194738 free base is a **squalene epoxidase** inhibitor. FR194738 inhibits squalene epoxidase activity in HepG2 cell homogenates with an IC_{50} of 9.8 nM.

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IC50 & Target: IC50: 9.8 nM (squalene epoxidase, in HepG2 cell homogenates)[1]

In Vitro: In intact HepG2 cells, FR194738 concentration-dependently inhibits the incorporation of [^{14}C]acetate into free cholesterol and cholesteryl ester, with IC_{50}s of 4.9 and 8.0 nM, respectively. FR194738 induces intracellular [^{14}C]squalene accumulation. FR194738 increases the incorporation of [^{14}C]acetate into squalene, an intermediate of cholesterol synthesis[1]. 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 IC_{50} of 2.1 nM. The IC_{50}s of Simvastatin, Fluvastatin and Pravastatin are 40, 28 and 5100 nM, respectively[2]. FR194738 inhibits hamster liver microsomal squalene epoxidase activity in a concentration-dependent manner with an IC_{50} of 14 nM[3].

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[3].