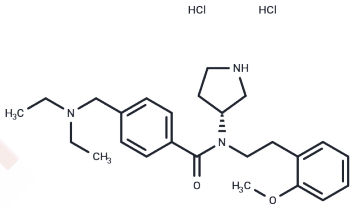
Data Sheet (Cat.No.T12437)

TargetMol

PF429242 dihydrochloride

Chemical Properties



CAS No. : 2248666-66-0

Formula: C25H37Cl2N3O2

Molecular Weight: 482.49

Storage: Pure form: -20°C for 3 years | In solvent: -80°C for 1 year

Actual storage temperature shall be subject to the COA.

Biological Description

Description	PF429242 dihydrochloride is a reversible and competitive inhibitor of S1P, with an IC50 of 175 nM.
Targets(IC50)	Fatty Acid Synthase,S1P Receptor,Virus Protease
In vitro	10 μM PF-429242 down-regulates the signal from an SRE-luciferase reporter gene in human embryonic kidney 293 cells and the expression of endogenous SREBP target genes in cultured HepG2 cells. In HepG2 cells, PF-429242 inhibits cholesterol synthesis, with an IC50 of 0.5 μM[1]. PF-429242 treatment also shows suppressive effects on DENV2 yields in the cultured fluids of human-derived HEK-293, Hep G2, and non-human-primate derived LLC-MK2 cells[2]. PF-429242 has potent antiviral against LCMV and LASV [3].
In vivo	PF-429242 inhibits the expression of hepatic SREBP target genes, and the hepatic rates of cholesterol and fatty acid synthesis are reduced In mice[1].

Solubility Information

Solubility	H2O: 50 mg/mL (103.63 mM),Sonication is recommended. DMSO: 83.3 mg/mL (172.65 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 3.3 mg/mL (6.84 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.0726 mL	10.3629 mL	20.7258 mL
5 mM	0.4145 mL	2.0726 mL	4.1452 mL
10 mM	0.2073 mL	1.0363 mL	2.0726 mL
50 mM	0.0415 mL	0.2073 mL	0.4145 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Hawkins JL, et al. Pharmacologic inhibition of site 1 protease activity inhibits sterol regulatory element-binding protein processing and reduces lipogenic enzyme gene expression and lipid synthesis in cultured cells and experimental animals. J Pharmacol Exp Ther. 2008 Sep;326(3):801-8.
Uchida L, et al. Suppressive Effects of the Site 1 Protease (S1P) Inhibitor, PF-429242, on Dengue Virus Propagation. Viruses. 2016 Feb 10;8(2). pii: E46. doi: 10.3390/v8020046.
Urata S, et al. Antiviral activity of a small-molecule inhibitor of arenavirus glycoprotein processing by the cellular site 1 protease. J Virol. 2011 Jan;85(2):795-803.