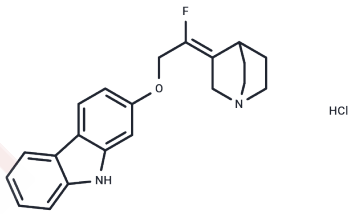
Data Sheet (Cat.No.T26345)

TargetMol

YM-53601

Chemical Properties



CAS No. : 182959-33-7

Formula: C21H22ClFN2O

Molecular Weight: 372.86

Storage: Keep away from moisture
Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	YM-53601 is a potent squalene synthase (SQS) inhibitor that inhibits adipogenic biosynthesis and lipid secretion in rodents.YM-53601 is a cholesterol-lowering agent that inhibits farnesyl diphosphate farnesyltransferase 1 (FDFT1).YM-53601 exhibits potential antiviral activity and enhances adriamycin-mediated HCC arrest and cell death in vivo. MJN228 is a lipid-based enzyme.
Targets(IC50)	HCV Protease,PKC,Transferase
In vitro	YM-53601 inhibited squalene synthase activity in a variety of animal and human cell lines, with IC50s of 90, 170, 46, 45, and 79 nM in liver microsomes of rat, hamster, guinea pig, rhesus monkey, and human HepG2 cells, respectively. [1] YM-53601 inhibited the conversion of [3H] farnesyl diphosphate to [3H] squalene by squalene synthase in hamster liver with an IC50 of 170 nM. [2] In H35 cells, 1 μM M-53601 enhanced sensitivity to toxic carotenoids, lonidamine and adriamycin, and reduced mitochondrial cholesterol levels in H35 and HepG2 cells. [4]
In vivo	YM-53601 inhibited cholesterol biosynthesis in rats at an ED50 of 32 mg/kg . [1] In addition, in a hamster model, YM-53601 reduced plasma non-HDL cholesterol levels by approximately 70% when administered orally at 50 mg/kg/day for 5 days. [2] YM-53601 also enhanced doxorubicin-mediated inhibition of hepatocellular carcinoma (HCC) cell proliferation and cell death in vivo. [4]

Solubility Information

Solubility	DMSO: 80 mg/mL (214.56 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 (8.85 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	2.682 mL	13.4099 mL	26.8197 mL
5 mM	0.5364 mL	2.682 mL	5.3639 mL
10 mM	0.2682 mL	1.341 mL	2.682 mL
50 mM	0.0536 mL	0.2682 mL	0.5364 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

Ugawa T, et al. YM-53601, a novel squalene synthase inhibitor, reduces plasma cholesterol and triglyceride levels in several animal species. Br J Pharmacol. 2000 Sep;131(1):63-70.
Ishihara T, et al. Syntheses of 3-ethylidenequinuclidine derivatives as squalene synthase inhibitors. Part 2: enzyme inhibition and effects on plasma lipid levels. Bioorg Med Chem. 2003 Aug 15;11(17):3735-45.
Park EM, et al. Farnesyl-diphosphate farnesyltransferase 1 regulates hepatitis C virus propagation. FEBS Lett. 2014 May 2;588(9):1813-20.
Montero J, et al. Mitochondrial cholesterol contributes to chemotherapy resistance in hepatocellular carcinoma. Cancer Res. 2008 Jul 1;68(13):5246-56.
Alarcon VB, et al. Statins inhibit blastocyst formation by preventing geranylgeranylation. Mol Hum Reprod. 2016 May;22(5):350-63.