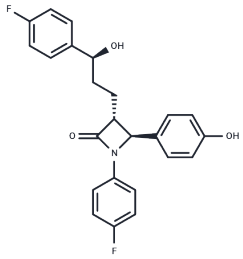
Data Sheet (Cat.No.T1593)

TargetMol

Ezetimibe

Chemical Properties



CAS No. : 163222-33-1

Formula: C24H21F2NO3

Molecular Weight: 409.43

Storage: 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	Ezetimibe (SCH 58235) is a dietary cholesterol absorption inhibitor that exerts its physiologic effect by decreasing cholesterol absorption.
Targets(IC50)	Nrf2,NPC1L1,Autophagy
In vitro	Ezetimibe effectively inhibits the transport of cholesterol across the intestinal wall, thereby reducing plasma cholesterol in preclinical animal models of hypercholesterolemia. It eliminates exocrine pancreatic function in rats while maintaining bile flow. In hamsters fed with cholesterol, ezetimibe reduces plasma cholesterol and hepatic cholesterol accumulation, with an effective dose (ED50) of 0.04 mg/kg. It also decreases the surface area of aortic atherosclerotic lesions, from 20.2% in the control group to 4.1% in the group on a Western diet and 7.0% in mice on a low-fat cholesterol diet. Furthermore, ezetimibe reduces the cross-sectional area of carotid atherosclerotic lesions by 97% in the Western low-fat cholesterol diet group and by 91% in cholesterol-free mice.
In vivo	Ezetimibe significantly reduces the expression of mRNA for scavenger receptor class B type I (SR-BI), Niemann-Pick C1-like 1 protein (NPC1L1), ATP-binding cassette transporters, sub-family A member (ABCA), the β subunit of liver X receptor (LXRβ), retinoid X receptor γ (RXRγ), and steroid regulatory element-binding proteins 1 and 2 (SREBP-1 and -2). Ezetimibe notably decreases total cholesterol, low-density lipoprotein (LDL) cholesterol, and triglycerides, while moderately increasing high-density lipoprotein (HDL) cholesterol levels. In Caco-2 cells, Ezetimibe reduces cholesterol transport by 31% without affecting retinol transport.
Kinase Assay	GST-p62 is prepared from Escherichia coli and 0.5 μg of the purified GST-p62 protein is used for in vitro AMPK phosphorylation assay. Phosphorylation of p62 protein by AMPK is determined by non-radioisotope method using γS-ATP. AMPK complex is immuno-purified from the HEK293 cells, to which either myc-AMPKα1 wild-type (WT) or myc-AMPKα1 kinase-dead mutant (KD, D157A) is transfected with Flag-AMPKβ1 and HA-AMPKγ1. AMPK complex is added into the reaction mixture containing 20 mM HEPES, pH7.4, 1 mM EGTA, 0.4 mM EDTA, 5 mM MgCl2, 0.05 mM DTT, 0.5 μg GST-p62, 0.2 mM AMP, and 1 mM ATPγS. Reaction is carried out at 37°C for 30 min, and then terminated by adding 20 mM EDTA. To detect γS-labeled p62 protein, the reaction product is alkylated with 2.5 mM PNBM for 2 h at room temperature and analyzed the products by western blotting using anti-thiophosphate antibody[1].

Cell Research	Ezetimibe is dissolved in DMSO and stored, and then diluted with appropriate medium before use[2]. Huh7 human hepatocytes are cultured in high glucose DMEM containing 10% FBS, 100 units/mL penicillin and 100 μg/mL streptomycin at 37°C in a 95% air/5% CO2 atmosphere. Hepatocytes are treated with or without Ezetimibe (10 μM, 1 h) and incubated with palmitic acid (PA, 0.5 mM, 24 h)[2].

Solubility Information

Solubility	DMSO: 260 mg/mL (635.03 mM),Sonication is recommended. H2O: < 1 mg/mL (insoluble or slightly soluble), Ethanol: 75 mg/mL (183.18 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Corn Oil: 2.5 mg/mL (6.11 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	2.4424 mL	12.2121 mL	24.4242 mL
5 mM	0.4885 mL	2.4424 mL	4.8848 mL
10 mM	0.2442 mL	1.2212 mL	2.4424 mL
50 mM	0.0488 mL	0.2442 mL	0.4885 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

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