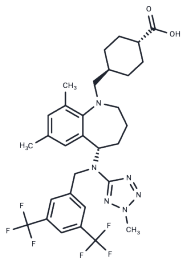
Data Sheet (Cat.No.T6262)

TargetMol

Evacetrapib

Chemical Properties



CAS No. : 1186486-62-3

Formula: C31H36F6N6O2

Molecular Weight: 638.65

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	Evacetrapib (LY2484595) (LY2484595) is a potent and selective inhibitor of CETP with IC50 of 5.5 nM, elevates HDL cholesterol without increases in aldosterone or blood pressure. Phase 3.
Targets(IC50)	CETP
In vitro	Evacetrapib (LY2484595) inhibits human plasma CETP protein with IC50 of 26 nM. Evacetrapib (LY2484595) (< 10 μM) does not induce aldosterone or cortisol synthesis in H295R cells. [1]
In vivo	Evacetrapib (LY2484595) (30 mg/kg, orally) results in 98.4%, 98.6%, and 18.4% inhibition of CETP activity at 4 hours, 8 hours and 24 hours post dose respectively in human ApoAI and CETP double transgenic mice. Evacetrapib (LY2484595) (30 mg/kg) results in 129.7% increase in HDL-C 8 hours after oral administration. The ED50 values of CETP inhibitory activity 8 hours post oral dosing for Evacetrapib (LY2484595) in two dose-response studies are calculated to be 3.5 mg/kg and 4.1 mg/kg respectively. Evacetrapib (LY2484595) (< 200 mg/kg) does not increase blood pressure in Zucker diabetic fatty rats. [1]
Kinase Assay	Human CETP cDNA is amplified from a human liver cDNA library and the sequence is confirmed to be identical to the published sequence. The cDNA is subcloned into a pcDNA3.1 vector, under the control of CMV promoter. A stable line is established in CV1 cells in which the above-mentioned construct is used to express the recombinant human CETP. The medium contained the secreted recombinant CETP protein and the amount (19 ng/μL) is quantified by an ELISA kit. The medium is then aliquoted in 0.2% BSA and stored at -80°C. The stock CETP protein is diluted 150-fold in CETP buffer (10 mM Tris, 150 mM NaCl, and 2 mM EDTA) before use. The assay is set up in a 96-well plate. Each well received 97.5 μL diluted CETP protein (final concentration 7 nM) and 2.5 μL of compound stock. After a 30 min incubation at 37°C, 5 μL of substrate stock (the same stock used in the human plasma CETP assay), 0.16 μL of VLDL stock (2.5 mg/mL, Intracel) and 145 μL of CETP buffer are added, and the incubation is continued for another 4 h. Signal is read for the human plasma CETP assay[1].

Solubility Information

Solubility	DMSO: 13 mg/mL (20.36 mM),Sonication is recommended. H2O: < 1 mg/mL (insoluble or slightly soluble), Ethanol: 11 mg/mL (17.22 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: 2 mg/mL (3.13 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	1.5658 mL	7.829 mL	15.658 mL
5 mM	0.3132 mL	1.5658 mL	3.1316 mL
10 mM	0.1566 mL	0.7829 mL	1.5658 mL
50 mM	0.0313 mL	0.1566 mL	0.3132 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

Cao G, et al. J Lipid Res, 2011, 52(12), 2169-2176.