Dalcetrapib

Cat. No.: HY-14950

CAS No.: 211513-37-0

Molecular Formula: $C_{23}H_{35}NO_2S$

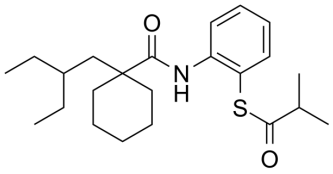
Molecular Weight: 389.59

Target: CETP

Pathway: Metabolic Enzyme/Protease

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 50 mg/mL (128.34 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.5668 mL	12.8340 mL	25.6680 mL
5 mM	0.5134 mL	2.5668 mL	5.1336 mL
10 mM	0.2567 mL	1.2834 mL	2.5668 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (6.42 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (6.42 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.42 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Dalcetrapib (JTT-705) is an orally active cholesteryl ester transfer protein (CETP) inhibitor with IC_{50}s of 204.6 nM and 6 μM against recombinant human (rh) CETP and human plasma CETP, respectively[1][2].

IC_{50} & Target

IC_{50}: 204.6 nM (rhCETP)[1], 6 μM (human plasma CETP)[2]

In Vitro

Dalcetrapib (JTT-705) (0.1-10 μM; 21 h) dose-dependently increases pre-β-HDL formation[1].

	Dalcetrapib (0-30 μM; 24 h) inhibits the CETP activity of media in HepG2 in a dose-dependent manner[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Dalcetrapib (JTT-705) (30 or 100 mg/kg; p.o.; once a day for 3 days) increases plasma HDL cholesterol in rabbits[2]. Dalcetrapib (100 mg/kg; i.g.; twice daily for 7 days) significantly increases fecal elimination of neutral sterols, bile acids, and plasma HDL-cholesterol[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male JW rabbits[2]
Dosage:	30 or 100 mg/kg
Administration:	Oral administration, once a day for 3 days
Result:	Increased plasma HDL cholesterol by 27% and 54% at 30 mg/kg and 100 mg/kg, respectively.

REFERENCES

[1]. Niesor EJ, et al. Modulating cholesteryl ester transfer protein activity maintains efficient pre-β-HDL formation and increases reverse cholesterol transport. J Lipid Res. 2010, 51(12), 3443-3454.

[2]. Shinkai H, et al. J Med Chem. bis(2-(Acylamino)phenyl) disulfides, 2-(acylamino)benzenethiols, and S-(2-(acylamino)phenyl) alkanethioates as novel inhibitors of cholesteryl ester transfer protein. 2000, 43(19), 3566-3572.

[3]. Huang Z, et al. Dual effects on HDL metabolism by cholesteryl ester transfer protein inhibition in HepG2 cells. Am J Physiol Endocrinol Metab. 2003, 284(6), E1210-E1219.

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