Torcetrapib

Cat. No.: HY-12089

CAS No.: 262352-17-0

Molecular Formula: $C_{26}H_{25}F_9N_2O_4$

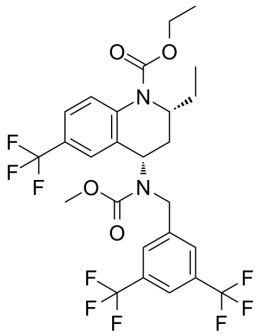
Molecular Weight: 600.47

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 : ≥ 100 mg/mL (166.54 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.6654 mL	8.3268 mL	16.6536 mL
5 mM	0.3331 mL	1.6654 mL	3.3307 mL
10 mM	0.1665 mL	0.8327 mL	1.6654 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (4.16 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.16 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Torcetrapib (CP-529414) is a selective, potent cholesteryl ester transfer protein (CETP) inhibitor. A typical inhibition curve for whole human plasma, having a CETP concentration of 37 nM[1].

In Vitro

The IC_{50} for Torcetrapib determined from the linear portion of the curves (25 to 80 nM) is 52 and 65 nM for the ^{3}H-HDL and ^{14}C-LDL cholesteryl ester transfer assays, respectively, using the specific activity-adjusted calculation, and 47 and 61 nM using a single exponential decay function[1].

Torcetrapib (0, 0.5, 1, 5, and 10 μM) significantly reduced MCF-7 cells growth[2].

Torcetrapib (0, 1, 5, 10, and 20 μM) does not inducing MCF-7 cells apoptosis[2].

Torcetrapib (10 μM) binds to CETP with high affinity and down-regulates CETP expression[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay

Cell Line:	MCF-7 cells
Concentration:	0, 0.5, 1, 5, and 10 μM
Incubation Time:	5 days
Result:	Significantly reduced cell growth.

RT-PCR

Cell Line:	MCF-7 cells
Concentration:	10 μM
Incubation Time:	48 hours
Result:	Down-regulated CETP mRNA expression.

In Vivo

Torcetrapib (3, 10, or 30 mg/kg every day [qd]; oral gavage for 14 days) significantly increases high-density lipoprotein (HDL) cholesterol and reduceslow-density lipoprotein (LDL) cholesterol, and there is a tendency for Torcetrapib to reduce very-low-density lipoprotein (VLDL) cholesterol and triglycerides. Maximal increase in HDL cholesterol is 53% with Torcetrapib[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male Tg (B6; SJL-TgN (CETP)-TgN (ApoB100)) mice at 6 to 7 weeks of age[3]
Dosage:	3, 10, and 30 mg/kg
Administration:	Orally every day for 14 days
Result:	Significantly Increased HDL cholesterol by 27%, 24%, and 53% in the 3, 10, and 30 mg/kg groups compared to baseline, respectively, after 14 days of treatment. Significantly decreased LDL cholesterol by 44% and 35% at 10 and 30 mg/kg compared to baseline, respectively, after 14 days of treatment.

REFERENCES

[1]. Ronald W Clark, et al. Raising high-density lipoprotein in humans through inhibition of cholesteryl ester transfer protein: an initial multidose study of torcetrapib.Arterioscler Thromb Vasc Biol. 2004 Mar;24(3):490-7.

[2]. Luke Esau, et al. Identification of CETP as a molecular target for estrogen positive breast cancer cell death by cholesterol depleting agents. Genes Cancer. 2016 Sep;7(9-10):309-322.

[3]. Michael K Hansen,et al. Selective CETP inhibition and PPARalpha agonism increase HDL cholesterol and reduce LDL cholesterol in human ApoB100/human CETP transgenic mice.J Cardiovasc Pharmacol Ther. 2010 Jun;15(2):196-202.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA