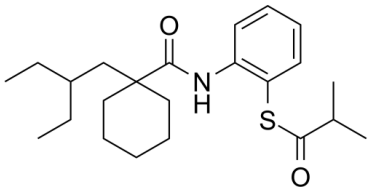
Data Sheet

Product Name:	Dalcetrapib
Cat. No.:	CS-0916
CAS No.:	211513-37-0
Molecular Formula:	C23H35NO2S
Molecular Weight:	389.59
Target:	CETP
Pathway:	Metabolic Enzyme/Protease
Solubility:	DMSO : ≥ 50 mg/mL (128.34 mM); H2O : < 0.1 mg/mL (insoluble)



BIOLOGICAL ACTIVITY:

Dalcetrapib (JTT-705; RO-4607381) is a rhCETP inhibitor with IC50 of 0.2 μM that increases the plasma HDL cholesterol. IC50 value: 0.2 uM [1] Target: CETP in vitro: Dalcetrapib modulates CETP activity. Dalcetrapib induces a conformational change in CETP, when added to human plasma. CETP-induced pre-β-HDL formation in human plasma is unchanged by Dalcetrapib ≤3 μM and increased at 10 μM. Dalcetrapib statistically and significantly increases pre-β-HDL formation [1]. Dalcetrapib achieves 50% inhibition of CETP activity in human plasma at a concentration of 9 μM [2]. Dalcetrapib inhibits the CETP activity of media in HepG2 in a dose-dependent manner [3]. in vivo: Treatment with Dalcetrapib leads to significant increases in HDL-C levels. In hamsters injected with [3H]cholesterol-labeled autologous macrophages Dalcetrapib significantly increases fecal elimination of both [3H]neutral sterols and [3H]bile acids. Dalcetrapib increases plasma HDL-[3H]cholesterol [1]. Dalcetrapib has 95% inhibition of CETP activity in male Japanese white rabbits at an oral dose of 30 mg/kg. Dalcetrapib increases the plasma HDL cholesterol level by 27% and 54%, respectively, when given at oral doses of 30 mg/kg or 100 mg/kg once a day for 3 days to male Japanese white rabbits [2].

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.

CAIndexNames:

Propanethioic acid, 2-methyl-, S-[2-[[[1-(2-ethylbutyl)cyclohexyl]carbonyl]amino]phenyl] ester

SMILES:

CC(C)C(SC1=C(NC(C2(CC(CC)CC)CCCCC2)=O)C=CC=C1)=O

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: 732-484-9848 Fax: 888-484-5008 E-mail: sales@ChemScene.com

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