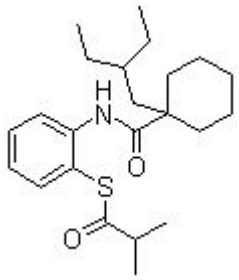
Product Introduction

Dalcetrapib (JTT-705, RO4607381)

Dalcetrapib (JTT-705) is a **rhCETP** inhibitor with **IC50** of 0.2 μM that increases the plasma HDL cholesterol. Phase 3.

Technical Data:

Molecular Weight (MW):	389.59	
Formula:	$C_{23}H_{35}NO_2S$	
Solubility (25°C) * <1 mg/ml means slightly soluble or insoluble:	DMSO 78 mg/mL	
	Water <1 mg/mL	
	Ethanol 78 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	211513-37-0	

Biological Activity

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]

Treatment with Dalcetrapib leads to significant increases in HDL-C levels. In hamsters injected with

[^{3}H]cholesterol-labeled autologous macrophages Dalcetrapib significantly increases fecal elimination of both [^{3}H]neutral sterols and [^{3}H]bile acids. Dalcetrapib increases plasma HDL-[^{3}H]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] Treatment with Dalcetrapib markedly increases serum levels of HDL-C. The ratio of HDL2-C to HDL3-C is significantly higher in Dalcetrapib–treated rabbits than in control rabbits at 5 and 7 months, indicating that the inhibition of CETP activity by Dalcetrapib changes the distribution of HDL subfractions and preferentially increases HDL2-C levels. Dalcetrapib treatment increases serum paraoxonase activity and HDL-associated platelet-activating factor acetylhydrolase activity, but decreases the plasma lysophosphatidylcholine concentration. [4]

References

[1] Niesor EJ, et al. J Lipid Res. 2010, 51(12), 3443-3454.
[2] Shinkai H, et al. J Med Chem. 2000, 43(19), 3566-3572.
[3] Huang Z, et al. Am J Physiol Endocrinol Metab. 2003, 284(6), E1210-E1219.
[4] Zhang B, et al. Arterioscler Thromb Vasc Biol. 2004, 24(10), 1910-1915.
[5] Derks M, et al. Br J Clin Pharmacol. 2010, 70(6), 825-833.

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