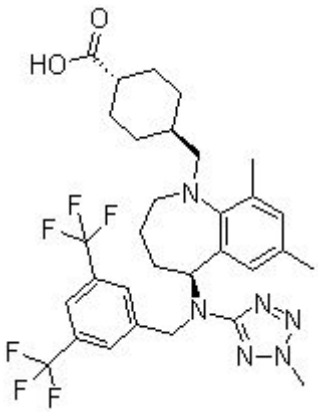
Product Introduction

Evacetrapib (LY2484595)

Evacetrapib (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.

Technical Data:

Molecular Weight (MW):	638.65	
Formula:	$C_{31}H_{36}F_6N_6O_2$	
Solubility (25°C) * <1 mg/ml means slightly soluble or insoluble:	DMSO 12.8 mg/mL	
	Water <1 mg/mL	
	Ethanol 12.8 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	1186486-62-3	

Biological Activity

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]

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]

References

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

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