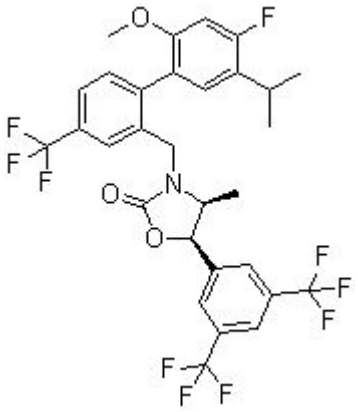
Product Introduction

Anacetrapib (MK-0859)

Anacetrapib (MK0859) is a potent, selective, reversible **rhCETP** and **mutant CETP(C13S)** inhibitor with **IC50** of 7.9 nM and 11.8 nM, increases HDL-C and decreases LDL-C, does not increase aldosterone or blood pressure. Phase 3.

Technical Data:

Molecular Weight (MW):	637.51	
Formula:	$C_{30}H_{25}F_{10}NO_3$	
Solubility (25°C) * <1 mg/ml means slightly soluble or insoluble:	DMSO 127 mg/mL	
	Water <1 mg/mL	
	Ethanol 127 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	875446-37-0	

Biological Activity

Anacetrapib is not only able to increase HDL-cholesterol, but also further decreases LDL-cholesterol when taken in combination with a statin. Anacetrapib dose-dependently and significantly decreases the transfer of CE from HDL3 to HDL2. Anacetrapib doesn't affect the amount of [^{14}C]-dalcetrapibthiol bound to rhCETP. Anacetrapib decreases pre-β-HDL formation by more than 46%. [1] Anacetrapib potently blocks CE and TG transfer in 95% human serum.[2]

Note： Products protected by valid patents are not offered for sale in countries where the sale of such products constitutes a patent infringement and its liability is at buyer's risk. This item is only for R&D purpose not for commercial business in kilos. Buyers should overview the patent issue in their countries.

In a dyslipidemic hamster model, 60 mg/kg/day Anacetrapib for 2 weeks results in a 94% reduction in CETP activity and 47% increase in HDL-cholesterol compared with control animals; non-HDL-cholesterol concentrations are not affected. In addition, Anacetrapib promotes reverse cholesterol transport from macrophages, and leads to a 30% increase in fecal cholesterol content. HDL from Anacetrapib-treated hamsters reveals an increase in SR-B1- and ABCG1-mediated efflux compared with controls. [2] After oral administration of [^{14}C]Anacetrapib at 10 mg/kg, ~80 and 90% of the radioactive dose is recovered over 48 hous postdose from rats and monkeys, respectively. The majority of the administered radioactive dose is excreted unchanged in feces in both species. [3]

References

[1] Niesor EJ, et al. J Lipid Res. 2010, 51(12), 3443-3454.

[2] Ranalletta M, et al. J Lipid Res. 2010, 51(9), 2739-2752.

[3] Tan EY, et al. Drug Metab Dispos. 2010, 38(3), 459-473.

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