Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

Anacetrapib

Catalog No: tcsc0636

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
875446-37-0

Formula:
$C_{30}H_{25}F_{10}NO_3$

Pathway:
Metabolic Enzyme/Protease

Target:
CETP

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Alternative Names:
MK-0859

Observed Molecular Weight:
637.51

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Product Description

Anacetrapib is a potent **CETP** inhibitor, with **IC_{50}**s of 7.9±2.5 nM and 11.8±1.9 nM for rhCETP and C13S CETP mutant, respectively.

IC50 & Target: IC50: 7.9±2.5 nM (rhCETP), 11.8±1.9 nM ($CETP^{C13S}$)[1]

In Vitro: Anacetrapib dose-dependently and significantly decreases the transfer of CE from HDL3 to HDL2 (P14C]Torcetrapib (0.25 μM) binds to immobilized rhCETP by 82% and 60%, respectively. Anacetrapib decreases pre-β-HDL formation by more than 46% (P[1]. A significant reduction of PCSK9 promoter activity by Anacetrapib (ANA) is detected at 3 μM concentration (−22%, p[2].

In Vivo: Hamsters are given Anacetrapib for 7 days before injection of [^{3}H]cholesterol-labeled macrophages (day 0). Treatment with Anacetrapib leads to significant increases in HDL-C levels at day 0. At day 3, [^{3}H]cholesterol radioactivity in the HDL fraction is significantly increased from control values for Anacetrapib[1]. Anacetrapib (ANA) treatment modestly elevates serum total serum cholesterol levels ~10% (p[2]. After an intravenous dose of 0.5 mg/kg, the mean values for systemic plasma clearance, steady-state volume of distribution, and terminal half-life are 2.3 mL/min/kg, 1.1 L/kg, and 12 h, respectively. After oral dosing at 5 mg/kg, the bioavailability of Anacetrapib is 38%. Exposures (AUC) increases in a less than dose-proportional manner from 23 μM•h at 5 mg/kg to 362 μM•h at 500 mg/kg. In this dose range, the peak plasma level (C_{max}) ranges from 5 to 26 μM and the time to reach peak plasma level (T_{max}) ranged from 3 to 4.5 h[3].