

# 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

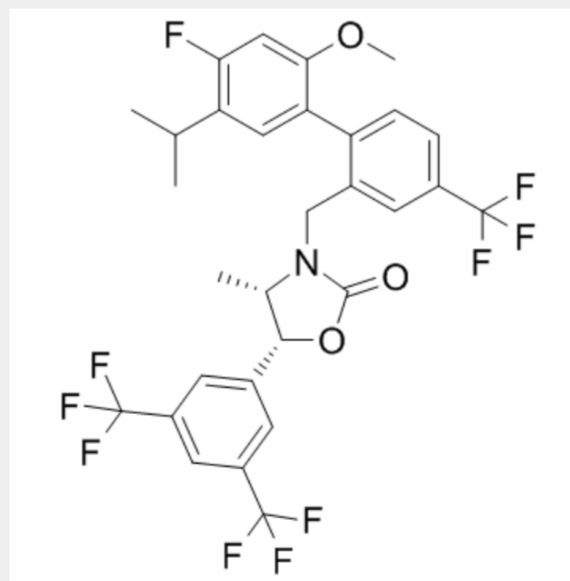
## Product Description

Anacetrapib is a potent **CETP** inhibitor, with **IC<sub>50</sub>**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<sup>C13S</sup>)<sup>[1]</sup>

**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 [<sup>3</sup>H]cholesterol-labeled macrophages (day 0). Treatment with Anacetrapib leads to significant increases in HDL-C levels at day 0. At day 3, [<sup>3</sup>H]cholesterol radioactivity in the HDL fraction is significantly increased from control values for Anacetrapib<sup>[1]</sup>. 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<sub>max</sub>) ranges from 5 to 26 μM and the time to reach peak plasma level (T<sub>max</sub>) ranged from 3 to 4.5 h<sup>[3]</sup>.



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!