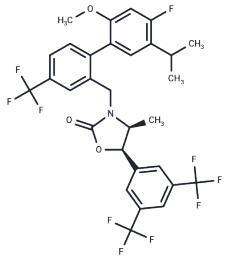
# Data Sheet (Cat.No.T1928)

TargetMol

## Anacetrapib

### Chemical Properties



CAS No. : 875446-37-0

Formula: C30H25F10NO3

Molecular Weight: 637.51

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

### Biological Description

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|---|---|
| Description | Anacetrapib (MK-0859) (MK0859) is an effective, specific, reversible rhCETP and mutant CETP(C13S) inhibitor (IC50: 7.9 nM and 11.8 nM). Anacetrapib reduces the transfer of cholesteryl ester from HDL to LDL and/or VLDL thereby, producing an increase in serum HDL-cholesterol levels and a decrease in serum LDL-cholesterol levels. |
| Targets(IC50) | CETP |
| In vitro | Anacetrapib, in combination with inhibin, increased both HDL-cholesterol levels and decreased LDL-cholesterol levels.Anacetrapib dose-dependently inhibited the conversion of CE from HDL3 to HDL2.Anacetrapib had no effect on the number of [14C]-dalcetrapibthiol bindings to human recombinant CETP.Ki8751 also inhibited PDGFRα, c-Kit and FGFR-2, with higher IC50 values of 40 nM-170 nM. Effect. |
| In vivo | Anacetrapib, in combination with inhibin, increased both HDL-cholesterol levels and decreased LDL-cholesterol levels.Anacetrapib dose-dependently inhibited the conversion of CE from HDL3 to HDL2.Anacetrapib had no effect on the number of [14C]-dalcetrapibthiol bindings to human recombinant CETP.Ki8751 also inhibited PDGFRα, c-Kit and FGFR-2, with higher IC50 values of 40 nM-170 nM. Effect. |
| Kinase Assay | The inhibitory potency (IC50) of Dalcetrapib, Torcetrapib, and Anacetrapib to decrease CE transfer from HDL to LDL by rhCETP and C13S CETP is measured using a scintillation proximity assay kit. Briefly, [3H]CE-labeled HDL donor particles are incubated in the presence of purified CETP proteins (final concentration 0.5 μg/mL) and biotinylated LDL acceptor particles for 3 h at 37°C. Subsequently, streptavidin-coupled polyvinyltoluene beads containing liquid scintillation cocktail binding selectively to biotinylated LDL are added, and the amount of [3H]CE molecules transferred to LDL is measured by β counting[1]. |
| Cell Research | Anacetrapib (ANA) is dissolved in DMSO and diluted with appropriate media[2]. Cells are seeded in a 96 well plate overnight prior to the treatment by different concentrations of CETP inhibitors (e.g., Anacetrapib) for 24 h. Cell viability is measured using the CellTiter-Glo Luminescent Cell Viability Assay kit. Four wells are evaluated under each experimental condition[2]. |

### Solubility Information

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|---|---|
| Solubility | H2O: < 1 mg/mL (insoluble or slightly soluble),<br>DMSO: 50 mg/mL (78.43 mM),Sonication is recommended.<br>Ethanol: 57 mg/mL (89.41 mM),Sonication is recommended.<br>(< 1 mg/ml refers to the product slightly soluble or insoluble) |

Preparing Stock Solutions

| | 1mg | 5mg | 10mg |
|---|---|---|---|
| 1 mM | 1.5686 mL | 7.843 mL | 15.686 mL |
| 5 mM | 0.3137 mL | 1.5686 mL | 3.1372 mL |
| 10 mM | 0.1569 mL | 0.7843 mL | 1.5686 mL |
| 50 mM | 0.0314 mL | 0.1569 mL | 0.3137 mL |

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

Niesor EJ, et al. J Lipid Res. 2010, 51(12), 3443-3454.
Ranalletta M, et al. J Lipid Res. 2010, 51(9), 2739-2752.
Tan EY, et al. Drug Metab Dispos. 2010, 38(3), 459-473.