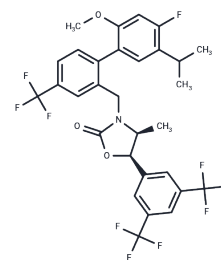


## Anacetrapib

## Chemical Properties

CAS No. :	875446-37-0
Formula:	C30H25F10NO3
Molecular Weight:	637.51
Storage:	Powder: -20°C for 3 years   In solvent: -80°C for 1 year Actual storage temperature shall be subject to the COA.



## Biological Description

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)	Others,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 PDGFR $\alpha$ , 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 PDGFR $\alpha$ , 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 $\mu$ g/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 $\beta$ 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

## A DRUG SCREENING EXPERT

Solubility	H2O: < 1 mg/mL (insoluble or slightly soluble), DMSO: 50 mg/mL (78.43 mM),Sonication is recommended. Ethanol: 57 mg/mL (89.41 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Corn Oil: 2.5 mg/mL (3.92 mM),Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

### 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.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

### 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.

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