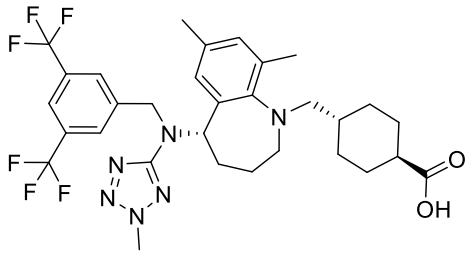
Product data sheet

MedKoo Cat#: 510227 Name: Evacetrapib CAS#: 1186486-62-3 Chemical Formula: $C_{31}H_{36}F_6N_6O_2$ Exact Mass: 638.28039 Molecular Weight: 638.65	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:

Evacetrapib, also known as LY2484595, is a CETP inhibitor currently under development by Eli Lilly & Company. LY2484595 inhibits cholesterylester transfer protein, which transfers and thereby increases high-density lipoprotein and lowers low-density lipoprotein. It is thought that modifying lipoprotein levels modifies the risk of cardiovascular disease. The first CETP inhibitor, torcetrapib, was unsuccessful because it increased levels of the hormone aldosterone and increased blood pressure, which led to excess cardiac events when it was studied. Evacetrapib does not have the same effect. When studied in a small clinical trial in people with elevated LDL and low HDL, significant improvements were noted in their lipid profile. (Source: http://en.wikipedia.org/wiki/Evacetrapib).

2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	47.6	74.53
DMF	30.0	46.97
Ethanol	21.4	33.51

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	1.57 mL	7.83 mL	15.66 mL
5 mM	0.31 mL	1.57 mL	3.13 mL
10 mM	0.16 mL	0.78 mL	1.57 mL
50 mM	0.03 mL	0.16 mL	0.31 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

1. Cao G, Beyer TP, Zhang Y, Schmidt RJ, Chen YQ, Cockerham SL, Zimmerman KM, Karathanasis SK, Cannady EA, Fields T, Mantlo NB. Evacetrapib is a novel, potent, and selective inhibitor of cholesteryl ester transfer protein that elevates HDL cholesterol without inducing aldosterone or increasing blood pressure. J Lipid Res. 2011 Dec;52(12):2169-2176. doi: 10.1194/jlr.M018069. Epub 2011 Sep 25. PMID: 21957197; PMCID: PMC3220285.

In vivo study

1. Cao G, Beyer TP, Zhang Y, Schmidt RJ, Chen YQ, Cockerham SL, Zimmerman KM, Karathanasis SK, Cannady EA, Fields T, Mantlo NB. Evacetrapib is a novel, potent, and selective inhibitor of cholesteryl ester transfer protein that elevates HDL cholesterol without inducing aldosterone or increasing blood pressure. J Lipid Res. 2011 Dec;52(12):2169-2176. doi: 10.1194/jlr.M018069. Epub 2011 Sep 25. PMID: 21957197; PMCID: PMC3220285.

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7. Bioactivity

Biological target:

Evacetrapib is a CETP inhibitor, which inhibits human recombinant CETP protein (IC50 5.5 nM) and CETP activity in human plasma (IC50 36 nM) in vitro.

In vitro activity

The in vitro activity of evacetrapib against CETP was first tested in the buffer CETP assay, in which human recombinant CETP protein was used as the source for the protein activity. The concentration of the compound causing half-maximum inhibition of CETP activity in this assay was 5.5 nM. This compares to 25.2 nM for torcetrapib and 21.5 nM for anacetrapib in the same assay.

Reference: J Lipid Res. 2011 Dec;52(12):2169-2176. https://pubmed.ncbi.nlm.nih.gov/21957197/

In vivo activity

Evacetrapib administered orally at 30 mg/kg resulted in 98.4%, 98.6%, and 18.4% inhibition of CETP activity at 4, 8 and 24 h post dose respectively. Evacetrapib dosed orally at 30 mg/kg resulted in 129.7% increase in HDL-C 8 h after oral administration (Fig. 2A, B). The efficacy of evacetrapib was comparable to that of torcetrapib.

Reference: J Lipid Res. 2011 Dec;52(12):2169-2176. https://pubmed.ncbi.nlm.nih.gov/21957197/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.