Anacetrapib (MK-0859) Datasheet

### Technical Data

<table>
<thead>
<tr>
<th>Molecular Weight (MW)</th>
<th>637.51</th>
</tr>
</thead>
<tbody>
<tr>
<td>Formula</td>
<td>C30H25F10NO3</td>
</tr>
<tr>
<td>CAS No.</td>
<td>875446-37-0</td>
</tr>
<tr>
<td>Synonyms</td>
<td>N/A</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th>Solubility (25°C)</th>
<th>Storage</th>
</tr>
</thead>
<tbody>
<tr>
<td>DMSO 127 mg/mL</td>
<td>2 years -20°C Powder</td>
</tr>
<tr>
<td>Water &lt;1 mg/mL</td>
<td>2 weeks 4°C in DMSO</td>
</tr>
<tr>
<td>Ethanol 127 mg/mL</td>
<td>6 months -80°C in DMSO</td>
</tr>
</tbody>
</table>

### Biological Activity

<table>
<thead>
<tr>
<th>Description</th>
<th>Anacetrapib (MK0859) is a potent and selective rhCETP and mutant CETP(C13S) inhibitor with IC50 of 7.9 nM and 11.6 nM, respectively</th>
</tr>
</thead>
<tbody>
<tr>
<td>Targets</td>
<td>rhCETP</td>
</tr>
<tr>
<td>IC50</td>
<td>7.9 nM</td>
</tr>
<tr>
<td>In vitro</td>
<td>Anacetrapib is not only able to increase HDL-cholesterol, but also further decreases LDL-cholesterol when taken in combination with a statin. Anacetrapib dose-dependently and significantly decreases the transfer of CE from HLD3 to HLD2. Anacetrapib doesn’t affect the amount of [14C]-dalcetrapib-thiol bound to rhCETP. Anacetrapib decreases pre-β-HDL formation by more than 46%. [1] Anacetrapib potently blocks CE and TG transfer in 95% human serum.[2]</td>
</tr>
<tr>
<td>In vivo</td>
<td>In a dyslipidemic hamster model, 60 mg/kg/day Anacetrapib for 2 weeks results in a 94% reduction in CETP activity and 47% increase in HDL-cholesterol compared with control animals; non-HDL-cholesterol concentrations are not affected. In addition, Anacetrapib promotes reverse cholesterol transport from macrophages, and leads to a 30% increase in fical cholesterol content. HDL from Anacetrapib-treated hamsters reveals an increase in SR-B1- and ABCG1-mediated efflux compared with controls. [2] After oral administration of [14C]-Anacetrapib at 10 mg/kg, ~80 and 90% of the radioactive dose is recovered over 48 hours postdose from rats and monkeys, respectively. The majority of the administered radioactive dose is excreted unchanged in feces in both species. [3]</td>
</tr>
<tr>
<td>Clinical Trials</td>
<td>Anacetrapib is in a phase III study among people with established vascular disease.</td>
</tr>
</tbody>
</table>

### Protocol (Only for Reference)

#### Kinase Assay:[2]

**Radioactive assays** The ability of Anacetrapib to block CETP-mediated CE and TG transfer is measured by radioactive CETP transfer assay with 2% human serum. The procedure is similar to the 95% human serum assay, except that purified human HDL (128 µg/mL) and [3H] cholesteryl oleate or [3H] triolein-labeled LDL are used as acceptor and donor particles, respectively. The [3H] cholesteryl oleate or [3H] triolein from exogenous LDL to HDL is transferred by purified recombinant CETP (30 nM) in standard CETP Buffer (50 mMTris pH 7.4, 100 mMNaCl, and 1 mMEDTA) with 2% human serum. The transfer reaction is terminated by precipitation of LDL with one volume of ice cold human serum and 2 volumes of 20% W/V PEG 8000. The samples are centrifuged and an aliquot of the HDL-containing supernatant is counted by liquid scintillation. Counts present in the supernatant for controls (without CETP addition) are subtracted from those reactivated with CETP to correct for non-specific transfer.

#### Animal Study:[3]

**Animal Models** Adult male Sprague-Dawley rats weighing 280 to 330 g

**Formulation** In polyethylene glycol 300-water (7:3, v/v)

**Doses** 2.5 mL/kg (2.5, 25, 50, 250 mg/mL)

**Administration** Oral gavage

### References


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