Web: www.taiclone.com
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Evacetrapib

Catalog No: tcsc0658

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
1186486-62-3

Formula:
$C_{31}H_{36}F_6N_6O_2$

Pathway:
Metabolic Enzyme/Protease

Target:
CETP

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Alternative Names:
LY2484595

Observed Molecular Weight:
638.65

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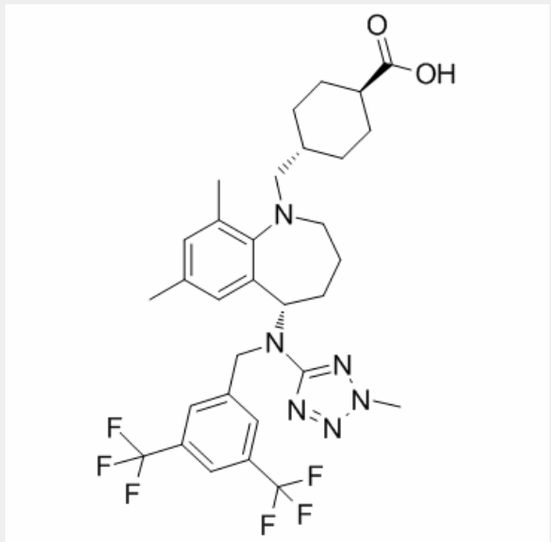
Product Description

Evacetrapib is a potent and selective of **CETP** inhibitor, which inhibits human recombinant CETP protein ($\mathbf{IC_{50}}$ 5.5 nM) and CETP activity in human plasma ($\mathbf{IC_{50}}$ 36 nM) in vitro.

IC50 & Target: IC50: 5.5 nM (CETP)[1]

In Vitro: Evacetrapib is a novel benzazepine-based CETP inhibitor. In the buffer CETP assay, the absolute potency of the compound is 5.5 nM. In the human plasma CETP assay, the CETP concentration is about 2 μg/mL (25 nM) and the 36 nM IC_{50} value again indicates that Evacetrapib is a potent CETP inhibitor against either the recombinant protein or CETP from human plasma. Evacetrapib is apparently much more potent than Dalcetrapib[1].

In Vivo: In double transgenic mice expressing human CETP and apoAI, Evacetrapib exhibits an ex vivo CETP inhibition ED_{50} of less than 5 mg/kg at 8 h post oral dose and significantly elevated HDL cholesterol. Importantly, no blood pressure elevation is observed in rats dosed with Evacetrapib at high exposure multiples compared with the positive control, torcetrapib. Evacetrapib administered orally at 30 mg/kg results 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[1].



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