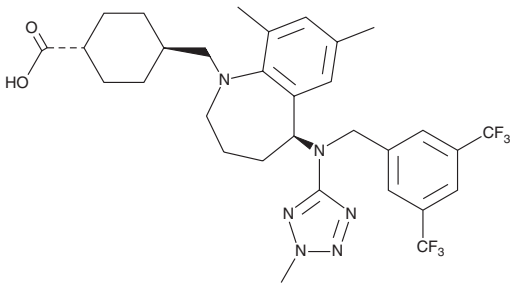
PRODUCT INFORMATION

Evacetrapib

Item No. 23670

CAS Registry No.: 1186486-62-3
Formal Name: *trans*-4-[[(5S)-5-[[[3,5-*bis*(trifluoromethyl)phenyl]methyl](2-methyl-2H-tetrazol-5-yl)amino]-2,3,4,5-tetrahydro-7,9-dimethyl-1H-1-benzazepin-1-yl]methyl]-cyclohexanecarboxylic acid
MF: $C_{31}H_{36}F_6N_6O_2$
FW: 638.7
Purity: ≥98%
UV/Vis.: λ_{max}: 266 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Evacetrapib is supplied as a crystalline solid. A stock solution may be made by dissolving the evacetrapib in the solvent of choice, which should be purged with an inert gas. Evacetrapib is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of evacetrapib in these solvents is approximately 30 mg/ml.

Description

Evacetrapib is a benzazepine inhibitor of cholesteryl ester transfer protein (CETP; IC_{50}s = 5.5 and 26 nM for human recombinant and plasma-derived proteins, respectively).[1] It is selective for CETP over a panel of cell surface and nuclear receptors with no significant inhibition at 1 µM. Evacetrapib (1-10 µM) reduces expression of the serine protease proprotein convertase subtilisin kexin 9 (PCSK9) and the LDL receptor (LDLR) in HepG2 cells in a dose-dependent manner with no effect on cell viability.[2] It also reduces protein levels of LDLR, SREBF2-M, and PCSK9 in HepG2 lysates, nuclear extracts, and culture medium, respectively, in a dose-dependent manner. Oral administration of evacetrapib (30 mg/kg) inhibits CETP activity by 98.5, 98.6, and 18.4% after four, eight, and 24 hours, respectively, in serum from transgenic mice expressing human CETP and ApoA1.[1] Evacetrapib increases HDL cholesterol levels in CETP/ApoA1 transgenic mice in a dose-dependent manner, including by 129.7% eight hours following administration of a 30 mg/kg dose.

References

1. Cao, G., Beyer, T.P., Zhang, Y., *et al.* 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.* **52(12)**, 2169-2176 (2011).
2. Dong, B., Singh, A.B., Kan, C.F.K., *et al.* CETP inhibitors downregulate hepatic LDL receptor and PCSK9 expression *in vitro* and *in vivo* through a SREBP2 dependent mechanism. *Atherosclerosis* **235(2)**, 449-462 (2014).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM