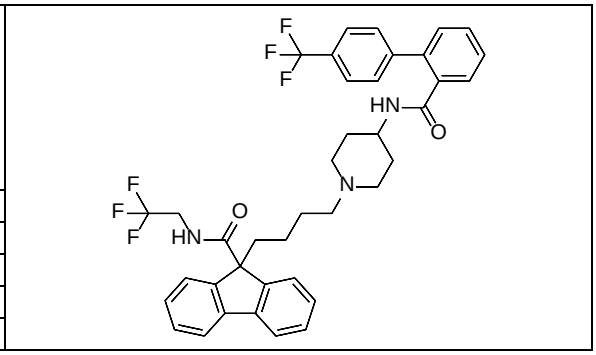
Product data sheet

MedKoo Cat#: 319516 Name: Lomitapide free base CAS: 182431-12-5 (free base) Chemical Formula: $C_{39}H_{37}F_6N_3O_2$ Exact Mass: 693.279 Molecular Weight: 693.7344	
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:
Lomitapide is a MTP inhibitor. Lomitapid is a novel agent for the treatment of homozygous familial hypercholesterolemia. Lomitapide is an orally active inhibitor of microsomal triglyceride transfer protein that is indicated as an adjunct to a low-fat diet and other lipid-lowering treatments, including LDL apheresis where available for the reduction of LDL-C, total cholesterol, apolipoprotein B, and non-high-density lipoprotein cholesterol in adult patients with HoFH.

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	68.33	98.50
Ethanol	10.0	14.42

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	1.44 mL	7.21 mL	14.42 mL
5 mM	0.29 mL	1.44 mL	2.88 mL
10 mM	0.14 mL	0.72 mL	1.44 mL
50 mM	0.03 mL	0.14 mL	0.29 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. Lee B, Park SJ, Lee S, Lee J, Lee E, Yoo ES, Chung WS, Sohn JW, Oh BC, Kim S. Lomitapide, a cholesterol-lowering drug, is an anticancer agent that induces autophagic cell death via inhibiting mTOR. Cell Death Dis. 2022 Jul 12;13(7):603. doi: 10.1038/s41419-022-05039-6. PMID: 35831271; PMCID: PMC9279289.
2. Zhang Y, Zhang Y, Chen C, Cheng H, Deng X, Li D, Bai B, Yu Z, Deng Q, Guo J, Wen Z. Antibacterial activities and action mode of anti-hyperlipidemic lomitapide against Staphylococcus aureus. BMC Microbiol. 2022 Apr 26;22(1):114. doi: 10.1186/s12866-022-02535-9. PMID: 35473561; PMCID: PMC9040290.

In vivo study

1. Zheng Y, Hu Y, Han Z, Yan F, Zhang S, Yang Z, Zhao F, Li L, Fan J, Wang R, Luo Y. Lomitapide ameliorates middle cerebral artery occlusion-induced cerebral ischemia/reperfusion injury by promoting neuronal autophagy and inhibiting microglial migration. CNS Neurosci Ther. 2022 Dec;28(12):2183-2194. doi: 10.1111/cns.13961. Epub 2022 Sep 2. PMID: 36052650; PMCID: PMC9627359.

2. Dhote V, Joharapurkar A, Kshirsagar S, Dhanesha N, Patel V, Patel A, Raval S, Jain M. Inhibition of microsomal triglyceride transfer protein improves insulin sensitivity and reduces atherogenic risk in Zucker fatty rats. Clin Exp Pharmacol Physiol. 2011 May;38(5):338-44. doi: 10.1111/j.1440-1681.2011.05513.x. PMID: 21401695.

7. Bioactivity

Biological target:

Lomitapide (AEGR-733; BMS-201038) is a potent inhibitor of microsomal triglyceride-transfer protein (MTP) with an IC_{50} of 8 nM in vitro.

In vitro activity

The study results showed that lomitapide directly inhibits mTORC1 in vitro and induces autophagy-dependent cancer cell death by decreasing mTOR signaling, thereby inhibiting the downstream events associated with increased LC3 conversion in various cancer cells (e.g., HCT116 colorectal cancer cells) and tumor xenografts.

Reference: Cell Death Dis. 2022 Jul 12;13(7):603. https://pubmed.ncbi.nlm.nih.gov/35831271/

In vivo activity

The in vivo activity of BMS-201038, a potent inhibitor of MTP, was evaluated in a model of hypertriglyceridemia induced by Triton WR1339 and corn oil in Zucker fatty rats. Triglyceride secretion rate was significantly reduced by a single dose of BMS-201038 by 35% at 0.3 mg/kg and 47% at 1 mg/kg, respectively.

Reference: Clin Exp Pharmacol Physiol. 2011 May;38(5):338-44. https://pubmed.ncbi.nlm.nih.gov/21401695/