

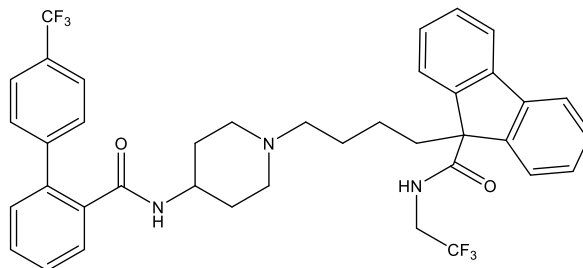
Catalog # 10-4664

Lomitapide

CAS# 182431-12-5

N-(2,2,2-Trifluoroethyl)-9-[4-[4-[[2-[4-(trifluoromethyl)phenyl]benzoyl]amino]piperidin-1-yl]butyl]fluorene-9-carboxamide;
AEGR-733; BMS-201038

Lot # FBS4015



Lomitapide is a potent ($IC_{50} = 8$ nM) inhibitor of microsomal triglyceride transfer protein (MTP or MTTP) and is clinically useful in treating familial hypercholesterolemia.^{1,2} It has displayed anticancer activity acting through various pathways including autophagy-dependent cancer cell death *via* direct inhibition of the kinase activity of mTORC1³, activation of AMPK/Beclin1-mediated autophagy⁴, Notch inhibition *via* targeting TACE and γ -secretase⁵, blocking ZDHHC5-dependent palmitoylation on SSTR5⁶, PFKFB3 inhibition⁷, and PARP14/DRP1-mediated mitophagy⁸.

- 1) Wetterau *et al.* (1998), *An MTP Inhibitor That Normalizes Atherogenic Lipoprotein Levels in WHHL Rabbits*; *Science* **282** 751
- 2) Cuchel *et al.* (20013), *Efficacy and safety of a microsomal triglyceride transfer protein inhibitor in patients with homozygous familial hypercholesterolemia: a single-arm, open-label, phase 3 study*; *Lancet* **381** 40
- 3) Lee *et al.* (2022), *Lomitapide, a cholesterol-lowering drug, is an anticancer agent that induces autophagic cell death via inhibiting mTOR*; *Cell Death Dis.* **13** 603
- 4) Zuo *et al.* (2021), *Targeting PP2A with lomitapide suppresses colorectal tumorigenesis through activation of AMPK/Beclin1-mediated autophagy*; *Cancer Lett.* **521** 281
- 5) Kandasamy and Ghosh (2023), *Multi-targeting TACE/ADAM17 and gamma-secretase of notch signaling pathway in TNBC via drug repurposing approach using Lomitapide*; *Cell Signal.* **102** 110529
- 6) Wang *et al.* (2023), *Repositioning Lomitapide to block ZDHHC5-dependent palmitoylation on SSTR5 leads to anti-proliferation effect in preclinical pancreatic cancer models*; *Cell Death Discov.* **9** 60
- 7) Cao *et al.* (2024), *Drug-repurposing by virtual and experimental screening of PFKFB3 inhibitors for pancreatic cancer therapy*; *Eur. J. Pharmacol.* **965** 176330
- 8) Zhang *et al.* (2024), *Targeting PARP14 with lomitapide suppresses drug resistance through the activation of DRP1-induced mitophagy in multiple myeloma*; *Cancer Lett.* **Online ahead of print** 216802

PHYSICAL DATA

Molecular Weight:	693.73
Molecular Formula:	C ₃₉ H ₃₇ F ₆ N ₃ O ₂
Purity:	>98% HPLC
	NMR: (Conforms)
Solubility:	Soluble in DMSO (50 mg/ml)
Physical Description:	White solid
Storage and Stability:	Store as supplied at -20°C for up to 1 year from the date of purchase. Store solutions at -20°C for up to 2 months.

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