# Lomitapide

**Cat. No.:** HY-14667

**CAS No.:** 182431-12-5

**Molecular Formula:** $C_{39}H_{37}F_6N_3O_2$

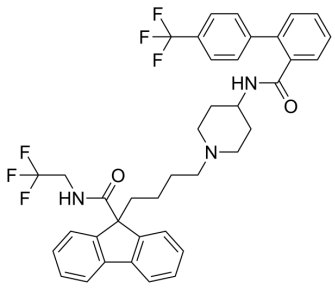
**Molecular Weight:** 693.72

**Target:** Others

**Pathway:** Others

**Storage:**

| | | |
|---|---|---|
| Powder | -20°C | 3 years |
| | 4°C | 2 years |
| In solvent | -80°C | 2 years |
| | -20°C | 1 year |



## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : ≥ 100 mg/mL (144.15 mM)

* "≥" means soluble, but saturation unknown.

**Preparing Stock Solutions**

| Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|
| 1 mM | 1.4415 mL | 7.2075 mL | 14.4150 mL |
| 5 mM | 0.2883 mL | 1.4415 mL | 2.8830 mL |
| 10 mM | 0.1442 mL | 0.7208 mL | 1.4415 mL |

Please refer to the solubility information to select the appropriate solvent.

**In Vivo**

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (3.60 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (3.60 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (3.60 mM); Clear solution

## BIOLOGICAL ACTIVITY

**Description**

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

**$IC_{50}$ & Target**

IC50: 8 nM (MTP)[1]

**In Vitro**

Lomitapide is an oral microsomal triglyceride transfer protein (MTP) inhibitor indicated for the treatment of patients with

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|---|---|
| | HoFH, a rare form of hypercholesterolemia that can lead to premature atherosclerotic disease. Lomitapide undergoes hepatic metabolism via cytochrome P-450 (CYP) isoenzyme 3A4 and interacts with CYP3A4 substrates including Atorvastatin (HY-B0589) and Simvastatin (HY-17502)[2].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |
| **In Vivo** | The use of lomitapide alone or in combination with other lipid-lowering modalities reduces plasma concentrations of low density lipoprotein cholesterol (LDL-C) by a mean of more than 50%. Lomitapide is associated with significant gastrointestinal adverse effects and increases in hepatic fat levels. The bioavailability of the 50-mg lomitapide capsule is 7.1%. The mean half-life of lomitapide is 39.7 hours[2]. Single-dose administration of lomitapide is shown to reduce serum triglycerides by 35% and 47% at 0.3- and 1-mg/kg doses, respectively. Multiple-dose treatment with lomitapide also results in dose dependent decrease in triglycerides (71%–87%), nonesterified fattyacids(33%–40%), and LDL-C(26-29%)[3].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |

## PROTOCOL

| | |
|---|---|
| **Animal Administration** [3] | Rats: BMS-201038 is formulated in 0.1% hydroxyl ethyl cellulose and 0.5% Tween 80 in deionized water. Rats in the control group are administered vehicle (2 mL/kg) p.o. Fasted rats are administered 0.3 and 1 mg/kg, p.o., BMS-201038, followed 1 h later by 250 mg/kg, i.v., Triton WR1339. Blood samples are obtained from rats up to 240 min after Triton WR1339 injection to estimate serum triglyceride concentrations. For evaluation of post-prandial lipaemia, fasted rats are administered 0.3 and 1 mg/kg, p.o., BMS-201038, followed 1 h later by a corn oil bolus (6 mL/kg) by oral gavage. Blood samples are again collected up to 1440 min after corn oil administration for the estimation of serum triglyceride concentrations[3].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |

## CUSTOMER VALIDATION

- Free Radic Biol Med. 2021 Jun 8;S0891-5849(21)00353-1.
- BMC Microbiol. 2022 Apr 26;22(1):114.

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## REFERENCES

[1]. Sulsky R, et al. 5-Carboxamido-1,3,2-dioxaphosphorinanes, potent inhibitors of MTP. Bioorg Med Chem Lett. 2004 Oct 18;14(20):5067-70.

[2]. Davis KA. et al. Lomitapide: A novel agent for the treatment of homozygous familial hypercholesterolemia. Am J Health Syst Pharm. 2014 Jun 15;71(12):1001-8.

[3]. Dhote V, et al. 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.



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