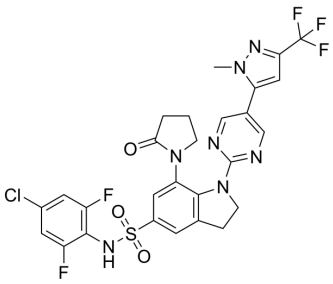
TP-020

Cat. No.:	HY-101857
CAS No.:	1800025-30-2
Molecular Formula:	$C_{27}H_{21}ClF_5N_7O_3S$
Molecular Weight:	654.01
Target:	Acyltransferase
Pathway:	Metabolic Enzyme/Protease
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 : ≥ 125 mg/mL (191.13 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.5290 mL	7.6451 mL	15.2903 mL
5 mM	0.3058 mL	1.5290 mL	3.0581 mL
10 mM	0.1529 mL	0.7645 mL	1.5290 mL

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

BIOLOGICAL ACTIVITY

Description

TP-020 (MGAT2-IN-1) is an orally active inhibitor of monoacylglycerol acyltransferase (MGAT2) with IC_{50} of 7.8 and 2.4 nM for human and mouse MGAT2, respectively.

IC_{50} & Target

IC50: 7.8 nM (Human MGAT2), 2.4 nM (Mouse MGAT2)[1]

In Vivo

TP-020 (3, 10 mg/kg, p.o.) dose-dependently suppresses plasma TG elevation, and plasma CM/TG AUC in mice. TP-020 does not decrease MG absorption but inhibits MGAT2-dependent TG/DG resynthesis. In the lipid utilization analysis, TP-020 significantly increases free fatty acid (FFA) and acylcarnitine levels. TP-020 (30 mg/kg) also significantly reduces food intake dose dependently, suppresses BW gains. TP-020 shows anti-diabetic effects in mice[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [1]	Mice: Overnight-fasted mice undergo MTT in the morning. First, they are orally administered vehicle (0.5% methylcellulose solution) or MGAT2-IN-1 suspended in 0.5% methylcellulose. Six or 16 h after dosing, they are intraperitoneally injected 500 mg/kg Pluronic F-127 to inhibit plasma TG hydrolysis by lipoprotein lipase (LPL). Thirty minutes after injection, the mice are given an oral liquid meal (10 mL/kg) comprising an admixture of corn oil and Ensure-H (3:17 v/v). Blood samples are collected at 0, 2 and 4 h after oral gavage of the liquid meal. Area under the curve (AUC) of chylomicron TG (CM/TG), which is synthesised from dietary fat in the small intestine, is calculated by subtracting plasma TG levels of a liquid meal-untreated group from plasma TG levels of each treated group. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Take K, et al. Pharmacological Inhibition of Monoacylglycerol O-Acyltransferase 2 Improves Hyperlipidemia, Obesity, and Diabetes by Change in Intestinal Fat Utilization. PLoS One. 2016 Mar 3;11(3):e0150976.

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