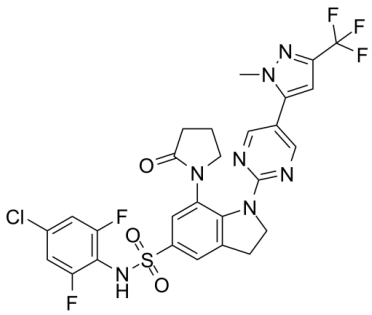
Data Sheet

Product Name:	MGAT2-IN-1
Cat. No.:	CS-7620
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
Solubility:	DMSO : ≥ 125 mg/mL (191.13 mM)



BIOLOGICAL ACTIVITY:

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. IC50 & Target: IC50: 7.8 nM (Human MGAT2), 2.4 nM (Mouse MGAT2)[1] **In Vivo:** MGAT2-IN-1 (3, 10 mg/kg, p.o.) dose-dependently suppresses plasma TG elevation, and plasma CM/TG AUC in mice. MGAT2-IN-1 does not decrease MG absorption but inhibits MGAT2-dependent TG/DG resynthesis. In the lipid utilization analysis, MGAT2-IN-1 significantly increases free fatty acid (FFA) and acylcarnitine levels. MGAT2-IN-1 (30 mg/kg) also significantly reduces food intake dose dependently, suppresses BW gains. MGAT2-IN-1 shows anti-diabetic effects in mice[1].

PROTOCOL (Extracted from published papers and Only for reference)

Animal Administration: MGAT2-IN-1 is dissolved in vehicle (0.5% methylcellulose solution).[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.

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.

CAIndexNames:

1H-Indole-5-sulfonamide, N-(4-chloro-2,6-difluorophenyl)-2,3-dihydro-1-[5-[1-methyl-3-(trifluoromethyl)-1H-pyrazol-5-yl]-2-pyrimidinyl]-7-(2-oxo-1-pyrrolidinyl)-

SMILES:

O=S(C1=CC2=C(N(C3=NC=C(C4=CC(C(F)(F)F)=NN4C)C=N3)CC2)C(N5C(CCC5)=O)=C1)(NC6=C(F)C=C(Cl)C=C6F)=O

Caution: Product has not been fully validated for medical applications. For research use only.

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