

MGAT2-IN-2

Catalog No: tcsc0035362



Available Sizes

Size: 5mg

Size: 10mg

Size: 25mg



Specifications

CAS No:

1710630-11-7

Formula:

$C_{26}H_{21}F_5N_4O_4S$

Pathway:

Metabolic Enzyme/Protease

Target:

Acyltransferase

Purity / Grade:

>98%

Solubility:

10 mM in DMSO

Observed Molecular Weight:

580.53

Product Description

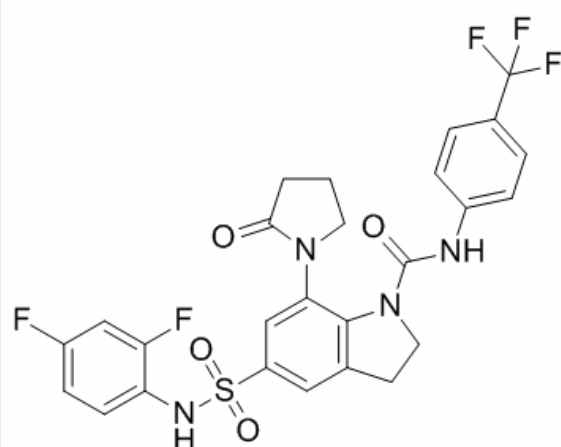
MGAT2-IN-2 is a potent and selective acyl CoA:monoacylglycerol acyltransferase 2 (**MGAT2**) inhibitor with an **IC₅₀** of 3.4 nM.

IC50 & Target: IC50: 3.4 nM (MGAT2)^[1]

In Vitro:

MGAT2-IN-2 (Compound 24d) exhibits potent MGAT2 inhibitory activity with an IC_{50} value of 3.4 nM and a ligand lipophilicity efficiency (LLE) value of 5.4^[1]. MGAT2-IN-2 (Compound 2) exhibits time-dependent inhibition (TDI) of cytochrome P450 3A4 (CYP3A4). Preincubation of MGAT2-IN-2 with microsomes leads to a significant loss of the activity of CYP3A4 relative to that under a condition without preincubation^[2].

In Vivo: Effect of MGAT2-IN-2 on plasma TG is elevated during the oral fat tolerance test in C57BL/6J mice. MGAT2-IN-2 (3, 10 and 30 mg/kg) is orally administered 6 h prior to oil challenge. Pharmacokinetic studies reveal that MGAT2-IN-2 displays a high plasma concentration ($AUC_{0-8h}=842 \text{ ng}\cdot\text{h/mL}$) and favorable oral bioavailability ($F=52\%$), which are probably driven by the improved stability against oxidative metabolism and hydrolysis. For evaluating the in vivo efficacy, MGAT2-IN-2 is examined for its effect on hypertriglyceridemia during oral fat tolerance test (OFTT) using C57BL/6J mice. To inhibit the hydrolysis of plasma triacylglycerol (TG) by lipoprotein lipase (LPL), mice are pretreated with an LPL inhibitor, Pluronic F127, permitting measurement of the accumulation of plasma TG following olive oil administration. MGAT2-IN-2 and vehicle are administered 6 h before the oral olive oil load, and plasma chylomicron TG concentrations are monitored for 4 h. MGAT2-IN-2 effectively and dose-dependently suppresses plasma TG elevation after olive oil challenge. The TG-lowering effect of MGAT2-IN-2 is significant ($p[1]$).



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