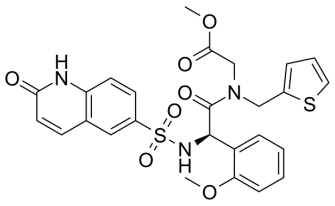
OSMI-2

Cat. No.:	HY-135784
CAS No.:	2260542-60-5
Molecular Formula:	$C_{26}H_{25}N_3O_7S_2$
Molecular Weight:	555.62
Target:	Acyltransferase
Pathway:	Metabolic Enzyme/Protease
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (179.98 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.7998 mL	8.9990 mL	17.9979 mL
	5 mM	0.3600 mL	1.7998 mL	3.5996 mL
	10 mM	0.1800 mL	0.8999 mL	1.7998 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 (4.50 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: 2.5 mg/mL (4.50 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

OSMI-2 (Compound 1b) is a cell-permeable O-linked N-acetylglucosamine transferase (OGT) inhibitor. Cells contain a large nuclear pool of partially spliced OGT transcript, and OSMI-2 increases detained intron splicing in cells[1].

IC_{50} & Target

O-linked N-acetylglucosamine transferase (OGT)[1]

In Vitro

OSMI-2 (Compound 1b; 20-50 μM; 4-24 hours; HCT116 cells) treatment reduces O-GlcNAc levels at short treatment times (<8h). However, O-GlcNAc levels begins to recover at longer treatment times with OSMI-2[1].A decrease in HCF-1 cleavage products and the appearance of uncleaved HCF-1 in cells treated with OSMI-2 (Compound 1b) is observed. Because OGT knockdown is known to decrease cell proliferation, the effects of OSMI-2 on cell growth in culture over 96h is also monitored. Although there is no evidence of apoptosis, the reduced growth of cells over time is observed, consistent with

the knockdown results[1].

A time course performed with 20 μM OSMI-2 (Compound 1b) shows reciprocal changes in the abundance of OGT and OGA, with a particularly large increase in OGT; this may explain the recovery in O-GlcNAc levels with OSMI-2. At 24h where O-GlcNAc levels fully recovered[1].

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

Western Blot Analysis[1]

Cell Line:	HCT116 cells
Concentration:	20 μM, 40 μM, 50 μM
Incubation Time:	4 hours, 24 hours
Result:	Reduced O-GlcNAc levels.

REFERENCES

[1]. Martin SES, et al. Structure-Based Evolution of Low Nanomolar O-GlcNAc Transferase Inhibitors. J Am Chem Soc. 2018 Oct 24;140(42):13542-13545.

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