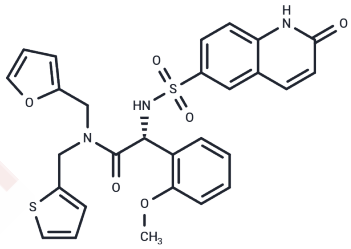
Data Sheet (Cat.No.T16409)

TargetMol

OSMI-1

Chemical Properties



CAS No. : 1681056-61-0

Formula: C28H25N3O6S2

Molecular Weight: 563.64

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	OSMI-1 is an O-GlcNAc transferase (OGT) inhibitor (IC50=2.7 μM) that is orally active and cell-permeable. OSMI-1 inhibits protein O-GlcNA acetylation without qualitatively altering cell-surface N- or O- linked glycans.
Targets(IC50)	Acyltransferase,Transferase
In vitro	**METHODS**: COS7 and Hela cells were treated with OSMI-1 (2-100 μM) for 24 h. Cell viability was measured using the CCK8 Assay. **RESULTS**: 50 μM OSMI-1 reduced cell viability by more than 50%. [1] **METHODS**: CHO cells were treated with OSMI-1 (10-100 μM) for 24 h, and the expression levels of target proteins were detected by Western Blot. **RESULTS**: OSMI-1 reduced global OGlcNAcylation in a dose-dependent manner, with a maximum effect at 50 μM. [2]
In vivo	**METHODS**: To assay antitumor activity in vivo, OSMI-1 (1 mg/kg, i.v.) and TRAIL (500 μg/kg, intraperitoneally) were administered to BALB/c-Foxn1nu/ArcGem nude mice harboring human colorectal carcinoma tumor HCT116 once daily for three weeks. **RESULTS**: Tumor size was slightly reduced in mice treated with OSMI-1 or TRAIL alone, but significantly reduced in the OSMI-1 and TRAIL combination group. The combination treatment synergistically increased the antitumor activity of transplanted tumors in HCT116 nude mice. [3] **METHODS**: To investigate the protective effect against Stx-mediated pathogenic responses, OSMI-1 (300-1000 μg/mouse in water containing 4.5% DMSO and 5% Tween 80) was injected intraperitoneally into Stx2a-attacked C57BL/6 mice once daily for seven days. **RESULTS**: O-GlcNAc inhibition ameliorated the mortality and various disease symptoms induced by Stx2a exposure in mice, which was further enhanced by O-GlcNAc inhibition. [4]

Solubility Information

Solubility	DMSO: 104 mg/mL (184.51 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 1.83 mg/mL (3.25 mM),Solution. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.7742 mL	8.8709 mL	17.7418 mL
5 mM	0.3548 mL	1.7742 mL	3.5484 mL
10 mM	0.1774 mL	0.8871 mL	1.7742 mL
50 mM	0.0355 mL	0.1774 mL	0.3548 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Liu Y, et al. Discovery of a Low Toxicity O-GlcNAc Transferase (OGT) Inhibitor by Structure-based Virtual Screening of Natural Products. Sci Rep. 2017 Sep 26;7(1):12334.
Wang N, Yu M, Fu Y, et al. Blocking ATM Attenuates SKOV3 Cell Proliferation and Migration by Disturbing OGT/OGA Expression via hsa-miR-542-5p. Frontiers in Oncology. 2022.12
Ortiz-Meoz RF, et al. A small molecule that inhibits OGT activity in cells. ACS Chem Biol. 2015 Jun 19;10(6):1392-7.
Liu R, Liu Y, Zhang W, et al.PCK1 attenuates tumor stemness via activating the Hippo signaling pathway in hepatocellular carcinoma.Genes & Diseases.2023: 101114.
Wang J, Aniwan A, Liu H, et al.O-GlcNAcylation regulates HIF-1α and induces mesothelial-mesenchymal transition and fibrosis of human peritoneal mesothelial cells.Heliyon.2023
Lee SJ, et al. OSMI-1 Enhances TRAIL-Induced Apoptosis through ER Stress and NF-κB Signaling in Colon Cancer Cells. Int J Mol Sci. 2021 Oct 14;22(20):11073.
Lee KS, et al. Inhibition of O-GlcNAcylation protects from Shiga toxin-mediated cell injury and lethality in host. EMBO Mol Med. 2022 Jan 11;14(1):e14678.