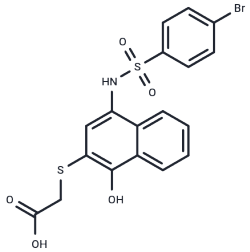
Data Sheet (Cat.No.T6034)

TargetMol

UMI-77

Chemical Properties



CAS No. : 518303-20-3

Formula: C18H14BrNO5S2

Molecular Weight: 468.34

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	UMI-77 is a selective Mcl-1 inhibitor. UMI-77 binds to the BH3-binding groove of Mcl-1 with a Ki of 490 nM and is selective over other members of the Bcl-2 family.
Targets(IC50)	Bcl-2 Family
In vitro	**METHODS**: A panel of five PC cell lines (MiaPaCa-2, AsPC-1, Panc-1, BxPC-3, Capan-2) with different expression of anti-apoptotic and pro-apoptotic proteins were treated with UMI-77 (1, 10, 100 μM) and the cytotoxic effects were evaluated. **RESULTS** The IC50 values of UMI-77 against BxPC-3, Panc-1, MiaPaCa-2, AsPC-1, and Capan-2 cell lines were (3.4, 4.4, 12.5, 16.1, 5.5 μM), respectively. [1]
In vivo	**METHODS**: UMI-77 (60, 80 mg/kg, intravenous injection) was used to observe the growth of body weight tumors in the BxPC-3 xenograft model of SCID mice and perform Western blot and immunohistochemical analysis of tumor tissues. **RESULTS** Treatment with UMI-77 daily for 5 consecutive days per week for two weeks inhibited tumor growth by 65% and 56% on day 19 and day 22, respectively; Western blot of tumor tissue lysates showed slightly increased levels of pro-apoptotic proteins Bax and Bak and significantly reduced survivin. [1]
Kinase Assay	Fluorescence polarization (FP)-based binding assays: Based on the Kd values, the concentrations of the proteins used in the competitive binding experiments are 90 nM for Mcl-1, 40 nM for Bcl-w, 50 nM for Bcl-xL, 60 nM for Bcl-2, and 4 nM for A1/Bfl-1. The fluorescent probes, Flu-BID and FAM-BID are fixed at 2 nM for all assays except for A1/Bfl-1 where FAMBID is used at 1 nM. 5 μL of the tested compound in DMSO and 120 μL of protein/probe complex in the assay buffer (100 mM potassium phosphate, pH 7.5; 100 μg/ml bovine gamma globulin; 0.02% sodium azide) are added to assay plates (Microfluor 2Black), incubated at room temperature for 3 h and the polarization values (mP) are measured at an excitation wavelength at 485 nm and an emission wavelength at 530 nm using the plate reader Synergy H1Hybrid. IC50 values are determined by nonlinear regression fitting of the competition curves.
Cell Research	Human pancreatic cancer cell lines AsPC-1, BxPC-3, and Capan-2 are cultured in RPMI-1640 medium, whereas Panc-1 and MiaPaCa are cultured in Dulbeccos' Modified Eagle's Medium (DMEM), all supplemented with 10% FBS. The cell growth inhibition after treatment with increasing concentrations of the compounds is determined by WST-8 assay.(Only for Reference)

Solubility Information

Solubility	DMSO: 86 mg/mL (183.63 mM),Sonication is recommended. H2O: < 1 mg/mL (insoluble or slightly soluble), 10% DMSO+40% PEG300+5% Tween 80+45% Saline: 8.6 mg/mL (18.36 mM),Solution. Ethanol: 86 mg/mL (183.63 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.1352 mL	10.676 mL	21.352 mL
5 mM	0.427 mL	2.1352 mL	4.2704 mL
10 mM	0.2135 mL	1.0676 mL	2.1352 mL
50 mM	0.0427 mL	0.2135 mL	0.427 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.

Reference

Abulwerdi F, et al. A novel small-molecule inhibitor of mcl-1 blocks pancreatic cancer growth in vitro and in vivo. Mol Cancer Ther. 2014 Mar;13(3):565-75.

Cen X, Chen Y, Xu X, et al. Pharmacological targeting of MCL-1 promotes mitophagy and improves disease pathologies in an Alzheimer's disease mouse model. Nature Communications. 2020, 11(1): 1-13.

Cen X, Chen Y, Xu X, et al. Pharmacological targeting of MCL-1 promotes mitophagy and improves disease pathologies in an Alzheimer's disease mouse model[J]. Nature Communications . 2020, 11(1): 1-13.

Xu Y, Chen Q, Jiang Y, et al.UMI-77 Modulates the Complement Cascade Pathway and Inhibits Inflammatory Factor Storm in Sepsis Based on TMT Proteomics and Inflammation Array Glass Chip.Journal of Proteome Research.2023