Product Data Sheet

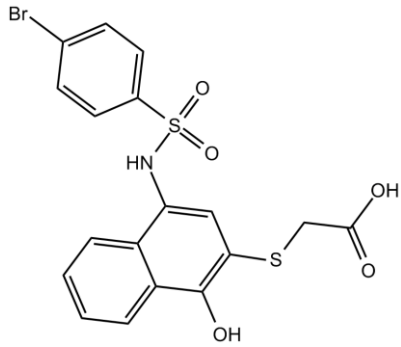
Chemical Properties

Product Name: UMI-77

Cas No.: 518303-20-3

M.Wt: 468.34

Formula: C18H14BrNO5S2



Chemical Name: 2-[4-[(4-bromophenyl)sulfonylamino]-1-hydroxynaphthalen-2-yl]sulfanylacetic acid

Canonical SMILES: C1=CC=C2C(=C1)C(=CC(=C2O)SCC(=O)O)NS(=O)(=O)C3=CC=C(C=C3)Br

Solubility: >23.4mg/mL in DMSO

Storage: Store at -20°C

General tips: For obtaining a higher solubility , please warm the tube at 37° C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20° C for several months.

Shopping Condition: Evaluation sample solution : ship with blue ice
All other available size: ship with RT , or blue ice upon request

Biological Activity

Targets : Bcl-2 Family

Pathways: Apoptosis >> Bcl-2 Family

Description:

UMI-77 is a novel small-molecule inhibitor of Mcl-1 with Ki and IC50 values of 0.49 μM and 0.31 μM [1].
Myeloid cell leukemia-1 (Mcl-1) is a member of the prosurvival Bcl-2 family and is a potent anti-apoptotic protein. Mcl-1 acts as an important survival factor in a broad range of human cancers [1].
UMI-77 is a novel small-molecule Mcl-1 inhibitor. In FP-based binding assays, UMI-77 potently

and selectively displaced fluorescent labeled BID-BH3 peptide from Mcl-1 protein with Ki value of 0.49μM and bound to the BH3 binding pocket of Mcl-1 protein. UMI-77 bound to A1/Bfl-1, Bcl-w, Bcl-2 and Bcl-xL with Ki values of 5.33, 8.19, 23.83 and 32.99μM. In a pull-down assay, UMI-77 at 10 μM effectively and dose-dependently inhibited the interactions between BL-Noxa and cellular Mcl-1. It was reported that Mcl-1 regulates pro-apoptotic Bax and Bak proteins and preventing their pro-apoptotic activity. UMI-77 dose-dependently inhibited the binding of Mcl-1 to Bax with IC50 value of 1.43μM. In PC cells, UMI-77 inhibited cell growth and induced apoptosis in a time and dose-dependent way [1].
In BxPC-3 xenografted SCID mice model, UMI-77 exhibited robust anti-tumor efficacy with no toxicity. Also, UMI-77 decreased the anti-apoptotic protein survivin, which potently inhibited apoptosis by antagonizing caspase activity [1].

***Reference**:*
[1]. Abulwerdi F, Liao C, Liu M, Azmi AS, et al. A novel small-molecule inhibitor of mcl-1 blocks pancreatic cancer growth in vitro and in vivo. Mol Cancer Ther, 2014, 13(3): 565-575.

Protocol

Cell experiment:

Cell lines	Pancreatic cancer (PC) cells
Preparation method	Limited solubility. General tips for obtaining a higher concentration: Please warm the tube at 37 ℃ for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20℃ for several months.
Reacting conditions	~24 h
Applications	UMI-77 inhibits growth of PC cells, especially for the BxPC-3 and Panc-1 cell line with highest potency. In Panc-1 cells, UMI-77 also effectively induces apoptosis in a time-dependent and dose-dependent manner. Moreover, it leads to a dose-dependent release of cytochrome c and Smac from mitochondria. In addition, the growth inhibition and apoptosis effects of UMI-77 is abrogated by knocking down Mcl-1 expression

Animal experiment [3]:

Animal models	BxPC-3 xenograft model in SCID mice
Dosage form	60 mg/kg i.v.
Applications	UMI-77 treatment for 5 consecutive days a week for two weeks significantly inhibits the tumor growth by 65% and 56%. UMI-77 also markedly increases the positive apoptotic cells of tumor sections

	comparing with the control cohorts.
Other notes	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Reference:
1. Abulwerdi F, Liao C, Liu M 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.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most ApexBio products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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