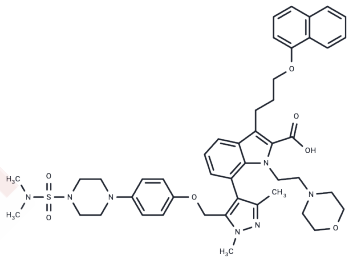
Data Sheet (Cat.No.T2632)

TargetMol

A-1210477

Chemical Properties



CAS No. : 1668553-26-1

Formula: C46H55N7O7S

Molecular Weight: 850.04

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	A-1210477 is an effective and specific MCL-1 and Bcl-2 inhibitor (Ki/IC50: 0.454/26.2 nM).
Targets(IC50)	Apoptosis,Bcl-2 Family
In vitro	In H929 cells, A-1210477 binds to MCL-1 with high affinity and induces MCL-1 protein elevation. In H929, H2110, and H23 cells, A-1210477 induce the hallmarks of apoptosis, and inhibits MCL-1-dependent cell viability. A-1210477 also synergizes with navitoclax to kill a variety of cancer cell lines. [1] In SKBR3 cells, A-1210477 inhibits MCL-1-BIM interaction and induces classical features of apoptosis. [2] In addition, A-1210477 sensitizes non-Hodgkin's lymphoma cell lines to venetoclax (ABT-199). [3]
Kinase Assay	Binding affinity assays: TR-FRET-binding affinity assays are performed for BCL-2, BCL-XL, and MCL-1 in 4.52?mM monobasic potassium phosphate, 15.48?mM dibasic potassium phosphate, 1?mM sodium EDTA, 0.05% Pluronic F-68 detergent, 50?mM sodium chloride, and 1?mM DTT (pH 7.5). For MCL-1 assays, GST-tagged MCL-1 (1?nM) is mixed with 100?nM f-Bak, 1?nM Tb-labeled anti-GST antibody, and compound at room temperature (RT) for 60?min. Fluorescence is measured on an Envision plate reader using a 340/35?nm excitation filter and 520/525 (f-Bak) and 495/510?nm (Tb-labeled anti-GST antibody) emission filters.
Cell Research	Adherent cell lines are seeded at 50?000 cells per well in 96-well plates and treated for 48?h with compounds diluted in half-log steps starting at 30?μM and ending at 0.001?μM. Multiple myeloma cell lines were seeded at 15?000–20?000 cells per well and treated similarly. Effects on proliferation and viability were determined using CellTiter-Glo reagent according to the manufacturer's instructions. IC50 values are determined by non-linear regression analysis of the concentration response data.(Only for Reference)

Solubility Information

Solubility	DMSO: 1.97 mg/mL (2.32 mM),Sonication is recommended. H2O: 10 mM,Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Saline: 0.2 mg/mL (0.24 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*

In vivo Formulation	*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	1.1764 mL	5.8821 mL	11.7642 mL
5 mM	0.2353 mL	1.1764 mL	2.3528 mL
10 mM	0.1176 mL	0.5882 mL	1.1764 mL
50 mM	0.0235 mL	0.1176 mL	0.2353 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

Leverson JD, et al. Cell Death Dis. 2015, 6:e1590. doi: 10.1038/cddis.2014.561.
Zhu P J, Yu Z Z, Lv Y F, et al. Discovery of 3, 5-Dimethyl-4-Sulfonyl-1 H-Pyrrole-Based Myeloid Cell Leukemia 1 Inhibitors with High Affinity, Selectivity, and Oral Bioavailability. Journal of Medicinal Chemistry. 2021, 64(15): 11330-11353.
Gong Q, Li C, Wang H, et al.Discovery of Phenylpyrazole Derivatives as a New Class of Selective Inhibitors of MCL-1 with Antitumor Activity.ACS Omega.2024
Xiao Y, et al. Mol Cancer Ther. 2015, 14(8), 1837-1847.
Phillips DC, et al. Blood Cancer J. 2015, 5:e368. doi: 10.1038/bcj.2015.88.