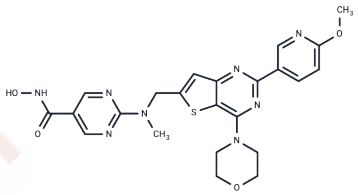
Data Sheet (Cat.No.T2078)

TargetMol

Fimepinostat

Chemical Properties



CAS No. : 1339928-25-4

Formula: C23H24N8O4S

Molecular Weight: 508.55

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	Fimepinostat (CUDC 907) is an orally bioavailable inhibitor of both phosphoinositide 3-kinase (PI3K) class I and pan-histone deacetylase (HDAC) enzymes, with potential antineoplastic activity. Upon oral administration, CUDC-907 inhibits the activity of both PI3K class I isoforms and HDAC, thereby preventing the activation of the PI3K-AKT-mTOR signal transduction pathway that is often overactivated in many cancer cell types.
Targets(IC50)	Apoptosis,HDAC,PI3K
In vitro	In studies assessing the efficacy of NHL and MM models, CUDC-907, when administered at its maximum tolerated dose (MTD), demonstrated significantly greater effectiveness compared to either PI3K or HDAC inhibitors alone or their combination. Additionally, CUDC-907 exhibited superior efficacy over the PI3Kδ selective inhibitor CAL-101 at its MTD. It also displayed oral bioavailability in canine species and a longer half-life in murine tumor models. When targeting xenograft tumors, CUDC-907 induced apoptosis and inhibited cancer cell proliferation.
In vivo	CUDC-907 exhibits inhibitory effects on the growth of a range of B-cell lymphomas, as demonstrated by its IC50 values in various cell lines including Granta 519 (7 nM), DOHH2 (1 nM), RL (2 nM), Pfeiffer (4 nM), SuDHL4 (3 nM), Daudi (15 nM), and Raji (9 nM). Additionally, CUDC-907 blocks the proliferation of multiple myeloma cell lines such as RPMI8226 (2 nM), OPM-2 (1 nM), and ARH77 (5 nM), indicating its potent anticancer activity against both multiple myeloma and B-cell lymphomas. CUDC-907 also inhibits various PI3K isoforms, including PI3Kβ/γ/δ and PI3KαE545K, with IC50 values of 54, 311, 39, and 62 nM, respectively. Furthermore, it effectively inhibits HDAC isoforms HDAC1/2//6/11 with IC50 values of 191, 27, and 5.4 nM, respectively, plus exhibits mild inhibitory effects on other HDAC enzyme activities.
Kinase Assay	The activities of classes I and II HDACs are measured using the Color-de-Lys assay system. The activity of PI3K is measured using the ADP-Glo luminescent kinase assay. Recombinant PI3K protein, a complex of N-terminal GST-tagged recombinant full-length human p110 and untagged recombinant full-length human p85, is coexpressed in a baculovirus-infected Sf9 cell expression system[1].
Cell Research	CUDC-907 is dissolved in DMSO and stored (-80°C), and then diluted with appropriate medium before use[1]. Human cancer cell lines are plated at densities of 5,000 to 10,000 per well in 96-well flat-bottomed plates with the recommended culture medium. The cells are then incubated with compounds (e.g.,CUDC-907) at various concentrations for 72 hours in culture medium supplemented with 0.5% (v/v) FBS. Growth inhibition is

Cell Research	assessed by assay of cellular ATP content using the Perkin-Elmer ATPlite kit[1].

Solubility Information

Solubility	Ethanol: < 1 mg/mL (insoluble or slightly soluble), DMSO: 62.5 mg/mL (122.9 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% (20% SBE-β-CD in Saline): < 6.25 mg/mL (12.29 mM),Lower concentrations may be soluble, but exact solubility limit is unknown. 10% DMSO+40% PEG300+5% Tween 80+45% Saline: 10 mg/mL (19.66 mM),Suspension. 10% DMSO+90% Saline: < 6.25 mg/mL (12.29 mM),Lower concentrations may be soluble, but exact solubility limit is unknown. 10% DMSO+90% Corn oil: 6.25 mg/mL (12.29 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	1.9664 mL	9.8319 mL	19.6637 mL
5 mM	0.3933 mL	1.9664 mL	3.9327 mL
10 mM	0.1966 mL	0.9832 mL	1.9664 mL
50 mM	0.0393 mL	0.1966 mL	0.3933 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

Qian C, et al. Cancer network disruption by a single molecule inhibitor targeting both histone deacetylase activity and phosphatidylinositol 3-kinase signaling. Clin Cancer Res. 2012 Aug 1;18(15):4104-13.
Li M, Hu Y, Wang J, et al.The dual HDAC and PI3K inhibitor, CUDC-907, inhibits tumor growth and stem-like properties by suppressing PTX3 in neuroblastoma.International Journal of Oncology.2024, 64(2): 1-13.