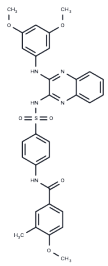
Data Sheet (Cat.No.T1826)

TargetMol

PI3K-IN-1

Chemical Properties



CAS No. : 1349796-36-6

Formula: C31H29N5O6S

Molecular Weight: 599.66

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	PI3K-IN-1 (Voxtalisib Analogue) is a dual inhibitor of mTOR/PI3K, mostly for p110γ , also inhibits DNA-PK and mTOR.
Targets(IC50)	DNA-PK,PI3K
In vitro	In the GBM 39-luc xenografted nude mice model, oral administration of XL765 was able to inhibit the growth of tumor cells while also enhancing survival rates. In the BxPC-3 xenograft mouse model, combining XL765 (30 mg/kg) with chloroquine (50 mg/kg) demonstrated the capability to suppress tumor cell growth.
In vivo	XL765 inhibits Class I PI3K, specifically targeting p110α (IC50=39 nM), p110β (IC50=113 nM), p110γ (IC50=9 nM), and p110δ (IC50=43 nM). It also suppresses mTOR (IC50 = 157 nM) by significantly reducing the phosphorylation of mTOR targets, including S6, S6K, and 4EBP1. In MIAPaCa-2 cells, treatment with XL765 leads to the accumulation of autophagic vacuoles.
Kinase Assay	Radioligand Binding Assays: Each tube in the A3 AR competitive binding assay contains 100 μL of membrane suspension (20 μg of protein), 50 μL of [125I]4-amino-3-iodobenzyl)adenosine-5′-N-methyluronamide (0.5 nM), and 50 μL of increasing concentrations of the test ligands in Tris-HCl buffer (50 mM, pH 7.4) containing 10 mM MgCl2 and 1 mM EDTA. Nonspecific binding is determined using 10 mM 5′-N-ethylcarboxamidoadenosine in the buffer. The mixtures are incubated at 25°C for 60 min. Binding reactions are terminated by filtration through Whatman GF/B filters under reduced pressure using a MT-24 cell harvester. Filters are washed three times with 9 mL of ice-cold buffer. Radioactivity is determined using a Beckman γ-counter, and the percent inhibition is calculated.
Cell Research	Cells are treated with XL765 24 hours after plating and harvested for apoptosis or autophagy assays at 24, 48, or 72 hours after XL765 treatment. Apoptosis is determined by total percentage of annexin V-positive cells by fluorescence-activated cell sorting (FACS). Acidic vesicular organelles (AVOs) are detected in XL765-treated cells by vital staining with acridine orange. The degree of AVO formation is expressed as fold increase of acridine orange fluorescence intensity (FL3) in XL765-treated cells versus control cells. (Only for Reference)

Solubility Information

Solubility	DMSO: 1 mg/mL (1.67 mM),Sonication is recommended. H2O: < 1 mg/mL (insoluble or slightly soluble), Ethanol: < 1 mg/mL (insoluble or slightly soluble), (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 1 mg/mL (1.67 mM),Sonication is recommended. *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	1.6676 mL	8.3381 mL	16.6761 mL
5 mM	0.3335 mL	1.6676 mL	3.3352 mL
10 mM	0.1668 mL	0.8338 mL	1.6676 mL
50 mM	0.0334 mL	0.1668 mL	0.3335 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

Garcia-Echeverria C, et al. Oncogene, 2008, 27(41), 5511-5526.
Mirzoeva OK, et al. J Mol Med, 2011, 89(9), 877-889.
Prasad G, et al. Neuro Oncol, 2011, 13(4), 384-392.