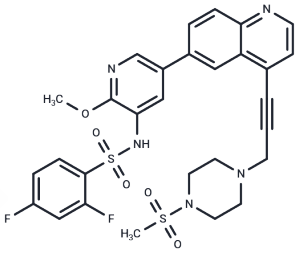
Data Sheet (Cat.No.T16355)

TargetMol

NSC781406

Chemical Properties



CAS No. : 1676893-24-5

Formula: C29H27F2N5O5S2

Molecular Weight: 627.68

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	NSC781406 is a highly effective inhibitor of PI3K and mTOR (IC50: 2 nM for PI3Kα).
Targets(IC50)	mTOR,PI3K
In vitro	NSC781406 shows reasonable liver microsome stability. NSC781406 displays effective PI3K inhibition (PI3Kα IC50=2.0 nM) that translates into BEL-7404 cells proliferation inhibition (IC50=20 nM) and it also demonstrates cytotoxic activities against leukemia, non-small cell, lung cancer, colon cancer, central nervous system cancer, melanoma, ovarian cancer, renal cancer, prostate cancer, and breast cancer. It is effective against 60 cancer cell lines with a mean GI50 value of 65 nM and with a GI50 value of less than 10 nM against four cancer cell lines.
In vivo	NSC781406 is well tolerated at 30 mg/kg, with no observed mortality or significant reduction of body weight. NSC781406(30 mg/kg) treatment, causes statistically significant antitumor activity, in the xenograft models. It has a mean reduction in the relative tumor volume ratio of 52%. Sorafenib shows an inhibition ratio of 44% at 50 mg/kg .

Solubility Information

Solubility	DMSO: 150 mg/mL (238.98 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Corn Oil: 4 mg/mL (6.37 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	1.5932 mL	7.9658 mL	15.9317 mL
5 mM	0.3186 mL	1.5932 mL	3.1863 mL
10 mM	0.1593 mL	0.7966 mL	1.5932 mL
50 mM	0.0319 mL	0.1593 mL	0.3186 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

Chen Y, et al. Discovery of benzenesulfonamide derivatives as potent PI3K/mTOR dual inhibitors with in vivo efficacies against hepatocellular carcinoma. Bioorg Med Chem. 2016 Mar 1;24(5):957-66.