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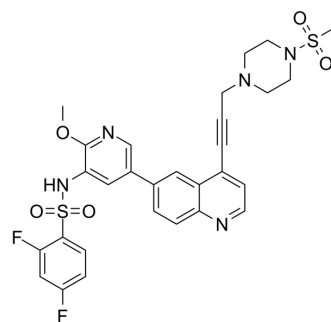
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NSC781406

Cat. No.:	HY-100470		
CAS No.:	1676893-24-5		
Molecular Formula:	C ₂₉ H ₂₇ F ₂ N ₅ O ₅ S ₂		
Molecular Weight:	627.68		
Target:	PI3K; mTOR		
Pathway:	PI3K/Akt/mTOR		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	2 years
		-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro	DMSO : 150 mg/mL (238.98 mM; Need ultrasonic)					
		Solvent Concentration	Mass	1 mg	5 mg	10 mg
	Preparing Stock Solutions	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	
Please refer to the solubility information to select the appropriate solvent.						
In Vivo	1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: 3.75 mg/mL (5.97 mM); Suspended solution; Need ultrasonic 2. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 3.75 mg/mL (5.97 mM); Clear solution					

BIOLOGICAL ACTIVITY

Description	NSC781406 is a highly potent PI3K and mTOR inhibitor with an IC ₅₀ of 2 nM for PI3Kα.			
IC₅₀ & Target	PI3Kα	PI3Kγ	PI3Kβ	PI3Kδ
	2 nM (IC ₅₀)	2.7 nM (IC ₅₀)	9.4 nM (IC ₅₀)	14 nM (IC ₅₀)
	mTOR 5.4 nM (IC ₅₀)			
In Vitro	NSC781406 demonstrates potent PI3K inhibition (PI3Kα IC ₅₀ =2.0 nM) that translates into BEL-7404 cells proliferation inhibition (IC ₅₀ =20 nM). NSC781406 displays reasonable liver microsome stability. NSC781406 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 potent against 60 cancer cell lines with a mean GI₅₀ value of 65 nM, and with a GI₅₀ value less than 10 nM against four cancer cell lines^[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

In the xenograft models, treatment with 30 mg/kg of NSC781406 results in statistically significant antitumor activity, with a mean reduction in relative tumor volume ratio of 52%. Sorafenib displays an inhibition ratio of 44% at 50 mg/kg. NSC781406 is well tolerated at 30 mg/kg, with no observed mortality or significant reduction of body weight^[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Kinase Assay ^[1]

IC₅₀ values for inhibition of the PI3K is measured. PI-103 is used as the reference compound. The compounds (NSC781406) are tested in duplicate for 10 concentrations, 100 nM or 500 nM as the top concentration. All reagents are diluted in kinase buffer. Three-fold, ten-point serial compound (NSC781406) dilutions are performed in kinase buffer^[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Assay ^[1]

Cytotoxic effects are tested in the human lung adenocarcinoma cells A549, human colon cancer cells HCT-116, human breast cancer cells MDA-MB-231 and human hepatocellular carcinoma cells BEL-7404. These four tumor cells are diluted to a density of 40,000–50,000 cells/mL in logarithmic phase. After the cells are treated with compounds (NSC781406) for 72 h, MTT solution (5 mg/mL, 20 µL) is added another 4h at 37°C. IC₅₀ values are determined by a nonlinear regression analysis^[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Administration ^[1]

Mice: NSC781406 is orally administered once a day 30 mg/kg for 14 consecutive days or with sorafenib at 50 mg/kg. The relative tumor volume to vehicle-treated control mice is monitored^[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Mol Med. 2024 Feb 21;30(1):28.
- Front Pharmacol. 2020 Nov 11;11:580407.

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REFERENCES

[1]. 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.

Caution: Product has not been fully validated for medical applications. For research use only.

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