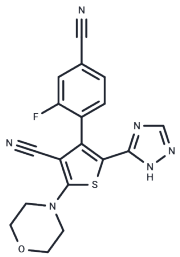
Data Sheet (Cat.No.T6938)

PF-4989216

Chemical Properties



CAS No. : 1276553-09-3

Formula: C18H13FN6OS

Molecular Weight: 380.4

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	PF-4989216 is a potent and selective PI3K inhibitor with IC50 values of 2 nM (p110α), 142 nM (p110β), 65 nM (p110γ), 1 nM (p110δ), and 110 nM (VPS34).
Targets(IC50)	Apoptosis,PI3K
In vitro	PF-4989216 significantly inhibits cell viability in SCLC cells with a PIK3CA mutation, such as NCI-H69, NCI-H1048, and Lu99A cells. PF-4989216, via PI3K signaling inhibition, blocks cell-cycle progression and reduces cell transformation in SCLCs. In SCLCs with PIK3CA mutation, PF-4989216 induces BIM-mediated apoptosis. [1]
In vivo	In SCID mice bearing NCI-H69 or NCI-H1048 xenograft tumors, PF-4989216 (350 mg/kg, p.o.) inhibits PI3K phosphorylation signaling and induces antitumor activity. [1]
Cell Research	SCLC cells are cultured (5,000 cells/well) in a 96-well microtiter plate at 37°C in 5% CO2 in supplier-recommended growth media and compounds are added to each well starting at 10 μM with a 3-fold serial dilution. At 72 hours after compound addition, CellTiter-Glo (CTG) Solution is added per the manufacturer's instructions. Luminescence is read on an Envision plate reader. All experiments are run in duplicate and have been repeated at least three times.(Only for Reference)

Solubility Information

Solubility	Ethanol: 7 mg/mL (18.4 mM),Heating is recommended. H2O: < 1 mg/mL (insoluble or slightly soluble), DMSO: 71 mg/mL (186.65 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (5.26 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	2.6288 mL	13.1441 mL	26.2881 mL
5 mM	0.5258 mL	2.6288 mL	5.2576 mL
10 mM	0.2629 mL	1.3144 mL	2.6288 mL
50 mM	0.0526 mL	0.2629 mL	0.5258 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

Walls M, et al. Clin Cancer Res. 2014, 20(3), 631-643.
Liao W, Wang Z, Han Y, et al. Design, synthesis and biological activity of novel 2,3,4,5-tetra-substituted thiophene derivatives as PI3Kα inhibitors with potent antitumor activity. European Journal of Medicinal Chemistry. 2020, 197: 112309
Liao W, Wang Z, Han Y, et al. Design, synthesis and biological activity of novel 2, 3, 4, 5-tetra-substituted thiophene derivatives as PI3Kα inhibitors with potent antitumor activity[J]. European Journal of Medicinal Chemistry. 2020: 112309.