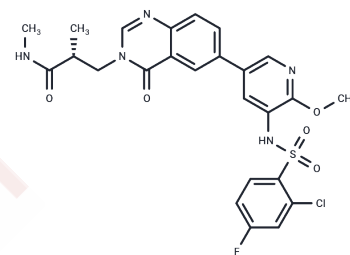


PI3K α -IN-4

Chemical Properties

CAS No. :	2322293-83-2
Formula:	C ₂₅ H ₂₃ ClFN ₅ O ₅ S
Molecular Weight:	560
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 α -IN-4 is a potent, selective, and orally active PI3K α inhibitor with an IC ₅₀ of 1.8 nM, demonstrating antitumor activity [1].
Targets(IC ₅₀)	Others,PI3K
In vitro	PI3K α -IN-4 (compound 10) inhibits PI3K α , β , δ , and γ in kinase assays with IC ₅₀ s of 1.8, 271.0, 13.9, and 13.8 nM, respectively, and in cell-based assays with IC ₅₀ s of 12.1, 1393, 183, and >10000 nM, respectively [1].
In vivo	PI3K α -IN-4 (compound 10) (30 mg/kg; p.o. once daily for 21 days) achieves 73.0% tumor growth inhibition in mice. It dose-dependently suppresses tumor growth by 62.5% (15 mg/kg), 86.0% (30 mg/kg), and 90.7% (40 mg/kg) when administered orally once daily for 30 days. Time- and dose-dependent inhibition of Akt phosphorylation is observed in vivo (15-40 mg/kg; p.o. once daily; 1-4 h). The compound shows high C _{max} (mouse 22167, rat 2327 nM) and good bioavailability (mouse 59.4%, rat 46.9%) after oral administration (mouse 10, rat 3 mg/kg). Following intravenous injection (mouse 1, rat 1 mg/kg), it has a t _{1/2} of 0.99 hours in mice and 1.22 hours in rats, with low plasma clearance (mouse 4.16, rat 5.28 mL/min/kg).

Solubility Information

Solubility	DMSO: 100 mg/mL (178.57 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: 3.3 mg/mL (5.89 mM),Sonication is recommended. <i>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.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.7857 mL	8.9286 mL	17.8571 mL
5 mM	0.3571 mL	1.7857 mL	3.5714 mL
10 mM	0.1786 mL	0.8929 mL	1.7857 mL
50 mM	0.0357 mL	0.1786 mL	0.3571 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

Dong J, et, al. Discovery of 3-Quinazolin-4(3 H)-on-3-yl-2, N-dimethylpropanamides as Orally Active and Selective PI3K α Inhibitors. ACS Med Chem Lett. 2020 Jun 10; 11(7): 1463-1469.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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