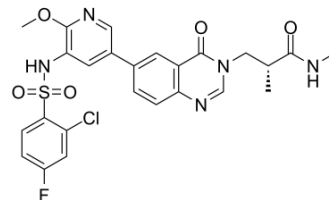


PI3K α -IN-4

Cat. No.:	HY-131345		
CAS No.:	2322293-83-2		
Molecular Formula:	C ₂₅ H ₂₃ ClFN ₅ O ₅ S		
Molecular Weight:	560		
Target:	PI3K		
Pathway:	PI3K/Akt/mTOR		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



BIOLOGICAL ACTIVITY

Description	PI3K α -IN-4 is a potent, selective and orally active inhibitor of PI3K α , with an IC ₅₀ of 1.8 nM. PI3K α -IN-4 has antitumor activity [1].
IC₅₀ & Target	PI3K α 1.8 nM (IC ₅₀)
In Vitro	PI3K α -IN-4 (compound 10) inhibits PI3K α , β , δ , and γ , with IC ₅₀ s of 1.8, 271.0, 13.9, and 13.8 nM, respectively in kinase assays [1]. PI3K α -IN-4 inhibits PI3K α , β , δ , and γ , with IC ₅₀ s of 12.1, 1393, 183, and >10000 nM, respectively in cell based assays [1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	PI3K α -IN-4 (compound 10) (30 mg/kg; p.o. once daily for 21 d) achieves the best efficacy, which could inhibit tumor growth by 73.0% in mice [1]. PI3K α -IN-4 (15-40 mg/kg; p.o. once daily for 30 d) dose dependently suppresses tumor growth by 62.5% (15 mg/kg), 86.0% (30 mg/kg) and 90.7% (40 mg/kg), respectively in mice [1]. PI3K α -IN-4 (15-40 mg/kg; p.o. once daily; 1-4 h) inhibits the phosphorylation of Akt in a dose- and time-dependent manner in vivo [1]. PI3K α -IN-4 shows high C _{max} (mouse 22167, rat 2327 nM) and good bioavailability (mouse 59.4%, rat 46.9%) following oral administration (mouse 10, rat 3 mg/kg) [1]. PI3K α -IN-4 shows t _{1/2} (mouse 0.99, rat 1.22 h) and low plasma clearance (mouse 4.16, rat 5.28 mL/min/kg) following intravenous injection (mouse 1, rat 1 mg/kg) [1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Model:	BT-474 subcutaneous xenograft mice [1]
Dosage:	30 mg/kg
Administration:	P.o. once daily for 21 days
Result:	Inhibited tumor growth by 73.0% and could be tolerated.

REFERENCES

[1]. 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.

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

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