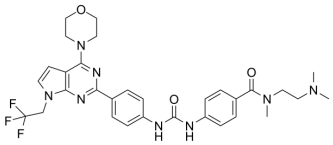
PI3K-IN-22

Cat. No.:	HY-10620
CAS No.:	1202884-94-3
Molecular Formula:	$C_{31}H_{35}F_3N_8O_3$
Molecular Weight:	624.66
Target:	PI3K; mTOR
Pathway:	PI3K/Akt/mTOR
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	PI3K-IN-22 is a PI3Kα/mTOR dual kinase inhibitor. PI3K-IN-22 has IC_{50}s of 0.9, 0.6 nM for PI3Kα and mTOR, respectively. PI3K-IN-22 can be used for the research of cancer[1].

IC_{50} & Target	PI3Kα	mTOR
	0.9 nM (IC_{50})	0.6 nM (IC_{50})

In Vitro	PI3K-IN-22 (compound 46) inhibits the cell growth of PC3 and MDA-361 cells with IC_{50}s of <3.0 and 13.0 nM[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	PI3K-IN-22 (25 mg/kg; i.v.) suppresses phosphorylation of Akt T308, Akt S473 and S6K in MDA361 breast tumor cells up to 8 h in MDA361 tumor bearing nude mice demonstrated by biomarker studies[1]. PI3K-IN-22 (50, 25, 10 mg/kg; i.v.; once daily for 5 days weekly; 2 rounds) shows good antitumor efficacy in MDA361 tumor xenograft nude mice model[1]. PI3K-IN-22 (25 mg/kg; i.v.; a single dose) has a blood concentrationsat value of 1731 ng/mL at 8 h[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	MDA361 tumor xenograft nude mice model[1]
Dosage:	50, 25, 10 mg/kg
Administration:	i.v., once daily for 5 days weekly (2 rounds)
Result:	Exhibited significant tumor regression in 50 mg/kg and no tumor regrowth until day 32. Exhibited tumor growth inhibition in 25 and 10 mg/kg.

REFERENCES

[1]. Chen Z, et al. Synthesis and SAR of novel 4-morpholinopyrrolopyrimidine derivatives as potent phosphatidylinositol 3-kinase inhibitors. J Med Chem. 2010 Apr 22;53(8):3169-82.

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

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