PI3K/mTOR Inhibitor-4

Cat. No.: HY-128333

CAS No.: 2361215-32-7

Molecular Formula: $C_{27}H_{22}FN_3O_6S$

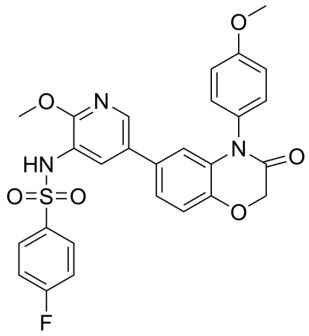
Molecular Weight: 535.54

Target: PI3K; mTOR

Pathway: PI3K/Akt/mTOR

Storage: 4°C, protect from light

* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 250 mg/mL (466.82 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.8673 mL	9.3364 mL	18.6727 mL
	5 mM	0.3735 mL	1.8673 mL	3.7345 mL
	10 mM	0.1867 mL	0.9336 mL	1.8673 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

PI3K/mTOR Inhibitor-4 is an orally active pan-class I PI3K/mTOR inhibitor. PI3K/mTOR Inhibitor-4 has enzymatic inhibition activity for PI3Kα, PI3Kγ, PI3Kδ and mTOR with IC_{50} values of 0.63 nM, 22 nM, 9.2 nM and 13.85 nM, respectively. PI3K/mTOR Inhibitor-4 can be used for the research of cancer[1].

IC_{50} & Target

PI3Kα	PI3Kδ	mTOR	PI3Kβ
0.63 nM (IC_{50})	9.2 nM (IC_{50})	13.85 nM (IC_{50})	94.54 nM (IC_{50})

PI3Kγ
22 nM (IC_{50})

In Vitro

PI3K/mTOR Inhibitor-4 (compound 8d-1) has enzymatic inhibition activity for PI3Kα, PI3Kδ, mTOR, PI3Kβ and PI3Kγ with IC_{50} values of 0.63 nM, 9.2 nM, 13.85 nM, 94.54 nM and 22 nM, respectively[1].

PI3K/mTOR Inhibitor-4 shows potent anti-proliferation activity in A549, Hela, HCT-116, HepG2, A375 and MCF-7 cells with IC $_{50}$ values of 1.35 nM, 1.22 nM, 13.44 nM, 1.08 nM, 18.4 nM and 8.26 nM, respectively[1].

PI3K/mTOR Inhibitor-4 (2.5-10 μM; 24 h) inhibits the PI3K/AKT/mTOR pathway[1].

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

Cell Viability Assay[1]

Cell Line:	PC12 and LO2 cells
Concentration:	0.625-20 μM
Incubation Time:	72 h
Result:	Showed low toxicity in concentrations from 0.625 μM to 20 μM.

Western Blot Analysis[1]

Cell Line:	Hela cells
Concentration:	2.5, 5 and 10 μM
Incubation Time:	24 h
Result:	Dose-dependently decreased the level of phosphorylation of AKT and its downstream target S6 in Hela cell line.

In Vivo

PI3K/mTOR Inhibitor-4 (compound 8d-1) (i.v., oral; 1mg/kg, 10 mg/kg) displays favorable pharmacokinetic parameters in Sprague−Dawley rats[1].

PI3K/mTOR Inhibitor-4 (oral; 10-50 mg/kg) shows significant efficiency in Hela/A549 tumor xenograft models without causing significant weight loss and toxicity[1].

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

Animal Model:	SD rats (male; 200-220 g)[1]
Dosage:	1, 10 mg/kg
Administration:	Intravenous, oral
Result:	

IV (1 mg/kg)		PO (10 mg/kg)				
CL (ml/min/kg)	Vss (ml/kg)	T_{max} (h)	C_{max} (ng/ml)	AUCinf (ng*h/ml)	$t_{1/2}$ (h)	F(%)
8.6	1199.81	2.67	886.67	4753.35	1.78	24.1

Animal Model:	BALB/c nude mice (female; 6-7 weeks; 18-22 g)[1]
Dosage:	10, 20, 40, 50 mg/kg/d (Hela model) and 20, 40 mg/kg/d (A549 model)
Administration:	Oral
Result:	Inhibited the growth of xenograft tumors in a dose-dependent manner.

REFERENCES

[1]. Guoyi Yan, et al. Discovery of 4-phenyl-2H-benzo[b][1,4]oxazin-3(4H)-one derivatives as potent and orally active PI3K/mTOR dual inhibitors. Eur J Med Chem

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

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