PI3K/mTOR Inhibitor-11

Cat. No.: HY-151622

CAS No.: 2845104-25-6

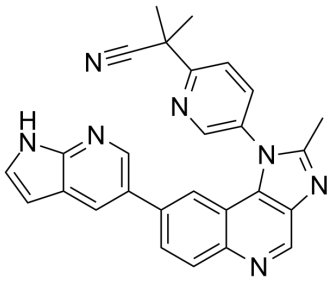
Molecular Formula: $C_{27}H_{21}N_7$

Molecular Weight: 443.5

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 : 40 mg/mL (90.19 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2548 mL	11.2740 mL	22.5479 mL
	5 mM	0.4510 mL	2.2548 mL	4.5096 mL
	10 mM	0.2255 mL	1.1274 mL	2.2548 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: ≥ 4 mg/mL (9.02 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

PI3K/mTOR Inhibitor-11 is an orally active PI3K/mTOR inhibitor (IC_{50}: 3.5, 4.6, and 21.3 nM for PI3Kα, PI3Kδ, and mTOR). PI3K/mTOR Inhibitor-11 regulates the PI3K/AKT/mTOR signaling pathway by inhibiting the phosphorylation of AKT and S6 proteins. PI3K/mTOR Inhibitor-11 can be used in the research of cancers[1].

IC_{50} & Target	PI3Kα	PI3Kδ	mTOR
	3.5 nM (IC_{50})	4.6 nM (IC_{50})	21.3 nM (IC_{50})

In Vitro

PI3K/mTOR Inhibitor-11 (compound 8o) inhibits various human cancer cell lines HT29, HCT15, H3122, HeLa, SW620, and H446 viability with IC_{50} values of 0.25, 0.17, 0.29, 0.09, 0.16, and 0.97 μM, respectively[1].
PI3K/mTOR Inhibitor-11 (0-1.25 μM, 15 days) decreases the colony formation rates of HeLa and SW620 cells[1].
PI3K/mTOR Inhibitor-11 (0-2.5 μM, 24 h) arrests HeLa and SW620 cells at the G0/G1 phases[1].
PI3K/mTOR Inhibitor-11 (0-2.5 μM, 24 h) suppressees the phosphorylated AKT and S6 proteins in HeLa cells[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Apoptosis Analysis[1]

Cell Line:	HeLa and SW620 cells
Concentration:	0, 0.15625, 0.625, 1.25 μM
Incubation Time:	24 h
Result:	Affected HeLa cells' apoptosis rate from 6.10 to 66.04% in a dose-dependent manner.

Western Blot Analysis[1]

Cell Line:	HeLa
Concentration:	0, 0.15625, 0.625, 1.25, 2.5 μM
Incubation Time:	24 h
Result:	Suppressed the phosphorylated AKT (Ser473 and Thr308) and S6 proteins.

In Vivo

PI3K/mTOR Inhibitor-11 (compound 8o, 15-60 mg/kg, intragastric administration) suppresses the growth of HeLa and SW620 xenograft tumors[1].
PI3K/mTOR Inhibitor-11 (1 mg/kg for i.v., 10 mg/kg for p.o., rats) shows oral bioavailability (76.81%)[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	HeLa and SW620 xenograft models of female BALB/c nude mice[1].
Dosage:	15, 30, and 60 mg/kg
Administration:	Intragastric administration, daily for 30 days.
Result:	The TGIs (tumor growth inhibitions): 80.22, 73.50, and 60.79% in the HeLa xenograft model at doses of 60, 30, and 15 mg/kg, respectively. TGIs: 81.03, 70.81, and 60.58% in the SW620 xenograft model at doses of 60, 30, and 15 mg/kg, respectively.

Animal Model:	Rats[1].
Dosage:	1 mg/kg for i.v., 10 mg/kg for p.o.
Administration:	i.v., p.o.
Result:	Pharmacokinetic parameters of PI3K/mTOR Inhibitor-11 (compound 8o)

dose (mg/kg)	$T_{1/2}$ (h)	C_{max} (ng/mL)	CL (mL/min/kg)	F (%)
1 (i.v.)			17.2	
10 (p.o.)	2.6	2995		76.81%

REFERENCES

[1]. Yang J, et al. Discovery of 2-Methyl-2-(4-(2-methyl-8-(1H-pyrrolo[2,3-b]pyridin-6-yl)-1H-naphtho[1,2-d]imidazol-1-yl)phenyl)propanenitrile as a Novel PI3K/mTOR Inhibitor with Enhanced Antitumor Efficacy In Vitro and In Vivo. J Med Chem. 2022 Oct 13;65(19):12781-12801.

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