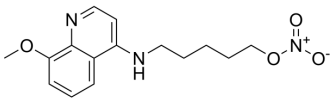
5MPN

Cat. No.:	HY-123981
CAS No.:	47208-82-2
Molecular Formula:	$C_{15}H_{19}N_3O_4$
Molecular Weight:	305.33
Target:	Phosphatase
Pathway:	Metabolic Enzyme/Protease
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (327.51 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.2751 mL	16.3757 mL	32.7515 mL
	5 mM	0.6550 mL	3.2751 mL	6.5503 mL
	10 mM	0.3275 mL	1.6376 mL	3.2751 mL

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

In Vivo

1. Add each solvent one by one: Cremophor EL
   Solubility: 20 mg/mL (65.50 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (8.19 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (8.19 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (8.19 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

5MPN is a first-in-class, potent, orally active and selective 6-phosphofructo-2-kinase/fructose-2,6-bisphosphatase 4 (PFKFB4) inhibitor. 5MPN appears to be a competitive inhibitor of the F6P binding site (K_i=8.6 μM). 5MPN does not inhibit PFK-1 or PFKFB3. 5MPN targets the sugar metabolism of tumors and suppresses proliferation of multiple human cancer cell lines[1].

IC_{50} & Target

KI: 8.6 μM (PFKFB4)[1]

In Vitro

5MPN (0~30 μM; 24 hours; H460 cells) inhibits the expression of PFKFB4[1].
5MPN (0~50 μM; 0~72 hours; H460 NSCLC cells) first reduces the intracellular concentration of F2,6BP, glycolysis and ATP, which in turn results in a reduction in cell proliferation[1].
5MPN (0 and 10 μM; 6, 12 and 24 hours; H460 cells) induces cells apoptosis[1].
5MPN (0 and 10 μM; 6, 12 and 24 hours; H460 cells) arrests cell cycle progression[1].
5MPN (0.1, 1 or 10 μM) significantly inhibits PFKFB4 activity. 5MPN (H460 cells) leads to a dose-dependent decrease in the intracellular F2,6BP concentration. 5MPN (0~30 μM; over 48 hours; H460, H1299, H441, H522 and A549 cells) makes a dose-dependent reduction in cells growth. 5MPN (0~30 μM; 24 hours; H460 cells) inhibits PFKFB4 expression causing the observed reduction in H460 cell proliferation. 5MPN causes a G1 arrest in LLC cells in vitro similar to H460 cells[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1]

Cell Line:	H460 cells
Concentration:	0~30 μM
Incubation Time:	24 hours
Result:	Inhibited the expression of PFKFB4 .

Cell Proliferation Assay[1]

Cell Line:	H460 NSCLC cells
Concentration:	0~50 μM
Incubation Time:	0~72 hours
Result:	Resulted in a reduction in cell proliferation.

Apoptosis Analysis[1]

Cell Line:	H460 cells
Concentration:	0 and 10 μM
Incubation Time:	6, 12 and 24 hours
Result:	Induced cells apoptosis.

Cell Cycle Analysis[1]

Cell Line:	H460 cells
Concentration:	0 and 10 μM
Incubation Time:	6, 12 and 24 hours
Result:	Arrested cell cycle progression.

In Vivo

5MPN (120 mg/kg; p.o.) suppresses the growth of Lewis lung carcinomas (LLC) grown in syngeneic mice and H460 human lung adenocarcinoma xenografts grown in athymic mice without affecting body weight[1].
5MPN causes a reduction in Ki67-positive cells in the LLC xenografts suggesting that 5MPN may be reducing cell cycle progression in vivo[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	C57BL/6 mice[1]

Dosage:	120 mg/kg
Administration:	P.o.
Result:	Suppressed the growth of Lewis lung carcinomas (LLC) grown in syngeneic mice and H460 human lung adenocarcinoma xenografts grown in athymic mice without affecting body weight.

REFERENCES

[1]. Chesney J, et al. Targeting the sugar metabolism of tumors with a first-in-class 6-phosphofructo-2-kinase (PFKFB4) inhibitor. Oncotarget. 2015;6(20):18001-18011.

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