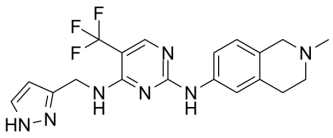
PCC0208017

Cat. No.:	HY-139604		
CAS No.:	2623158-64-3		
Molecular Formula:	$C_{19}H_{20}F_3N_7$		
Molecular Weight:	403.4		
Target:	Apoptosis		
Pathway:	Apoptosis		
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 : 125 mg/mL (309.87 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.4789 mL	12.3946 mL	24.7893 mL
	5 mM	0.4958 mL	2.4789 mL	4.9579 mL
	10 mM	0.2479 mL	1.2395 mL	2.4789 mL

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

BIOLOGICAL ACTIVITY

Description

PCC0208017 is a microtubule affinity regulating kinases (MARK3/MARK4) inhibitor with IC_{50}s of 1.8 and 2.01 nM, respectively. PCC0208017 has much lower inhibitory activity against MARK1 and MARK2, with IC_{50}s of 31.4 and 33.7 nM, respectively. PCC0208017 suppresses glioma progression in vitro and in vivo. PCC0208017 disrupts microtubule dynamics and induces G2/M phase cell cycle arrest and cell apoptosis. PCC0208017 demonstrates robust antitumor activity in vivo and displays good BBB permeability[1].

In Vitro

PCC0208017 inhibits the activity of MARK3 and MARK4 and decreased the phosphorylation of Tau[1].
PCC0208017 (1-5 μM; 24 hours) treatment results in decreased phosphorylation of Tau, the subtract of MARKs[1].
PCC0208017 (3-21 μM; 24 hours) suppresses the proliferation of glioma cells[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]

Cell Line:	The glioma cell lines GL261, U87-MG, U251
Concentration:	0, 3, 6, 9, 12, 15, 18, 21 μM

Incubation Time:	24 hours
Result:	The IC_{50} values for GL261, U87-MG and U251 were calculated as 2.77, 4.02 and 4.45 μM, respectively.

Cell Proliferation Assay[1]

Cell Line:	
Concentration:	Glioma cell lines GL261 and U251 1, 2, 5 μM
Incubation Time:	24 hours
Result:	Decreased the phosphorylation of Tau.

In Vivo

PCC0208017 demonstrates robust antitumor activity in vivo and displays good BBB permeability. PCC0208017 (50 and 100 mg/kg; orally administrated) inhibits the growth of xenograft tumors derived from GL261 cells in a dose-dependent manner. Inhibition rates are 56.15% and 70.32%, respectively. Co-treatment of PCC0208017 at dosage of 50 mg/kg significantly enhances the anti-tumor activity of Temozolomide (TMZ; 100 mg/kg), with an increase in tumor inhibition rates from 34.15% (TMZ only) to 83.5% (TMZ+PCC0208017)[1].

PCC0208017 (after a single oral administration at a dose of 50 mg/kg) could be detected in both plasma and brain following a single oral dose of 50 mg/kg. In plasma, C_{max} is 1.36 μg/mL and T_{max} is 0.833 h. In brain, C_{max} is 0.14 μg/mL and T_{max} is 0.833 h[1].

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

Animal Model:	C57BL/6 mice bearing murine glioma GL261 xenograft tumor[1]
Dosage:	50 mg/kg and 100 mg/kg (suspended in a 0.5% methylcellulose solution)[1].
Administration:	Orally administrated every day at a volume of 10 mL/kg
Result:	Inhibited GL261 cells growth in xenograft mouse model.

REFERENCES

[1]. Fangfang Li, et al. PCC0208017, a novel small-molecule inhibitor of MARK3/MARK4, suppresses glioma progression in vitro and in vivo. Acta Pharm Sin B.2020 Feb;10(2):289-300.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA