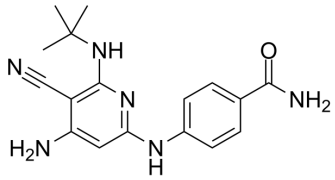
TC-Mps1-12

Cat. No.:	HY-110115
CAS No.:	1206170-62-8
Molecular Formula:	$C_{17}H_{20}N_6O$
Molecular Weight:	324.38
Target:	Mps1
Pathway:	Cell Cycle/DNA Damage; Cytoskeleton
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



BIOLOGICAL ACTIVITY

Description	TC-Mps1-12 is a potent and selective monopolar spindle 1 (Mps1) inhibitor, with an IC_{50} of 6.4 nM[1].
IC_{50} & Target	IC50: 6.4 nM (Mps1)[1]
In Vitro	TC-Mps1-12 inhibits the growth of pMps1 cell lines with IC50 values of 131 nM in autophosphorylation assay[1]. TC-Mps1-12 (72 hours) inhibits the growth of cells in a dose-dependent manner and with an IC_{50} values of 0.84 μM[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	549 cells
Concentration:	
Incubation Time:	72 hours
Result:	Inhibited the growth of cells in a dose-dependent manner and with an IC_{50} values of 0.84 μM.

In Vivo	TC-Mps1-12 (25-100 mg/kg; p.o.; daily; for 19 days) inhibits the growth of A549 cells in a dose-dependent manner in vivo. At a dose of 100 mg/kg, TC-Mps1-12 exhibits 47% tumor growth inhibition without body weight loss[1]. TC-Mps1-12 shows good PK properties with a Cmax of 3542 ng/mL and AUC of 6604 ng h/mL at an oral dose of 25 mg/kg[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	A549 mouse xenograft model[1]
Dosage:	25 mg/kg, 50 mg/kg, 100 mg/kg
Administration:	Oral administration; once daily; for 19 days
Result:	Inhibited the growth of A549 cells in a dose-dependent manner.

Animal Model:	A549 mouse xenograft model (pharmacokinetic)[1]
Dosage:	25 mg/kg
Administration:	Oral administration
Result:	C_{max} = 3542 ng/mL, AUC = 6604 ng h/mL

REFERENCES

[1]. Kusakabe K, et al. Diaminopyridine-based potent and selective mps1 kinase inhibitors binding to an unusual flipped-Peptide conformation. ACS Med Chem Lett. 2012 Jun 6;3(7):560-4.

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