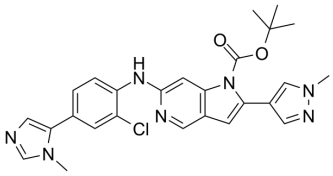
CCT251455

Cat. No.:	HY-12603
CAS No.:	1400284-80-1
Molecular Formula:	$C_{26}H_{26}ClN_7O_2$
Molecular Weight:	503.98
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



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (198.42 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.9842 mL	9.9210 mL	19.8421 mL
	5 mM	0.3968 mL	1.9842 mL	3.9684 mL
	10 mM	0.1984 mL	0.9921 mL	1.9842 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (4.96 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (4.96 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.96 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

CCT251455 is a potent and selective mitotic kinase monopolar spindle 1 (MPS1) inhibitor with an IC_{50} of 3 nM.

IC_{50} & Target

IC50: 3 nM (MPS1)[1]

In Vitro

CCT251455 is a highly potent inhibitor of MPS1 that demonstrates high selectivity versus kinases tested in a broad kinome profiling panel. CCT251455 inhibits P-MPS1 with an IC_{50} of 0.04 μM in cell-based assay and has a GI_{50} of 0.16 μM[1].

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

In Vivo	CCT251455 demonstrates a good oral pharmacokinetic profile in mouse and rat as well as inhibition of MPS1 activity in vivo following oral administration[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [1]	HCT116 cells are treated with 0-20 μM CCT251455 for 72 h. Cell viability is measured using the MTT assay[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [1]	Mice[1] [1]Human HCT116 colon carcinoma cells are sc injected bilaterally in the flanks of female CrTac:NCr-Fox1(nu) athymic mice. Once tumors reach a mean diameter of 8 mm (day 15), mice are dosed twice at a 12 h intervals with 50, 75, or 100 mg/kg of CCT251455 in 10% (v/v) DMSO and 5% (v/v) Tween 20 in saline. Mice are culled (n=3 per group) at 2, 10, and 72 h after the second dose. Tumors are snap-frozen and stored at -80 °C until analysis[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Naud S, et al. Structure-based design of orally bioavailable 1H-pyrrolo[3,2-c]pyridine inhibitors of mitotic kinase monopolar spindle 1 (MPS1). J Med Chem. 2013 Dec 27;56(24):10045-65.

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