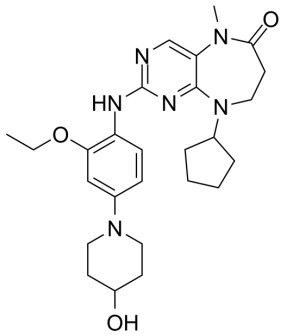
Mps1-IN-2



Cat. No.:	HY-13994
CAS No.:	1228817-38-6
Molecular Formula:	$C_{26}H_{36}N_6O_3$
Molecular Weight:	480.6
Target:	Mps1; Polo-like Kinase (PLK)
Pathway:	Cell Cycle/DNA Damage; Cytoskeleton
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year

SOLVENT & SOLUBILITY

In Vitro

DMSO : 13.33 mg/mL (27.74 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.0807 mL	10.4037 mL	20.8073 mL
	5 mM	0.4161 mL	2.0807 mL	4.1615 mL
	10 mM	0.2081 mL	1.0404 mL	2.0807 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: ≥ 1.33 mg/mL (2.77 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 1.33 mg/mL (2.77 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1.33 mg/mL (2.77 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Mps1-IN-2 is a potent, selective and ATP-competitive dual Mps1/Plk1 inhibitor, with an IC_{50} and a K_d of 145 nM and 12 nM for Mps1 and a K_d of 61 nM for Plk1.

IC_{50} & Target

Mps1 12 nM (Kd)	GAK 140 nM (Kd)	PLK1 61 nM (Kd)	PLK3 1600 nM (Kd)
PLK4 3100 nM (Kd)	STK33 5000 nM (Kd)		

In Vitro	Mps1-IN-2 is a potent, selective and ATP-competitive Mps1 kinase inhibitor, with an IC_{50} and a K_d of 145 nM and 12 nM. Mps1-IN-2 also shows high affinity for PLK1 and GAK with K_ds of 61 and 140 nM, respectively, but shows little or no inhibition on other 352 member kinases. Mps1-IN-2 can induces bypass of a checkpoint-mediated mitotic arrest in U2OS cells[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Kinase Assay [1]	The kinase binding assay is used to assess compound binding to TTK by monitoring displacement of a fluorescently labeled, ATP site-directed kinase inhibitor (Kinase Tracer 236) from the kinase active site. Each 15 µL assay contains 5 nM TTK, variable amounts of test compound (Mps1-IN-2), 30 nM Kinase Tracer 236, 2 nM Eu-anti-GST Antibody, and 1% DMSO (residual from compound dilution) in Kinase Buffer A (50 mM HEPES pH 7.5, 10 mM $MgCl_2$, 1 mM EGTA, 0.01% Brij-35). Binding assays are initiated by addition of 5 µL of test compound (from 2-fold dilution series) to 5 µL of a kinase/antibody mixture, followed by addition of 5 µL of antibody. Assay plates are read using using standard Eu-based TR-FRET settings with excitation at 340 nm and emission monitored at 615 nm (donor) and 665 nm (acceptor). Emission intensities are measured over a 200 µs window following a 100 µs post-excitation delay[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Kwiatkowski N, et al. Small-molecule kinase inhibitors provide insight into Mps1 cell cycle function. Nat Chem Biol. 2010 May;6(5):359-68.

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