Mps1-IN-3

Cat. No.: HY-12401

CAS No.: 1609584-72-6

Molecular Formula: $C_{26}H_{31}N_7O_4S$

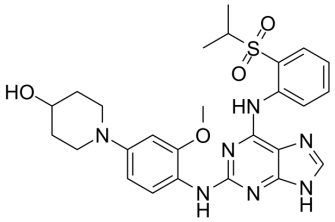
Molecular Weight: 537.63

Target: Mps1

Pathway: Cell Cycle/DNA Damage; Cytoskeleton

Storage:

Powder	-20°C	3 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 31.25 mg/mL (58.13 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.8600 mL	9.3001 mL	18.6002 mL
	5 mM	0.3720 mL	1.8600 mL	3.7200 mL
	10 mM	0.1860 mL	0.9300 mL	1.8600 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 (4.65 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (4.65 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Mps1-IN-3 is a potent and selective MPS1 kinase inhibitor, with an IC_{50} of 50 nM.

IC_{50} & Target

Mps1
50 nM (IC_{50})

In Vitro

Mps1-IN-3 is a potent MPS1 kinase inhibitor, with an IC_{50} of 50 nM. Mps1-IN-3 inhibits the proliferation of U251 glioblastoma cells with an IC_{50} of appr 5 μM. Mps1-IN-3 (2 μM) can completely abrogates checkpoint[1].

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

In Vivo

Mps1-IN-3 (2 mg/kg, i.v.) sensitizes glioblastoma cells in murine tumor models, with prolonged survival and no toxicity[1].

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PROTOCOL

Animal Administration [1]

Mice[1]

Six-week old athymic female nude mice weighing about 25 g are stereotactically injected with 1×10^6 U251-FM-shCTRL or shMPS1 cells, or U251-FM, or 3×10^5 GBM8-FM cells (in 10 and 4 μL PBS, respectively) using a stereotactic instrument after drilling a small hole in the cranium of the mice. For the U251-FM-shRNA experiment, a minimum of 3 mice per group is used, and for the U251-FM and GBM8-FM cells, at least 5 mice per group are used. Tumor growth is monitored by Fluc bioluminescence imaging after injection of 150 μL D-luciferin (50 mg/mL) and imaging 10 min later for luciferase-mediated photon activity using the IVIS Lumina imaging system for the U251-FM model and the IVIS Spectrum for the GBM8-FM model. When tumors reach a size around 10^7 radiance for the U251 model and 5×10^5 radiance for the GBM8 model, mice are intravenously injected with vehicle, and/or 2 mg/kg MPS1-IN-3 in 20% hydroxypropyl-beta-cyclodextrin (HPbetaCD), twice/week over three weeks. Tumor volume is monitored weekly by Fluc imaging[1].

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

CUSTOMER VALIDATION

- Cell Metab. 2021 Jun 1;33(6):1111-1123.e4.

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REFERENCES

[1]. Tannous BA, et al. Effects of the selective MPS1 inhibitor MPS1-IN-3 on glioblastoma sensitivity to antimitotic drugs. J Natl Cancer Inst. 2013 Sep 4;105(17):1322-31.

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