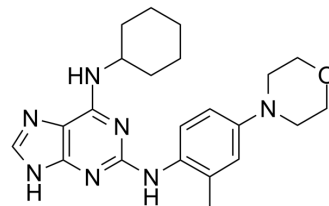


MPI-0479605

Cat. No.:	HY-12660		
CAS No.:	1246529-32-7		
Molecular Formula:	C ₂₂ H ₂₉ N ₇ O		
Molecular Weight:	407.51		
Target:	Mps1; Apoptosis		
Pathway:	Cell Cycle/DNA Damage; Cytoskeleton; Apoptosis		
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 : 11 mg/mL (26.99 mM; Need ultrasonic and warming)

Concentration	Mass		
	1 mg	5 mg	10 mg
1 mM	2.4539 mL	12.2696 mL	24.5393 mL
5 mM	0.4908 mL	2.4539 mL	4.9079 mL
10 mM	0.2454 mL	1.2270 mL	2.4539 mL

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

BIOLOGICAL ACTIVITY

Description

MPI-0479605 is a potent and selective ATP-competitive inhibitor of Mps1, with an IC₅₀ of 1.8 nM.

IC₅₀ & Target

Mps1 1.8 nM (IC ₅₀)	ALK 0.26 μM (IC ₅₀)	B-RAF 3.2 μM (IC ₅₀)	ERK2 3.9 μM (IC ₅₀)
FAK1 2.7 μM (IC ₅₀)	FER 0.59 μM (IC ₅₀)	FLT3 0.08 μM (IC ₅₀)	INSR 0.38 μM (IC ₅₀)
JNK1 0.11 μM (IC ₅₀)	PLK4 3.3 μM (IC ₅₀)	STK33 1.1 μM (IC ₅₀)	

In Vitro

MPI-0479605 is a potent and selective ATP-competitive inhibitor of Mps1, with an IC₅₀ of 1.8 nM. MPI-0479605 (0.1-10 μM) reduces cell viability of HCT-116 cells in a dose-dependent manner. MPI-0479605 shows severe defects in the ability to align chromosomes at the metaphase plate, but causes complete cytokinesis^[1].

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

In Vivo

MPI-0479605 (30 mg/kg daily or 150 mg/kg every fourth day (Q4D), i.p.) inhibits tumor growth by 49% and 74 % in HCT-116 xenografts. However, MPI-0479605 does not show inhibitory activity via daily dosing on the Colo-205 xenografts, and dosing every four days causes 63% tumor growth inhibition (TGI)^[1].

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

PROTOCOL

Animal Administration ^[1]

HCT-116 or Colo-205 cells are transplanted subcutaneously into the flanks of nude (nu⁺/nu⁺) mice and compound (MPI-0479605) treatment is initiated when tumor masses reaches an average size of 100 mm³. MPI-0479605 is formulated in 5% dimethylacetamide (DMA)/12% ethanol/40% PEG-300, ispinesib is formulated in 2% cremaphor/2% DMA, and 5-fluorouracil is formulated in 2% sodium bicarbonate. Tumor volume is measured using vernier calipers and tumor growth inhibition (TGI) is calculated as: %TGI= 100-100(change in median tumor volume of treated)/(change in median tumor volume control) ^[1].

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

CUSTOMER VALIDATION

- Nature. 2021 Feb;590(7846):486-491.
- Breast Cancer Res Treat. 2023 Sep 11.
- bioRxiv. 2020 Jun.

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REFERENCES

[1]. Tardif KD, et al. Characterization of the cellular and antitumor effects of MPI-0479605, a small-molecule inhibitor of the mitotic kinase Mps1. Mol Cancer Ther. 2011 Dec;10(12):2267-2275.

Caution: Product has not been fully validated for medical applications. For research use only.

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