产品名称：**MPI-0479605**
产品别名：**MPI-0479605**

生物活性：

Description

MPI-0479605 is a potent and selective ATP-competitive inhibitor of **Mps1**, with an **IC_{50}** of 1.8 nM.

IC_{50} & Target

Mps1	ALK	B-RAF	ERK2	FAK1
1.8 nM (IC_{50})	0.26 μM (IC_{50})	3.2 μM (IC_{50})	3.9 μM (IC_{50})	2.7 μM (IC_{50})
FER	FLT3	INSR	JNK1	PLK4
0.59 μM (IC_{50})	0.08 μM (IC_{50})	0.38 μM (IC_{50})	0.11 μM (IC_{50})	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].

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].

Solvent&Solubility

In Vitro:

DMSO : 11 mg/mL (26.99 mM; Need ultrasonic and warming)

Preparing Stock Solutions	Solvent / Mass / Concentration	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

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

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.

实验参考：

Animal Administration

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].

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.

源叶生物