Mps1-IN-6

Cat. No.: HY-149959

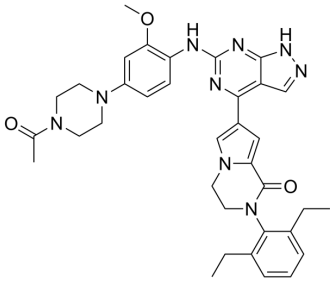
Molecular Formula: $C_{35}H_{39}N_9O_3$

Molecular Weight: 633.74

Target: Mps1

Pathway: Cell Cycle/DNA Damage; Cytoskeleton

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Mps1-IN-6 is a potent Mps1 inhibitor with an IC_{50} value of 2.596 nM. Mps1-IN-6 shows antiproliferative activity. Mps1-IN-6 shows antitumor activity[1].

IC_{50} & Target

IC_{50}: 2.596 nM (Mps1)[1]

In Vitro

Mps1-IN-6 (compound 31) (0-10 μM; 72 h) shows antiproliferative activity with IC_{50}s of 0.221, >10, >10, 0.823, >10 μM for MDA-MB-468, MCF-7, REB, MV4-11, HT-29 cells, respectively[1].

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

Cell Proliferation Assay[1]

Cell Line:	MDA-MB-468, MCF-7, REB, MV4-11, HT-29 cells
Concentration:	0-10 μM
Incubation Time:	72 h
Result:	Showed antiproliferative activity with IC_{50}s of 0.221, >10, >10, 0.823, >10 μM for MDA-MB-468, MCF-7, REB, MV4-11, HT-29 cells, respectively.

In Vivo

Mps1-IN-6 (30, 60 mg/kg; i.v.; once daily for 21 days) shows antitumor activity in mice[1].

Pharmacokinetic Parameters of Mps1-IN-6 in Male Sprague-Dawley rats[1].

parameters (unit)	iv (2 mg/kg)	po (10 mg/kg)
C_0 (ng/mL)	5237	-
C_{max} (ng/mL)	2920	160
$T_{1/2}$ (h)	0.825	1.05
T_{max} (h)	0.083	0.333

$AUC_{(0-t)}$ (h*ng/mL)	1050	204
$AUC_{(0-\infty)}$ (h*ng/mL)	1052	208
$MRT_{(0-t)}$ (h)	0.34	1.11
$MRT_{(0-\infty)}$ (h)	0.354	1.23
CL (mL/kg/min)	32	-
V_{ss} (L/kg)	0.672	-
F %	-	3.95

Male Sprague-Dawley rats, 2 mg/kg iv; 10 mg/kg po.

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

Animal Model:	Six to eight week-old female BALB/c nude mice (MDA-MB-468 mouse xenograft model)[1]
Dosage:	30, 60 mg/kg
Administration:	I.v.; once daily for 21 days
Result:	Inhibited the tumor growth with TGI values of 21%, 34% for 30, 60 mg/kg, respectively.

REFERENCES

[1]. Shihe Hu, et al. Discovery of pyrazolo[3,4-b]pyridine derivatives as novel and potent Mps1 inhibitors for the treatment of cancer. European Journal of Medicinal Chemistry. 2023, 253: 115334.

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