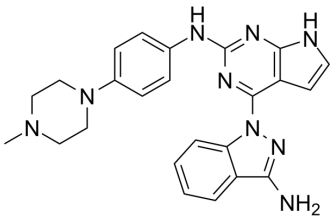
Mps1-IN-5

Cat. No.:	HY-151980
CAS No.:	2890819-31-3
Molecular Formula:	$C_{24}H_{25}N_9$
Molecular Weight:	439.52
Target:	Mps1; Apoptosis
Pathway:	Cell Cycle/DNA Damage; Cytoskeleton; Apoptosis
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Mps1-IN-5 is a potent and orally active Mps1 inhibitor with an IC_{50} value of 29 nM. Mps1-IN-5 induces Apoptosis and cell cycle arrests at G2/M phase. Mps1-IN-5 shows antiproliferative activity and anti-tumor activity. Mps1-IN-5 inhibits phosphorylation of Mps1 and induces DNA damage[1].

IC_{50} & Target

IC_{50}: 29 nM (Mps1)[1]

In Vitro

Mps1-IN-5 (compound 12) (0-10 μM,24, 48, 72 h) inhibits the proliferation of MCF-7 and 4T1 cells in a time-dependent manner [1].

Mps1-IN-5 (0, 0.5, 1.0, 5.0 μM; 24, 48 h) induces apoptosis and cell cycle arrests at G2/M phase in a dose-dependent manner in MCF-7 and 4T1 cells[1].

Mps1-IN-5 (0, 0.03, 0.1, 1, 3 μM; 2 h) inhibits phosphorylation of Mps1 and induces DNA damage[1].

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

Cell Proliferation Assay[1]

Cell Line:	MDA-MB-231, MCF-7, 4T1, HEY, OVCAR-3, ES-2, HCT-116, A549, AGS cells
Concentration:	0-3 μM
Incubation Time:	72 h
Result:	Inhibited the cell growth with IC_{50}s of 2.68, 0.37, 0.40, >3, >3, >3, 1.06, 2.03, >3 μM for MDA-MB-231, MCF-7, 4T1, HEY, OVCAR-3, ES-2, HCT-116, A549, AGS cells, respectively.

Cell Cycle Analysis[1]

Cell Line:	MCF-7, 4T1 cells
Concentration:	0, 0.5, 1.0, 5.0 μM
Incubation Time:	24 h
Result:	Induced cell cycle arrest of MCF-7 and 4T1 cells at the G2/M phase in a dose-dependent manner, decreased the protein expression levels of Cyclin B1 and CDK1.

Apoptosis Analysis[1]

Cell Line:	MCF-7, 4T1 cells
Concentration:	0, 0.5, 1.0, 5.0 μM
Incubation Time:	48 h
Result:	Induced apoptosis and significantly increased the expression level of an apoptosis-related protein, cleaved poly ADP-ribose polymerase (PARP).

Western Blot Analysis[1]

Cell Line:	MCF-7, 4T1 cells
Concentration:	0, 0.03, 0.1, 1, 3 μM
Incubation Time:	2 h
Result:	Increased the expression of level of γ-H2AX protein and decreased the protein expression of p-Mps1 in a dose-dependent manner.

In Vivo

Mps1-IN-5 (30, 60 mg/kg; p.o.; daily for 15 days) inhibits tumor growth without obvious toxicity in breast cancer models[1].

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

Animal Model:	6-8 weeks, female BALB/C mice (4T1-luc mouse xenograft model)[1]
Dosage:	30, 60 mg/kg
Administration:	P.o.; daily for 15 days
Result:	Significantly suppressed tumor growth and caused negligible damage to organs such as heart, liver, spleen, lung and kidneys.

REFERENCES

[1]. Li X, et al. Design, synthesis and biological evaluation of a new class of 7H-pyrrolo[2,3-d]pyrimidine derivatives as Mps1 inhibitors for the treatment of breast cancer. Eur J Med Chem. 2023 Jan 5;245(Pt 1):114887.

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