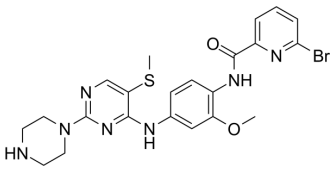
JH530

Cat. No.:	HY-149847
CAS No.:	2928616-74-2
Molecular Formula:	$C_{22}H_{24}BrN_7O_2S$
Molecular Weight:	530.44
Target:	Others
Pathway:	Others
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	JH530 is an effective methuosis inducer that inhibits the triple-negative breast cancer (TNBC) cells proliferation by causing intracellular complete vacuolization. JH530 has anti-tumor activity and can be used for cancer research[1].
IC_{50} & Target	Methuosis[1]

In Vitro

JH530 (compound 5c) (1 μM or 2 μM; 24 hours) causes notable cellular morphological changes in HCC1806 cells, characterized by the accumulation of intracellular vacuoles, and scarcely affected the morphology of 184B5 cells and cell viability[1].
JH530 (0.5, 1.0, 1.5μM; 24 hours) causes cell death by methuosis[1].
JH530 (1 μM; 24 hours) effectively suppresses the proliferation of TNBC cells invitro[1].
JH530 (1.5 μM; 24 hours) causes the increase of Rab7 and Lamp1 expression in HCC1806 and MDA-MB-468[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]

Cell Line:	HCC1806, HCC1937, MDA-MB-468 cells
Concentration:	1 μM
Incubation Time:	24 hours
Result:	Expressed remarkable anti-proliferative activities invitro, with the IC_{50}s were 0.70 μM, 0.92 μM, and 1.03 μM for three TNBC cells HCC1806, MDA-MB-468, and HCC1937, respectively.

Cell Viability Assay[1]

Cell Line:	HCC1806, 184B5
Concentration:	1 μM or 2 μM
Incubation Time:	24 hours
Result:	Exhibited notable cellular morphological changes at 1 μM, characterized by the accumulation of intracellular vacuoles in HCC1806 cells. Scarcely affected the morphology of 184B5 cells and cell viability.

Western Blot Analysis[1]

Cell Line:	HCC1806; MDA-MB-468
Concentration:	0, 0.5, 1.0, 1.5 μM
Incubation Time:	24 hours
Result:	Dose-dependently induced the increase of Rab7 and Lamp1 expression, and causes cell death by methuosis.

Immunofluorescence[1]

Cell Line:	HCC1806, HCC1937, MDA-MB-468 cells
Concentration:	1.5 μM
Incubation Time:	24 hours
Result:	Induced the increase of Rab7 and Lamp1 expression in HCC1806 and MDA-MB-468. Induced the accumulation of vacuoles in most of the cell.

In Vivo

JH530 (compound 5c) (2.5 mg/kg or 5.0 mg/kg; i.p.; once every 2 days for two week) elicites tumor regression and well tolerated with treatment doses without causing a noticeable weight decrease[1].

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

Animal Model:	HCC1806 cell xenograft mouse model [1]
Dosage:	2.5 mg/kg, 5.0 mg/kg
Administration:	Intraperitoneal injection (i.p.); once every 2 days
Result:	Inhibits HCC1806 tumor weight at 2.5 mg/kg significantly, while exhibit more apparent tumor suppressive effects at 5 mg/kg[1].

REFERENCES

[1]. He J, et al. Discovery of Pyrimidinediamine Derivatives as Potent Methuosis Inducers for the Treatment of Triple-Negative Breast Cancer. J Med Chem. 2023 Jun 8;66(11):7421-7437.

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