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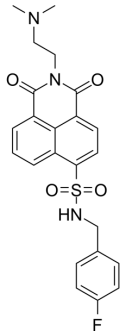
PLK1-IN-10

Cat. No.:	HY-161521
CAS No.:	2991469-21-5
Molecular Formula:	$C_{23}H_{22}FN_3O_4S$
Molecular Weight:	455.5
Target:	Polo-like Kinase (PLK)
Pathway:	Cell Cycle/DNA Damage
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	PLK1-IN-10 (Compound 4Bb) is an orally active PLK1 PBD (polo-box domain) inhibitor. PLK1-IN-10 blocks the interaction of PLK1 with the cell division regulator protein 1 (PRC1) and decreases the protein expression of the CDK1-Cyclin B1 complex. PLK1-IN-10 reacts with glutathione (GSH) to increase cellular oxidative stress, ultimately leading to cell death[1].
IC_{50} & Target	PLK1 PBD

In Vitro

PLK1-IN-10 (0-6 μM; 48 h) induces cell cycle arrest in the G2/M phase in A549 and A549/DDP cells, inhibiting cell proliferation [1].

PLK1-IN-10 (20 μM) stabilizes PLK1 protein in A549/DDP cells across a range of temperatures[1].

PLK1-IN-10 (5 μM; 24 h) reacts with GSH, producing a dose- and time-dependent fluorescent response, with higher fluorescence intensity in A549/DDP cells[1].

PLK1-IN-10 (0-9 μM; 48 h) increases intracellular ROS levels in A549/DDP cells[1].

PLK1-IN-10 (10 μM; 48 h) inhibits the interaction between PLK1 and PRC1, leading to the appearance of multinucleated cells [1].

PLK1-IN-10 shows an anticancer activity of IC_{50}=7.83 μM against NCI-H1975 cells[1].

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

Western Blot Analysis[1]

Cell Line:	A549, A549/DDP
Concentration:	0, 1.5, 3, 6 μM
Incubation Time:	48 h
Result:	Downregulated the expression of PLK1, CDK1, Cyclin B1, as well as significantly downregulated the expression of the CDK1-Cyclin B1 complex and Cdc25 protein.

Cell Cycle Analysis[1]

Cell Line:	A549, A549/DDP
Concentration:	0, 1.5, 3, 6 μM
Incubation Time:	48 h

Result:	Significantly increased the number of A549 and A549/DDP cells in the G2/M phase, inducing mitotic catastrophe.

In Vivo

PLK1-IN-10 (30, 50 mg/kg; i.p.; every two days for 32 days) significantly inhibits tumor growth in A549/DDP drug-resistant xenograft mice, with the 50 mg/kg group even causing tumor regression[1].

PLK1-IN-10 (30 mg/kg; p.o.; every two days for 20 days) effectively inhibits tumor growth in NCI-H1975 drug-resistant xenograft mice[1].

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

Animal Model:	A549/DDP drug-resistant xenograft mice[1]
Dosage:	30, 50 mg/kg
Administration:	i.p.; once every two days for 32 days
Result:	TGI reached 42% for the 30 mg/kg group and 62% for the 50 mg/kg group. Extended the median survival time from 38 days in the control group to 53 days in the 30 mg/kg group and 62 days in the 50 mg/kg group. Had no significant impact on the body weight and major organs of the mice, except for a slight difference in heart index observed in the 30 mg/kg group. Significantly reduced the number of Ki-67 positive cells in the tumor tissue. Showed no significant differences in H&E staining of major organs, further confirming its good biosafety.

Animal Model:	NCI-H1975 drug-resistant xenograft mice[1]
Dosage:	30 mg/kg
Administration:	p.o.; once every two days for 20 days
Result:	TGI reached 44%. Caused no harm to the body weight and major organs of the mice.

REFERENCES

[1]. Li P, Li Y, et al. Identification of naphthalimide-derivatives as novel PBD-targeted polo-like kinase 1 inhibitors with efficacy in drug-resistant lung cancer cells. Eur J Med Chem. 2024 May 5;271:116416.

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