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Zuschläge

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- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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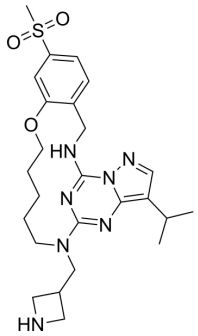
CDK2-IN-28

Cat. No.:	HY-161463
CAS No.:	3025006-64-5
Molecular Formula:	$C_{25}H_{35}N_7O_3S$
Molecular Weight:	513.66
Target:	CDK
Pathway:	Cell Cycle/DNA Damage
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

CDK2-IN-28 (compound 22) is a CDK2 inhibitor with good selectivity and cellular effects against other CDKs. CDK2-IN-28 has anti-proliferative effects on MKN1 cells (EC50: 0.31 μM),[10][1].

IC_{50} & Target

CDK7	CDK2	CDK5	CDK9
54.7 nM (Ki, [1])	1 nM (Ki, [1])	15.8 nM (Ki, [1])	12.8 nM (Ki, [1])

In Vitro

CDK2-IN-28 (37 nM-3 μM; 24 h) significantly down-regulates the level of Rb phosphorylation at Ser807/811 and Ser780 in MKN1 cells[1].

CDK2-IN-28 (333.3 nM; 24 h) CDK2 inhibition in MKN1 cells causes cell cycle arrest in the G2/M phase[1].

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

Western Blot Analysis[1]

Cell Line:	MKN1 cells
Concentration:	37 nM, 111.1 nM, 333.3 nM, 1000 nM, 3000 nM
Incubation Time:	24 h
Result:	Significantly downregulated Rb phosphorylation at Ser807/811 and Ser780 in dose dependent way.

Cell Cycle Analysis[1]

Cell Line:	MKN1 cells
Concentration:	111.1 nM and 333.3 nM
Incubation Time:	24 h
Result:	Caused by CDK2 inhibition is accumulation of G0/G1 cells as the CDK2/cyclin E-mediated phosphorylation of Rb relieves suppression of the E2Fs, thus allowing G1/S transition through the restriction point.

In Vivo

CDK2-IN-28 (10 mg/kg; po; single dose) provides poor plasma exposure in mouse, and CDK2-IN-28 (1 mg/kg; iv; single dose) also shows high plasma clearance (159-236 mL/min/kg) in mouse PK assay[1].

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

REFERENCES

[1]. Niu P, et al. Discovery of novel macrocyclic derivatives as potent and selective cyclin-dependent kinase 2 inhibitors. Bioorg Med Chem. 2024 Apr 15;104:117711.

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