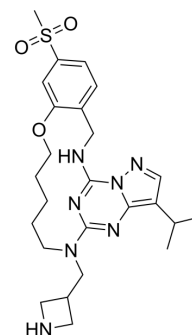


## CDK2-IN-28

Cat. No.:	HY-161463
CAS No.:	3025006-64-5
Molecular Formula:	C <sub>25</sub> H <sub>35</sub> N <sub>7</sub> O <sub>3</sub> S
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

<b>Description</b>	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 (EC <sub>50</sub> : 0.31 μM), <sup>[10][1]</sup> .																			
<b>IC<sub>50</sub> &amp; Target</b>	CDK7 54.7 nM (Ki, [1])	CDK2 1 nM (Ki, [1])	CDK5 15.8 nM (Ki, [1])	CDK9 12.8 nM (Ki, [1])																
<b>In Vitro</b>	<p>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<sup>[1]</sup>.</p> <p>CDK2-IN-28 (333.3 nM; 24 h) CDK2 inhibition in MKN1 cells causes cell cycle arrest in the G<sub>2</sub>/M phase<sup>[1]</sup>.</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <p>Western Blot Analysis<sup>[1]</sup></p> <table border="1"> <tr> <td>Cell Line:</td> <td>MKN1 cells</td> </tr> <tr> <td>Concentration:</td> <td>37 nM, 111.1 nM, 333.3 nM, 1000 nM, 3000 nM</td> </tr> <tr> <td>Incubation Time:</td> <td>24 h</td> </tr> <tr> <td>Result:</td> <td>Significantly downregulated Rb phosphorylation at Ser807/811 and Ser780 in dose dependent way.</td> </tr> </table> <p>Cell Cycle Analysis<sup>[1]</sup></p> <table border="1"> <tr> <td>Cell Line:</td> <td>MKN1 cells</td> </tr> <tr> <td>Concentration:</td> <td>111.1 nM and 333.3 nM</td> </tr> <tr> <td>Incubation Time:</td> <td>24 h</td> </tr> <tr> <td>Result:</td> <td>Caused by CDK2 inhibition is accumulation of G<sub>0</sub>/G<sub>1</sub> cells as the CDK2/cyclin E-mediated phosphorylation of Rb relieves suppression of the E2Fs, thus allowing G<sub>1</sub>/S transition through the restriction point.</td> </tr> </table>				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 Line:	MKN1 cells	Concentration:	111.1 nM and 333.3 nM	Incubation Time:	24 h	Result:	Caused by CDK2 inhibition is accumulation of G <sub>0</sub> /G <sub>1</sub> cells as the CDK2/cyclin E-mediated phosphorylation of Rb relieves suppression of the E2Fs, thus allowing G <sub>1</sub> /S transition through the restriction point.
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<b>In Vivo</b>	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 <sup>[1]</sup> .																			

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## REFERENCES

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[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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**Caution: Product has not been fully validated for medical applications. For research use only.**

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: [tech@MedChemExpress.com](mailto:tech@MedChemExpress.com)

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA