

CDK12-IN-E9

## Chemical Properties

CAS No. : 2020052-55-3

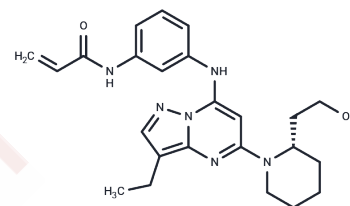
Formula: C<sub>24</sub>H<sub>30</sub>N<sub>6</sub>O<sub>2</sub>

Molecular Weight: 434.53

Store at low temperature

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Actual storage temperature shall be subject to the COA.



## Biological Description

Description	CDK12-IN-E9 is a cell cycle protein kinase (CDK) inhibitor with anticancer and antitumor activity that can be used in the study of breast cancer.
Targets(IC50)	CDK
In vitro	<p>CDK12-IN-E9 treatment of Kelly, LAN5, SK-N-BE2, PC-9, NCI-H82, and NCI-H3122 cells after 72 hours of action in the concentration range of 10 nM to 10 μM showed significant antiproliferative activity against THZ1R neuroblastoma and lung cancer cells, with IC50 values ranging from 8 to 40 nM.</p> <p>After 6 hours of action in the concentration range of 0 to 3000 nM, CDK12-IN-E9 treatment of Kelly, PC-9, and NCI-H82 cells induced a dose-dependent decrease in phosphorylated and total RNAPII accompanied by a decrease in MYC and MCL1 expression in THZ1R neuroblastoma and lung cancer models.</p> <p>In addition, CDK12-IN-E9 increased PARP cleavage and elevated the proportion of sub-G1 phase cells in THZ1R lung cancer cells, whereas it was more likely to cause G2/M phase block in neuroblastoma cells after 24 hours of exposure. [1]</p>

## Solubility Information

Solubility	DMSO: 100 mg/mL (230.13 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	<p>10% DMSO+40% PEG300+5% Tween 80+45% Saline: 4 mg/mL (9.21 mM),Sonication is recommended.</p> <p><i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i></p>

### Preparing Stock Solutions

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	<b>1mg</b>	<b>5mg</b>	<b>10mg</b>
1 mM	2.3013 mL	11.5067 mL	23.0134 mL
5 mM	0.4603 mL	2.3013 mL	4.6027 mL
10 mM	0.2301 mL	1.1507 mL	2.3013 mL
50 mM	0.046 mL	0.2301 mL	0.4603 mL

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Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

### Reference

Gao Y, et al. Overcoming Resistance to the THZ Series of Covalent Transcriptional CDK Inhibitors. Cell Chem Biol. 2018 Feb 15;25(2):135-142.e5.

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