Purdue Bioscience

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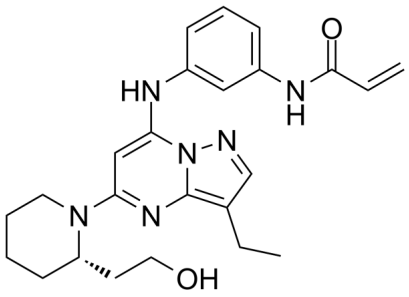
Data Sheet

Product Information

Catalog Number	BP13105
Product Name	CDK12-IN-E9
Description	CDK12-IN-E9 is a potent and selective covalent CDK12 inhibitor and non-covalent CDK9 inhibitor while avoiding ABC transporter-mediated efflux. It has a weak binding ability to CDK7/CyclinH complex (IC50> 1 μM).
Targets&IC50	cdk2/cyclin A:932 nM, CDK7/Cyclin H/MNAT1:1210 nM, CDK9/CyclinT1:23.9 nM
In vitro	CDK12-IN-E9 (E9; 0-3000 nM; 6 hours; Kelly, PC-9, and NCI-H82 cells) treatment leads to a dose-dependent decrease in phosphorylated and total RNAPII in THZ1r NB and lung cancer models, accompanied by decreased MYC and MCL1 expression. CDK12-IN-E9 (E9; 10 nM-10 μM; 72 hours; Kelly, LAN5, PC-9, SK-N-BE2, NCI-H82 and NCI-H3122 cells) treatment shows potent antiproliferative activity in THZ1R NB and lung cancer cells (IC50s: 8 to 40 nM). CDK12-IN-E9 also results in increased PARP cleavage, and an increase in the subGI population in THZ1r lung cancer cells, while in NB cells, more of a G2/M arrest is seen after a 24-hr exposure to CDK12-IN-E9.
CAS No.	2020052-55-3
Chemical Formula	C24H30N6O2
Molecular Weight	434.544
Solubility	
Storage	Powder: -20°C for 2 years In solvent: -80°C for 1 year

Chemical Structure OR Tested Image	

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