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Data Sheet

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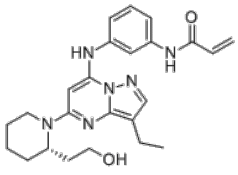
Product Name	:	CDK12-IN-E9
Cat. No.	:	PC-60490
CAS No.	:	2020052-55-3
Molecular Formula	:	$C_{24}H_{30}N_6O_2$
Molecular Weight	:	434.544
Target	:	Cyclin-dependent Kinase (CDK)
Solubility	:	10 mM in DMSO



Biological Activity

CDK12 inhibitor E9 S-isomer (E9, CDK12-IN-E9) is a clinical analog of THZ1 and a selective, covalent **CDK12** inhibitor that is not susceptible to ABC transporter-mediated drug efflux.
CDK12-IN-E9 dose-dependently decreases phosphorylated and total RNAPII in THZ1R NB and lung cancer models, competed strongly with bio-THZ1 for binding to CDK12 at low nanomolar ranges, but not CDK7 (>1 uM).
CDK12-IN-E9 exerts its cytotoxic effects through covalent modification of cysteine 1039 of CDK12.
CDK12-IN-E9 shows more potent antiproliferative activity in THZ1R NB and lung cancer cells with IC50 ranging from 8 to 40 nM than ribociclib, palbociclib, and AZD5438.

References

Gao Y, et al. ***Cell Chem Biol.*** 2017 Dec 15. pii: S2451-9456(17)30424-5.

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