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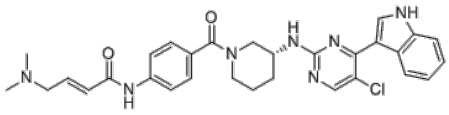
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	THZ531
Cat. No.	:	PC-62302
CAS No.	:	1702809-17-3
Molecular Formula	:	$C_{30}H_{32}ClN_7O_2$
Molecular Weight	:	558.083
Target	:	Cyclin-dependent Kinase (CDK)
Solubility	:	10 mM in DMSO



Biological Activity

THZ531 (THZ-531) is a first-in-class, selective **CDK12** and **CDK13** covalent inhibitor with IC50 of 158 nM and 69 nM, respectively.
THZ531) dispalys 50-fold selectivity over CDK7 and CDK9 (IC50 of 8.5 and 10.5 uM, respectively), and shows no appreciable inhibitory effect on ERK1.
THZ531) irreversiblely inhibits Jurkat cell proliferation with an IC50 of 50 nM, induces apoptosis in a dose- and time-dependent manner with low doses (<350 nM).
THZ531) selectively reduced Ser2 phosphorylation levels without appreciable effect on CTD pSer5/pSer7 levels, causes a loss of gene expression with concurrent loss of elongating and hyperphosphorylated RNA polymerase II, inhibits DDR and transcription factor gene expression.

References

Zhang T, et al. ***Nat Chem Biol.*** 2016 Oct;12(10):876-84.

Paculová H, et al. ***Cell Div.*** 2017 Oct 27;12:7. doi: 10.1186/s13008-017-0033-x.

Iniguez AB, et al. ***Cancer Cell.*** 2018 Jan 17. pii: S1535-6108(17)30561-5.

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