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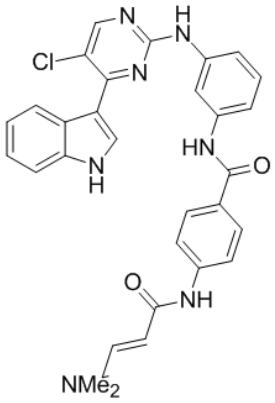
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	THZ1
Cat. No.	:	PC-46008
CAS No.	:	1604810-83-4
Molecular Formula	:	$C_{31}H_{28}ClN_7O_2$
Molecular Weight	:	566.0527
Target	:	Cyclin-dependent Kinase (CDK)
Solubility	:	DMSO: ≥ 27 mg/mL



Biological Activity

THZ1 is a potent, selective, covalent **CDK7** inhibitor with IC50 of 3.2 nM, also weakly inhibits CDK12 with IC50 of 250 nM.
THZ1 displays broad-based activity a subset of cancer cell lines with IC50s of <200 nM.
THZ1 causes decreased cellular proliferation and an increase in apoptotic index (MCL-1, XIAP), disproportionally affects transcription of RUNX1 in Jurkat T-ALL cells.
THZ1 demonstrates efficacy against primary leukemia cells and in a bioluminescent xenografted model at 10mg/kg.

References

Kwiatkowski N, et al. ***Nature.*** 2014 Jul 31;511(7511):616-20.

Chipumuro E, et al. ***Cell.*** 2014 Nov 20;159(5):1126-39.

Christensen CL, et al. ***Cancer Cell.*** 2014 Dec 8;26(6):909-22.

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