

Data Sheet

WWW.PROBECHEM.COM

Global Supplier of Chemical Probes, Inhibitors & Agonists.

 Product Name
 : THZ1

 Cat. No.
 : PC-46008

 CAS No.
 : 1604810-83-4

 Molecular Formula
 : C₃₁H₂₈CIN₇O₂

 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.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

E-mail: tech@probechem.com