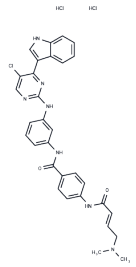
Data Sheet (Cat.No.T35332)

TargetMol

(E/Z)-THZ1 2HCl

Chemical Properties



CAS No. : 2095433-94-4

Formula: C31H30Cl3N7O2

Molecular Weight: 638.98

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	THZ1 2HCl (THZ1 Dihydrochloride) is a selective, covalent, and allosteric inhibitor of CDK7 with an IC50 of 3.2 nM. THZ1 2HCl has antiproliferative effects on a variety of cancer cell lines.
Targets(IC50)	CDK
In vitro	THZ1 2HCl irreversibly inhibits the phosphorylation of RNA polymerase II CTD. THZ1 2HCl (250 nM) completely inhibits the phosphorylation of CDK7 substrate RNAPII CTD at Ser 5 and Ser 7, and the phosphorylation of Ser 2 is lost in Jurkat cells. Low concentrations of THZ1 2HCl have major effects on a small subset of genes, including RUNX1, thus leading to the subsequent loss of a larger gene expression program, resulting in cell death [1].
In vivo	THZ1 2HCl inhibits the proliferation of KOPTK1 T-ALL cells in a mouse xenograft model. THZ1 2HCl(10 mg/kg) is well tolerated, and its body weight and behavior did not change significantly. THZ1 2HCl has no obvious toxic effects in animal models [1].
Cell Research	Treat cells with THZ1, THZ1-R or DMSO From 0 to 6 hours, the effect of treatment time on THZ1-mediated inhibition of RNA polymerase II CTD phosphorylation was detected. In subsequent experiments, cells were treated with compounds for 4 hours, then the compound-containing medium was removed, cells were washed, and cells were grown in inhibitor-free medium.

Solubility Information

Solubility	DMSO: 6.39 mg/mL (10 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.565 mL	7.825 mL	15.6499 mL
5 mM	0.313 mL	1.565 mL	3.130 mL
10 mM	0.1565 mL	0.7825 mL	1.565 mL
50 mM	0.0313 mL	0.1565 mL	0.313 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Kwiatkowski N, et al. Targeting transcription regulation in cancer with a covalent CDK7 inhibitor. Nature. 2014 Jul 31;511(7511):616-20.

Nilson KA, et al. THZ1 Reveals Roles for Cdk7 in Co-transcriptional Capping and Pausing. Mol Cell. 2015 Aug 20;59 (4):576-87.