

Catalog Number: CM03412

## 产品信息

**Catalog Number:**  
CM03412

**CAS号:**  
2095433-94-4

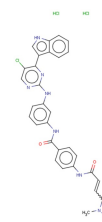
**分子式:**  
C<sub>31</sub>H<sub>30</sub>Cl<sub>3</sub>N<sub>7</sub>O<sub>2</sub>

**主要靶点:**  
CDK

**主要通路:**  
细胞周期

**分子量:**  
638.98

**溶解度:**  
DMSO:6.39 mg/mL (10 mM)



## 靶点活性

CDK7 (Cell-based assay):3.2 nM

## 体外活性

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].

## 体内活性

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].

## 细胞实验

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.

## 描述

THZ1 2HCl is a selective, covalent, and allosteric inhibitor of CDK7 with an IC<sub>50</sub> of 3.2 nM. THZ1 2HCl has antiproliferative effects on a variety of cancer cell lines.

## 储存

Powder: -20°C for 3 years | In solvent: -80°C for 2 years