Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

THZ1 (Hydrochloride)

Catalog No: tcsc3168

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

Formula:
$C_{31}H_{29}Cl_2N_7O_2$

Pathway:
Cell Cycle/DNA Damage

Target:
CDK

Purity / Grade:
>98%

Solubility:
DMSO : 22.5 mg/mL (37.34 mM; Need ultrasonic and warming)

Alternative Names:
CDK7 inhibitor

Observed Molecular Weight:
602.51

Product Description

THZ1 Hydrochloride is a selective and potent covalent **CDK7** inhibitor with **IC_{50}** of 3.2 nM.

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IC50 & Target: IC50: 3.2 nM (CDK7)[1]

In Vitro: THZ1 inhibits Jurkat cell and Loucy cell with IC_{50} of 50 nM, and 0.55 nM, respectively. THZ1 demonstrates time-dependent inhibition of CDK7 in vitro and covalent binding of intracellular CDK7. THZ1 (9, 27, 83, 250, 750, and 2500 nM) inhibits CDK12 but at higher concentrations compared to CDK7. THZ1 (1 μM) irreversibly inhibits RNAPII CTD and CAK phosphorylation. THZ1 (2.5 μM) irreversibly inhibits RNAPII CTD phosphorylation by covalently targeting a unique cysteine located outside the kinase domain of CDK7 in Hela S3 cells. THZ1 (250 nM) causes decreased cellular proliferation and an increase in apoptotic index with concomitant reduction in anti-apoptotic proteins, most notably MCL-1 and XIAP in T-ALL cell lines[1]. Low-dose THZ1 (50 nM) treatment causes selective inhibition of a number of oncogenic transcripts in oesophageal squamous cell carcinoma (OSCC)[2]. All genotypically-distinct human (hSCLC) cell lines exhibit high sensitivity to THZ1, with an IC_{50} in the range of 5-20 nM[3].

In Vivo: THZ1 (10 mg/kg) demonstrates potent killing of primary chronic lymphocytic leukemia (CLL) cells and anti-proliferative activity against primary TALL cells and in vivo against a human T-ALL xenograft[1]. THZ1 (10 mg/kg, i.p.) completely suppresses oesophageal squamous cell carcinoma tumour growth in vivo without loss of body weight or other common toxic effects[2]. THZ1 (10 mg/kg, i.v.) inhibits tumor growth in a mouse model of human MYCN-amplified NB and shows no toxicity[4].