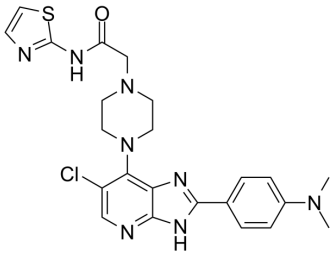
CCT129202

Cat. No.:	HY-12049
CAS No.:	942947-93-5
Molecular Formula:	$C_{23}H_{25}ClN_8OS$
Molecular Weight:	497.02
Target:	Aurora Kinase
Pathway:	Cell Cycle/DNA Damage; Epigenetics
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 1 mg/mL (2.01 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.0120 mL	10.0600 mL	20.1199 mL
	5 mM	---	---	---
	10 mM	---	---	---

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

CCT129202 is an aurora kinase inhibitor with IC_{50}s of 42, 198, and 227 nM for aurora A, B and C, respectively.

IC_{50} & Target

Aurora A	Aurora B	Aurora C
42 nM (IC_{50})	198 nM (IC_{50})	227 nM (IC_{50})

In Vitro

CCT129202 causes the accumulation of human tumor cells with z4N DNA content, leading to apoptosis. CCT129202 is found to induce apoptosis with GI_{50} values that ranges between 0.08 and 1.7 μM. CCT120202-treated human tumor cells shows a delay in mitosis, abrogation of nocodazole-induced mitotic arrest, and spindle defects. CCT129202 Causes p21Up-regulation, Rb Hypophosphorylation, and H2F-DependentTK1Down-regulation[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Growth of HCT116 xenografts in nude mice is inhibited after i.p. administration of CCT129202. p21, the cyclin-dependent kinase inhibitor, is induced by CCT129202. Up-regulation of p21 by CCT129202 in HCT116 cells led to Rb hypophosphorylation and E2F inhibition, contributing to a decrease in thymidine kinase 1 transcription[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [1]	The effects of CCT129202 on cell proliferation are analyzed with the MTT assay. Cells are plated in 96-well plates at 2,500 per well and are treated with a range of 0 to 50 μM of CCT129202 for 72 h. The absorbance is measured at 570 nm[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [1]	Mice: For efficacy studies, human HCT116 colon carcinoma xenografts are established in female mice. CCT129202 is dissolved in DMSO and injected i.p in vehicle, which comprises 10% DMSO, 5% Tween 20, and 85% sterile saline at 0.1 mL/10 g body weight. Dosing with CCT129202 commenced when tumors are well established (f5 mm mean diameter); control animals receive an equivalent volume of vehicle. Mouse body weights and condition are monitored throughout the study. Tumors are measured thrice weekly[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Chan F, et al. Mechanism of action of the Aurora kinase inhibitor CCT129202 and in vivo quantification of biological activity. Mol Cancer Ther. 2007 Dec;6(12 Pt 1):3147-57.

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