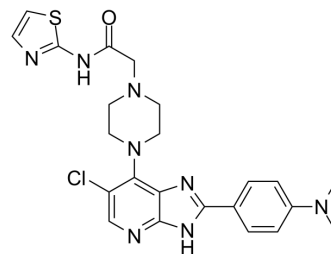


## CCT129202

<b>Cat. No.:</b>	HY-12049		
<b>CAS No.:</b>	942947-93-5		
<b>Molecular Formula:</b>	C <sub>23</sub> H <sub>25</sub> ClN <sub>8</sub> OS		
<b>Molecular Weight:</b>	497.02		
<b>Target:</b>	Aurora Kinase		
<b>Pathway:</b>	Cell Cycle/DNA Damage; Epigenetics		
<b>Storage:</b>	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)

Concentration	Solvent	Mass		
		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<sub>50</sub>s of 42, 198, and 227 nM for aurora A, B and C, respectively.

#### IC<sub>50</sub> & Target

Aurora A	Aurora B	Aurora C
42 nM (IC <sub>50</sub> )	198 nM (IC <sub>50</sub> )	227 nM (IC <sub>50</sub> )

#### 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<sub>50</sub> values that ranges between 0.08 and 1.7 μM. CCT129202-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<sup>[1]</sup>.

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<sup>[1]</sup>.

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

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## PROTOCOL

### Cell Assay <sup>[1]</sup>

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  $\mu$ M of CCT129202 for 72 h. The absorbance is measured at 570 nm<sup>[1]</sup>. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

### Animal Administration <sup>[1]</sup>

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<sup>[1]</sup>. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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## 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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**Caution: Product has not been fully validated for medical applications. For research use only.**

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