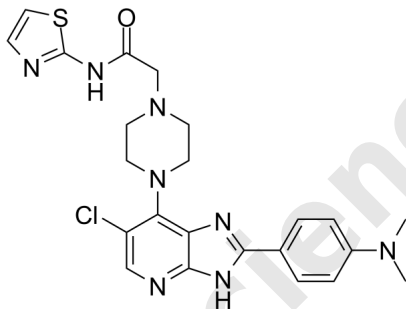


Data Sheet

Product Information

Catalog Number	BP13095
Product Name	CCT129202
Description	CCT129202 is an ATP-competitive pan-Aurora inhibitor for Aurora A, Aurora B and Aurora C with IC ₅₀ of 0.042 μ M, 0.198 μ M and 0.227 μ M, respectively. It is less potent to FGFR3, GSK3 β , PDGFR β , etc.
Targets&IC ₅₀	Aurora A:42 nM, Aurora B:198 nM, Aurora C:227 nM
In vitro	<p>CCT129202 is an ATP-competitive inhibitor of recombinant Aurora A kinase with a K_i of 49.8 nM. CCT129202 at 1 μM shows high selectivity for Aurora A and Aurora B with 92% and 60% inhibition, respectively. It inhibits FGFR3 slightly by 27%, and is not active against CRAF. CCT129202 inhibits proliferation in multiple cultures of human tumor cell lines with half-maximal growth inhibition (GI₅₀) values ranging from 0.08 μM for MV4-11 to 1.7 μM for MDA-MB-157. The effects are in association with increased expression levels of Aurora A and Aurora B leading to aberrant mitosis.</p> <p>Treatment with CCT129202 (0.7 μM) causes the accumulation of HCT116 cells with $\geq 4N$ DNA content, leading to apoptosis in a time dependent manner.</p> <p>Application of CCT129202 in HCT116 cells causes decreased histone H3 phosphorylation and increased p53 protein stabilization, which are consistent with the inhibition of Aurora B and Aurora A, respectively.</p> <p>CCT129202 induces up-regulation of p21 in HCT116, HT29 and Hela cells in a p53 dependent and independent manner, which leads to decreased phosphorylation of the Rb protein and activity of E2F in a concentration-dependent manner.</p>
In vivo	Administration of CCT129202 at 100 mg/kg in athymic mice bearing s.c. HCT116 colon cancer xenografts causes ~50% reduction of histone H3 phosphorylation after 30 minutes of treatment, and significantly inhibits tumor growth by 57.7% compared to control mice after a period of 9 days of treatment.

CAS No.	942947-93-5
Chemical Formula	C ₂₃ H ₂₅ ClN ₈ OS
Molecular Weight	497.02
Solubility	DMSO: 6 mM
Storage	Powder: -20°C for 2 years In solvent: -80°C for 1 year
Chemical Structure OR Tested Image	 <p>The chemical structure is a complex molecule. It features a thiazole ring (a five-membered ring with one sulfur and one nitrogen atom) connected via an amide bond to a piperidine ring (a six-membered saturated ring with one nitrogen atom). The piperidine ring is further connected to a pyrazole ring (a five-membered aromatic ring with two nitrogen atoms). The pyrazole ring has a chlorine atom at the 4-position and is connected to a dimethylaminophenyl group (a benzene ring with a dimethylamino group, -N(CH₃)₂, at the 4-position).</p>

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