Purdue Bioscience

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# Certificate of Analysis

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| Catalog Number | BP13095 |
| Product Name | CCT129202 |

## Physical and Chemical Properties

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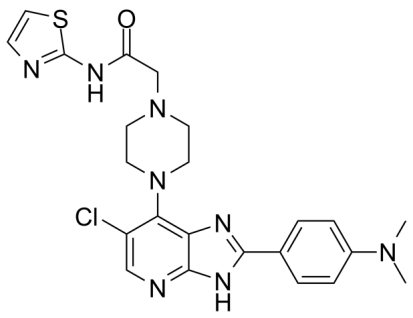
| CAS No. | 942947-93-5 |
| Chemical Formula | C23H25ClN8OS |
| Molecular Weight | 497.02 |
| Solubility | DMSO: 6 mM |
| Storage | Powder: -20°C for 2 years<br>In solvent: -80°C for 1 year |
| Chemical Structure<br>OR<br>Tested Image |  |

## Product Information

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| Description | CCT129202 is an ATP-competitive pan-Aurora inhibitor for Aurora A, Aurora B and Aurora C with IC50 of 0.042 μM, 0.198 μM and 0.227 μM, respectively. It is less potent to FGFR3, GSK3β, PDGFRβ, etc. |

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| Targets&IC50 | Aurora A:42 nM, Aurora B:198 nM, Aurora C:227 nM |
| In vitro | CCT129202 is an ATP-competitive inhibitor of recombinant Aurora A kinase with a Ki 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. Treatment with CCT129202 (0.7 μM) causes the accumulation of HCT116 cells with ≥4N DNA content, leading to apoptosis in a time dependent manner. 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. 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. |
| 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. |

## Analytical Data

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| HPLC | Shows Min >99% purity |
| H-NMR | Consistent with structure |
| Stability and Solubility Advice | Information on product stability, especially in solution, has rarely been reported and in most cases we can only provide a general guideline. We recommend that once the stock solution has been prepared, it be stored in equal quantities in sealed vials and used within 1 month. Avoid repeated freezing and thawing cycles. Storage conditions for some special products should be referred to their storage details. |



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