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

CCT241533

Catalog No: tcsc0738

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
1262849-73-9

Formula:
$C_{23}H_{27}FN_4O_4$

Pathway:
Cell Cycle/DNA Damage

Target:
Checkpoint Kinase (Chk)

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Observed Molecular Weight:
442.48

Product Description

CCT241533 is a potent and selective ATP competitive inhibitor of **CHK2** with an $\mathbf{IC_{50}}$ of 3 nM and $\mathbf{K_i}$ of 1.16 nM.

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IC50 & Target: IC50: 3 nM (CHK2), 245 nM (CHK1)[1]
Ki: 1.16 nM (CHK2)[1]

In Vitro: CCT241533 hydrochloride inhibits CHK2 with an IC_{50} of 3 nM and shows minimal cross reactivity against a panel of kinases at 1 μM. X-ray crystallography confirms that CCT241533 binds to CHK2 in the ATP pocket. CCT241533 blocks CHK2 activity in human tumor cell lines in response to DNA damage, as demonstrated by inhibition of CHK2 autophosphorylation at S516, band-shift mobility changes and HDMX degradation. CCT241533 does not potentiate the cytotoxicity of a selection of genotoxic agents in several cell lines. However, CCT241533 significantly potentiates the cytotoxicity of two structurally distinct PARP inhibitors. Clear induction of the pS516 CHK2 signal is seen with a PARP inhibitor alone and this activation is abolished by CCT241533. The cytotoxicity of CCT241533 in HT-29, HeLa and MCF-7, measured as the growth inhibitory IC_{50}(GI_{50}) by SRB assay, is 1.7, 2.2 and 5.1 μM, respectively[1]. CCT241533 hydrochloride is a potent CHK2 inhibitor (IC_{50}=3 nM), with selectivity (63-fold) over CHK1(IC_{50}=190 nM) and low hERG inhibition (IC_{50}=22 μM)[2].