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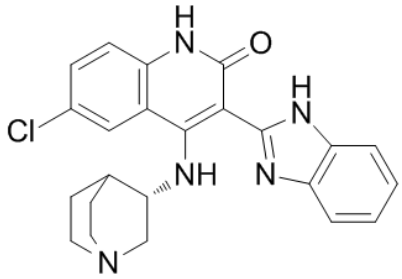
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	CHIR-124
Cat. No.	:	PC-43443
CAS No.	:	405168-58-3
Molecular Formula	:	$C_{23}H_{22}ClN_5O$
Molecular Weight	:	419.91
Target	:	Checkpoint Kinase (Chk)
Solubility	:	DMSO: 14 mg/mL



Biological Activity

CHIR-124 is a potent, selective **Chk1** inhibitor with in vitro IC50 of 0.3 nM, 2,000-fold selectivity over Chk2.
CHIR-124 displays 500- to 5,000-fold less active against other cell cycle kinases, such as CDK2/cyclin A , Cdc2/cyclin B, and CDK4/cyclin D.
CHIR-124 also potently inhibits PDGFR and FLT3 with IC50s of 6.6 nM and 5.8 nM.
CHIR-124 interacts synergistically with topoisomerase poisons (e.g., camptothecin or SN-38) in causing growth inhibition in several p53-mutant solid tumor cell lines, abrogates the SN-38-induced S and G2-M checkpoints and potentiates apoptosis in MDA-MD-435 breast cancer cells, also restores the level of cdc25A protein.
CHIR-124 potentiates the growth inhibitory effects of irinotecan in breast cancer xenograft models.

References

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Seiler JA, et al. ***Mol Cell Biol.*** 2007 Aug;27(16):5806-18.

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