

Data Sheet

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Product Name : CHIR-124
Cat. No. : PC-43443
CAS No. : 405168-58-3
Molecular Formula : C₂₃H₂₂CIN₅O
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

Tse AN, et al. *Clin Cancer Res.* 2007 Jan 15;13(2 Pt 1):591-602.

Tao Y, et al. *Cell Cycle*. 2009 Apr 15;8(8):1196-205.

Lee JH, et al. *Proc Natl Acad Sci U S A*. 2011 Dec 6;108(49):19629-34.

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

Caution: Product has not been fully validated for medical applications. Lab Use Only!

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