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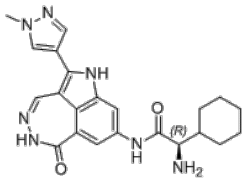
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	PF-00477736
Cat. No.	:	PC-35602
CAS No.	:	952021-60-2
Molecular Formula	:	$C_{22}H_{25}N_7O_2$
Molecular Weight	:	419.489
Target	:	Checkpoint Kinase (Chk)
Solubility	:	10 mM in DMSO



Biological Activity

PF-00477736 (PF-477736) is a potent, selective, ATP-competitive inhibitor of **Chk1** with Ki of 0.49 nM, also inhibits Chk2 (Ki=47 nM) and poorly inhibits CDK1 activity (Ki=9.9 uM).
PF-00477736 displays <100-fold selectivity over VEGFR2, Aurora-A, FGFR3, Flt3, Fms (CSF1R), Ret, and Yes in a panel of >100 protein kinases.
PF-00477736 abrogates cell cycle arrest induced by DNA damage and enhances cytotoxicity of clinically important chemotherapeutic agents, including gemcitabine and carboplatin; enhances the antitumor activity of gemcitabine in a dose-dependent manner in xenografts.

References

Blasina A, et al. ***Mol Cancer Ther.*** 2008 Aug;7(8):2394-404.

Zhang C, et al. ***Clin Cancer Res.*** 2009 Jul 15;15(14):4630-40.

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

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