Data Sheet

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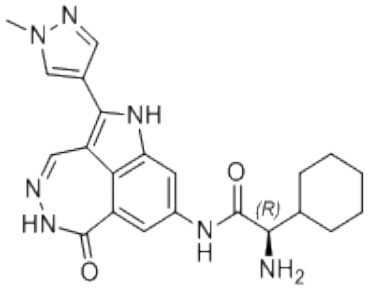
Global Supplier of Chemical Probes, Inhibitors & Agonists

Product Name	:PF-00477736
Cat.No.	:URK-V717
CAS No.	:952021-60-2
Molecular Formula	:$C_{22}H_{25}N_7O_2$
Molecular Weight	:419.489
Target	:
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); displays <100-fold selectivity over VEGFR2, Aurora-A, FGFR3, Flt3, Fms (CSF1R), Ret, and Yes in a panel of >100 protein kinases; 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.

Solid Tumors

Phase 1 Discontinued

References

1. Blasina A, et al. Mol Cancer Ther. 2008 Aug;7(8):2394-404.
2. Zhang C, et al. Clin Cancer Res. 2009 Jul 15;15(14):4630-40.

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