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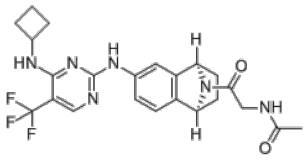
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	PF-03814735
Cat. No.	:	PC-49273
CAS No.	:	942487-16-3
Molecular Formula	:	$C_{23}H_{25}F_3N_6O_2$
Molecular Weight	:	474.488
Target	:	Aurora Kinase
Solubility	:	10 mM in DMSO



Biological Activity

PF-03814735 is a potent, orally bioavailable, reversible inhibitor of both **Aurora A** and **Aurora B** kinases with IC50 values of 0.8 and 5 nM, respectively.
PF-03814735 produced significant inhibition of several other protein kinases in recombinant kinase enzymatic assays (FAK, 22 nM; TrkA 30 nM).
PF-03814735 showed >90% inhibition at 100 nM against 19/220 kinases.
PF-03814735 markedly reduced levels of Aurora1 phosphorylated on Thr 232 in cells with IC50 of 20 nM, also inhibited the phosphorylation of histone H3 on Ser10, another marker of Aurora1 kinase activity, with an IC50 of 50 nM.
PF-03814735 inhibited Aurora2 autophosphorylated on Thr288 with IC50 of 150 nM in MDA-MB-231 tumor cell.
PF-03814735 exhibited antiproliferative effects against human cell lines from various tumor types (HCT-116, HL-60, A549, and H125) with IC50 of 42-150 nM.
Oral administration of PF-03814735 to tumor-bearing mice results in inhibition of phosphohistone H3 in vivo, PF-03814735 (20 mg/kg, i.v.)inhibited tumor growth in human xenograft mouse models HCT-116 xenografts.
The combination of PF-03814735 and docetaxel in xenograft mouse tumor models shows additive tumor growth inhibition.

References

Jani JP, et al. ***Mol Cancer Ther.*** 2010 Apr;9(4):883-94.

Schöffski P, et al. ***Eur J Cancer***. 2011 Oct;47(15):2256-64.

Hook KE, et al. ***Mol Cancer Ther.*** 2012 Mar;11(3):710-9.

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