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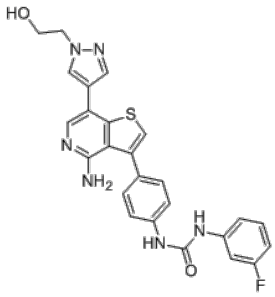
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	Ilorasertib
Cat. No.	:	PC-21147
CAS No.	:	1227939-82-3
Molecular Formula	:	$C_{25}H_{21}FN_6O_2S$
Molecular Weight	:	488.54
Target	:	Aurora Kinase
Solubility	:	10 mM in DMSO



Biological Activity

Ilorasertib (ABT-348) is a potent inhibitor of Aurora kinases as well as the VEGF and PDGF families of receptor tyrosine kinases (Aur B IC50=2 nM, PDGFRβ IC50=3 nM).
Ilorasertib (ABT-348) inhibits phosphorylation of histone H3, induces of polyploidy, and inhibits proliferation of a variety of leukemia, lymphoma, and solid tumor cell lines (IC(50) = 0.3-21 nM).
Ilorasertib (ABT-348) shows potent binding activity (K(i) < 30 nM) against VEGFR/PDGFR families and the Src family of cytoplasmic tyrosine kinases.
Ilorasertib (ABT-348) inhibits VEGFR/PDGFR autophosphorylation in cells and inhibition of VEGF-stimulated endothelial cell proliferation (IC(50) ≤ 0.3 nM).
Ilorasertib (ABT-348) exhibits antiproliferative activity against BCR-ABL chronic myeloid leukemia cells and cells expressing the gleevec-resistant BCR-ABL T315I mutation.
Ilorasertib (ABT-348) demonstrates significant antitumor efficacy in representative solid tumor [HT1080 and pancreatic carcinoma (MiaPaCa), tumor stasis] and hematological malignancy (RS4;11, regression) xenografts.

References

Glaser KB, et al. J Pharmacol Exp Ther. 2012 Dec;343(3):617-27.

Curtin ML, et al. Bioorg Med Chem Lett. 2012 Jul 15;22(14):4750-5.

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