Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

Ilorasertib

Catalog No: tcsc6804

Available Sizes

Size: 1mg

Size: 5mg

Size: 10mg

Size: 20mg

Specifications

CAS No:
1227939-82-3

Formula:
$C_{25}H_{21}FN_6O_2S$

Pathway:
Protein Tyrosine Kinase/RTK;Protein Tyrosine Kinase/RTK;Cell Cycle/DNA Damage;Epigenetics

Target:
VEGFR;PDGFR;Aurora Kinase;Aurora Kinase

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Alternative Names:
ABT-348

Observed Molecular Weight:
488.54

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Product Description

Ilorasertib (ABT-348) is an ATP-competitive multitargeted kinase inhibitor with **IC_{50}**s for inhibiting binding Aurora B (7 nM), C (1 nM), and A (120 nM), and also inhibits RET tyrosine kinase, PDGFRβ, and Flt1 with **IC_{50}**s of 7 nM, 3 nM and 32 nM.

IC50 & Target: IC50: 7 nM (RET)[1], 1 nM (Aurora C), 7 nM (Aurora B), 120 nM (Aurora A), 12 nM (Aurora B^{Y156H}), 11 nM (PDGFRα), 13 nM (PDGFRβ), 1 nM (VEGFR1), 2 nM (VEGFR2), 43 nM (VEGFR3), 1 nM (FLT3), 3 nM (CSF-1R), 20 nM (c-KIT)[2]

In Vitro: Ilorasertib is an ATP-competitive multitargeted kinase inhibitor with IC_{50} for inhibiting cellular autophosphorylation of Aurora B (13 nM), C (13 nM), and A (189 nM). In addition to targeting Aurora kinases, Ilorasertib is a potent inhibitor of the VEGFR and PDGFR kinase families and, to a lesser extent, the Src family of cytoplasmic tyrosine kinases. Ilorasertib induces a concentration-dependent increase in the extent and number of two NSCLC cell lines exhibiting polyploidy. The potency for inducing this response (EC_{50} = 5 and 10 nM). Ilorasertib shows antiproliferative activity against BCR-ABL expressing CML cells and cells expressing the gleevec-resistant BCR-ABL T315I mutation (IC_{50} = 47 and 260 nM)[2].

In Vivo: Ilorasertib (25 mg/kg, s.c.) leads to an inhibition of histone H3 phosphorylation in circulating tumor cells obtained from an engrafted leukemia model. Ilorasertib inhibits the VEGF response with a potency (ED_{50} = 0.2 mg/kg i.v.) in a uterine edema model. Ilorasertib (20 mg/kg, p.o.) inhibits the growth of established tumors and causes regression of advanced tumors in human xenograft models[2]. Ilorasertib demonstrates significant antitumor efficacy in both solid and hematological xenograft models after intravenous, mini-pump or parenteral once-weekly dosing[3].