

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

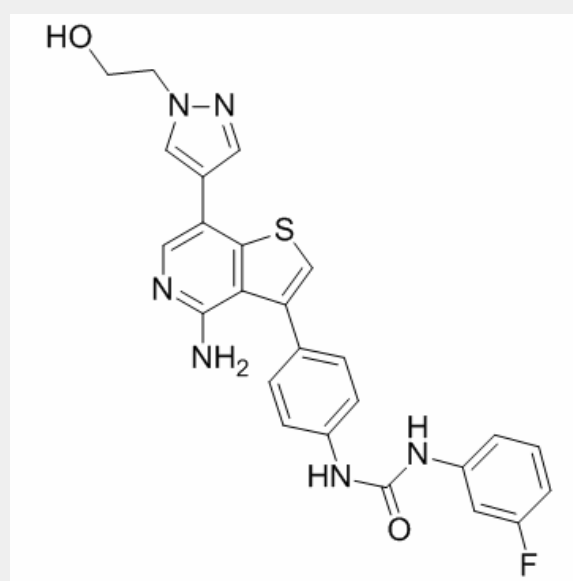
Product Description

Ilorasertib (ABT-348) is an ATP-competitive multitargeted kinase inhibitor with **IC₅₀s** for inhibiting binding Aurora B (7 nM), C (1 nM), and A (120 nM), and also inhibits RET tyrosine kinase, PDGFR β , and Flt1 with **IC₅₀s** 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 (PDGFR α), 13 nM (PDGFR β), 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 IC₅₀ 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 (EC₅₀ = 5 and 10 nM). Ilorasertib shows antiproliferative activity against BCR-ABL expressing CML cells and cells expressing the gleevec-resistant BCR-ABL T315I mutation (IC₅₀ = 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 (ED₅₀ = 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].



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!