

**Product Name** : Ilorasertib

**Synonyms** : ABT-348

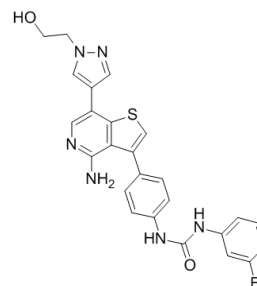
**Cat No.** : M22116

**CAS Number** : 1227939-82-3

**Molecular Formula** : C<sub>25</sub>H<sub>21</sub>FN<sub>6</sub>O<sub>2</sub>S

**Formula Weight** : 488.54

**Chemical Name** : —



**Description** : Ilorasertib (ABT-348) is an ATP-competitive multitargeted kinase inhibitor, which inhibits Aurora A/Aurora B/Aurora C (IC<sub>50</sub>s: 120 nM/7 nM/1 nM). It also suppresses RET tyrosine kinase, PDGFRβ, and Flt1 (IC<sub>50</sub>s: 7 nM, 3 nM, and 32 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 (EC<sub>50</sub>: 5, 10 nM). Ilorasertib shows antiproliferative activity against BCR-ABL expressing CML cells and cells expressing the Gleevec-resistant BCR-ABL T315I mutation (IC<sub>50</sub>: 47, 260 nM). Ilorasertib inhibits the VEGF response with a potency (ED<sub>50</sub>: 0.2 mg/kg, i.v.) in a uterine edema model. 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 (20 mg/kg, p.o.) inhibits the growth of established tumors and causes regression of advanced tumors in human xenograft models. Ilorasertib demonstrates significant antitumor efficacy in both solid and hematological xenograft models after intravenous, mini-pump or parenteral once-weekly dosing.

**Pathway** : Cell Cycle/DNA Damage

**Target** : Aurora Kinase

**Receptor** : Aurora A; Aurora B; Aurora C; PDGFRβ; RET; FLT1; VEGFR1; VEGFR2; VEGFR3

**Solubility** : DMSO:40 mg/mL (81.87 mM; Need ultrasonic)

**SMILES** : Nc1ncc(-c2cnn(CCO)c2)c2scc(-c3ccc(NC(=O)Nc4cccc(F)c4)cc3)c12

**Storage** : (-20°C)

**Stability** : ≥ 2 years

**Reference** :

1. Gao C, et al. Characterization of interactions and pharmacophore development for DFG-out inhibitors to RET tyrosine kinase. J Mol Model. 2015 Jul;21(7):167.