



# SZABO SCANDIC

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## Produktinformation



Forschungsprodukte & Biochemikalien



Zellkultur & Verbrauchsmaterial



Diagnostik & molekulare Diagnostik



Laborgeräte & Service

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### Lieferung & Zahlungsart

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### Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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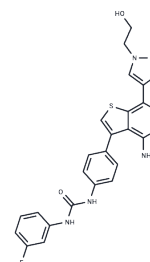
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## Ilorasertib

## Chemical Properties

CAS No. :	1227939-82-3
Formula:	C <sub>25</sub> H <sub>21</sub> FN <sub>6</sub> O <sub>2</sub> S
Molecular Weight:	488.54
Appearance:	no data available
Storage:	Powder: -20°C for 3 years   In solvent: -80°C for 1 year



## Biological Description

Description	Ilorasertib (ABT-348) (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, PDGFR $\beta$ , and Flt1 (IC <sub>50</sub> s: 7 nM, 3 nM, and 32 nM).
Targets(IC <sub>50</sub> )	VEGFR,FLT,c-RET,PDGFR,Aurora Kinase
In vitro	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) [2].
In vivo	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 [2]. Ilorasertib demonstrates significant antitumor efficacy in both solid and hematological xenograft models after intravenous, mini-pump or parenteral once-weekly dosing [3].
Cell Research	Noncycling primary HUVEC are used to assess the effect of Ilorasertib on nonproliferating cells. Cells (35,000/well) are seeded in growth medium in a 96-well tissue culture plate, and after 2 days, the medium is changed and the cells are treated with Ilorasertib. After an additional 3 days, cell viability is measured with Cell TiterGlo reagent [2].
Animal Research	For flank xenograft models, cells are suspended in PBS, mixed with Matrigel (phenol red-free) in a ratio of 1:4 (v/v), and inoculated into the flank of female SCID/beige mice (5 million cells per site). Inoculated mice are randomized into groups of 10, and treatment is initiated when mean tumor volume is approximately 0.4 cm <sup>3</sup> or 0.5 cm <sup>3</sup> . Tumor growth in the flank is assessed by measuring tumor size with calipers and calculating volume using the formula (L × W <sup>2</sup> /2). Study groups are terminated before tumor volume reaches 3 cm <sup>3</sup> . Inhibition of tumor growth is assessed at the time the vehicle-treated group is terminated by calculating the ratio of the mean volume of the test drug group to the mean volume of the untreated (control) group (T/C) and calculating the percentage of inhibition of control [(1 - T/C) × 100]. The dosing formulation of test agents is prepared by stepwise addition, with mixing, of the

following reagents: EtOH, Tween 80, polyethylene glycol 400, and 2% hydroxypropyl methylcellulose (2:5:20:73, v/v). The dosing volume is 10 mL/kg [2].

**Solubility Information**

Solubility	DMSO: 55 mg/mL (112.58 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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**Preparing Stock Solutions**

	1mg	5mg	10mg
1 mM	2.0469 mL	10.2346 mL	20.4692 mL
5 mM	0.4094 mL	2.0469 mL	4.0938 mL
10 mM	0.2047 mL	1.0235 mL	2.0469 mL
50 mM	0.0409 mL	0.2047 mL	0.4094 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

**Reference**

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.

**Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins**

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