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

Brigatinib

Catalog No: tcsc4278

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
1197953-54-0

Formula:
$C_{29}H_{39}ClN_7O_2P$

Pathway:
Protein Tyrosine Kinase/RTK

Target:
ALK

Purity / Grade:
>98%

Solubility:
DMSO : 2 mg/mL (3.42 mM; Need ultrasonic); Ethanol : 10 mg/mL (17.12 mM; Need ultrasonic and warming)

Alternative Names:
AP-26113

Observed Molecular Weight:
584.09

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Product Description

Brigatinib is a highly potent and selective **ALK** inhibitor, with **IC_{50}** of 0.6 nM.

IC50 & Target: IC50: 0.6 nM (ALK)[1]

In Vitro: Brigatinib potently inhibits the in vitro kinase activity of ALK (IC_{50}, 0.6 nM) and all five mutant variants tested, including G1202R (IC_{50}, 0.6-6.6 nM). Brigatinib demonstrates a high degree of selectivity, only inhibiting 11 additional native or mutant kinases with IC_{50}50, 1.5-2.1 nM). Brigatinib exhibits more modest activity against EGFR with a T790M resistance mutation (L858R/T790M), native EGFR, IGF1R, and INSR (IC_{50}, 29-160 nM) and does not inhibit MET (IC_{50} >1000 nM). In cellular assays, brigatinib inhibits ALK and ROS1 with IC_{50}s of 14 and 18 nM, respectively. Brigatinib inhibits FLT3 and IGF-1R with about 11-fold lower potency (IC_{50}, 148-158 nM) and inhibits mutant variants of FLT3 and EGFR with 15- to 35-fold lower potency (IC_{50}, 211-489 nM). Brigatinib inhibits cell growth with GI_{50} values ranging from 503 to 2,387 nM in three ALK-negative ALCL and NSCLC cell lines[1]. Brigatinib inhibits ALK activity and abrogates proliferation of ALK addicted neuroblastoma cell lines, with IC_{50} of 75.27 ± 8.89 nM. Brigatinib inhibits both the ALK-I1171N and the ALK-G1269A mutant receptors at 10 and 4 nM levels, respectively[3].

In Vivo: Brigatinib (10, 25, or 50 mg/kg once daily, p.o.) leads to a dose-dependent inhibition of tumor growth in ALK^+ Karpas-299 (ALCL) and H2228 (NSCLC) xenograft mouse models. Brigatinib markedly enhances survival of mice bearing ALK^+ brain tumors compared with crizotinib[1]. Brigatinib (10, 25, 50 mg/kg, p.o.) results in dose-dependent antitumor activity, with tumor regressions in a mouse model of NSCLC[2].