

# 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

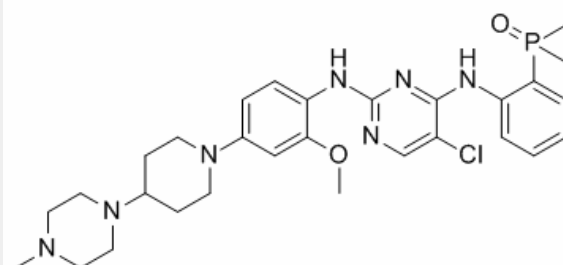
## Product Description

Brigatinib is a highly potent and selective **ALK** inhibitor, with **IC<sub>50</sub>** of 0.6 nM.

IC50 & Target: IC50: 0.6 nM (ALK)<sup>[1]</sup>

**In Vitro:** Brigatinib potently inhibits the in vitro kinase activity of ALK (IC<sub>50</sub>, 0.6 nM) and all five mutant variants tested, including G1202R (IC<sub>50</sub>, 0.6-6.6 nM). Brigatinib demonstrates a high degree of selectivity, only inhibiting 11 additional native or mutant kinases with IC<sub>50</sub> 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<sub>50</sub>, 29-160 nM) and does not inhibit MET (IC<sub>50</sub> >1000 nM). In cellular assays, brigatinib inhibits ALK and ROS1 with IC<sub>50</sub>s of 14 and 18 nM, respectively. Brigatinib inhibits FLT3 and IGF-1R with about 11-fold lower potency (IC<sub>50</sub>, 148-158 nM) and inhibits mutant variants of FLT3 and EGFR with 15- to 35-fold lower potency (IC<sub>50</sub>, 211-489 nM). Brigatinib inhibits cell growth with GI<sub>50</sub> values ranging from 503 to 2,387 nM in three ALK-negative ALCL and NSCLC cell lines<sup>[1]</sup>. Brigatinib inhibits ALK activity and abrogates proliferation of ALK addicted neuroblastoma cell lines, with IC<sub>50</sub> 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<sup>[3]</sup>.

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



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