Product Datasheet

Brigatinib

Catalog No. **BP-02310** · CAS **1197953-54-0** · Form **free base** · Physical state **solid** · Color **Light yellow to green yellow** · Version **1.0** · Revision **2026-09-10**

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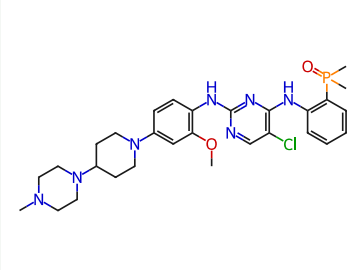
Target	Research area
Anaplastic lymphoma kinase (ALK)	**Cancer**

Molecular formula	**$C_{29}H_{39}ClN_7O_2P$**
Molecular weight	**584.0920**

STRUCTURE



DESCRIPTION

Brigatinib (AP-26113) is a highly potent, selective and orally active ALK inhibitor, with an IC50 of 0.6 nM. Brigatinib can be used for research of NSCLC .

BIOLOGICAL ACTIVITY

In Vitro
Brigatinib potently inhibits the in vitro kinase activity of ALK (IC50, 0.6 nM) and all five mutant variants tested, including G1202R (IC50, 0.6-6.6 nM).

Brigatinib demonstrates a high degree of selectivity, only inhibiting 11 additional native or mutant kinases with IC50 <10 nM. These include ROS1, FLT3, and mutant variants of FLT3 (D835Y) and EGFR (L858R; IC50, 1.5-2.1 nM).

Brigatinib exhibits more modest activity against EGFR with a T790M resistance mutation (L858R/T790M), native EGFR, IGF1R, and INSR (IC50, 29-160 nM) and does not inhibit MET (IC50 >1000 nM).

In cellular assays, brigatinib inhibits ALK and ROS1 with IC50s of 14 and 18 nM, respectively.

Brigatinib inhibits FLT3 and IGF-1R with about 11-fold lower potency (IC50, 148-158 nM) and inhibits mutant variants of FLT3 and EGFR with 15- to 35-fold lower potency (IC50, 211-489 nM).

Brigatinib inhibits cell growth with GI50 values ranging from 503 to 2,387 nM in three ALK-negative ALCL and NSCLC cell lines.

Brigatinib inhibits ALK activity and abrogates proliferation of ALK addicted neuroblastoma cell lines, with IC50 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.
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 PF-02341066.

Brigatinib (10, 25, 50 mg/kg, p.o.) results in dose-dependent antitumor activity, with tumor regressions in a mouse model of NSCLC.

SOLUBILITY

Soluble in DMSO

REFERENCES

[1]. Zhang S, et al. The Potent ALK Inhibitor Brigatinib (AP26113) Overcomes Mechanisms of Resistance to First- and Second-Generation ALK Inhibitors in Preclinical Models. Clin Cancer Res. 2016 Nov 15;22(22):5527-5538

[2]. Huang WS, et al. Discovery of Brigatinib (AP26113), a Phosphine Oxide-Containing, Potent, Orally Active Inhibitor of Anaplastic Lymphoma Kinase. J Med Chem. 2016 May 26;59(10):4948-64.

[3]. Siaw JT, et al. Brigatinib, an anaplastic lymphoma kinase inhibitor, abrogates activity and growth in ALK-positive neuroblastoma cells, Drosophila and mice. Oncotarget. 2016 May 17;7(20):29011-22