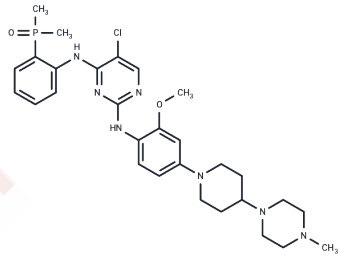
Data Sheet (Cat.No.T3621)

TargetMol

Brigatinib

Chemical Properties



CAS No. : 1197953-54-0

Formula: C29H39ClN7O2P

Molecular Weight: 584.09

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	Brigatinib (AP-26113) is a highly potent and selective inhibitor of ALK.
Targets(IC50)	EGFR,FLT,ALK,IGF-1R,ROS
In vitro	Beyond ALK, IGF1R, and InsR, brigatinib also potently inhibits FLT3 and ROS1 with IC50 values of 2.1 and 1.9 nM, respectively. It does not show significant activity toward c-Met or Ron up to 1 μM[1]. Brigatinib overcomes the resistance of EGFR-triple-mutant and the activity depends on ATP-competitive manner with less affection to wild-type EGFR[2].
In vivo	Mouse PK parameters for Brigatinib following oral dosing (10 mg/kg): Cmax=448 ng/mL,t1/2=5.8 h. And in CD rats, after dosing at 3 mg/kg i.v, CL=0.46 L/(h·kg), t1/2=4.8 h, Vss=7.8 L/kg; Dosed at 10 mg/kg p.o, Cmax=305 ng/mL, tmax=4 h, t1/2=3.4 h, F%=52. Brigatinib demonstrates dose-dependent antitumor activity[1]. Brigatinib demonstrates growth inhibition activity in PC9 triple-mutant xenograft model and in combination with anti-EGFR antibody to potentiate the efficacy both in vitro and in vivo as shown in first-generation EGFR-TKI-resistant patients[2].
Kinase Assay	In vitro HotSpotSM kinase profiling of 289 kinases is performed. The assay is conducted in the presence of 10 μM [33P]-ATP, using brigatinib concentrations ranging from 0.05 nM to 1 μM.
Cell Research	All cell lines were used within 20 passages of the initial thaw. Following inhibitor treatment for 72 h, cell growth was assessed to determine the concentration that causes 50% inhibition of cell viability (IC50). (Only for Reference)

Solubility Information

Solubility	H2O: Insoluble, DMSO: 5.84 mg/mL (10 mM),Sonication is recommended. Ethanol: 5.85 mg/mL (10.02 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.7121 mL	8.5603 mL	17.1206 mL
5 mM	0.3424 mL	1.7121 mL	3.4241 mL
10 mM	0.1712 mL	0.856 mL	1.7121 mL
50 mM	0.0342 mL	0.1712 mL	0.3424 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

Wei-Sheng Huang, et al. J Med Chem, 2016, 59(10):4948-4964.
Wu W, Li J, Yin Y, et al.Rutin attenuates ensartinib-induced hepatotoxicity by non-transcriptional regulation of TXNIP.Cell Biology and Toxicology.2024, 40(1): 38.
Uchibori, K et al. Nat. Commun. 8, 14768 doi: 10.1038/ncomms14768 (2017).