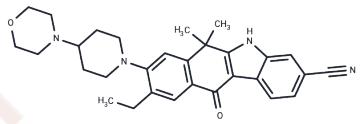
Data Sheet (Cat.No.T1936)

TargetMol

Alectinib

Chemical Properties



CAS No. : 1256580-46-7

Formula: C30H34N4O2

Molecular Weight: 482.62

Storage: Keep away from moisture,Keep away from direct sunlight,Store at low temperature
Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Alectinib (RG-7853) is an ALK inhibitor (IC50=1.9 nM, Kd=2.4 nM) that is selective, orally active, and ATP-competitive. Alectinib has antitumor activity and is used in the treatment of non-small cell lung cancer.
Targets(IC50)	ALK,Tyrosine Kinases,VEGFR
In vitro	**METHODS**: Six neuroblastoma (NB) cell lines were treated with Alectinib (0.001-50 μM) for 72 h and cell viability was measured by CCK-8 assay. **RESULTS**: Six NB cell lines were selected, including three ALK-WT cell lines (IMR-32, NB-19, and SK-N-AS) and three ALK-mutant cell lines (Kelly, SH-SY5Y, and LA-N-6.) Alectinib treatment dramatically reduced cell viability, with IC50s for the cell lines tested ranging from 3.181-9.6 μM.[1] **METHODS**: NSCLCA cells NCI-H2228 were treated with Alectinib (10-1000 nM) for 2 h, and the expression levels of target proteins were detected by Western Blot. **RESULTS**: Alectinib prevented the autophosphorylation of ALK in NCI-H2228 NSCLC cells expressing EML4-ALK, and Alectinib also led to a substantial inhibition of the phosphorylation of STAT3 and AKT, but not ERK1/2. [2]
In vivo	**METHODS**: To detect antitumor activity in vivo, Alectinib (25 mg/kg) was administered intraperitoneally to TH-MYCN transgenic mice every two days for 11 doses. **RESULTS**: Treatment with Alectinib resulted in reduced tumor growth in TH-MYCN transgenic mice.Alectinib induced PARP and Caspase 3 cleavage and blocked PI3K/Akt/mTOR signaling in TH-MYCN tumor tissue. Alectinib exposure prolonged the survival of TH-MYCN transgenic mice compared to DMSO treatment. [1]
Kinase Assay	Kinase inhibitory assays in Vitro: The inhibitory ability against each kinase except for MEK1 and Raf-1 is evaluated by examining their ability to phosphorylate various substrate peptides in the presence of CH5424802 using time-resolved fluorescence resonance energy transfer (TR-FRET) assay or fluorescence polarization (FP) assay. The inhibitory activity against MEK1 is evaluated by quantitative analysis of the phosphorylation of a substrate peptide by a recombinant ERK2 protein in the presence of CH5424802. The inhibitory activity against Raf-1 is evaluated by examining the ability of the kinases to phosphorylate MEK1 in the presence of CH5424802.

Cell Research	Cells including NSCLC, A549 and HCC827 are seeded in 96-well plates overnight and incubated with various concentrations of CH5424802 for the indicated time. For spheroid cell growth inhibition assay, cells are seeded on spheroid plates, incubated overnight, and then treated with compound for the indicated times. The viable cells are measured by the Luminescent Cell Viability Assay. Caspase-3/7 assay is evaluated using the Caspase-Glo 3/7 Assay Kit.(Only for Reference)

Solubility Information

Solubility	H2O: 2.1 mM,Sonication is recommended. DMSO: 4.83 mg/mL (10.01 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.072 mL	10.3601 mL	20.7202 mL
5 mM	0.4144 mL	2.072 mL	4.144 mL
10 mM	0.2072 mL	1.036 mL	2.072 mL
50 mM	0.0414 mL	0.2072 mL	0.4144 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.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Lu J, et al. The second-generation ALK inhibitor alectinib effectively induces apoptosis in human neuroblastoma cells and inhibits tumor growth in a TH-MYCN transgenic neuroblastoma mouse model. Cancer Lett. 2017 Aug 1; 400:61-68.

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.

Sakamoto H, et al. CH5424802, a selective ALK inhibitor capable of blocking the resistant gatekeeper mutant. Cancer Cell. 2011 May 17;19(5):679-90.