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- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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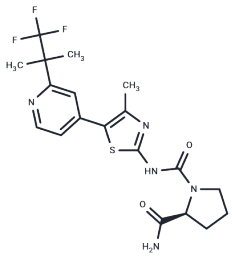
Data Sheet (Cat.No.T1921)

TargetMol

Alpelisib

Chemical Properties



CAS No. : 1217486-61-7

Formula: C19H22F3N5O2S

Molecular Weight: 441.47

Appearance: no data available

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

Biological Description

Description	Alpelisib (BYL-719) is a PI3Kα inhibitor (IC50=5 nM) with selective, potent, and oral activity. Alpelisib inhibits PI3Kβ/γ/δ with low activity (IC50=250/290/1200 nM). Alpelisib has antitumor activity and is targeted to PIK3CA mutant tumors.
Targets(IC50)	PI3K
In vitro	**METHODS**: Osteosarcoma cell lines MG-63, HOS, MOS-J and POS-1 were treated with Alpelisib (0-50 μM) for 72 h and cell viability was measured using XTT assay. **RESULTS**: Alpelisib significantly inhibited cell growth of all osteosarcoma cell lines in a dose-dependent manner with IC50 ranging from 6-15 μM and IC90 ranging from 24-42 μM. [1] **METHODS**: PIK3CA wild-type cells (SNU638 and SNU668) and three PIK3CA mutant cells (SNU601, AGS, and MKN1) were treated with Alpelisib (5 μM) for 24 h, and cell cycle profiles were examined using Flow cytometry. **RESULTS**: Alpelisib treatment induced G0/G1 cell cycle arrest regardless of PIK3CA mutation status. In PIK3CA mutant cells (AGS and MKN1), there was a significant increase in the sub-G1 fraction, suggesting that Alpelisib increased apoptosis in these cell lines. [2]
In vivo	**METHODS**: To assay anti-tumor activity in vivo, Alpelisib (12.5-50 mg/kg, methylcellulose 0.5%) was administered orally to Rj:NMRI-nude mice bearing human osteosarcoma HOS-MNNG once daily for twenty-two days. **RESULTS**: Alpelisib significantly reduced tumor volume in a dose-dependent manner. [1] **METHODS**: To investigate the modulatory effects on collagen, Alpelisib (12.5-50 mg/kg) was administered orally to nude mice bearing subcutaneous xenografts of Rat1-myr-p110α tumors once daily for eight days. **RESULTS**: Treatment with 12.5, 25, and 50 mg/kg of Alpelisib was well tolerated and produced dose-dependent and statistically significant antitumor effects with a T/C of 14.1% and regressions of 9.6% and 65.2%, respectively. [3]
Cell Research	To evaluate the isoform-specific potency of NVP-BYL719 in a cell-based system, an N-terminally myristoylated form of each PI3K class IA isoform was expressed in Rat1 fibroblasts. The retroviral expression plasmid pBabePuro containing human p110α, p110β, and p110δ with an N-terminal myristoylation (myr) signal followed by an HA-tag were generated. Successfully infected Rat1 cells were selected in medium containing 4 μg/mL of puromycin, expanded and characterized for expression of the p110 isoforms. Transgenic expression of the myristoylated protein was confirmed by increased levels of

	phosphorylated Akt [1].
Animal Research	All in life experimentation and efficacy studies were conducted as described previously. Tumor xenografts were grown subcutaneously or orthotopically in nude mice or nude Rowett rats (Hsd: RH-Fox1rnu) by injection of 3 × 10^6 to 1 × 10^7 cells or implantation of tumor fragments of approximately 50 mg. Tumor-bearing animals mice were treated with either vehicle control, NVP-BYL719, or NVP-BKM120 (p.o., every day) at the doses indicated [1].

Solubility Information

Solubility	DMSO: 60 mg/mL (135.91 mM), Ethanol: 2 mg/mL (4.53 mM), (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.2652 mL	11.3258 mL	22.6516 mL
5 mM	0.453 mL	2.2652 mL	4.5303 mL
10 mM	0.2265 mL	1.1326 mL	2.2652 mL
50 mM	0.0453 mL	0.2265 mL	0.453 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

Liao W, Wang Z, Han Y, et al. Design, synthesis and biological activity of novel 2,3,4,5-tetra-substituted thiophene derivatives as PI3Kα inhibitors with potent antitumor activity. European Journal of Medicinal Chemistry. 2020, 197: