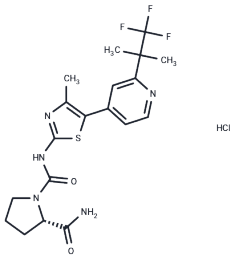
Data Sheet (Cat.No.T14191)

TargetMol

Alpelisib hydrochloride

Chemical Properties



CAS No. : 1584128-91-5

Formula: C19H23ClF3N5O2S

Molecular Weight: 477.93

Storage: 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	Alpelisib hydrochloride (BYL-719 hydrochloride) is a potent PI3Kα inhibitor (IC_{50} = 5 nM) with selectivity and oral bioavailability, exhibiting activity against PI3Kβ/γ/δ that is dozens of times weaker than against PI3Kα (IC_{50} = 250/290/1200 nM). Alpelisib exhibits targeting activity against PIK3CA-mutated tumors and may be utilized in cancer research.
Targets(IC50)	PI3K
In vitro	Alpelisib hydrochloride (BYL-719) potently inhibits the two most common PIK3CA somatic mutations (H1047R, E545K), with an IC_{50} of approximately 4 nM. Alpelisib hydrochloride can robustly suppress the phosphorylation level of protein kinase B (Akt) in PI3Kα-transfected cells, with an IC_{50} of 74±15 nM; in contrast, its inhibitory activity is significantly reduced in cells transfected with the PI3Kβ or PI3Kδ isoform, showing a ≥15-fold decrease compared with that in PI3Kα-transfected cells [2]. Alpelisib hydrochloride (0-50 μM, 72 h) exerts a dose-dependent inhibitory effect on the proliferation of human osteosarcoma cell lines MG63, HOS, POS-1, and MOS-J [3]. Alpelisib hydrochloride (25 μM, 18 h) induces G_0/G_1 phase cell cycle arrest in both human and murine osteosarcoma cell lines [3].
In vivo	Alpelisib hydrochloride (BYL-719) was administered orally at varying doses daily, with 12.5 mg/kg and 50 mg/kg doses for C57Bl/6J mice and 50 mg/kg for female Rj:NMRI nude mice, significantly reducing tumour volume and decreasing the deposition of bone matrix at the parazacco spilurus subsp. spilurus site [3]. Following intravenous injection of 1 mg/kg Alpelisib hydrochloride in rats, the terminal elimination half-life of the drug was moderate, at 2.9 ± 0.2 hours [1].

Solubility Information

Solubility	DMSO: 80 mg/mL (167.39 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.0924 mL	10.4618 mL	20.9236 mL
5 mM	0.4185 mL	2.0924 mL	4.1847 mL
10 mM	0.2092 mL	1.0462 mL	2.0924 mL
50 mM	0.0418 mL	0.2092 mL	0.4185 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

Furet P, et al. Discovery of NVP-BYL719 a potent and selective phosphatidylinositol-3 kinase alpha inhibitor selected for clinical evaluation. Bioorg Med Chem Lett. 2013 Jul 1;23(13):3741-8.
Fritsch C, et al. Characterization of the novel and specific PI3Kα inhibitor NVP-BYL719 and development of the patient stratification strategy for clinical trials. Mol Cancer Ther. 2014 May;13(5):1117-29.
Gobin B, et al. BYL719, a new α-specific PI3K inhibitor: single administration and in combination with conventional chemotherapy for the treatment of osteosarcoma. Int J Cancer. 2015 Feb 15;136(4):784-96.