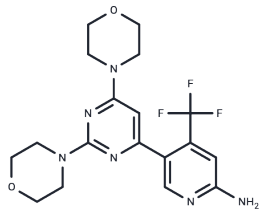
Data Sheet (Cat.No.T16365)

TargetMol

Buparlisib Hydrochloride

Chemical Properties



CAS No. :	1312445-63-8
Formula:	C18H22ClF3N6O2
Molecular Weight:	446.85
Storage:	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	Buparlisib Hydrochloride (BKM120 HCl) is a specific and orally bioavailable pan-class I PI3K inhibitor that competitively inhibits PI3K/AKT kinase at the nanomolar level and suppresses cancer cell growth, making it suitable for studying breast cancer, glioblastoma, and solid tumours.
Targets(IC50)	Apoptosis,PI3K
In vitro	MM cell lines were treated with Buparlisib Hydrochloride (10 μM, 24 hours) to observe its effects on cells. **Results**: Buparlisib Hydrochloride treatment resulted in growth inhibition and apoptosis of MM cells in a dose- and time-dependent manner. The IC50 values for ARP-1, ARK, and MM.1R were between 1 and 10 μM, the IC50 value for MM.1S was <1 μM, and the IC50 value for U266 was between 10 and 100 μM. Buparlisib Hydrochloride treatment resulted in growth inhibition and apoptosis of MM cells in a dose- and time-dependent manner. [2]
In vivo	**Methods**: Abcg2−/−, Abcb1a/b−/−, and Abcb1a/b;Abcg2−/− mice were treated with Buparlisib Hydrochloride (2 mg/kg, intravenously, 1 hour) to investigate whether P-gp and BCRP could attenuate Buparlisib Hydrochloride brain penetration in vivo. **Results**: P-gp and BCRP did not limit Buparlisib Hydrochloride brain penetration in vivo. Brain-to-plasma ratios were between 1.5 and 2 for all strains, indicating that Buparlisib Hydrochloride exhibited excellent brain penetration. [3]

Solubility Information

Solubility	DMSO: ≥ 40 mg/mL,Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (4.48 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.2379 mL	11.1894 mL	22.3789 mL
5 mM	0.4476 mL	2.2379 mL	4.4758 mL
10 mM	0.2238 mL	1.1189 mL	2.2379 mL
50 mM	0.0448 mL	0.2238 mL	0.4476 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

Burger MT, et al. Identification of NVP-BKM120 as a Potent, Selective, Orally Bioavailable Class I PI3 Kinase Inhibitor for Treating Cancer. ACS Med Chem Lett. 2011 Aug 26;2(10):774-9.
Zheng Y, et al. Novel phosphatidylinositol 3-kinase inhibitor NVP-BKM120 induces apoptosis in myeloma cells and shows synergistic anti-myeloma activity with dexamethasone. J Mol Med (Berl). 2012 Jun;90(6):695-706.
de Gooijer MC, et al. Buparlisib is a brain penetrable pan-PI3K inhibitor. Sci Rep. 2018 Jul 17;8(1):10784.