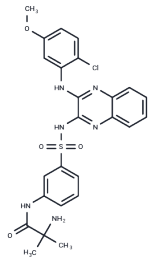
Data Sheet (Cat.No.T2365)

TargetMol

Pilaralisib

Chemical Properties



CAS No. : 934526-89-3

Formula: C25H25ClN6O4S

Molecular Weight: 541.02

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	Pilaralisib (XL-147) is an orally available small molecule that selectively inhibits the activity of phosphoinositide-3 kinase (PI3K). Pilaralisib has been used in trials studying the treatment of Cancer, Lymphoma, Solid Tumors, Glioblastoma, and Breast Cancer, among others.
Targets(IC50)	PI3K
In vitro	Pilaralisib exhibits cytotoxic activity in Pediatric PreClinicalal Testing Program (PPTP) cell lines, with a median relative IC50 value of 10.9 mM (range 2.7 mM to 24.5 mM).[2]
In vivo	In BALB/c nu/nu mice, Pilaralisib (100 mg/kg, p.o.) induces tumor growth inhibition for solid glioma xenografts. Pilaralisib is well tolerated, with only 0.7% toxicity rate in the treated groups, similar to that observed for control animals.[2] In athymic female mouse, Pilaralisib (100 mg/kg, p.o.) significantly delays tumor growth without significant drug-related toxicity.[3]
Kinase Assay	In vitro kinase inhibition assays: Kinase activity for PI3K isoforms is measured as the percentage of ATP consumed following the kinase reaction using luciferase-luciferin-coupled chemiluminescence, with ATP concentrations approximately equal to the Km for each respective kinase. Kinase reactions are initiated by combining test compounds, ATP and kinase in a 20 μL volume. PI3Kα, PI3Kβ, PI3Kγ, and PI3Kδ final enzyme concentrations are 0.5, 8, 20, and 2 nM, respectively. Of note, 0.5 μL dimethyl sulfoxide (DMSO) containing varying concentrations of the test compound is mixed with 10 μL enzyme solution (2×concentration). Kinase reactions are initiated by the addition of 10 μL of liver phosphatidylinositol and ATP solution (2×concentration). Assay concentrations for VPS34, ATP, and phosphatidylinositol are 40 nM, 1 μM, and 5 μM, respectively
Cell Research	Cell proliferation is measured by using MTT or pre-mixed WST-1 reagent. For MTT/WST-1 assays, 10,000 cells/well are seeded in 96-well plates. 24 h after plating, cells are treated with DMSO or pilaralisib. After 5 days of treatment, MTT/WST-1 assays are performed.(Only for Reference)

Solubility Information

Solubility	Ethanol: < 1 mg/mL (insoluble or slightly soluble), DMSO: 93 mg/mL (171.9 mM),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: 3.3 mg/mL (6.1 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	1.8484 mL	9.2418 mL	18.4836 mL
5 mM	0.3697 mL	1.8484 mL	3.6967 mL
10 mM	0.1848 mL	0.9242 mL	1.8484 mL
50 mM	0.037 mL	0.1848 mL	0.3697 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

Foster P, et al. Mol Cancer Ther. 2015, 14(4), 931-940.
Reynolds CP, et al. Pediatr Blood Cancer. 2013, 60(5), 791-798.
Chakrabarty A, et al. Proc Natl Acad Sci U S A. 2012, 109(8), 2718-2723.
Yu P, et al. Mol Cancer Ther. 2014, 13(5), 1078-1091.
Rexer BN, et al. Clin Cancer Res. 2013, 19(19), 5390-5401.

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