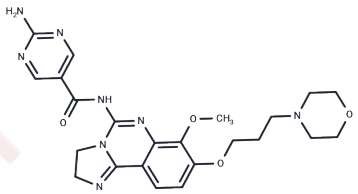
Data Sheet (Cat.No.T6322)

TargetMol

Copanlisib

Chemical Properties



CAS No. : 1032568-63-0

Formula: C23H28N8O4

Molecular Weight: 480.52

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	Copanlisib (BAY 80-6946) is a phosphoinositide 3-kinase (PI3K) inhibitor with potential antineoplastic activity. By inhibiting the PI3K signaling pathway, Copanlisib may impede tumor cell growth and survival in certain tumor populations. Dysregulated PI3K signaling, often linked to tumorigenesis, may also contribute to tumor resistance to various antineoplastic agents.
Targets(IC50)	Apoptosis,PI3K
In vitro	In both KPL4 cells and LPA-stimulated PC3 cells, Copanlisib reduces pAKT levels. In a subset of human cancer cell lines with PIK3CA mutations and/or overexpression of HER2, Copanlisib shows antiproliferative activity and induces apoptosis. [1] The combination of HER2-targeted therapies and Copanlisib inhibits growth more effectively than either therapy used alone, and can restore sensitivity to trastuzumab and lapatinib in cells. [2]
In vivo	In rat KPL4 or HCT116 tumor xenograft model, Copanlisib (6 mg/kg, i.v.) induces 100% complete tumor regression. In nude mice with Lu7860 erlotinib-resistant, patient-derived NSCLC and MAXF1398 patient-derived luminal breast tumor models, Copanlisib (14 mg/kg, i.v.) also causes tumor growth inhibition. [1]
Kinase Assay	Biochemical lipid kinase assays: The effect of BAY 80-6946 on PI3Kα, PI3Kβ, and PI3Kγ activity is measured by the inhibition of 33P incorporation into phosphatidylinositol (PI) in 384-well MaxiSorp? plates coated with 2 μg/well of PI and phosphatidylserine (PS) (1:1 molar ratio). In each PI3K isoform assay, 9 μL of reaction buffer (50 mM MOPSO, pH 7.0, 100 mM NaCl, 4 mM MgCl2, 0.1% BSA) containing 7.5 ng of His-tagged N-terminal truncated p110α or p110β protein, or 25 ng of purified human p110γ protein, is used. The reaction is started by adding 5 μL of a 40-μM ATP solution containing 20 μCi/mL [33>/sup>P]-ATP. After 2 hours incubation at room temperature, the reaction is terminated by addition of 5 μL of a 25-mM EDTA solution. The plates are washed and Ultima Gold? scintillation cocktail (25 μL) is then added. The radioactivity incorporated into the immobilized PI substrate is determined with a BetaPlate Liquid Scintillation Counter.
Cell Research	Cell proliferation over a 72-hour period is determined using the CellTiter-Glo? luminescent cell viability kit. Briefly, cells are plated in separate microtiter plates. Following an overnight incubation at 37oC, luminescence values in the t=0 hour plates are determined. Test compounds diluted in growth medium are added to the t=72 hour plates, and the cells are then incubated for 72 hours at 37oC. Luminescence values are

Cell Research	determined with a Wallac 1420 Victor2? 1420 multilabel HTS counter after a 10-minute reaction with CellTiter-Glo? solution. The percentage inhibition of cell growth is calculated by subtracting the luminescence values in the t=0 hour plates from the corresponding values in the t=72 hour plates. Differences in values between drug-treated cells and controls are used to determine the percentage inhibition of cell growth.(Only for Reference)

Solubility Information

Solubility	DMSO: < 1 mg/mL (insoluble or slightly soluble) H2O: Insoluble, 1M HCl: 71.43 mg/mL (148.65 mM),Sonication is recommended. 0.01M HCl: 10 mg/mL (20.81 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.0811 mL	10.4054 mL	20.8108 mL
5 mM	0.4162 mL	2.0811 mL	4.1622 mL
10 mM	0.2081 mL	1.0405 mL	2.0811 mL
50 mM	0.0416 mL	0.2081 mL	0.4162 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

Liu N, et al. Mol Cancer Ther. 2013, 12(11), 2319-2330.
Li J, Mai J, Zhang M, et al.Myricitrin promotes osteogenesis and prevents ovariectomy bone mass loss via the PI3K/AKT signalling pathway.Journal of Cellular Biochemistry.2023
Elster N, et al. Breast Cancer Res Treat. 2015, 149(2), 373-383.