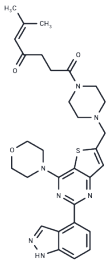
Data Sheet (Cat.No.T2256)

TargetMol

CNX-1351

Chemical Properties



CAS No. : 1276105-89-5

Formula: C30H35N7O3S

Molecular Weight: 573.71

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	CNX-1351 is a potent, isoform-selective, and targeted covalent inhibitor of PI3Kα.
Targets(IC50)	PI3K
Kinase Assay	Biochemistry assay: The motor domains of KSP (amino acids 1–360) is expressed as in Escherichia coli BL21(DE3) as COOH-terminal 6-his fusion proteins. Bacterial pellets are lysed in a microfluidizer with a lysis buffer [50 mM Tris-HCl; 50 mM KCl, 10 mM imidazole, 2 mM MgCl2, 8 mM β-mercaptoethanol, 0.1 mM ATP (pH 7.4)], and proteins are purified using Ni-NTA agarose affinity chromatography, with an elution buffer consisting of 50 mM PIPES, 10% sucrose, 300 mM imidazole, 50 mM KCl, 2 mM MgCl2, mM β-mercaptoethanol, and 0.1 mM ATP (pH 6.8). Steady-state measurements of ATPase activity are performed with a pyruvate kinase–lactate dehydrogenase detection system that coupled the appearance of ADP with oxidation of NADH. Absorbance changes are monitored at 340 nm. All biochemical experiments are performed in PEM25 buffer [25 mM Pipes/KOH (pH 6.8), 2 mM MgCl2, 1 mM EGTA] supplemented with 10 μM SB 743921 for experiments involving microtubules. Rates of ADP release are measured in a stopped-flow apparatus; the decrease in fluorescence of MANT-ATP is monitored. Rates of Pi release are measured in a stopped-flow apparatus, using bacterial phosphate binding protein modified with 7-diethylamino-3-((((2 maleimidyl)ethyl)amino)carbonyl) coumarin (MDCC) dye. Ki estimates of KSP inhibitors are extracted from the dose-response curves, with explicit correction for enzyme concentration. Tubulin polymerization by measuring changes in absorbance at 340 nm is monitored. The assay is performed in 100-μL volumes in 96-well half-area microtiter plates, using a microplate reader with the incubation temperature set at 37 °C.

Solubility Information

Solubility	DMSO: 5.74 mg/mL (10.01 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: 1 mg/mL (1.74 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*

In vivo Formulation	*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	1.743 mL	8.7152 mL	17.4304 mL
5 mM	0.3486 mL	1.743 mL	3.4861 mL
10 mM	0.1743 mL	0.8715 mL	1.743 mL
50 mM	0.0349 mL	0.1743 mL	0.3486 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

Nacht M, et al. J Med Chem. 2013 Feb 14;56(3):712-21.