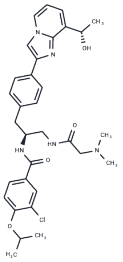
Data Sheet (Cat.No.T2039)

TargetMol

GSK-923295

Chemical Properties



CAS No. : 1088965-37-0

Formula: C32H38ClN5O4

Molecular Weight: 592.13

Storage: Store at low temperature,Store under nitrogen
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	GSK923295 is a selective allosteric inhibitor of CENP-E kinesin motor ATPase(Ki=3.2 nM).
Targets(IC50)	Apoptosis,Kinesin
In vitro	In various solid tumor models, including Ewing's sarcoma, sarcoma, and transplanted rhabdomyosarcoma tumors, GSK923295 consistently demonstrates significant antitumor activity. In Colo205 transplanted tumor models, GSK923295 (125 mg/kg) effectively inhibits tumor growth, resulting in a marked increase in mitosis and free apoptotic bodies.
In vivo	In cancer cell lines, GSK923295 exhibits broad-spectrum antitumor activity, demonstrating effectiveness against SW48 (IC50=17.2 nM), RKO (BRAF mutant) (IC50=55. 6 nM), SW620 (KRAS mutant) (IC50=42 nM), and HCT116 (KRAS mutant) (IC50=51.9 nM). Additionally, in human neuroblastoma cell lines, GSK923295 shows an average growth inhibition (IC50) of 41 nM.
Kinase Assay	Enzymology: Kinesin motor domains are expressed in Escherichia coli BL21(DE3) and purified. CENP-E proteins includes residues 2-340 with a carboxyl-terminal 6-his tag. All studies using MT are conducted in PEM25 buffer [25 mM PipesK+ (pH 6.8), 2 mM MgCl2, 1 mM EGTA] supplemented with 10 μM paclitaxel. The IC50 for steady-state inhibition is determined at 500 μM ATP, 5 μM MT, and 1 nM CENP-E in PEM25 buffer.
Cell Research	Cell-growth inhibition assays are performed by MDS in 384-well plates, and DNA content of fixed cells stained with DAPI using an Incell 1000 is analyzed. DNA content is determined 24 h after seeding (T0) and after exposure to varying concentrations of drug for an additional 72 h (T72). All T72 measurements are normalized to T0. Curves are analyzed using the XLfit curve-fitting tool to determine the concentration of GSK923295 yielding 50% growth inhibition relative to T0 and Ymax values (GI50).(Only for Reference)

Solubility Information

Solubility	H2O: < 1 mg/mL (insoluble or slightly soluble), Ethanol: 93 mg/mL (157.06 mM),Sonication is recommended.

Solubility	DMSO: 93 mg/mL (157.06 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: 2.5 mg/mL (4.22 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	1.6888 mL	8.4441 mL	16.8882 mL
5 mM	0.3378 mL	1.6888 mL	3.3776 mL
10 mM	0.1689 mL	0.8444 mL	1.6888 mL
50 mM	0.0338 mL	0.1689 mL	0.3378 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

Wood KW, et al. Proc Natl Acad Sci U S A, 2010, 107(13), 5839-5844.
Qian XP, et al. ACS Med Chem Lett, 2010, 1, 30-34.
Lock RB, et al. Pediatr Blood Cancer 2012, 58(6), 916-923.
Mayes PA, et al. Int J Cancer, 2013, 132(3), E149-157.