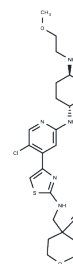


JSH-150

Chemical Properties

CAS No. :	2247481-21-4
Formula:	C ₂₄ H ₃₃ ClN ₆ O ₂ S
Molecular Weight:	505.08
Storage:	Keep away from direct sunlight, Store at low temperature Powder: -20°C for 3 years In solvent: -80°C for 1 year <small>Actual storage temperature shall be subject to the COA.</small>



Biological Description

Description	JSH-150 is a highly selective CDK9 inhibitor with an IC ₅₀ of 1 nM.
Targets(IC ₅₀)	CDK
In vitro	A highly selective inhibitor compound 40 (JSH-150), which exhibited an IC ₅₀ of 1 nM against CDK9 kinase in the biochemical assay and achieved around 300 10000-fold selectivity over other CDK kinase family members. In addition, it also displayed high selectivity over other 468 kinases/mutants (KINOMEScan S score(1) = 0.01). Compound 40 displayed potent antiproliferative effects against melanoma, neuroblastoma, hepatoma, colon cancer, lung cancer as well as leukemia cell lines. It could dose-dependently inhibit the phosphorylation of RNA Pol II, suppress the expression of MCL-1 and c-Myc, arrest the cell cycle and induce the apoptosis in the leukemia cells.
In vivo	In the MV4-11 cell-inoculated xenograft mouse model, 10 mg/kg dosage of 40 could almost completely suppress the tumor progression. The high selectivity and good in vivo PK/PD profile suggested that 40 would be a good pharmacological tool to study CDK9-mediated physiology and pathology as well as a potential drug candidate for leukemia and other cancers.

Solubility Information

Solubility	DMSO: 250 mg/mL (494.97 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 mg/mL (3.96 mM), Sonication is recommended. <i>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.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.9799 mL	9.8994 mL	19.7988 mL
5 mM	0.396 mL	1.9799 mL	3.9598 mL
10 mM	0.198 mL	0.9899 mL	1.9799 mL
50 mM	0.0396 mL	0.198 mL	0.396 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

Beilei Wang, et al. Discovery of 4-(((4-(5-chloro-2-(((1s,4s)-4-((2-methoxyethyl)amino)cyclohexyl)amino)pyridin-4-yl)thiazol-2-yl)amino)methyl)tetrahydro-2H-pyran-4-carbonitrile (JSH-150) as a novel highly selective and potent CDK9 kinase inhibitor. *Eur J Med Chem.* 13 September 2018.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

This product is for Research Use Only · Not for Human or Veterinary or Therapeutic Use

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