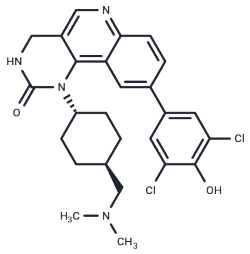
Data Sheet (Cat.No.T24152)

TargetMol

HTH-01-091

Chemical Properties



CAS No. : 2000209-42-5

Formula: C26H28Cl2N4O2

Molecular Weight: 499.43

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	HTH-01-091 is a potent and selective maternal embryonic leucine zipper kinase (MELK) inhibitor (IC50: 10.5 nM) that also inhibits PIM1/2/3, RIPK2, DYRK3, smMLCK, and CLK2. It can be used to study breast cancer.
Targets(IC50)	MELK,CDK,DYRK,GSK-3,mTOR,Pim,RIP kinase,Serine/threonin kinase
In vitro	HTH-01-091 (0-10 μM, 1 h) dose-dependently decreased MELK pull-down by streptavidin beads, demonstrating that the compound is cell permeable and binds to MELK in an ATP-competitive fashion causes MELK degradation.[1] HTH-01-091 (1 μM) selectively inhibits 4% of the kinases over 90%[1]. HTH-01-091 (0-10 μM, 3 days) exhibits minor antiproliferative effects in breast cancer cells[1].

Solubility Information

Solubility	DMSO: 5 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 (2 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	2.0023 mL	10.0114 mL	20.0228 mL
5 mM	0.4005 mL	2.0023 mL	4.0046 mL
10 mM	0.2002 mL	1.0011 mL	2.0023 mL
50 mM	0.040 mL	0.2002 mL	0.4005 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

Huang HT, et al. MELK is not necessary for the proliferation of basal-like breast cancer cells. Elife. 2017;6:e26693.
McDonald IM, et al. Mass spectrometry-based selectivity profiling identifies a highly selective inhibitor of the kinase MELK that delays mitotic entry in cancer cells. J Biol Chem. 2020;295(8):2359-2374.