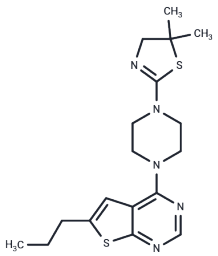
Data Sheet (Cat.No.T2649)

TargetMol

Menin-MLL inhibitor MI-2

Chemical Properties



CAS No. : 1271738-62-5

Formula: C18H25N5S2

Molecular Weight: 375.55

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	Menin-MLL inhibitor MI-2 (MI2) is a potent menin-MLL interaction inhibitor with IC50 of 446 nM.
Targets(IC50)	Apoptosis,Epigenetic Reader Domain,Histone Methyltransferase
In vivo	MI-2 effectively inhibits cell proliferation and induces apoptosis in human MLL leukemia cell lines bearing various MLL translocations. In HEK293 cells, MI-2 penetrates its protein target, efficiently inhibiting the interaction between menin and MLL-AF9. By downregulating the expression of target genes essential for the oncogenic activity of MLL fusion proteins, MI-2 effectively blocks leukemia transformation mediated by MLL fusion proteins.
Kinase Assay	High Throughput Screening: FITC-MBM1 at 15 nM and menin at 150 nM in the FP buffer are mixed and incubated for 1h in the dark at room temperature. For point screening, the 0.2 μL of each compound (20 μM final concentration, 1% DMSO) is added to 20 μL of the aliquot of the protein-peptide mixture and incubated on 384-well plates in the dark at room temperature for 1h. In confirmation screening, the serial dilution plates with compounds in DMSO are prepared and used to titrate the menin-FITC-MBM1 complex. Change in fluorescence polarization is monitored at 525 nm after excitations at 495 nm using the PHERAstar microplate reader (BMG) and applied to determine IC50 values with the Origin 7.0 program.
Cell Research	The MLL-AF9 and E2A-HLF transduced murine BMC are plated in 12-well plates at the concentration of 5×103 cells/mL with 1 mL methylcellulose medium M3234 containing 20% IMDM medium, 1% penicillin/streptomycin, IL-3 and 0.25% DMSO or compounds. 6 days later colonies are stained with 100 μL iodonitrotetrazolium chloride at final concentration of 1 mg/mL, incubated at 37°C for 30 min and counted. To replate for the 2nd round, colonies are counted at day 6 without staining and cells were washed out by 1×PBS buffer and resuspended in IMDM medium containing 15% FBS, 1% penicillin/streptomycin and IL-3. 5×103 cells are plated in 12-well plates with 1ml methycellulose medium M3234 containing 20% IMDM medium, 1% penicillin/streptomycin, IL-3 and 0.25% DMSO or compounds. 6 days later colonies are stained and counted.(Only for Reference)

Solubility Information

Solubility	DMSO: 70 mg/mL (186.39 mM),Sonication is recommended. H2O: < 1 mg/mL (insoluble or slightly soluble), Ethanol: 70 mg/mL (186.39 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 (5.33 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	2.6628 mL	13.3138 mL	26.6276 mL
5 mM	0.5326 mL	2.6628 mL	5.3255 mL
10 mM	0.2663 mL	1.3314 mL	2.6628 mL
50 mM	0.0533 mL	0.2663 mL	0.5326 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

Grembecka J, et al. Nat Chem Biol. 2012, 8(3), 277-284.
Wang Y, Deng X, Xie J, et al.The COP9 signalosome stabilized MALT1 promotes Non-Small Cell Lung Cancer progression through activation of NF-κB pathway.Cell Biology and Toxicology.2024, 40(1): 45.