

KDM5-C70

Chemical Properties

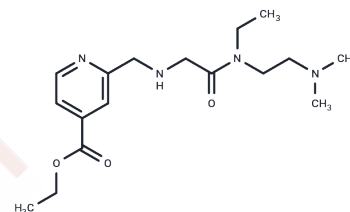
CAS No. : 1596348-32-1

Formula: C17H28N4O3

Molecular Weight: 336.43

Storage: Pure form: -20°C for 3 years | In solvent: -80°C for 1 year

Actual storage temperature shall be subject to the COA.



Biological Description

Description	KDM5-C70 is an ethyl ester derivative of KDM5-C49 and functions as an effective, cell-permeable, pan-KDM5 histone demethylase inhibitor. It exhibits an antiproliferative effect in myeloma cells and induces a genome-wide elevation of H3K4me3 levels.
Targets(IC50)	Histone Demethylase
In vitro	KDM5-C70 (50 μ M; 7 days; MM.1S myeloma cells) treatment reduces the level of phosphorylation of retinoblastoma protein (Rb) while leaving the total level of phosphorylated Rb (pRb) unchanged, indicating impairment of cell cycle progression. KDM5-C70 (1 nM-10 μ M; 7 days; MM.1S myeloma cells) treatment displays antiproliferative effects after 7 days of treatment at elevated concentrations (estimated 50% reduction of viability/proliferation for KDM5-C70 at \sim 20 μ M). Chromatin immunoprecipitation followed by next-generation sequencing displays an enhanced H3K4me3 level around transcription start sites with KDM5-C70 but little change with GSK467A at 50 μ M inhibitor concentrations [1].

Solubility Information

Solubility	DMSO: 250 mg/mL (743.1 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: 5 mg/mL (14.86 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	2.9724 mL	14.8619 mL	29.7239 mL
5 mM	0.5945 mL	2.9724 mL	5.9448 mL
10 mM	0.2972 mL	1.4862 mL	2.9724 mL
50 mM	0.0594 mL	0.2972 mL	0.5945 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

- Johansson C, et al. Structural analysis of human KDM5B guides histone demethylase inhibitor development. *Nat Chem Biol.* 2016 Jul;12(7):539-45.
- Xia L, Zheng Z, Liu J, et al. Targeting triple-negative breast cancer with combination therapy of EGFR CAR T cells and CDK7 inhibition. *Cancer Immunology Research.* 2021, 9(6): 707-722.
- Blair LP, et al. KDM5 lysine demethylases are involved in maintenance of 3'UTR length. *Sci Adv.* 2016 Nov 18;2(11): e1501662.
- Xia L, Zheng Z, Liu J, et al. Targeting triple-negative breast cancer with combination therapy of EGFR CAR-T cells and CDK7 inhibition. *Cancer Immunology Research.* 2021

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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