

KDM5A-IN-1

Chemical Properties

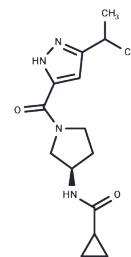
CAS No. : 1905481-36-8

Formula: C₁₅H₂₂N₄O₂

Molecular Weight: 290.36

Storage: Store at low temperature
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	KDM5A-IN-1 is an orally available, potent and selective inhibitor of the pan-histidine lysine demethylase 5 KDM5, inhibiting KDM5A, KDM5B, and KDM5C with IC ₅₀ values of 45 nM, 56 nM, and 55 nM, respectively. KDM5A-IN-1 inhibits PC9 H3K4Me ₃ , and may be useful in cancer research.
Targets(IC ₅₀)	Histone Demethylase
In vivo	KDM5A-IN-1 (compound 50, 5 mg/kg; oral administration; female CD-1 mice) exhibits moderate clearance in mice (28 mL/min/kg), good oral bioavailability (F% 34), significantly low plasma protein binding in mice (40%), and a half-life (t _{1/2}) of 0.4 hours [1].

Solubility Information

Solubility	DMSO: 30 mg/mL (103.32 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 (6.89 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	3.444 mL	17.220 mL	34.440 mL
5 mM	0.6888 mL	3.444 mL	6.888 mL
10 mM	0.3444 mL	1.722 mL	3.444 mL
50 mM	0.0689 mL	0.3444 mL	0.6888 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

Liang J, et al. From a novel HTS hit to potent, selective, and orally bioavailable KDM5 inhibitors. *Bioorg Med Chem Lett.* 2017 Jul 1;27(13):2974-2981.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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Tel:781-999-4286 E_mail:info@targetmol.com Address:34 Washington Street,Wellesley Hills,MA 02481