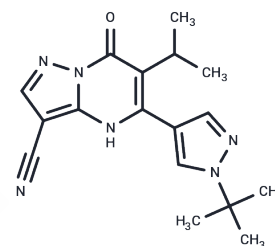


KDM5-IN-1

Chemical Properties

CAS No. :	1628210-26-3
Formula:	C ₁₇ H ₂₀ N ₆ O
Molecular Weight:	324.38
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	KDM5-IN-1 is an effective and selective inhibitor of KDM5 with an IC ₅₀ of 15.1 nM.
Targets(IC ₅₀)	Histone Demethylase
In vitro	KDM5-IN-1 is significantly less potent against other KDM enzymes (1A, 2B, 3B, 4C, 5A, 6A, 7B), inhibiting KDM4C the strongest with an IC ₅₀ of 1.9 μM. KDM5-IN-1 potently inhibits KDM5B and KDM5C isoforms (IC ₅₀ of 4.7 and 65.5 nM, respectively)[1].
In vivo	KDM5-IN-1(50 mg/kg; oral) shows an unbound maximal plasma concentration C _{max} >15-fold over its cell EC ₅₀ in vivo[1].

Solubility Information

Solubility	DMSO: 30 mg/mL (92.48 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 (6.17 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	3.0828 mL	15.414 mL	30.828 mL
5 mM	0.6166 mL	3.0828 mL	6.1656 mL
10 mM	0.3083 mL	1.5414 mL	3.0828 mL
50 mM	0.0617 mL	0.3083 mL	0.6166 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. Lead optimization of a pyrazolo[1,5-a]pyrimidin-7(4H)-one scaffold to identify potent, selective and orally bioavailable KDM5 inhibitors suitable for in vivo biological studies. *Bioorg Med Chem Lett.* 2016 Aug 15;26(16):4036-41.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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

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