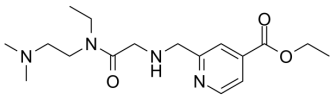
KDM5-C70

Cat. No.:	HY-120400
CAS No.:	1596348-32-1
Molecular Formula:	$C_{17}H_{28}N_4O_3$
Molecular Weight:	336.43
Target:	Histone Demethylase
Pathway:	Epigenetics
Storage:	-20°C, stored under nitrogen * In solvent : -80°C, 6 months; -20°C, 1 month (stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (297.24 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	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

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (7.43 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (7.43 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (7.43 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

KDM5-C70 is an ethyl ester derivative of KDM5-C49 and a potent, cell-permeable and pan-KDM5 histone demethylase inhibitor. KDM5-C70 has an antiproliferative effect in myeloma cells, leading to genome-wide elevation of H3K4me3 levels[1][2].

IC_{50} & Target

KDM5 histone demethylase[1][2]

In Vitro

KDM5-C70 (10^{-9}-10^{-5} M; 7 days; MM.1S myeloma cells) treatment shows antiproliferative effects after 7 days of treatment at elevated concentrations (estimated 50% reduction of viability/proliferation for KDM5-C70 at ~20 μM)[1].
KDM5-C70 (50 μM; 7 days; MM.1S myeloma cells) treatment decreases the level of phosphorylation of retinoblastoma protein

(Rb), while leaving the total level of phosphorylated Rb (pRb) unchanged, indicating impairment of cell cycle progression[1]. Chromatin immunoprecipitation followed by next-generation sequencing shows an increase in H3K4me3 levels around transcription start sites with KDM5-C70 but little change with GSK467A at 50 μM inhibitor concentrations[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	MM.1S myeloma cells
Concentration:	10^{-9}-10^{-5} M
Incubation Time:	7 days
Result:	Showed antiproliferative effects after 7 days of treatment at elevated concentrations.

Western Blot Analysis[1]

Cell Line:	MM.1S myeloma cells
Concentration:	50 μM
Incubation Time:	7 days
Result:	Decreased the level of phosphorylation of retinoblastoma protein (Rb).

CUSTOMER VALIDATION

- Cell Res. 2023 May;33(5):403-406.
- Sci Adv. 2023 Apr 7;9(14):eadd8343.

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REFERENCES

[1]. Johansson C, et al. Structural analysis of human KDM5B guides histone demethylase inhibitor development. Nat Chem Biol. 2016 Jul;12(7):539-45.

[2]. Blair LP, et al. KDM5 lysine demethylases are involved in maintenance of 3'UTR length. Sci Adv. 2016 Nov 18;2(11):e1501662.

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