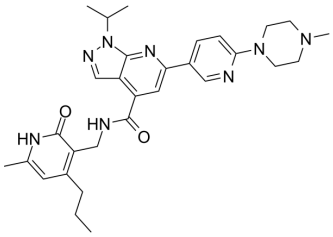
JQEZ5

Cat. No.:	HY-100846
CAS No.:	1913252-04-6
Molecular Formula:	$C_{30}H_{38}N_8O_2$
Molecular Weight:	542.68
Target:	Histone Methyltransferase
Pathway:	Epigenetics
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 25 mg/mL (46.07 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.8427 mL	9.2135 mL	18.4271 mL
	5 mM	0.3685 mL	1.8427 mL	3.6854 mL
	10 mM	0.1843 mL	0.9214 mL	1.8427 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 (4.61 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

JQEZ5 is a potent and selective EZH2 lysine methyltransferase inhibitor. JQEZ5 SAM-competitively inhibits polycomb repressive complex 2 (PRC2) with an IC_{50} of 80 nM. JQEZ5 has anti-tumor effects[1].

IC_{50} & Target

EZH2

In Vitro

JQEZ5 inhibits enzymatic functionality of PRC2 with a biochemical IC50 of 80nM. JQEZ5 exhibits S-adenosyl methionine (SAM)-competitive inhibition of PRC2[1].
H661 cells treated with increasing concentrations of JQEZ5 demonstrate acutely reduced levels of H3K27me3 without affecting H3K27 mono- or di-methylation. JQEZ5 suppresses the proliferation of EZH2-overexpressing H661 and H522 cells after treatment for 4 days without affecting the proliferation of cell lines that were deemed insensitive to EZH2 knockdown [1].

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

In Vivo	JQEZ5 (75 mg/kg; intraperitoneal injection; daily; for 3 weeks) treatment exhibits rapid and pronounced tumor regression over the three week treatment course. And H3K27me3 levels are largely reduced with treatment further confirming the on-target effect of JQEZ5 in mice[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [1]	Tumor-bearing genetically-engineered mouse models (GEMMs) are monitored for onset of symptoms (breath distress) and then treated with JQEZ5 for three weeks (75 mg/kg IP daily). Tumors are visualized by MRI and tumor volume of the lungs is calculated using 3D Slicer. For xenograft experiments, H661 cells are dissociated into single cells, counted and resuspended at 2×10^6 cells per 250 μL of 1:1 media/matrigel. Eight- to 12-week-old female $Foxn1^{nu}/Foxn1^{nu}$ mice are injected subcutaneously with 2×10^6 cells in two to three spots on the flanks. Tumors are allowed to grow to an approximate size of 200 mm^3 (~10 weeks) and the mice are randomized for vehicle (n=3) or JQEZ5 administration (n=6, 75 mg/kg/d, i.p.) for 18 days. Tumor growth is measured by caliper measurements and tumor volume is calculated by standard methods[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Acta Pharmacol Sin. 2021 Apr 13.
- J Transl Med. 2019 Nov 11;17(1):366.
- Gene. 2022 Feb 16;822:146317.

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REFERENCES

[1]. Zhang H, et al. Oncogenic Deregulation of EZH2 as an Opportunity for Targeted Therapy in Lung Cancer. Cancer Discov. 2016 Sep;6(9):1006-21.

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