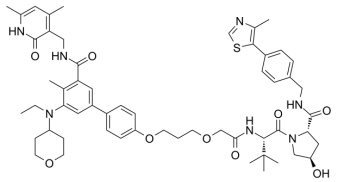
YM281

Cat. No.:	HY-145762
CAS No.:	2230914-84-6
Molecular Formula:	$C_{56}H_{71}N_7O_9S$
Molecular Weight:	1018.27
Target:	Apoptosis; Histone Methyltransferase
Pathway:	Apoptosis; Epigenetics
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

YM281 is a potent EZH2 inhibitor. YM281 induces cell apoptosis and cell cycle arrest at the G0/G1 phase. YM281 shows antitumor effects in vivo. YM281 has the potential for the research of lymphoma[1].

In Vitro

YM281 (compound V2) (0-6 μM; 0-48 h) decreases the EZH2 protein level and the PRC2 (polycomb repressive complex 2) complex through the VHL (vonHippel−Lindau)-dependent ubiquitin-proteasome system[1].
YM281 (0-10 μM; 24 h) shows anticancer effects in lymphoma cells[1].
YM281 (0-5 μM) induces cell apoptosis and cell cycle arrest at the G0/G1 phase[1].
YM281 (0-5 μM; 24 h) increases the activity of caspase-3 and -7 and meanwhile reduces the cell viability in primary lymphoma cells[1].

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

Western Blot Analysis[1]

Cell Line:	SU-DHL-2, 22Rv1 cells
Concentration:	0-6 μM
Incubation Time:	0-48 h
Result:	Abrogated both the EZH2 protein level and the H3K27me3 degree in a concentration-dependent manner in 24 h, had no significant effect on the protein level of EZH1,and significantly increased the expression of EZH2 ubiquitination.

Cell Viability Assay[1]

Cell Line:	SU-DHL-2, SU-DHL-4, SU-DHL-6 cells
Concentration:	0-10 μM
Incubation Time:	24 h
Result:	Induced nearly complete cell viability inhibition.

Cell Cycle Analysis[1]

Cell Line:	SU-DHL-6 cells

Concentration:	1, 3, 5 μM
Incubation Time:	24 h
Result:	Induced cell cycle arrest at the G0/G1 phase and a profound sub-G1 population increasein a concentration-dependent manner.

Apoptosis Analysis[1]

Cell Line:	SU-DHL-6 cells
Concentration:	0-5 μM
Incubation Time:	48 h
Result:	Significantly increased the expression of cleaved caspase-3 and PARP.

In Vivo

YM281 (80, 100 mg/kg; i.v.; 6 times for 3 weeks) shows antitumor effects and significantly reduces the expression of EZH2 protein and H3K27me3 levels[1].

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

Animal Model:	Balb/c nude mice (SU-DHL-6 xenograft model)[1]
Dosage:	80 mg/kg
Administration:	I.v.; 6 times for 3 weeks
Result:	Remarkably suppressed the tumor volume and significantly reduced the EZH2 protein and H3K27me3 levels.

Animal Model:	Balb/c nude mice (Jeko-1 xenograft model)[1]
Dosage:	100 mg/kg
Administration:	I.v.; 6 times for 3 weeks
Result:	Shows anti-tumor effects with the significantly reduced the expression of EZH2 protein and H3K27me3 levels.

REFERENCES

[1]. Tu Y,et al. Design, Synthesis, and Evaluation of VHL-Based EZH2 Degraders to Enhance Therapeutic Activity against Lymphoma. J Med Chem. 2021 Jul 22;64(14):10167-10184.

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