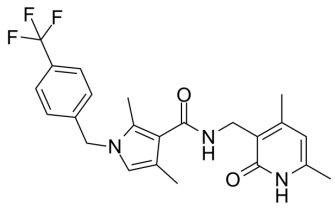
DM-01

Cat. No.:	HY-131246
CAS No.:	2355280-00-9
Molecular Formula:	$C_{23}H_{24}F_3N_3O_2$
Molecular Weight:	431.45
Target:	Histone Methyltransferase
Pathway:	Epigenetics
Storage:	4°C, stored under nitrogen * In solvent : -80°C, 6 months; -20°C, 1 month (stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (115.89 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3178 mL	11.5888 mL	23.1777 mL
	5 mM	0.4636 mL	2.3178 mL	4.6355 mL
	10 mM	0.2318 mL	1.1589 mL	2.3178 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.79 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

DM-01 is a powerful and selective EZH2 inhibitor for the research of diffuse large B-cell lymphoma (DLBCL), follicular lymphoma (FL), and SNF5/INI-1/SMARCB1 genetically defined solid tumors[1].

IC_{50} & Target

EZH2

In Vitro

Knockdown EZH2 in A549 cells results in the decrease of cell sensitivity to DM-01 at 50 and 100 μM concentrations. DM-01 also shows comparable inhibitory activity for K562 cells with an IC_{50} value of 58.706 μM[1].

DM-01 (5 and 10 μM; 24 hours) strongly inhibits the activity of EZH2 and results in abolished H3K27me expression in K562 cells[1].

DM-01 (5 and 10 μM) also increases the transcription expression of DIRAS3 in a dose dependent manner, a tumor suppressor in downstream of EZH2[1].

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

Cell Viability Assay[1]

Cell Line:	A549 sh-EV and A549 sh-EZH2 cells
Concentration:	1, 5, 10, 50, 100 μM
Incubation Time:	48 hours
Result:	The IC_{50}s of 72.748 and 269.7 μM for A549 sh-EV and A549 sh-EZH2 cells, respectively.

Western Blot Analysis[1]

Cell Line:	K562 cells
Concentration:	5, 10 μM
Incubation Time:	24 hours
Result:	Inhibited the activity of EZH2 and resulted in abolished H3K27me expression.

REFERENCES

[1]. Qifan Zhou, et al. Design, synthesis and biological activities of pyrrole-3-carboxamide derivatives as EZH2 (enhancer of zeste homologue 2) inhibitors and anticancer agents. New Journal of Chemistry. 10 Jan 2020.

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