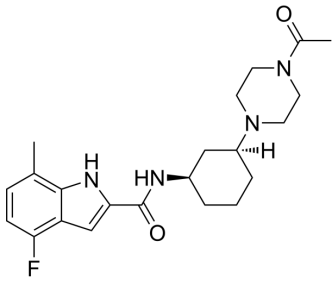
EZM0414

Cat. No.:	HY-144858
CAS No.:	2411748-50-8
Molecular Formula:	$C_{22}H_{29}FN_4O_2$
Molecular Weight:	400.49
Target:	Histone Methyltransferase
Pathway:	Epigenetics
Storage:	4°C, sealed storage, away from moisture and light * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 120 mg/mL (299.63 mM; ultrasonic and warming and heat to 60°C)

H_2O : < 0.1 mg/mL (ultrasonic;warming;heat to 60°C) (insoluble)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.4969 mL	12.4847 mL	24.9694 mL
	5 mM	0.4994 mL	2.4969 mL	4.9939 mL
	10 mM	0.2497 mL	1.2485 mL	2.4969 mL

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

BIOLOGICAL ACTIVITY

Description

EZM0414 is a potent, selective, orally bioavailable inhibitor of SETD2 (IC_{50}=18 nM in SETD2 biochemical assay; IC_{50}=34 nM in cellular assay). EZM0414 can be used for the research of relapsed or refractory multiple myeloma and diffuse large B-cell lymphoma[1].

IC_{50} & Target

SETD2/KMT3A

In Vitro

EZM0414 inhibits proliferation of a panel of MM and DLBCL cell lines, with IC_{50}s of 0.24 μM for t(4;14) cell, 0.023 μM- >10 μM for DLBCL cell lines[2].

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

In Vivo

EZM0414 (15 and 30 mg/kg, p.o., BID, daily,) inhibits tumor growth in NOD SCID mouse xenograft model implanted with human KMS-11 cells, and is well-tolerated[3].

EZM0414 (50 mg/kg, p.o.) shows almost 100% oral bioavailability in rats and mice, $t_{1/2}$ of 1.8 h (mice)and 3.8 h (rats)[3].

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

CUSTOMER VALIDATION

- Cell Stem Cell. 2023 Apr 6;30(4):450-459.e9.
- Nat Chem Biol. 2023 Mar 27.
- Research Square Preprint. 2023 May 26.

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REFERENCES

[1]. Jennifer Totman, et al. Pharmacologic Inhibition of the Histone Methyltransferase SETD2 with EZM0414 As a Novel Therapeutic Strategy in Relapsed or Refractory Multiple Myeloma and Diffuse Large B-Cell Lymphoma. Blood. Volume 138, Supplement 1, 23 November 2021, Page 1142.

[2]. Alford JS, et al. Conformational-Design-Driven Discovery of EZM0414: A Selective, Potent SETD2 Inhibitor for Clinical Studies. ACS Med Chem Lett. 2022 Jun 7;13(7):1137-1143.

[3]. Jennifer Totman, et al. Pharmacologic Inhibition of the Histone Methyltransferase SETD2 with EZM0414 As a Novel Therapeutic Strategy in Relapsed or Refractory Multiple Myeloma and Diffuse Large B-cell Lymphoma.

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