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Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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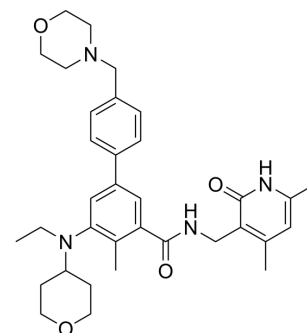
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Tazemetostat

Cat. No.:	HY-13803
CAS No.:	1403254-99-8
Molecular Formula:	C ₃₄ H ₄₄ N ₄ O ₄
Molecular Weight:	572.74
Target:	Histone Methyltransferase; Apoptosis
Pathway:	Epigenetics; Apoptosis
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 31.25 mg/mL (54.56 mM; ultrasonic and warming and heat to 60°C)
 0.1 M HCL : 14.29 mg/mL (24.95 mM; ultrasonic and adjust pH to 5 with HCL)

	Solvent Concentration	Mass	1 mg	5 mg	10 mg
Preparing Stock Solutions	1 mM		1.7460 mL	8.7300 mL	17.4599 mL
	5 mM		0.3492 mL	1.7460 mL	3.4920 mL
	10 mM		0.1746 mL	0.8730 mL	1.7460 mL

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

In Vivo

- Add each solvent one by one: 0.5% CMC-Na >> 0.1% Tween-80
Solubility: 50 mg/mL (87.30 mM); Suspended solution; Need ultrasonic
- Add each solvent one by one: 5% DMSO >> 40% PEG300 >> 5% Tween-80 >> 50% saline
Solubility: ≥ 2.5 mg/mL (4.36 mM); Clear solution
- Add each solvent one by one: 5% DMSO >> 95% (20% SBE-β-CD in saline)
Solubility: ≥ 2.5 mg/mL (4.36 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
Solubility: ≥ 2.08 mg/mL (3.63 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
Solubility: ≥ 2.08 mg/mL (3.63 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: ≥ 2.08 mg/mL (3.63 mM); Clear solution

BIOLOGICAL ACTIVITY

Description	Tazemetostat (EPZ-6438) is a potent, selective and orally available EZH2 inhibitor. Tazemetostat inhibits the activity of human polycomb repressive complex 2 (PRC2)-containing wild-type EZH2 with a K _i value of 2.5 nM. Tazemetostat inhibits EZH2 with IC ₅₀ s of 11 and 16 nM in peptide assay and nucleosome assay, respectively. Tazemetostat inhibits rat EZH2 with an IC ₅₀ of 4 nM. Tazemetostat also inhibits EZH1 with an IC ₅₀ of 392 nM. Tazemetostat induces apoptosis and differentiation specifically in SMARCB1-deleted MRT cells ^[1] .	
IC ₅₀ & Target	EZH2	
In Vitro	Tazemetostat (EPZ-6438) inhibits multi wild-type and mutant lymphoma cell lines proliferation with IC ₅₀ s of 0.49 nM-7.6 μM ^[1] .	
	MCE has not independently confirmed the accuracy of these methods. They are for reference only.	
	Cell Proliferation Assay ^[1]	
	Cell Line:	Wild-type and mutant lymphoma cell lines
	Concentration:	0.49-7.6 μM
	Incubation Time:	11 days
In Vivo	Result:	Inhibited DOHH-2 cell (EZH2 wild-type; IC ₅₀ =1.7 μM), Farage cell (EZH2 wild-type; IC ₅₀ =99 nM), OCI-LY19 cell (EZH2 wild-type; IC ₅₀ =6.2 μM), Toledo cell (EZH2 wild-type; IC ₅₀ =7.6 μM), KARPAS-422 (EZH2 Y646N; IC ₅₀ =1.8 nM), Pfeiffer (EZH2 A682G; IC ₅₀ =0.49 nM), RL cell line (EZH2 Y646N; IC ₅₀ =5.8 μM), SU-DHL-10 (EZH2 Y646F; IC ₅₀ =5.8 nM), SU-DHL-6 (EZH2 Y646N; IC ₅₀ =4.7 nM), WSU-DLCL2 (EZH2 Y646F; IC ₅₀ =8.6 nM) proliferation.
	Tazemetostat (EPZ-6438; 250 or 500 mg/kg twice daily for 21-28 days) practically eliminates the fast-growing G401 tumors ^[1] .	
	MCE has not independently confirmed the accuracy of these methods. They are for reference only.	
	Animal Model:	SCID mice bearing s.c. G401 xenografts ^[1]
	Dosage:	125 mg/kg, 250 mg/kg and 500 mg/kg
	Administration:	Oral administration; twice daily; 28 days
Result:	Eliminated the fast-growing G401 tumors.	

CUSTOMER VALIDATION

- Nat Med. 2017 Nov;23(11):1352-1361.
- Nature. 2022 Apr;604(7904):160-166.
- Nat Struct Mol Biol. 2018 Mar;25(3):225-232.
- Nat Commun. 2023 Jul 17;14(1):4259.
- Nat Commun. 2023 Jan 20;14(1):336.

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REFERENCES

Caution: Product has not been fully validated for medical applications. For research use only.

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