Valemetostat tosylate

Cat. No.: HY-109108A

CAS No.: 1809336-93-3

Molecular Formula: $C_{33}H_{42}ClN_3O_7S$

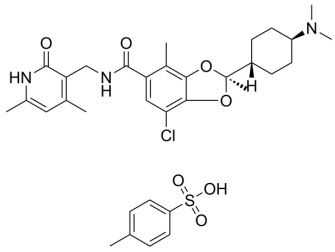
Molecular Weight: 660.22

Target: Histone Methyltransferase

Pathway: Epigenetics

Storage: 4°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (151.46 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.5146 mL	7.5732 mL	15.1465 mL
	5 mM	0.3029 mL	1.5146 mL	3.0293 mL
	10 mM	0.1515 mL	0.7573 mL	1.5146 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: ≥ 2.08 mg/mL (3.15 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (3.15 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.15 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Valemetostat (DS-3201) tosylate, a first-in-class EZH1/2 dual inhibitor with IC_{50} values ⊠10 nM. Valemetostat tosylate can be used for the research of relapsed/refractory peripheral T-cell lymphoma[1][2][3].

IC_{50} & Target

EZH1

In Vitro

Valemetostat tosylate (1-1000 nM) strongly and specifically inhibits EZH1 and EZH2 with IC_{50} values ⊠10 nM[3].
Valemetostat tosylate (100 nM; 7 d) effectively removes H3K27me3 and also prevents unexpected gain of H3K27me3[3].
Valemetostat tosylate (0.1-100 nM; 7 d) potently inhibits H3K27me3 by low-dose treatment in the sensitive lymphoma types[3].

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

In Vivo

Valemetostat tosylate (0.01 mg/g; i.p.; once) prevents the changes of H3K27me3 after exercise training[2].

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Animal Model:	Male C57BL/6J mice with chronic and acute running exercise or without exercise[1]
Dosage:	0.01 mg/g
Administration:	Intraperitoneal injection; 0.01 mg/g; 30 min before the start of running exercise
Result:	Significantly increased the level of H3K27me3 , slightly decresed EZH1 level , upregulated the EZH2 level and increased the level of phosphorylated AMPK after exercise. Repressed myonuclear H3K27me3 accumulation during training and caused a failure of adaptive changes.

REFERENCES

[1]. Shimizu J, Kawano F. Exercise-induced histone H3 trimethylation at lysine 27 facilitates the adaptation of skeletal muscle to exercise in mice. J Physiol. 2022 Jul;600(14):3331-3353.

[2]. Yamagishi M, et al. Targeting Excessive EZH1 and EZH2 Activities for Abnormal Histone Methylation and Transcription Network in Malignant Lymphomas. Cell Rep. 2019 Nov 19;29(8):2321-2337.e7.

[3]. Daiichi Sankyo's EZH1/2 Dual Inhibitor Valemetostat (DS-3201) Receives SAKIGAKE Designation for Treatment of Patients with Relapsed/Refractory Peripheral T-Cell Lymphoma from Japan MHLW.

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