

Product Datasheet

Valemetostat tosylate

Catalog No. **BP-02146A** · CAS **1809336-93-3** · Form **salt** · **tosylate** · Physical state **solid** · Color **White to yellow** · Version **1.0**
· Revision **2026-09-04**

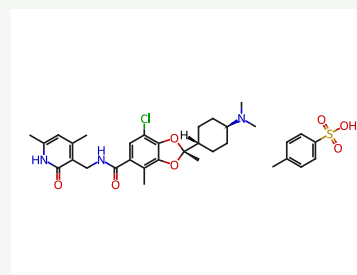
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Target Histone Methyltransferase	Research area Cancer
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Molecular formula **C₃₃H₄₂ClN₃O₇S**

Molecular weight **660.2200**

STRUCTURE



DESCRIPTION

Valemetostat (DS-3201) tosylate, a first-in-class EZH1/2 dual inhibitor with IC₅₀ values $\leq$ 10 nM. Valemetostat tosylate can be used for the research of relapsed/refractory peripheral T-cell lymphoma[1][2][3].

BIOLOGICAL ACTIVITY

In Vitro

Valemetostat tosylate (1-1000 nM) strongly and specifically inhibits EZH1 and EZH2 with IC₅₀ values $\leq$ 10 nM.

? Valemetostat tosylate (100 nM; 7 d) effectively removes H3K27me3 and also prevents unexpected gain of H3K27me3.

? Valemetostat tosylate (0.1-100 nM; 7 d) potently inhibits H3K27me3 by low-dose treatment? in the sensitive lymphoma types.

In Vivo

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

SOLUBILITY

soluble in DMSO

REFERENCES

[1]. 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.

[2]. 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.

[3]. 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.

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Lot CoA and SDS are separate documents.