Product Datasheet

Valemetostat

Catalog No. **BP-02146** · CAS **1809336-39-7** · Form **free base** · Physical state **other** · Color **White to off-white** · Version **1.0** · Revision **2026-09-03**

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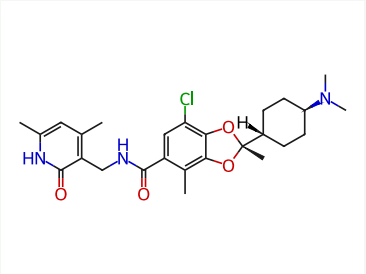
Target	Research area
Histone Methyltransferase	**Cancer**

Molecular formula	$C_{26}H_{34}ClN_3O_4$
Molecular weight	**488.0190**

STRUCTURE



DESCRIPTION

Valemetostat (DS-3201) is an EZH1/2 dual inhibitor, used in the research of relapsed/refractory peripheral T-cell lymphoma.

BIOLOGICAL ACTIVITY

In Vitro

Valemetostat (1-1000 nM) strongly and specifically inhibits EZH1 and EZH2 with IC50 values ≈ 10 nM.

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

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

In Vivo

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

SOLUBILITY

Soluble in DMSO, not in water

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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