PRODUCT INFORMATION



Valemetostat

Item No. 31674

CAS Registry No.: 1809336-39-7

Formal Name: (2R)-7-chloro-N-[(1,2-dihydro-4,6-

> dimethyl-2-oxo-3-pyridinyl)methyl]-2-[trans-4-(dimethylamino)cyclohexyl]-2,4-dimethyl-1,3-benzodioxole-5-

carboxamide

Synonyms: DS-3201b, (R)-OR-S2

MF: $C_{26}H_{34}CIN_3O_4$

FW: 488.0 **Purity:**

UV/Vis.: λ_{max} : 216 nm Supplied as: A crystalline solid

-20°C Storage: Stability: ≥4 years

Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.



Valemetostat is supplied as a crystalline solid. A stock solution may be made by dissolving the valemetostat in the solvent of choice, which should be purged with an inert gas. Valemetostat is soluble in DMSO.

Description

Valemetostat is a dual inhibitor of enhancer of zeste homolog 1 (EZH1) and EZH2.¹ It inhibits polycomb repressive complex 2 (PRC2) containing EZH1 or EZH2 as the catalytic subunit in cell-free assays (IC₅₀s = 8.4 and 2.5 nM, respectively). Valemetostat inhibits trimethylation of histone H3 lysine 27 in HCT116 cells (IC₅₀ = 0.44 nM). It inhibits the growth of Karpas-422 diffuse large B cell lymphoma (DLBCL) cells $(GI_{50} = 4.8 \text{ nM}).$

Reference

1. Honma, D., Kanno, O., Watanabe, J., et al. Novel orally bioavailable EZH1/2 dual inhibitors with greater antitumor efficacy than an EZH2 selective inhibitor. Cancer Sci. 108(10), 2069-2078 (2017).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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