

Product Data Sheet

Product Name: ZLD1039

Cat. No.: GC45609

Chemical Properties

Cas. No. 1826865-46-6

SMILES CN1CCN(C2=NC=C(C3=CC(N(C4CCOCC4)CC)=C(C)C(C(NCC5=C(CCCC6)C6=C(C)NC5=O)=O)=C3)C=C2)CC1

Formula C₃₆H₄₈N₆O₃

M.Wt 612.8

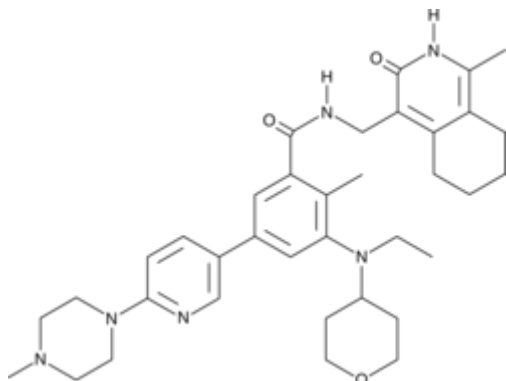
Solubility Soluble in DMSO

Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



Background

ZLD1039 is an inhibitor of enhancer of zeste homolog 2 (EZH2; IC₅₀ = 5.6 nM). It is selective for EZH2 over EZH1 (IC₅₀ = 81 nM) and is greater than 4,700-fold selective for EZH2 in a panel of 14 histone methyltransferases. ZLD1039 also inhibits mutant Y641F and A677G EZH2 (IC₅₀s = 15 and 4 nM, respectively, in a cell-free enzyme assay). It inhibits methylation of histone 3 lysine 27 (H3K27) in MCF-7 breast cancer cells (IC₅₀ = 0.29 μM) and decreases expression of cadherin-1 (CDH1), cyclin-dependent kinase inhibitor 1C (CDKN1C), CDKN2A, and runt-related transcription factor 3 (RUNX3) in MCF-7 cells when used at concentrations of 1 and 2 μM. It also suppresses migration of MCF-7 cells when used at a concentration of 2 μM. ZLD1039 (200 mg/kg) reduces tumor growth in

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

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MCF-7 and MDA-MB-231 mouse xenograft models and inhibits tumor metastasis and decreases tumor protein levels of matrix metalloproteinase-2 (MMP-2), MMP-9, and E-cadherin in a 4T1 mouse xenograft model when administered at a dose of 250 mg/kg.

|1. Song, X., Gao, T., Wang, N., et al. Selective inhibition of EZH2 by ZLD1039 blocks H3K27methylation and leads to potent anti-tumor activity in breast cancer. Sci.Rep. 6:20864, (2016).

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