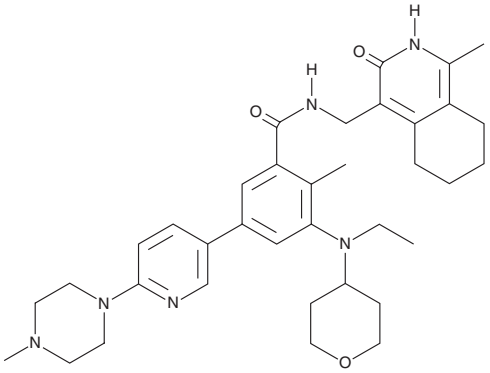
PRODUCT INFORMATION

ZLD1039

Item No. 19218

CAS Registry No.:	1826865-46-6
Formal Name:	3-[ethyl(tetrahydro-2H-pyran-4-yl) amino]-N-[(2,3,5,6,7,8-hexahydro-1-methyl-3-oxo-4-isoquinolinyl) methyl]-2-methyl-5-[6-(4-methyl-1-piperazinyl)-3-pyridinyl]-benzamide
MF:	$C_{36}H_{48}N_6O_3$
FW:	612.8
Purity:	≥98%
UV/Vis.:	λ_{max}: 280 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



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

Laboratory Procedures

ZLD1039 is supplied as a crystalline solid. A stock solution may be made by dissolving the ZLD1039 in the solvent of choice, which should be purged with an inert gas. ZLD1039 is soluble in DMSO.

Description

ZLD1039 is an inhibitor of enhancer of zeste homolog 2 (EZH2; IC_{50} = 5.6 nM).[1] It is selective for EZH2 over EZH1 (IC_{50} = 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 (IC_{50}s = 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 (IC_{50} = 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 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.

Reference

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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