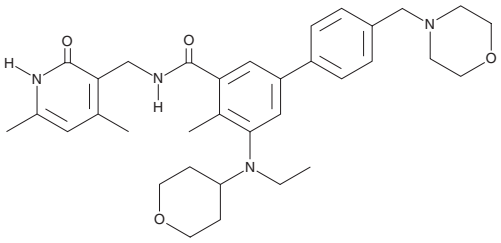
PRODUCT INFORMATION

EPZ6438

Item No. 16174

CAS Registry No.:	1403254-99-8
Formal Name:	N-[(1,2-dihydro-4,6-dimethyl-2-oxo-3-pyridinyl)methyl]-5-[ethyl(tetrahydro-2H-pyran-4-yl)amino]-4-methyl-4'-(4-morpholinylmethyl)-[1,1'-biphenyl]-3-carboxamide
Synonym:	Tazemetostat
MF:	$C_{34}H_{44}N_4O_4$
FW:	572.7
Purity:	≥98%
UV/Vis.:	λ_{max}: 256 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

EPZ6438 is supplied as a crystalline solid. A stock solution may be made by dissolving the EPZ6438 in the solvent of choice, which should be purged with an inert gas. EPZ6438 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of EPZ6438 in these solvents is approximately 10, 20, and 25 mg/ml, respectively.

EPZ6438 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, EPZ6438 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. EPZ6438 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

EPZ6438 is an orally bioavailable, selective inhibitor of the lysine methyltranferase EZH2 (K_i = 2.5 nM), the enzymatic subunit of polycomb repressive complex 2.[1] It displays a 35-fold selectivity *versus* EZH1 and >4,500-fold selectivity relative to 14 other histone methyltransferases.[1] EPZ6438 blocks histone H3 lysine 27 trimethylation in both wild-type and mutant lymphoma cells (IC_{50}s range from 2-90 nM).[2] EPZ6438 has been shown to induce apoptosis and differentiation specifically in SMARCB1-deleted malignant rhabdoid tumor cells and to promote their regression in xenograft-bearing mice.[1]

References

1. Knutson, S.K., Warholic, N.M., Wigle, T.J., *et al*. Durable tumor regression in genetically altered malignant rhabdoid tumors by inhibition of methyltransferase EZH2. *Proc. Natl. Acad. Sci. USA* **110(19)**, 7922-7927 (2013).
2. Knutson, S.K., Kawano, S., Minoshima, Y., *et al*. Selective inhibition of EZH2 by EPZ-6438 leads to potent antitumor activity in EZH2-mutant non-Hodgkin lymphoma. *Mol. Cancer Ther.* **13(4)**, 842-854 (2014).

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