



# SZABO SCANDIC

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## Produktinformation



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### Zuschläge

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- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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# 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

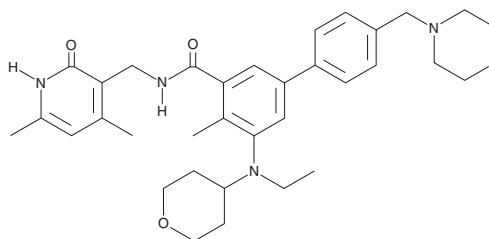
Purity:  $\geq 98\%$

UV/Vis.:  $\lambda_{max}$ : 256 nm

Supplied as: A crystalline solid

Storage:  $-20^{\circ}\text{C}$

Stability:  $\geq 4$  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 inhibitor of the histone-lysine methyltransferase enhancer of zeste homolog 2 (EZH2;  $IC_{50} = 11$  nM).<sup>1</sup> It also inhibits EZH2 containing a variety of mutations, including EZH2<sup>A677G</sup>, EZH2<sup>A687V</sup>, EZH2<sup>Y641F</sup>, and EZH2<sup>Y641S</sup> ( $IC_{50}$ s = 2, 2, 14, and 4 nM, respectively). It is selective for wild-type and mutant EZH2 over EZH1 ( $IC_{50} = 392$  nM) and a variety of other histone methyltransferases ( $IC_{50}$ s =  $>50$  or  $>100$   $\mu\text{M}$  for all). EPZ6438 reduces trimethylation of lysine 27 on histone H3 (H3K27me3) in various lymphoma cells expressing wild-type or mutant EZH2 ( $IC_{50}$ s = 2-8 and 2-22 nM, respectively) and inhibits proliferation of the same cells ( $IC_{50}$ s = 99-6,200 and 0.49-5,800 nM, respectively).<sup>2</sup> EPZ6438 (1  $\mu\text{M}$ ) induces insulin production and glucose-stimulated insulin secretion in human pancreatic exocrine cells isolated from juvenile patients with type 1 diabetes or adults without diabetes.<sup>3</sup> It also reduces H3K27me3 levels and induces insulin secretion and glucose-stimulated insulin secretion in human pancreatic ductal epithelial cells *in vitro*. Formulations containing EPZ6438 have been used in the treatment of relapsed or refractory follicular lymphoma.

### References

1. Knutson, S.K., Warholc, N.M., Wigle, T.J., *et al.* EZH2. *Proc. Natl. Acad. Sci. USA* **110**(19), 7922-7927 (2013).
2. Knutson, S.K., Kawano, S., Minoshima, Y., *et al.* *Mol. Cancer Ther.* **13**(4), 842-854 (2014).
3. Al-Hasani, K., Marikar, S.N., Kaipananickal, H., *et al.* *Signal Transduct. Target Ther.* **9**(1), 2 (2024).

#### WARNING

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

#### SAFETY DATA

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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