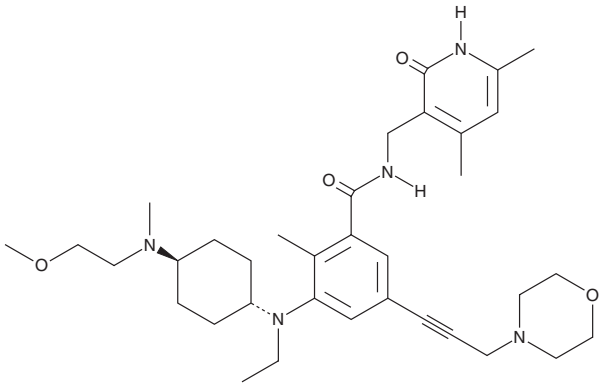
PRODUCT INFORMATION

EPZ011989

Item No. 19161

CAS Registry No.:	1598383-40-4
Formal Name:	N-[(1,2-dihydro-4,6-dimethyl-2-oxo-3-pyridinyl)methyl]-3-[ethyl[*trans*-4-[(2-methoxyethyl)methylamino]cyclohexyl]amino]-2-methyl-5-[3-(4-morpholinyl)-1-propyn-1-yl]-benzamide
MF:	$C_{35}H_{51}N_5O_4$
FW:	605.8
Purity:	≥98%
UV/Vis.:	λ_{max}: 244, 303 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

EPZ011989 is supplied as a crystalline solid. A stock solution may be made by dissolving the EPZ011989 in the solvent of choice, which should be purged with an inert gas. EPZ011989 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of EPZ011989 in ethanol is approximately 20 mg/ml and approximately 10 mg/ml in DMSO and DMF.

EPZ011989 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, EPZ011989 should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. EPZ011989 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

The lysine methyltransferase EZH2 (KMT6), part of polycomb repressive complex 2, catalyzes trimethylation of lysine 27 on histone H3 and is involved in proliferation and aggressive cell growth associated with neoplastic cells.[1] EPZ011989 is an orally bioavailable EZH2 inhibitor with K_i values that are less than 3 nM for wild-type and Tyr^{646} mutated EZH2.[2] It displays 15-fold selectivity for EZH2 over EZH1 and is without effect against an array of other lysine methyltransferases.[2] EPZ011989 demonstrates significant tumor growth inhibition in a mouse xenograft model of human B cell lymphoma.[2]

References

1. Simon, J.A. and Lange, C.A. Roles of the EZH2 histone methyltransferase in cancer epigenetics. *Mutat. Res.* **647**, 21-29 (2008).
2. Campbell, J.E., Kuntz, K.W., Knutson, S.K., *et al.* EPZ011989, a potent, orally-available EZH2 inhibitor with robust in vivo activity. *ACS Med. Chem. Lett.* **6(5)**, 491-495 (2015).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM