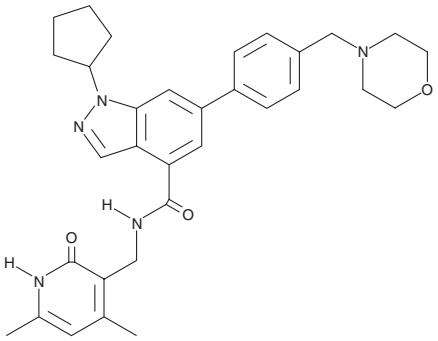
PRODUCT INFORMATION

EPZ005687

Item No. 13966

CAS Registry No.:	1396772-26-1
Formal Name:	1-cyclopentyl-N-[(1,2-dihydro-4,6-dimethyl-2-oxo-3-pyridinyl)methyl]-6-[4-(4-morpholinylmethyl)phenyl]-1H-indazole-4-carboxamide
MF:	$C_{32}H_{37}N_5O_3$
FW:	539.7
Purity:	≥98%
UV/Vis.:	λ_{max}: 259, 312 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

EPZ005687 is supplied as a crystalline solid. A stock solution may be made by dissolving the EPZ005687 in the solvent of choice, which should be purged with an inert gas. EPZ005687 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of EPZ005687 in these solvents is approximately 30 mg/ml.

EPZ005687 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, EPZ005687 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. EPZ005687 has a solubility of approximately 0.3 mg/ml in a 1:2 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

EPZ005687 is a potent, selective inhibitor of the lysine methyltranferase EZH2 (K_i = 24 nM), the enzymatic subunit of polycomb repressive complex 2 (PRC2).[1] It acts competitively with the EZH2 substrate S-adenosylmethionine.[1-3] EPZ005687 has greater than 500-fold selectivity against 15 other protein methyltransferases and has 50-fold selectivity against EZH1.[1] It blocks trimethylation of the PRC2 target histone 3 lysine 27 (IC_{50} = 80 nM), decreasing the proliferation of lymphoma cells carrying mutant, but not wild-type, EZH2.[1]

References

1. Knutson, S.K., Wigle, T.J., Warholic, N.M., *et al.* A selective inhibitor of EZH2 blocks H3K27 methylation and kills mutant lymphoma cells. *Nat. Chem. Biol.* **8(11)**, 890-896 (2012).
2. Wigle, T.J. and Copeland, R.A. Drugging the human methylome: An emerging modality for reversible control of aberrant gene transcription. *Curr. Opin. Chem. Biol.* **17(3)**, 369-378 (2013).
3. Konze, K.D., Ma, A., Li, F., *et al.* An orally bioavailable chemical probe of the lysine methyltransferases EZH2 and EZH1. *ACS Chem. Biol.* **8(6)**, 1324-1334 (2013).

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