

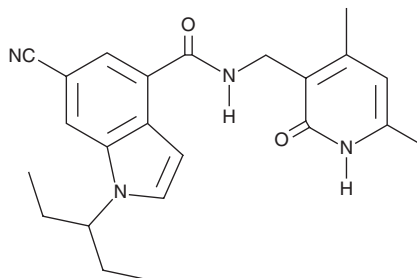
PRODUCT INFORMATION



EI1

Item No. 19146

CAS Registry No.: 1418308-27-6
Formal Name: 6-cyano-N-[(1,2-dihydro-4,6-dimethyl-2-oxo-3-pyridinyl)methyl]-1-(1-ethylpropyl)-1H-indole-4-carboxamide
MF: C₂₃H₂₆N₄O₂
FW: 390.5
Purity: ≥98%
UV/Vis.: λ_{max}: 250, 309 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

EI1 is supplied as a crystalline solid. A stock solution may be made by dissolving the EI1 in the solvent of choice, which should be purged with an inert gas. EI1 is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of EI1 in these solvents is approximately 2 mg/ml.

EI1 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, EI1 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. EI1 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

The lysine methyltransferase EZH2 (KMT6), part of polycomb repressive complex 2 (PRC2), catalyzes trimethylation of lysine 27 on histone H3 (H3K27) and is involved in proliferation and aggressive cell growth associated with neoplastic cells.¹ EI1 is an EZH2 inhibitor that has activity against both wild-type and Y641F mutant EZH2 (IC₅₀s = 15 and 13 nM, respectively).² It displays 90-fold selectivity for EZH2 over EZH1 and >10,000-fold over other lysine methyltransferases. EI1 blocks cellular H3K27 methylation and activates PRC2-specific gene expression.² Inhibition of EZH2 by EI1 in diffused large B cell lymphoma cells carrying Y641 mutations results in decreased proliferation, cell cycle arrest, and apoptosis.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. Qi, W., Chan, H., Teng, L., *et al.* Selective inhibition of Ezh2 by a small molecule inhibitor blocks tumor cells proliferation. *Proc. Natl. Acad. Sci. USA* **109**(52), 21360-21365 (2012).

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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