PRODUCT INFORMATION



JQEZ5

Item No. 27457

Formal Name: N-[(1,2-dihydro-6-methyl-2-oxo- 4-propyl-3-pyridinyl)methyl]-1- N- N	
4-propyl-3-pyridinyl)methyl]-1-	
(1-methylethyl)-6-[6-(4-methyl-	_
1-piperazinyl)-3-pyridinyl]-1H-	
pyrazolo[3,4-b]pyridine-4-carboxamide	
MF: $C_{30}H_{38}N_8O_2$	
FW: 542.7	
Purity: ≥98% 0	
UV/Vis.: λ_{max} : 233, 283, 313, 364 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

JQEZ5 is supplied as a crystalline solid. A stock solution may be made by dissolving the JQEZ5 in the solvent of choice, which should be purged with an inert gas. JQEZ5 is soluble in the organic solvent chloroform at a concentration of approximately 30 mg/ml.

Description

JQEZ5 is an inhibitor of EZH2 lysine methyltransferase (IC₅₀ = 11.1 nM), the enzymatic subunit of polycomb repressive complex 2 (PRC2).^{1,2} It inhibits colony formation of primary human CD34⁺ chronic myelogenous leukemia (CML) stem/progenitor cells but not non-cancerous human CD34⁺ hematopoietic stem/progenitor cells when used at concentrations ranging from 0.5 to 5 μ M.² It also reduces global levels of trimethylated histone 3 lysine 27 (H3K27Me3), a mark that is regulated by PRC2, in K562 cells. JQEZ5 (75 mg/kg per day) induces regression of tumors in an EZH2-driven transgenic mouse model of lung adenocarcinoma.1

References

- 1. Bradner, J.E., Qi, J., and Wong, K.K. EZH2 inhibitors and uses thereof. Dana-Farber Cancer Institute. WO2016073956AI (2016).
- 2. Xie, H., Peng, C., Huang, J., et al. Chronic myelogenous leukemia-initiating cells require polycomb group protein EZH2. Cancer Discov. 6(11), 1237-1247 (2016).

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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