

PRODUCT INFORMATION



CPI-169

Item No. 18299

CAS Registry No.: 1450655-76-1
Formal Name: N-[(1,2-dihydro-4-methoxy-6-methyl-2-oxo-3-pyridinyl)methyl]-1-[1-[1-(ethylsulfonyl)-4-piperidinyl]ethyl]-2-methyl-1H-indole-3-carboxamide

MF: C₂₇H₃₆N₄O₅S

FW: 528.7

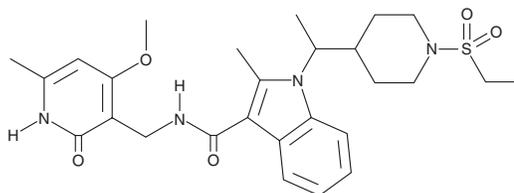
Purity: ≥98%

UV/Vis.: λ_{max}: 291 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

CPI-169 is supplied as a crystalline solid. A stock solution may be made by dissolving the CPI-169 in the solvent of choice, which should be purged with an inert gas. CPI-169 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of CPI-169 in these solvents is approximately 10, 20, and 25 mg/ml, respectively.

CPI-169 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, CPI-169 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. CPI-169 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 the polycomb repressive complex 2, catalyzes trimethylation of lysine 27 on histone H3 (H3K27me3) and is involved in proliferation and aggressive cell growth associated with neoplastic cells. CPI-169 is a selective EZH2 inhibitor with IC₅₀ values of 0.24, 0.51, and 6.1 nM for wild-type EZH2, Y641N mutant EZH2, and wild-type EZH1, respectively.¹ It decreases cellular levels of H3K27me3 (EC₅₀ = 70 nM), triggering cell cycle arrest and ultimately resulting in apoptosis in a large panel of non-Hodgkin's lymphoma (NHL) cell lines.¹ With subcutaneous administration of 200 mg/kg/twice a day, CPI-169 was shown to inhibit tumor growth in a NHL xenograft model, reducing global H3K27me3.¹ It has also been shown to synergize with the B-cell lymphoma 2 inhibitor, ABT-199 (Item No. 16233), to suppress the growth of NHL cell lines.¹

Reference

- Bradley, W.D., Arora, S., Busby, J., *et al.* EZH2 inhibitor efficacy in non-Hodgkin's lymphoma does not require suppression of H3K27 monomethylation. *Chem. Biol.* **21**, 1-13 (2014).

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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