

PRODUCT INFORMATION

CPI-1205

Item No. 22962

CAS Registry No.: 1621862-70-1

Formal Name: N-[(1,2-dihydro-4-methoxy-6-methyl-2-oxo-3-pyridinyl)methyl]-2-methyl-1-[(1R)-1-[1-(2,2,2-trifluoroethyl)-4-piperidinyl]ethyl]-1H-indole-3-carboxamide

Synonym: Lirametostat

MF: $C_{27}H_{33}F_3N_4O_3$

FW: 518.6

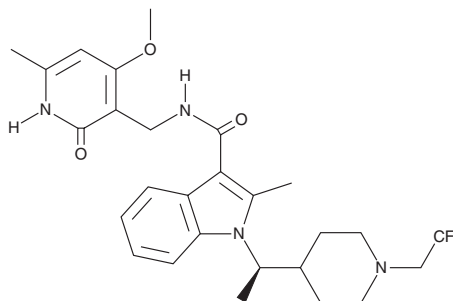
Purity: $\geq 98\%$

UV/Vis.: λ_{max} : 213, 293 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-1205 is supplied as a crystalline solid. A stock solution may be made by dissolving the CPI-1205 in the solvent of choice, which should be purged with an inert gas. CPI-1205 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of CPI-1205 in these solvents is approximately 2, 20, and 33 mg/ml, respectively.

CPI-1205 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, CPI-1205 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. CPI-1205 has a solubility of approximately 0.16 mg/ml in a 1:5 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

CPI-1205 is a potent and orally bioavailable inhibitor of the lysine methyltransferase EZH2 (IC_{50} s = 2 and 3 nM for wild-type and the constitutively active EZH2 Y641N catalytic mutant, respectively).¹ It is selective for EZH2 over a panel of 30 histone and DNA methyltransferases and 54 receptors, transporters, and ion channels at a concentration of 10 μM . However, CPI-1205 does inhibit EZH1 (IC_{50} = 52 nM). CPI-1205 decreases levels of H2K27me3 in HeLa cells (EC_{50} = 32 nM). *In vivo*, CPI-1205 (160 mg/kg) reduces tumor size and H3K27me3 levels in a KARPAS-422 B cell lymphoma xenograft mouse model.

Reference

1. Baswani, R.G., Gehling, V.S., Dakin, L.A., *et al.* Identification of (R)-N-((4-Methoxy-6-methyl-2-oxo-1,2-dihydropyridin-3-yl)methyl)-2-methyl-1-(1-(1-(2,2,2-trifluoroethyl)piperidin-4-yl)ethyl)-1H-indole-3-carboxamide (CPI-1205), a potent and selective inhibitor of histone methyltransferase EZH2, suitable for phase I clinical trials for B-cell lymphomas. *J. Med. Chem.* **59**(21), 9928-9941 (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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