

Product Data Sheet

Product Name: CPI-360

Cat. No.: GC10021

Chemical Properties

Cas. No. 1802175-06-9

Chemical Name (R)-N-((4-methoxy-6-methyl-2-oxo-1,2-dihydropyridin-3-yl)methyl)-2-methyl-1-(1-(tetrahydro-2H-pyran-4-yl)ethyl)-1H-indole-3-carboxamide

SMILES CC(N1)=CC(OC)=C(CNC(C2=C(C)N([C@H](C)C3CCOCC3)C4=CC=CC=C24)=O)C1=O

Formula $C_{25}H_{31}N_3O_4$ M.Wt 437.53

Solubility DMF: 10 mg/mL, DMSO: 25 mg/mL, Ethanol: 30 mg/mL, Ethanol: PBS (pH 7.2) (1:7): 0.12 mg/mL
Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice. All other available size: ship with RT, or blue ice upon request.

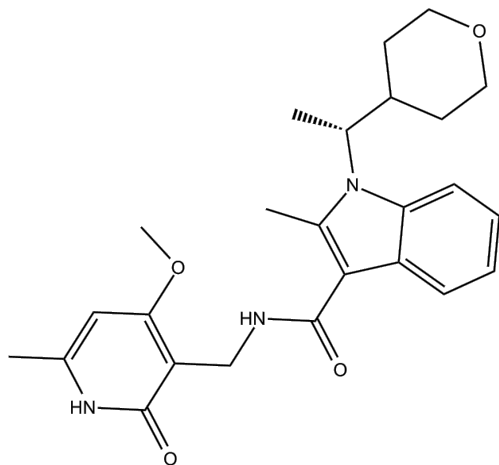
Caution: Product has not been fully validated for medical applications. For research use only.

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Structure



Background

CPI-360 is a potent, selective EZH2 inhibitor with IC₅₀ of 0.5 nM and 2.5 nM for wt EZH2 and Y641N EZH2, respectively. IC₅₀ value: 0.5 nM, 2.5 nM. Target: EZH2. In vitro: CPI-360 functions on the basis of S-adenosyl-L-methionine (SAM)-competition, inhibits EZH1 about 100-fold less and shows exquisite selectivity across a large panel of histone lysine and arginine, and DNA methyltransferases. CPI-360 potently reduced global H3K27me3 and H3K27me2 levels in a dose-dependent manner. CPI-360 effectively suppressed heavy H3K27me3 incorporation in KARPAS-422 cells without affecting total histone turnover. CPI-360 treatment causes time-dependent transcriptional changes in germinal center B cell-like diffuse large B cell lymphoma. In vivo: Twice daily, subcutaneous administration of 200 mg/kg of CPI-360 reduced tumor growth (TGI 44%) of KARPAS-422 xenografts in mice without affecting body weight or causing any overt adverse effects. CPI-360 completely inhibits EZH2 catalytic activity, since we entirely suppress H3K27me3 turnover over time.

References:

[1]. Bradley WD, et al. EZH2 inhibitor efficacy in non-Hodgkin's lymphoma does not require suppression of H3K27 monomethylation. Chem Biol. 2014 Nov 20;21(11):1463-1475.

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[2]. Bruno NC, et al. Design and Preparation of New Palladium Precatalysts for C-C and C-N Cross-Coupling Reactions. Chem Sci. 2013;4:916-920.

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