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 (pH7.2) (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.
Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
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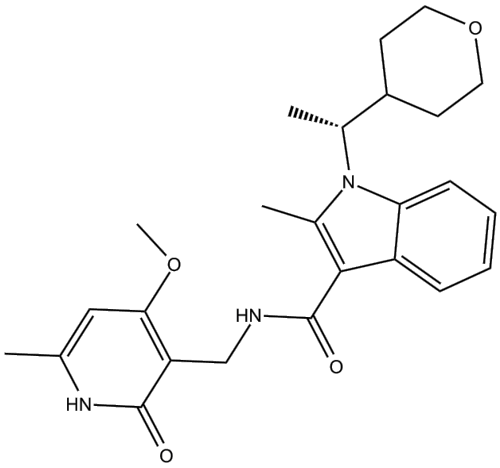
Structure



Background

CPI-360 is a potent, selective EZH2inhibitor with IC50 of 0.5 nM and 2.5 nM nM for wt EZH2 and Y641N EZH2, respectively.IC50 value: 0.5 nM, 2.5 nMTarget: EZH2in vitro: CPI-360 functions on the basis of S-adenosyl-Lmethionine(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 dosedependent 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 ofCPI-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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