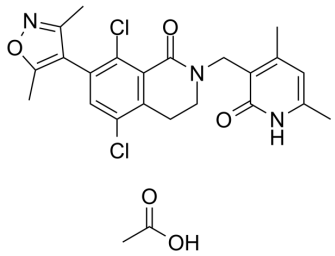
PF-06726304 acetate

Cat. No.:	HY-103682A
CAS No.:	2080306-28-9
Molecular Formula:	$C_{24}H_{25}Cl_2N_3O_5$
Molecular Weight:	506.38
Target:	Histone Methyltransferase
Pathway:	Epigenetics
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	PF-06726304 acetate is a potent and selective EZH2 inhibitor. PF-06726304 acetate inhibits wild-type and Y641N mutant EZH2 with K_is of 0.7 and 3.0 nM, respectively. PF-06726304 acetate displays robust antitumor growth activity[1].

IC_{50} & Target	EZH2 WT	EZH2 Y641N
	0.7 nM (Ki)	3.0 nM (Ki)

In Vitro	PF-06726304 (Compound 31) inhibits H3K27me3 in Karpas-422 with an IC_{50} of 15 nM[1]. PF-06726304 inhibits the proliferation of Karpas-422 cells that harbor wild-type EZH2 with an IC_{50} of 25 nM[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	PF-06726304 (200 and 300 mg/kg; BID for 20 days) inhibits tumor growth and induces robust modulation of downstream biomarkers in a subcutaneous Karpas-422 xenograft model[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Female Scid beige mice (6-8 weeks old) with Karpas-422 xenograft model[1]
Dosage:	200 and 300 mg/kg
Administration:	Given BID for 20 days
Result:	Inhibited tumor growth and induced robust modulation of downstream biomarkers in a subcutaneous Karpas-422 xenograft model.

CUSTOMER VALIDATION

- Front Cell Dev Biol. 2021 Aug 2;9:619795.

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REFERENCES

[1]. Kung PP, et al. Design and Synthesis of Pyridone-Containing 3,4-Dihydroisoquinoline-1(2H)-ones as a Novel Class of Enhancer of Zeste Homolog 2 (EZH2) Inhibitors. J Med Chem. 2016 Sep 22;59(18):8306-25.

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