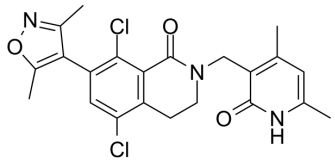
PF-06726304

Cat. No.:	HY-103682
CAS No.:	1616287-82-1
Molecular Formula:	$C_{22}H_{21}Cl_2N_3O_3$
Molecular Weight:	446.33
Target:	Histone Methyltransferase
Pathway:	Epigenetics
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 15 mg/mL (33.61 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.2405 mL	11.2025 mL	22.4049 mL
5 mM	0.4481 mL	2.2405 mL	4.4810 mL
10 mM	0.2240 mL	1.1202 mL	2.2405 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 1 mg/mL (2.24 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 1 mg/mL (2.24 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1 mg/mL (2.24 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

PF-06726304 is a potent and selective EZH2 inhibitor. PF-06726304 inhibits wild-type and Y641N mutant EZH2 with K_is of 0.7 and 3.0 nM, respectively. PF-06726304 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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