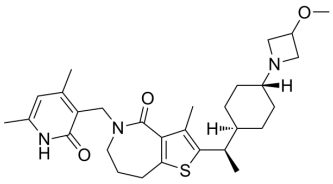
EZH2-IN-4

Cat. No.:	HY-139150
CAS No.:	2088132-99-2
Molecular Formula:	$C_{29}H_{41}N_3O_3S$
Molecular Weight:	511.72
Target:	Histone Methyltransferase
Pathway:	Epigenetics
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	EZH2-IN-4 is an orally active, potent EZH2 inhibitor with IC_{50}s of 0.923 nM and 2.65 nM against wild type (WT) 5-membered (5-mer) EZH2 and mutant 5-mer EZH2, respectively. EZH2-IN-4 has anti-cancer activity[1].	
IC_{50} & Target	WT 5-mer EZH2 0.923 nM (IC_{50})	mut 5-mer EZH2 2.65 nM (IC_{50})
In Vitro	EZH2-IN-4 (example 38) shows a cell H3K27me3 IC_{50} of 0.00973 nM in Karpas-422 (EZH2 Y641N) cells[1]. EZH2-IN-4 shows an IC_{50} of 10.1 nM in Plate Kj«pas-422 cells[1]. EZH2-IN-4 inhibits the proliferation of ovarian cancer cell lines (COV-434, TOV-21G, TOV-112D, A2780, Caov-3, OVCAR3; IC_{50} s=0.02-8.6 μM) and has no effect on SKOV3, HeyA8, HEC59 cell (IC_{50}>20 μM)[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.	
In Vivo	EZH2-IN-4 (example 38; oral gavage; 15 mpk; BID) results in 73% inhibition of tumor methylation in the Karpas-422 xenograft model[1]. EZH2-IN-4 (po; 50 mpk; twice a day; pretreatment for 5 days; followed by co-administration with gemcitabine plus cisplatin for at least 23 additional days) significant inhibits A2780 tumor growth in A2780 xenograft model[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.	

REFERENCES

[1]. Esteban DOMINGUEZ, et al. Inhibitors of ezh2. WO2017035060A1.

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

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