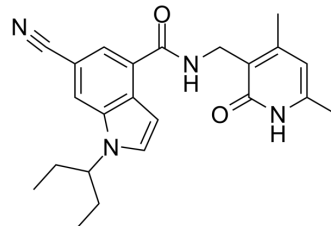


EI1

Cat. No.:	HY-15573		
CAS No.:	1418308-27-6		
Molecular Formula:	C ₂₃ H ₂₆ N ₄ O ₂		
Molecular Weight:	390.48		
Target:	Histone Methyltransferase; Apoptosis		
Pathway:	Epigenetics; Apoptosis		
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 : 7.69 mg/mL (19.69 mM; Need ultrasonic)

Concentration	Mass		
	1 mg	5 mg	10 mg
1 mM	2.5610 mL	12.8048 mL	25.6095 mL
5 mM	0.5122 mL	2.5610 mL	5.1219 mL
10 mM	0.2561 mL	1.2805 mL	2.5610 mL

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

BIOLOGICAL ACTIVITY

Description

EI1 (KB-145943) is a potent and selective EZH2 inhibitor with IC₅₀ of 15 nM and 13 nM for EZH2 (WT) and EZH2 (Y641F), respectively.

IC₅₀ & Target

EZH2 Y641F mutant type 13 nM (IC ₅₀)	EZH2 WT 15 nM (EC ₅₀)
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In Vitro

In DLBCL cells, EI1 (KB-145943) inhibits cellular H3K27 methylation and activates Ezh2 target gene p16 expression. In mouse embryonic fibroblasts, EI1 (KB-145943) also inhibit H3K27me3 and cell proliferation. In addition, EI1 (KB-145943) selectively inhibits the growth of DLBCL cells carrying Ezh2 mutation, and causes cell cycle arrest and apoptosis^[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay ^[1]

Exponentially growing diffused large B-cell lymphoma (DLBCL) cells are seeded in 12-well plates at a density of 1×10^5 cells/mL with the indicated concentration of EI1 (KB-145943). Viable cell number is determined every 3-4 d for up to 14 or 15 d by Vi-CELL. Mouse embryonic fibroblasts (MEFs) are seeded in a six-well plate at 2.5×10^4 cell/mL and treated with EI1 (KB-145943) ($3.3 \mu\text{M}$) or 4-OH-tamoxifen (100 nM). Viable cell number is determined at days 3, 6 and 11. On days of cell counts, fresh growth medium and compound are replenished and cells split back to a density of 1×10^5 cells/mL. Total cell number is expressed as split-adjusted viable cells per milliliter. IC_{50} is calculated by PRISM and all proliferation experiments are repeated more than two times and representative data are presented. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Qi W, et al. Selective inhibition of Ezh2 by a small molecule inhibitor blocks tumor cells proliferation. Proc Natl Acad Sci U S A. 2012 Dec 26;109(52):21360-5.

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

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