

产品名称：**6-氰基-N-[(1,2-二氢-4,6-二甲基-2-氧代-3-吡啶基)甲基]-1-(1-乙基丙基)-1H-吡啶-4-甲酰胺**
 产品别名：**EI1； KB-145943**

生物活性:

Description	E11 (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 wild type	EZH2 Y641F mutant type			
	15 nM (IC50)	13 nM (IC50)			
In Vitro	In DLBCL cells, E11 (KB-145943) inhibits cellular H3K27 methylation and activates Ezh2 target gene p16 expression. In mouse embryonic fibroblasts, E11 (KB-145943) also inhibit H3K27me3 and cell proliferation. In addition, E11 (KB-145943) selectively inhibits the growth of DLBCL cells carrying Ezh2 mutation, and causes cell cycle arrest and apoptosis[1].				
Solvent&Solubility	<i>In Vitro:</i> DMSO : 7.69 mg/mL (19.69 mM; Need ultrasonic) H2O : < 0.1 mg/mL (insoluble)				
	Preparing Stock Solutions	Solvent Mass Concentration	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
*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。 储备液的保存方式和期限：-80℃，6 months；-20℃，1 month。-80℃ 储存时，请在 6 个月内使用，-20℃ 储存时，请在 1 个月内使用。					
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.				
实验参考:					
Cell Assay	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 E11 (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 E11 (KB-145943) (3.3 μM) 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 replenwashed 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. [1]				
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.				