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Product Name: CPI-169 Revision Date: 01/10/2021 Product Data Sheet

CPI-169

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Cat. No.:	B4678	
CAS No.:	1 <mark>450655-76-</mark> 1	o N
Formula:	C27H36N4O5S	- And
M.Wt:	528.66	
Synonyms:		
Target:	Stem Cell	но
Pathway:	EZH2	HONN
Storage:	Store at -20°C	
	BIO	
Solvent &	& Solubility	APP

	≥26.45 mg/mL in DI	\geq 26.45 mg/mL in DMSO; insoluble in H2O; \geq 2.18 mg/mL in EtOH with gentle warming and ultrasonic			
In Vitro	Preparing Stock Solutions	Mass Solvent Concentration	1mg	5mg	10mg
	Slock Solutions	1 mM	1.8916 mL	9.4579 mL	18.9157 mL
	PEBIO	5 mM	0.3783 mL	1.8916 mL	3.7831 mL
		10 mM	0.1892 mL	0.9458 mL	1.8916 mL

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

Biological Activity

Shortsummary

EZH2 inhibitor

IC₅₀ & Target

In Vitro

Cell Viability Assay	Contraction of the second s
Cell Line:	a variety of cell lines
Preparation method:	The solubility of this compound in DMSO is >26.5mg/mL. General tips for obtaining a higher concentration: Please warm the tube at 37°C for 10 minute and/or shake it in the ultrasonic bath for a while. Stock solution can be store below -20°C for several months.
Reacting conditions:	N/A

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	Applications:	In a variety of cell lines, CPI-169 inhibited the catalytic activity of PRC2 with
		IC50 value of < 1nM, decreased cellular levels of H3K27me3 with EC50 value
		of 70 nM, and triggered cell cycle arrest and apoptosis.
	Animal experiment	
	Animal models:	EZH2 mutant KARPAS-422 diffuse large B-cell lymphoma (DLBCL) xenograft
	Dosage form:	200 mpk twice daily (BID); administered subcutaneously
	Applications:	In EZH2 mutant KARPAS-422 diffuse large B-cell lymphoma (DLBCL)
	Provide States	xenograft, CPI-169 is well tolerated in mice with no observed toxic effect or
In Vivo		body weight loss. CPI-169 treatment led to tumor growth inhibition (TGI) in a
		dose-dependent way and reduced the pharmacodynamic marker H3K27me3.
		The highest dose (200 mpk BID) led to complete tumor regression.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may
		slightly differ with the theoretical value. This is caused by an experimental
		system error and it is normal.
	Blow	BIO
Produc	ct Citations	APE

1. Hamid Bolouri, Mary Young, et al. "Integrative network modeling reveals mechanisms underlying T cell exhaustion." bioRxiv. 2019 March 19.

See more customer validations on www.apexbt.com.

References

[1] Vidya Balasubramanian, Priya Iyer, Shilpi Arora, Patrick Troyer, Emmanuel Normant. Constellation Pharmaceuticals, Cambridge, MA. CPI-169, a novel and potent EZH2 inhibitor, synergizes with CHOP in vivo and achieves complete regression in lymphoma xenograft models.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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