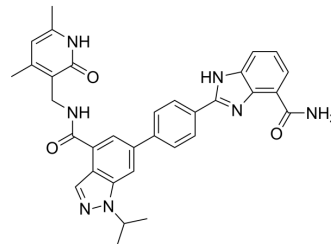


PARP/EZH2-IN-2

Cat. No.:	HY-161083
Molecular Formula:	C ₃₃ H ₃₁ N ₇ O ₃
Molecular Weight:	573.64
Target:	PARP; Histone Methyltransferase
Pathway:	Cell Cycle/DNA Damage; Epigenetics
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	PARP/EZH2-IN-2 (compound 12e) is a dual target PARP1 and EZH2 inhibitor, with IC ₅₀ values of 6.89 and 27.34 nM, respectively. PARP/EZH2-IN-2 shows anticancer activity, with no toxicity to normal cells. PARP/EZH2-IN-2 achieves synthetic lethality indirectly by inhibiting EZH2 to increase the sensitivity to PARP1, and induces cell death by regulating excessive autophagy ^[1] .	
IC₅₀ & Target	PARP1 6.89 ± 0.7 nM (IC ₅₀)	EZH2 27.34 ± 1. nM (IC ₅₀)
In Vitro	PARP/EZH2-IN-2 (compound 12e) shows an optimal cytotoxicity against MDA-MB-231 cells (IC ₅₀ =2.84 μM) and BT-549 cells (IC ₅₀ =0.91 μM), with no toxicity on normal breast cell lines ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.	
In Vivo	PARP/EZH2-IN-2 (compound 12e) (20-50 mg/kg, IP) exhibits good antitumor activity, more effective than Niraparib (HY-10619) plus GSK126 (HY-13470) ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.	
	Animal Model:	Female BALB/c nude mice (5 weeks after birth, MDA-MB-231 cells subcutaneous injection) [1]
	Dosage:	20, 50 mg/kg
	Administration:	Intraperitoneally for 21 consecutive days
	Result:	Significantly suppressed tumor growth and downregulated the expression of the PARP1/EZH2 protein in tumor tissues at a dose of 50 mg/kg.

REFERENCES

[1]. Li X, et al. Dual target PARP1/EZH2 inhibitors inducing excessive autophagy and producing synthetic lethality for triple-negative breast cancer therapy. *Eur J Med Chem.* 2023 Dec 17;265:116054.

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

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