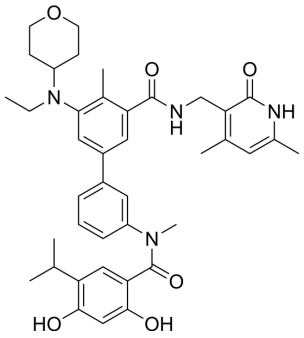
EZH2/HSP90-IN-29

Cat. No.:	HY-163288
Molecular Formula:	$C_{40}H_{48}N_4O_6$
Molecular Weight:	680.83
Target:	Histone Methyltransferase; HSP; Apoptosis
Pathway:	Epigenetics; Cell Cycle/DNA Damage; Metabolic Enzyme/Protease; Apoptosis
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	EZH2/HSP90-IN-29 is a dual inhibitor for EZH2 and HSP90, with IC_{50}s of 6.29 nM and 60.1 nM, for EZH2 and HSP90, respectively. EZH2/HSP90-IN-29 increases apoptosis/necrosis-related gene expression, induces cell cycle arrest at M phase and inhibits reactive oxygen species (ROS) catabolism pathway. EZH2/HSP90-IN-29 is able to cross the blood-brain-barrier (BBB)[1].

IC_{50} & Target	EZH2	HSP90
	6.29 nM (IC_{50})	60.1 nM (IC_{50})

In Vitro

EZH2/HSP90-IN-29 inhibits cell proliferation against TMZ-resistant Glioblastoma (GBM) cell lines (with an IC_{50} of 1.015 μM) through modulation of EZH2 and HSP90 chaperone in a balanced manner[1].

EZH2/HSP90-IN-29 (5 μM) decreases centromere proteins (CENPs), CDK1 and cyclin B1, which regulates the kinetochore assembly and mitosis, arrests cell cycle at M phase[1].

EZH2/HSP90-IN-29 (2 μM) inhibits DNA repair capacity through downregulations of gene expreesions of RB Binding Protein (RBBP8), BRCA1, DNA repair and recombination protein RAD54B, RAD21, crossover junction endonuclease EME1 and BRIP1 in Pt3R cells[1].

EZH2/HSP90-IN-29 (5 μM) upregulates levels of ROS and cleaved caspase-3, increased mitochondria-derived ROS [1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Cytotoxicity Assay[1]

Cell Line:	Pt3R
Concentration:	0-50 μM
Incubation Time:	72 h
Result:	Inhibited 50% cell viability with concentration of 1.015 μM.

Western Blot Analysis[1]

Cell Line:	Pt3 and Pt3R
Concentration:	0-5 μM
Incubation Time:	72 h

Result:	Decreased expressions of CDK1 and cyclin B1, increased cleaved caspase-3.

In Vivo

EZH2/HSP90-IN-29 (20 mg/kg,i.p., twice a week for 40 days) inhibited Pt3R induced tumor growth in Pt3R xenograft NOD.CB17-Prkdc^{scid}/NCrCrl mice [1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Pt3R xenograft NOD.CB17-Prkdc^{scid}/NCrCrl mice[1]
Dosage:	10-20 mg/kg
Administration:	i.p., twice a week for 40 days
Result:	Inhibited tumor growth.

REFERENCES

[1]. Sharma S, et al., First-in-Class Dual EZH2-HSP90 Inhibitor Eliciting Striking Antiglioblastoma Activity In Vitro and In Vivo. J Med Chem. 2024 Feb 22;67(4):2963-2985.

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

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