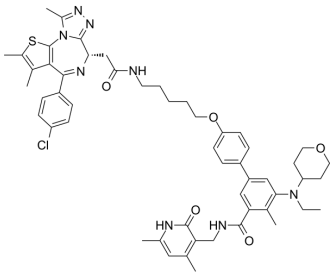
YM458

Cat. No.:	HY-146999
CAS No.:	2770108-93-3
Molecular Formula:	$C_{53}H_{61}ClN_8O_5S$
Molecular Weight:	957.62
Target:	Histone Methyltransferase; Epigenetic Reader Domain; Apoptosis
Pathway:	Epigenetics; Apoptosis
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

YM458 is a potent EZH2 and BRD4 dual inhibitor with IC_{50}s of 490 nM and 34 nM, respectively. YM458 inhibits cell proliferation and colony formation and induces cell cycle arrest and apoptosis in solid cancer cells. YM458 can be used for researching anticancer[1].

IC_{50} & Target	EZH2	EZH2 490 nM (IC_{50})	BRD4 34 nM (IC_{50})

In Vitro

YM458 (compound D7) (0-30 μM; 6 days) has antiproliferative activities against AsPC-1 cells with an IC_{50} of 0.69 ± 0.16 μM; and (1 μM; 72 hours) significantly decreases the degree of H3K27me3 and c-Myc in AsPC-1[1].

YM458 (0-30 μM; 4 or 6 days) inhibits cell proliferation on a broad range of solid cancer cells, and significantly suppresses proliferation of A549 lung cancer cells and HCT116 colorectal cancer cells at 1 μM[1].

YM458 (0.05-0.4 μM; 12-20 days) inhibits colony formation of AsPC-1, HCT116, and A549 cancer cells in a dose-dependent manner[1].

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

Western Blot Analysis

Cell Line:	AsPC-1[1]
Concentration:	1 μM
Incubation Time:	72 hours
Result:	Decreased the degree of H3K27me3 and c-Myc significantly.

Cell Proliferation Assay

Cell Line:	AsPC-1, SW1990, CFPAC-1, A549, HCC827, H1650, H292, H460, DLD1, HCT116, and RKO[1]
Concentration:	0-30 μM
Incubation Time:	4 or 6 days
Result:	Inhibited cell proliferation on a broad range of solid cancer cells, and significantly suppressed proliferation of A549 lung cancer cells and HCT116 colorectal cancer cells at 1 μM.

In Vivo

YM458 (60 mg/kg; IP; every other day, for 38 days) prevents tumor growth with inhibitory rates of 38.6% in AsPC-1 cells and 62.3% in A549 cells[1].

Pharmacokinetic Parameters of YM458 in Female BALB/c mice[1].

	IP (80 mg/kg)	PO (80 mg/kg)
$t_{1/2}$ (h)	3.81	4.16
T_{max} (h)	1	1
C_{max} (ng/mL)	27126.3	4383.6
AUC_{0-24} (ng/mL·h)	273220.1	13509.1
CL (mL/min/kg)	4.88	
F (%)		4.94

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

Animal Model:	BALB/c nude mice (injected with A549 or AsPC-1)[1]
Dosage:	60 mg/kg
Administration:	IP; every other day, for 38 days
Result:	Prevented tumor growth with inhibitory rates of 38.6% in AsPC-1 cells and 62.3% in A549 cells.

REFERENCES

[1]. Guo Z, et al. Design and Synthesis of Dual EZH2/BRD4 Inhibitors to Target Solid Tumors. J Med Chem. 2022;65(9):6573-6592.

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