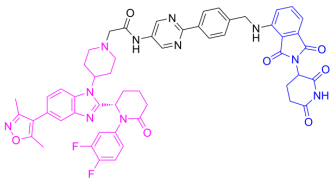
XYD198

Cat. No.:	HY-161498
Molecular Formula:	$C_{54}H_{49}F_2N_{11}O_7$
Molecular Weight:	1002.03
Target:	PROTACs; Epigenetic Reader Domain
Pathway:	PROTAC; Epigenetics
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

XYD198 (Compound 14h) is an orally active degrader for CBP/p300. XYD198 inhibits CBP/p300 bromodomain with IC_{50} of 213.5 nM. XYD198 exhibits antitumor activity against acute myeloid leukemia. (Structure: Pink, CBP/p300 ligand 4 (HY-161495); Blue, E3 ligase ligand (HY-14658); Black: linker (HY-161499))[1]

In Vitro

XYD198 (0-1 μM, 72-120 h) inhibits proliferations of acute myeloid leukemia (AML) cells MV4;11, MOLM-13 and MOLM-16, with the IC_{50} of 0.9, 47 and 5.5 nM, respectively[1].

XYD198 (0-150 nM, 6 h) induces CBP and p300 degradation in bromodomain family proteins in a CRBN- and proteasome-dependent manner[1].

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

Cell Proliferation Assay[1]

Cell Line:	MV4;11 AML, MOLM-13 and MOLM-16
Concentration:	0-1 μM
Incubation Time:	3 days for MOLM-13, 4 days for MV4;11 AML and MOLM-16
Result:	Inhibited cell proliferation.

Western Blot Analysis[1]

Cell Line:	MV4;11 AML, MOLM-13 and MOLM-16
Concentration:	0-150 nM
Incubation Time:	6 h
Result:	Degraded CBP and p300 proteins.

In Vivo

CBP/p300 ligand 4 (5 mg/kg, p.o., every other day for 2 weeks) exhibits antitumor activity in MV4;11 xenograft mice model, with a tumor growth inhibition rate TGI of 93%[1].

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

Animal Model:	MV4;11 xenograft NOD-SCID mice model[1]
Dosage:	5 mg/kg
Administration:	p.o., every other day for 2 weeks
Result:	Inhibited tumor growth with a TGI of 93%.

REFERENCES

[1]. Hu J, et al., Discovery of Highly Potent and Efficient CBP/p300 Degraders with Strong In Vivo Antitumor Activity. J Med Chem. 2024 May 9;67(9):6952-6986.

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