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Diagnostik & molekulare Diagnostik



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Lieferung & Zahlungsart

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Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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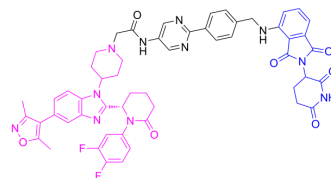
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XYD198

Cat. No.:	HY-161498
Molecular Formula:	C ₅₄ H ₄₉ F ₂ N 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	<p>XYD198 (0-1 μM, 72-120 h) inhibits proliferations of acute myeloid leukemia (AML) cells MV4;11, MOLM-13 and MOLM-16, with the IC₅₀ of 0.9, 47 and 5.5 nM, respectively^[1].</p> <p>XYD198 (0-150 nM, 6 h) induces CBP and p300 degradation in bromodomain family proteins in a CRBN- and proteasome-dependent manner^[1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <p>Cell Proliferation Assay^[1]</p> <table border="1"> <tr> <td>Cell Line:</td> <td>MV4;11 AML, MOLM-13 and MOLM-16</td> </tr> <tr> <td>Concentration:</td> <td>0-1 μM</td> </tr> <tr> <td>Incubation Time:</td> <td>3 days for MOLM-13, 4 days for MV4;11 AML and MOLM-16</td> </tr> <tr> <td>Result:</td> <td>Inhibited cell proliferation.</td> </tr> </table> <p>Western Blot Analysis^[1]</p> <table border="1"> <tr> <td>Cell Line:</td> <td>MV4;11 AML, MOLM-13 and MOLM-16</td> </tr> <tr> <td>Concentration:</td> <td>0-150 nM</td> </tr> <tr> <td>Incubation Time:</td> <td>6 h</td> </tr> <tr> <td>Result:</td> <td>Degraded CBP and p300 proteins.</td> </tr> </table>	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.	Cell Line:	MV4;11 AML, MOLM-13 and MOLM-16	Concentration:	0-150 nM	Incubation Time:	6 h	Result:	Degraded CBP and p300 proteins.
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In Vivo	<p>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].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>																

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.

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

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