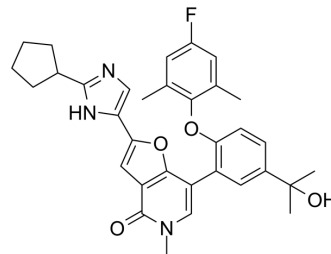


XY153

Cat. No.:	HY-143317
CAS No.:	2933176-32-8
Molecular Formula:	C ₃₃ H ₃₄ FN ₃ O ₄
Molecular Weight:	555.64
Target:	Epigenetic Reader Domain
Pathway:	Epigenetics
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	XY153 (compound 8l) is a BD2-selective BET inhibitor and selectively binds to BRD4 BD2. XY153 binds to BRD4 BD2, BRD3 BD2 and BRD2 BD2 with IC ₅₀ s of 0.79, 5.31 and 5.09 nM, respectively. XY153 shows potent antiproliferative activity against multiple tumor cell lines. XY153 can be used for the research of acute myeloid leukemia (AML) and cancer ^[1] .								
IC₅₀ & Target	IC50: 0.79 nM (BRD4 BD2), 5.31 nM (BRD3 BD2), 5.09 nM (BRD2 BD2) ^[1]								
In Vitro	<p>XY153 shows strong antiproliferative activities for specific cancer cell lines but with a better safety profile against a normal lung fibroblast cell line^[1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <p>Cell Viability Assay^[1]</p> <table border="1"> <tr> <td>Cell Line:</td> <td>MV4-11, MOLM-13, Kasumi-1, THP-1, HT-29, 22Rv1, Du145, MCF-7, MDA-MB-231, A549, U2OS, U937, HepG2, BxPC-3 and HFL-1 cell lines</td> </tr> <tr> <td>Concentration:</td> <td>0.001 nM-10 μM</td> </tr> <tr> <td>Incubation Time:</td> <td>96 hours</td> </tr> <tr> <td>Result:</td> <td>Inhibited acute myeloid leukemia (AML) cell lines with IC₅₀s of 0.55 nM, 260 nM, 471 nM and 1.3 μM for MV4-11, MOLM-13, Kasumi-1 and THP-1 cell lines, respectively. Showed potent cytotoxicity to AR-positive prostate cancer cell line 22Rv1 and colorectal cancer cell line HT-29 with IC₅₀s of 232 and 300 nM, respectively. Exhibited weak cytotoxicity to normal lung fibroblast cell line HFL-1 with an IC₅₀ value of 4.6 μM.</td> </tr> </table>	Cell Line:	MV4-11, MOLM-13, Kasumi-1, THP-1, HT-29, 22Rv1, Du145, MCF-7, MDA-MB-231, A549, U2OS, U937, HepG2, BxPC-3 and HFL-1 cell lines	Concentration:	0.001 nM-10 μM	Incubation Time:	96 hours	Result:	Inhibited acute myeloid leukemia (AML) cell lines with IC ₅₀ s of 0.55 nM, 260 nM, 471 nM and 1.3 μM for MV4-11, MOLM-13, Kasumi-1 and THP-1 cell lines, respectively. Showed potent cytotoxicity to AR-positive prostate cancer cell line 22Rv1 and colorectal cancer cell line HT-29 with IC ₅₀ s of 232 and 300 nM, respectively. Exhibited weak cytotoxicity to normal lung fibroblast cell line HFL-1 with an IC ₅₀ value of 4.6 μM.
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REFERENCES

[1]. Li J, et al. Structure-Based Discovery and Optimization of Furo[3,2-c]pyridin-4(5H)-one Derivatives as Potent and Second Bromodomain (BD2)-Selective Bromo and Extra Terminal Domain (BET) Inhibitors. J Med Chem. 2022 Apr 14;65(7):5760-5799.

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

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