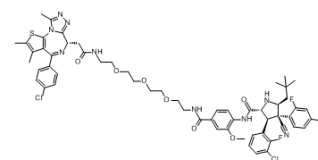


A1874

Cat. No.:	HY-114305
CAS No.:	2064292-12-0
Molecular Formula:	C ₅₈ H ₆₂ Cl ₃ F ₂ N ₉ O ₇ S
Molecular Weight:	1173.59
Target:	PROTAC; Epigenetic Reader Domain
Pathway:	PROTAC; Epigenetics
Storage:	Please store the product under the recommended conditions in the COA.



BIOLOGICAL ACTIVITY

Description	A1874 is a nutlin-based and BRD4-degrading PROTAC with a DC ₅₀ of 32 nM (induce BRD4 degradation in cells). Effective in inhibiting many cancer cell lines proliferation ^[1] .								
IC₅₀ & Target	BRD4								
In Vitro	<p>Treatment of HCT116 cells of A1874 (0-10 μM, 24 hours) induces a dose-dependent knockdown of BRD4 levels, with near-maximum knockdown by 100 nmol/L and a maximum degradation (Dmax) of BRD4 of 98% of the levels in control (0.1% DMSO-treated) cells^[1].</p> <p>Treatment of HCT116 cells of A1874 (0-10 μM, 24 hours) increases p53 levels in the HCT116 cells and showed dose-dependent p53 stabilization^[1].</p> <p>Western Blot Analysis^[1]</p> <table border="1"> <tr> <td>Cell Line:</td> <td>HCT116 cells.</td> </tr> <tr> <td>Concentration:</td> <td>0-10 μM.</td> </tr> <tr> <td>Incubation Time:</td> <td>24 hours.</td> </tr> <tr> <td>Result:</td> <td>Induced a dosedependent knockdown of BRD4 levels, with near-maximum knockdown by 100 nM. Increased p53 levels and showed dose-dependent p53 stabilization.</td> </tr> </table>	Cell Line:	HCT116 cells.	Concentration:	0-10 μM.	Incubation Time:	24 hours.	Result:	Induced a dosedependent knockdown of BRD4 levels, with near-maximum knockdown by 100 nM. Increased p53 levels and showed dose-dependent p53 stabilization.
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Concentration:	0-10 μM.								
Incubation Time:	24 hours.								
Result:	Induced a dosedependent knockdown of BRD4 levels, with near-maximum knockdown by 100 nM. Increased p53 levels and showed dose-dependent p53 stabilization.								

REFERENCES

[1]. Hines J, et al. MDM2-Recruiting PROTAC Offers Superior, Synergistic Antiproliferative Activity via Simultaneous Degradation of BRD4 and Stabilization of p53. *Cancer Res.* 2019 Jan 1;79(1):251-262.

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

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