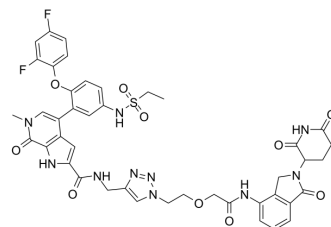


PROTAC BRD4 Degradator-6

Cat. No.:	HY-131203
CAS No.:	2410947-56-5
Molecular Formula:	C ₄₃ H ₄₀ F ₂ N ₁₀ O ₁₀ S
Molecular Weight:	926.9
Target:	Epigenetic Reader Domain; Apoptosis; c-Myc; Caspase
Pathway:	Epigenetics; Apoptosis
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	PROTAC BRD4 Degradator-6 (compound 32a) is a potent small-molecule BRD4 degrader with IC ₅₀ value of 2.7 nM for BRD4 BD1. PROTAC BRD4 Degradator-6 potently degrades BRD4 protein and inhibits the expression of c-Myc. PROTAC BRD4 Degradator-6 inhibits the proliferation of pancreatic cancer cell line BxPC3 and induces apoptosis. PROTAC BRD4 Degradator-6 can be used for human pancreatic cancer research ^[1] .																	
IC₅₀ & Target	BRD4 BD1 2.7 μM (IC ₅₀)	Caspase 3																
In Vitro	<p>PROTAC BRD4 Degradator-6 (compound 32a) (72 hours; BxPC3 cell) has anti-proliferation activity with IC₅₀ value of 0.165 μM^[1].</p> <p>PROTAC BRD4 Degradator-6 (compound 32a) (1 μM; 48 hours; BxPC3 cell) induces BRD4 protein degradation in a time-dependent manner, which results in the continuous decrease of c-Myc expression^[1].</p> <p>PROTAC BRD4 Degradator-6 (compound 32a) (1 μM; 48 hours; BxPC3 cell) induces the cell cycle arrest and apoptosis^[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <p>Western Blot Analysis^[1]</p> <table border="1"> <tr> <td>Cell Line:</td> <td>BxPC3 cell</td> </tr> <tr> <td>Concentration:</td> <td>1 μM</td> </tr> <tr> <td>Incubation Time:</td> <td>48 hours</td> </tr> <tr> <td>Result:</td> <td>Degraded BRD4 protein in a time-dependent manner and inhibits the expression of c-Myc.</td> </tr> </table> <p>Cell Cycle Analysis^[1]</p> <table border="1"> <tr> <td>Cell Line:</td> <td>BxPC3 cell</td> </tr> <tr> <td>Concentration:</td> <td>1 μM</td> </tr> <tr> <td>Incubation Time:</td> <td>48 hours</td> </tr> <tr> <td>Result:</td> <td>Increase in G0/G1 and G2/M phase cells, and a decrease of S phase cells.</td> </tr> </table> <p>Apoptosis Analysis^[1]</p>		Cell Line:	BxPC3 cell	Concentration:	1 μM	Incubation Time:	48 hours	Result:	Degraded BRD4 protein in a time-dependent manner and inhibits the expression of c-Myc.	Cell Line:	BxPC3 cell	Concentration:	1 μM	Incubation Time:	48 hours	Result:	Increase in G0/G1 and G2/M phase cells, and a decrease of S phase cells.
Cell Line:	BxPC3 cell																	
Concentration:	1 μM																	
Incubation Time:	48 hours																	
Result:	Degraded BRD4 protein in a time-dependent manner and inhibits the expression of c-Myc.																	
Cell Line:	BxPC3 cell																	
Concentration:	1 μM																	
Incubation Time:	48 hours																	
Result:	Increase in G0/G1 and G2/M phase cells, and a decrease of S phase cells.																	

Cell Line:	BxPC3 cell
Concentration:	1 μ M
Incubation Time:	48 hours
Result:	The percentage of cells in early and late apoptosis was recorded as 10.10% and 12.36%, respectively.
Western Blot Analysis ^[1]	
Cell Line:	BxPC3 cell
Concentration:	1 μ M
Incubation Time:	48 hours
Result:	The expression of caspase 3 and cleaved-caspase 3 significantly increased.

REFERENCES

[1]. Zhang J, et al. Development of small-molecule BRD4 degraders based on pyrrolopyridone derivative. *Bioorg Chem.* 2020 Jun;99:103817.

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

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA