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Produktinformation



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Zellkultur & Verbrauchsmaterial



Diagnostik & molekulare Diagnostik



Laborgeräte & Service

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Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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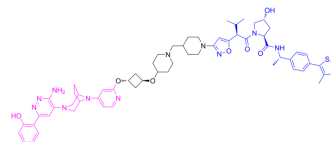
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A947

Cat. No.:	HY-148381
CAS No.:	2378056-80-3
Molecular Formula:	C ₆₁ H ₇₆ N ₁₂ O ₇ S
Molecular Weight:	1121.4
Target:	Epigenetic Reader Domain; PROTACs; Apoptosis
Pathway:	Epigenetics; PROTAC; Apoptosis
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	A947 is a potent and selective SMARCA2 proteolysis-targeting chimera molecule (PROTAC). A947 also is a potent and moderately selective SMARCA2 degrader. A947 has binding affinity to the SMARCA2 bromodomain with a K _d value of 93 nM. A947 can be used for the research of cancer ^[1] .								
IC ₅₀ & Target	Kd: 93 nM (SMARCA2), 65 nM (SMARCA4); DC50 for SMARCA2: 39 pM (in SW1573 cells) ^[1] .								
In Vitro	A947 has binding affinity to the SMARCA2 and SMARCA4 bromodomains with K _d values of 93 nM and 65 nM, respectively ^[1] . A947 can potently degrade SMARCA2 in SW1573 cells with a DC ₅₀ value of 39 pM ^[1] . A947 (100 nM, 500 nM) mediates ubiquitination and degradation of SMARCA2/4 ^[1] . A947 (0-500 nM) can inhibit growth of SMARCA4-mutant NSCLC cells ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.								
	Western Blot Analysis ^[1]								
	<table><tr><td>Cell Line:</td><td>SW1573 cells</td></tr><tr><td>Concentration:</td><td>0-10 nM</td></tr><tr><td>Incubation Time:</td><td>18 h</td></tr><tr><td>Result:</td><td>Degraded SMARCA2 with amaximal degradation of 96% in 10 nM.</td></tr></table>	Cell Line:	SW1573 cells	Concentration:	0-10 nM	Incubation Time:	18 h	Result:	Degraded SMARCA2 with amaximal degradation of 96% in 10 nM.
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	Concentration:	0-10 nM							
	Incubation Time:	18 h							
	Result:	Degraded SMARCA2 with amaximal degradation of 96% in 10 nM.							
	Cell Viability Assay ^[1]								
	<table><tr><td>Cell Line:</td><td>NCI-H1944 cells</td></tr><tr><td>Concentration:</td><td>0-500 nM</td></tr><tr><td>Incubation Time:</td><td>7 days</td></tr><tr><td>Result:</td><td>Showed the dose-dependent inhibition of growth.</td></tr></table>	Cell Line:	NCI-H1944 cells	Concentration:	0-500 nM	Incubation Time:	7 days	Result:	Showed the dose-dependent inhibition of growth.
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Concentration:	0-500 nM								
Incubation Time:	7 days								
Result:	Showed the dose-dependent inhibition of growth.								
Cell Cycle Analysis ^[1]									
<table><tr><td>Cell Line:</td><td>HCC2302, NCI-H1793, RERF-LC-AI, NCI-H1944, Calu-6, NCI-H460, A427 cells</td></tr></table>	Cell Line:	HCC2302, NCI-H1793, RERF-LC-AI, NCI-H1944, Calu-6, NCI-H460, A427 cells							
Cell Line:	HCC2302, NCI-H1793, RERF-LC-AI, NCI-H1944, Calu-6, NCI-H460, A427 cells								

Concentration:	0-500 nM
Incubation Time:	48 h
Result:	Showed G1 arrest in SMARCA4 ^{mut} models.
Apoptosis Analysis ^[1]	
Cell Line:	NCI-H1944, NCI-H838 cells
Concentration:	100 nM
Incubation Time:	50 h
Result:	Induced cells toward apoptotic cell death.

In Vivo

A947 (i.v.; 40 mg/kg; single-dose, 2 week or every other week, 30 days) has active in SMARCA4-mutant NSCLC xenograft models in vivo^[1].

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

Animal Model:	SMARCA4-mutant NSCLC xenograft models
Dosage:	40mg/kg
Administration:	Intravenous , single-dose, 2 week; Intravenous , every other week, 30 days
Result:	Rapidly reduced the tumor SMARCA2 protein levels and significant decreased the tumor growth.

REFERENCES

[1]. Jennifer Cantley, et al. Selective PROTAC-mediated degradation of SMARCA2 is efficacious in SMARCA4 mutant cancers. Nat Commun. 2022 Nov 10;13(1):6814.

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

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