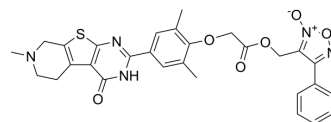


BRD4 Inhibitor-25

Cat. No.:	HY-151972
Molecular Formula:	C ₂₉ H ₂₇ N ₅ O ₆ S
Molecular Weight:	573.62
Target:	Epigenetic Reader Domain
Pathway:	Epigenetics
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	BRD4 Inhibitor-25 is a BRD4 inhibitor with IC ₅₀ s of 0.82 μM, 1.94 μM for BD1 and BD2 domains of BRD4. BRD4 Inhibitor-25 induces apoptotic and autophagy cell death in ovarian cancer cells. BRD4 Inhibitor-25 can be used in the research of cancers, cardiovascular, neuromuscular and inflammatory disorders.			
IC₅₀ & Target	BRD4-BD1	BRD4 (BD2)	BRD4 BD1	BRD4 BD2
	0.82 μM (IC ₅₀)	1.94 μM (IC ₅₀)	0.419 μM (Ki)	0.686 μM (Ki)
	BRD2 BD1	BRD2 BD1		
	15.91 μM (IC ₅₀)	7.43 μM (Ki)		
In Vitro	BRD4 Inhibitor-25 (compound 11a) inhibits cell proliferation in OC cell lines (SKOV-3, OVCAR-3, SW626, ES-2 and A2780) ^[1] . BRD4 Inhibitor-25 promotes moderate levels of NO release (10.587 μM) when treated with an excess of cysteine ^[1] . BRD4 Inhibitor-25 (1 and 2 μM, 24 h) induces apoptosis and autophagy of SKOV-3 cells ^[1] . BRD4 Inhibitor-25 (2 μM, 24 h) inhibits autophagosome and lysosome fusion, leading to the blockage of autophagic flux in SKOV-3 cells ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.			
	Cell Viability Assay ^[1]			
	Cell Line:	OC cell lines (SKOV-3, OVCAR-3, SW626, ES-2 and A2780)		
	Concentration:	0-20 μM approximately		
	Incubation Time:	24 h		
	Result:	Inhibited cell proliferation with IC ₅₀ s of 1.38, 2.69, 8.47, 3.44, 3.44 μM respectively.		
	Western Blot Analysis ^[1]			
	Cell Line:	SKOV-3 cells		
	Concentration:	2 μM		
	Incubation Time:	24 h		
Result:	Increased cytochrome c and cleaved-caspase 3.			

	Reduced the anti-apoptosis protein Bcl-2. Increased the autophagy-related proteins LC3II/I, p62/SQSTM1, and Beclin1.
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In Vivo

BRD4 Inhibitor-25 (compound 11a, 30 mg/kg, i.p.) inhibits tumor growth in SKOV-3 subcutaneous xenograft model^[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	SKOV-3 subcutaneous xenograft model ^[1]
Dosage:	30 mg/kg
Administration:	Intraperitoneal injection (i.p.)
Result:	Reduced Ki-67, a cell proliferation marker (IHC staining).

REFERENCES

[1]. Zhang Y, et al. Design, synthesis and anti-ovarian cancer activities of thieno[2,3-d]pyrimidine based chimeric BRD4 inhibitor/nitric oxide-donor. Eur J Med Chem. 2022 Nov 29;246:114970.

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

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