BRD4 Inhibitor-26

Cat. No.: HY-152209

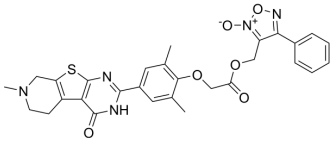
Molecular Formula: $C_{29}H_{27}N_5O_6S$

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-26 is a bromodomain protein 4 (BRD4) inhibitor/nitric oxide-donator. BRD4 Inhibitor-26 inhibits BRD4 (BD1) and BRD4 (BD2) with IC_{50} values of 0.82 μM and 1.94 μM, respectively. BRD4 Inhibitor-26 can be used for the research of ovarian cancer[1].

IC_{50} & Target

IC50: 0.82 μM (BRD4 (BD1)); 1.94 μM (BRD4 (BD2)); 1.38-8.47 μM (OC cells)[1].
Kd: 0.419 μM (BRD4 (BD1)); 0.686 μM (BRD4 (BD2))[1]

In Vitro

BRD4 Inhibitor-26 (Compound 11a) has inhibitory activity for BRD4 (BD1) and BRD4 (BD2) with IC_{50} values of 0.82 μM and 1.94 μM, respectively[1].
BRD4 Inhibitor-26 has inhibitory activity for BRD4 (BD1) and BRD4 (BD2) with K_d values of 0.419 μM and 0.686 μM, respectively[1].
BRD4 Inhibitor-26 has inhibitory activity for OC cells with IC_{50} values range from 1.38-8.47 μM[1].
BRD4 Inhibitor-26 (0, 1.0 and 2.0 μM; 24 h) significantly decreased the expression of BRD4 and c-Myc, as well as induced cellular apoptosis and autophagic cell death[1]
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Apoptosis Analysis[1]

Cell Line:	SKOV-3 cells
Concentration:	0, 1.0 and 2.0 μM
Incubation Time:	24 h
Result:	Increased the percentages of total apoptotic cells and showed dose-dependent in early apoptotic cells.

Western Blot Analysis[1]

Cell Line:	SKOV-3 cells
Concentration:	0, 1.0 and 2.0 μM
Incubation Time:	24 h
Result:	Up-regulated the classical apoptosis-related proteins Cytochrome c, down-regulated the

	anti-apoptosis protein Bcl-2 and Cleaved-caspase 3 and also up-regulated the autophagy-related proteins LC3II/I, p62/SQSTM1 and Beclin1.

Immunofluorescence[1]

Cell Line:	SKOV-3 cells
Concentration:	2.0 μM
Incubation Time:	24 h
Result:	[1]

In Vivo

BRD4 Inhibitor-26 (i.p.; 30 mg/kg) has anti-tumor activity and induces cellular apoptosis in vivo[1].

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

Animal Model:	Balb/c nude mice[1]
Dosage:	30 mg/kg
Administration:	Intraperitoneal administration
Result:	Suppressed the ovarian cancer cells proliferation via BRD4 inhibition and activated apoptosis.

REFERENCES

[1]. Yuehua Zhang, et al. Design, synthesis and anti-ovarian cancer activities of thieno[2,3-d]pyrimidine based chimeric BRD4 inhibitor/nitric oxide-donator. Eur J Med Chem. 2023 Jan 15;246:114970.

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