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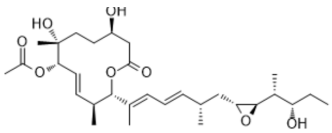
Pladienolide B

Cat. No.:	HY-16399
CAS No.:	445493-23-2
Molecular Formula:	$C_{30}H_{48}O_8$
Molecular Weight:	536.7
Target:	Apoptosis; SF3B1
Pathway:	Apoptosis; Epigenetics
Storage:	Pure form -20°C 3 years In solvent -80°C 6 months -20°C 1 month



BIOLOGICAL ACTIVITY

Description

Pladienolide B is a potent cancer cell growth inhibitor that targets the SF3B1 subunit of the spliceosome. Pladienolide B exerts antitumor activities mediated through the inhibition of pre-mRNA splicing. Pladienolide B induces apoptosis[1][2][3].

In Vitro

Pladienolide B (0.1-2 nM; 24-72 hours) inhibits human cervical carcinoma cells viability[3].
Pladienolide B (0.1-2 nM; 24-48 hours) reduces SF3b1 expression in human cervical carcinoma cells[3].
Pladienolide B induces (0.1-2 nM; 24 hours) cell cycle arrest and apoptosis[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[3]

Cell Line:	HeLa cells
Concentration:	0.1, 0.5, 1, 1.5, 2 nM
Incubation Time:	24, 48, 72 hours
Result:	Significantly decreased cell viability, and the decrease was concentration- and time-dependent.

Apoptosis Analysis[3]

Cell Line:	HeLa cells
Concentration:	0.1, 0.5, and 2 nM
Incubation Time:	24 hours
Result:	The apoptotic cells were highly induced at 24 hours.

RT-PCR[3]

Cell Line:	HeLa cells
Concentration:	0.1, 0.5, and 2 nM
Incubation Time:	24, 48 hours

Result:	Induced a time- and concentration-dependent decrease in cellular SF3b1 proteins.

In Vivo

Pladienolide B (2.5-10 mg/kg; i.v.; daily for 5 days) has strong antitumor activities[4].

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

Animal Model:	Female or male BALB/c nu/nu mice (7 weeks of age) (PC-3, OVCAR-3, DU-145, WiDr, and HCT-116, BSY-1 xenografts)[4]
Dosage:	2.5, 5, and 10 mg/kg
Administration:	I.v.; daily for 5 days
Result:	Showed strong growth inhibitory or regressive activities against these xenografts.

REFERENCES

[1]. Effenberger KA, et al. Coherence between cellular responses and in vitro splicing inhibition for the anti-tumor drug pladienolide B and its analogs. J Biol Chem. 2014 Jan 24;289(4):1938-47.

[2]. Aouida M, et al. CRISPR/Cas9-mediated target validation of the splicing inhibitor Pladienolide B. Biochim Open. 2016 Feb 24;3:72-75.

[3]. Zhang Q, et al. Inhibition of SF3b1 by pladienolide B evokes cycle arrest, apoptosis induction and p73 splicing in human cervical carcinoma cells. Artif Cells Nanomed Biotechnol. 2019 Dec;47(1):1273-1280.

[4]. Mizui Y, et al. Pladienolides, new substances from culture of Streptomyces platensis Mer-11107. III. In vitro and in vivo antitumor activities. J Antibiot (Tokyo). 2004 Mar;57(3):188-96.

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