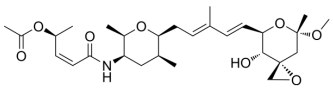
Spliceostatin A

Cat. No.:	HY-16466
CAS No.:	391611-36-2
Molecular Formula:	$C_{28}H_{43}NO_8$
Molecular Weight:	521.64
Target:	SF3B1
Pathway:	Epigenetics
Storage:	-80°C, protect from light



BIOLOGICAL ACTIVITY

Description	Spliceostatin A, the FR901464 (HY-16212) methylated derivative, is a potent anti-tumor agent. Spliceostatin A inhibits splicing and promotes pre-mRNA accumulation by binding SF3B1. SF3B1 is a subcomplex of U2 small nuclear ribonucleoprotein in the spliceosome. Spliceostatin A induces Apoptosis in chronic lymphocytic leukemia (CLL) cells[1][2][3].
IC_{50} & Target	IC50: 5.5 nM (wild-type SF3B1), 4.9 nM (SF3B1mutatant)[1]

In Vitro

Spliceostatin A (2.5-20 nM; 0-24 h) induces caspase-dependent apoptosis of CLL cells in a dose- and time-dependent manner [1].

Spliceostatin A (10 nM, 20 nM; 24 h) in combination with ABT-199 or ABT-263 synergises, augments apoptosis in CLL cells following IL4/CD40L (10 ng/mL, 300 ng/mL; 6 h) treatment, and reduce phosphorylation levels of related proteins[1].

Spliceostatin A inhibits the viability of normal B (CD19+) and T (CD3+) lymphocytes with IC_{50}s of 12.1 nM, and 61.7 nM, respectively[1].

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

Apoptosis Analysis[1]

Cell Line:	CLL cells
Concentration:	0, 2.5 nM, 5 nM, 10 nM, and 20 nM
Incubation Time:	0, 6 h, 12 h, and 24 h
Result:	Increased cell apoptosis.

Western Blot Analysis[1]

Cell Line:	CLL cells
Concentration:	10 nM, and 20 nM
Incubation Time:	24 h; treated after 10 ng/mL IL4 and/or 300 ng/mL CD40L for 6 h
Result:	Decreased the level of PARP, phosphorylated STAT6 (pSTAT6), phosphorylated IκBα (pIκB α), Mcl-1L, Bcl-xL , Bcl-2 and Hsc70.

REFERENCES

[1]. Larrayoz M, et al. The SF3B1 inhibitor spliceostatin A (SSA) elicits apoptosis in chronic lymphocytic leukaemia cells through downregulation of Mcl-1. Leukemia. 2016 Feb;30(2):351-60.

[2]. Kaida D, et al. Spliceostatin A targets SF3b and inhibits both splicing and nuclear retention of pre-mRNA. Nat Chem Biol. 2007 Sep;3(9):576-83.

[3]. Roybal GA, et al. Spliceostatin A inhibits spliceosome assembly subsequent to prespliceosome formation. Nucleic Acids Res. 2010 Oct;38(19):6664-72.

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