Ailanthone

Cat. No.: HY-N1943

CAS No.: 981-15-7

Molecular Formula: $C_{20}H_{24}O_7$

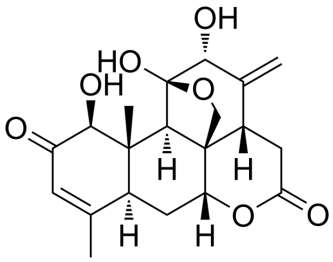
Molecular Weight: 376.4

Target: Androgen Receptor

Pathway: Vitamin D Related/Nuclear Receptor

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (132.84 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.6567 mL	13.2837 mL	26.5675 mL
	5 mM	0.5313 mL	2.6567 mL	5.3135 mL
	10 mM	0.2657 mL	1.3284 mL	2.6567 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (5.53 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (5.53 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (5.53 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Ailanthone (Δ13-Dehydrochaparrinone) is a potent inhibitor of both full-length androgen receptor (AR) (IC_{50}=69 nM) and constitutively active truncated AR splice variants (AR_{1-651} IC_{50}=309 nM).

IC_{50} & Target

IC50: 69 nM (Full-length androgen receptor), 309 nM (AR_{1-651})[1]

In Vitro

Ailanthone is a potent inhibitor of both full-length AR (AR-FL) and constitutively active truncated AR splice variants (AR-Vs). Ailanthone binds to the co-chaperone protein p23 and prevents AR's interaction with HSP90, thus resulting in the disruption

	of the AR-chaperone complex followed by ubiquitin/proteasome-mediated degradation of AR as well as other p23 clients including AKT and Cdk4, and downregulates AR and its target genes in PCa cell lines and orthotopic animal tumours. In addition, Ailanthone blocks tumour growth and metastasis of CRPC[1]. Ailanthone has been shown to possess an growth-inhibitory effect against several cancer cell lines including HepG2, Hep3B, R-HepG2, Jurkat, HeLa, MCF-7, MDA-MB-231 and A549 cells. Ailanthone inhibits Huh7 cell growth through the induction of mitochondrion-mediated cell apoptosis and G0/G1 cell cycle arrest. Ailanthone-induced apoptosis is mitochondrion-mediated and involved the PI3K/AKT signaling pathway in Huh7 cells[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Not only i.p. administration but also p.o. administration of Ailanthone has excellent efficiency for blocking the growth of CRPC xenografts. In pharmacokinetic studies, Ailanthone exhibits good solubility in water and good bioavailability (>20%). In addition, Ailanthone effectively suppresses CRPC tumour growth, despite not reaching a steady state of plasma drug concentration during the course of treatment[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [1]	For SRB assay, cells are cultured in complete RPMI 1640 and incubated with indicated concentrations of Ailanthone or cells are maintained in fresh phenol red-free RPMI 1640 medium with 5% charcoal-stripped FBS, 1 nM DHT and indicated compounds. After 48 or 72 h, the cells are then fixed and the cell growth is detected with the SRB assay. For colony formation assay, prostate cancer cells are incubated with indicated concentrations of Ailanthone in complete RPMI 1640 for 2 weeks and then cells are fixed with 4% paraformaldehyde and stained with crystal violet. Colonies are visualized under a microscope, and all of the fields are imaged and counted. Colony formation as a percentage of vehicle control for each cell line is presented[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [1]	Mice[1] In orthotopic castration-resistant prostate cancer xenografts model, mice are intraperitoneally injected with Ailanthone (2 mg/kg), MDV (10 mg/kg) or DMSO (as controls). Prostate tumour growth and local metastasis are monitored weekly using the IVIS Imaging System. Images and measurements of bioluminescent signals are acquired and analysed using Living Image and Xenogen software[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. He Y, et al. Ailanthone targets p23 to overcome MDV3100 resistance in castration-resistant prostate cancer. Nat Commun. 2016 Dec 13;7:13122.

[2]. Zhuo Z, et al. Ailanthone Inhibits Huh7 Cancer Cell Growth via Cell Cycle Arrest and Apoptosis In Vitro and In Vivo. Sci Rep. 2015 Nov 3;5:16185.

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