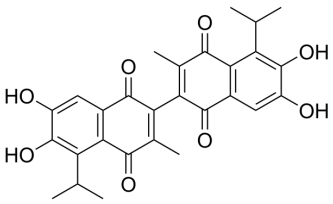
Apogossypolone

Cat. No.:	HY-19551
CAS No.:	886578-07-0
Molecular Formula:	$C_{28}H_{26}O_8$
Molecular Weight:	490.5
Target:	Apoptosis; Fungal; Bcl-2 Family; Autophagy; ROS Kinase
Pathway:	Apoptosis; Anti-infection; Autophagy; Protein Tyrosine Kinase/RTK
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Apogossypolone (ApoG2) is an orally active Bcl-2 family proteins inhibitor with K_i values of 35, 25 and 660 nM for Bcl-2, Mcl-1 and Bcl-X_L, respectively. Apogossypolone shows antitumor activities, induces cell apoptosis[1] and autophagy[2]. Apogossypolone also has antifungal activity[3].

IC_{50} & Target

Mcl-1	Bcl-2	Bcl-xL
25 nM (Ki)	35 nM (Ki)	660 nM (Ki)

In Vitro

Apogossypolone (ApoG2) shows improved stability under stressed conditions[1].
Apogossypolone (0-1 μM, 72 or 96 h) inhibits WSU-$DLCL_2$ cells growth in a dose-dependent manner[1].
Apogossypolone (0-5 μM, 24 or 48 h) interferes with the formation of heterodimers between anti-apoptotic and pro-apoptotic Bcl-2 family members, and leads to cleavage of caspase-3, caspase-9 and PARP[1].
Apogossypolone (0-8 μM, 0-72 h) induces apoptotic WSU-$DLCL_2$ cell death in a time- and dose-dependent manner[1].
Apogossypolone (0-10 μM, 0-24 h) induces autophagy and promotes ROS generation in HCC cells[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]

Cell Line:	WSU-$DLCL_2$
Concentration:	250, 350, 500 and 1000 nM
Incubation Time:	96 h for cell counting, 72 h for MTT
Result:	Inhibited growth in a dose-dependent manner. The 50% growth inhibition concentration (IC_{50}) was approximately 350 nM.

Western Blot Analysis[1]

Cell Line:	WSU-$DLCL_2$
Concentration:	0.35, 0.5, 1 and 5 μM
Incubation Time:	24 or 48 h
Result:	Blocked the formation of heterodimers between Bcl-X_L and Bim in a concentration-dependent manner. Resulted in the activation of cleavages of caspase-3, caspase-9 and

	PARP.

Apoptosis Analysis[1]

Cell Line:	WSU-DLCL$_2$
Concentration:	0, 1, 2, 4 and 8 μM
Incubation Time:	24, 48 and 72 h
Result:	Induced cell apoptosis in a time- and dose-dependent manner.

Cell Autophagy Assay[2]

Cell Line:	HepG2 and Hep3B
Concentration:	1.25, 2.5, 5 and 10 μM
Incubation Time:	6, 12, 18 and 24 h
Result:	Induced LC3 (Light chain 3)-II conversion in a dose- and time-dependent manner.

In Vivo

Apogossypolone (ApoG2) (120 mg/kg; i.v. or p.o.; once a day for 5 days) effectively inhibits growth of diffuse large cell lymphoma cells without toxicity[1].

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

Animal Model:	Four-week-old female ICR-SCID mice, each mouse received 10^7 WSU-DLCL$_2$ cells (in serum-free RPMI 1640) subcutaneously (sc) in each flank area[1]
Dosage:	120 mg/kg
Administration:	Intravenous or administration per day for five days
Result:	Inhibited the growth of WSU-DLCL$_2$ and significantly decreased the tumor weight.

Animal Model:	Non-tumor-bearing SCID mice[1]
Dosage:	160 mg/kg
Administration:	Intravenous or administration per day for five days
Result:	Was well tolerated in mice up to 800 mg/kg. Displayed no gross signs of toxicity.

REFERENCES

[1]. Yuan Sun, et al. Apogossypolone, a nonpeptidic small molecule inhibitor targeting Bcl-2 family proteins, effectively inhibits growth of diffuse large cell lymphoma cells in vitro and in vivo. Cancer Biol Ther. 2008 Sep;7(9):1418-26.

[2]. Jay E Mellon, et al. Inhibitory effects of gossypol, gossypolone, and apogossypolone on a collection of economically important filamentous fungi. J Agric Food Chem. 2012 Mar 14;60(10):2740-5.

[3]. Cheng P, et al. The novel BH-3 mimetic apogossypolone induces Beclin-1- and ROS-mediated autophagy in human hepatocellular carcinoma [corrected] cells. Cell Death Dis. 2013 Feb 7;4(2):e489.

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

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