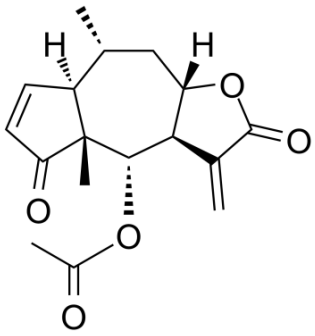
Bigelovin



Cat. No.:	HY-116506
CAS No.:	3668-14-2
Molecular Formula:	$C_{17}H_{20}O_5$
Molecular Weight:	304.34
Target:	RAR/RXR; Reactive Oxygen Species; Apoptosis; Autophagy
Pathway:	Metabolic Enzyme/Protease; Immunology/Inflammation; NF-κB; Apoptosis; Autophagy
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.

BIOLOGICAL ACTIVITY

Description

Bigelovin, a sesquiterpene lactone isolated from Inula helianthus-aquatica, is a selective retinoid X receptor α agonist. Bigelovin suppresses tumor growth through inducing apoptosis and autophagy via the inhibition of mTOR pathway regulated by ROS generation[1].

In Vitro

Bigelovin (0-20 μM, 24-72 h) significantly inhibits cell viability of liver cancer cells and induces apoptosis and autophagy[1].
Bigelovin causes a significant increase of p62, LC3B-II, Beclin-1 and a corresponding decrease of p62 levels in a time-dependent manner[1].
Bigelovin induces cell death involves the suppression of mTOR pathway regulated by ROS production[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	HepG2 and SMMC-7721 cells.
Concentration:	0-20 μM.
Incubation Time:	24, 48, 72 h.
Result:	Significantly reduced the cell viability of HepG2 and SMMC-7721 cells in a dose- and timedependent manner. No significant difference observed in cell viability of normal liver cell lines, LO2 andLX2, after BigV treatment for 24, 48 or 72 h.

Western Blot Analysis[1]

Cell Line:	HepG2 and SMMC-7721 cells.
Concentration:	0-10 μM.
Incubation Time:	24 h.
Result:	The expression of Bcl-2 was decreased, whereas Bax was increased after treatment with BigV. Moreover, Caspase-9, -3 and PARP cleavage were activated significantly after BigV treatment.

In Vivo

Bigelovin (BigV, 5, 10, 20 mg/kg) exerts anti-tumor activity in HepG2 xenograft tumor model[1].

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Animal Model:	HepG2 xenograft model based on the male athymic BALB/c nude mice (5-6 weeks old, 18-22 g)[1].
Dosage:	5, 10, 20 mg/kg.
Administration:	Intravenous injection every 2 days.
Result:	The tumor growth rate was significantly slower in BigV treated groups in a dose-dependent manner, along with the reduced tumor weight. No significant alteration of body weight and hepatic enzyme levels (AST, ALT and LDH) in serum was observed after BigV administration. Western blot findings of tumor tissues indicated the activation of apoptosis and autophagy characterized by the increase of cleaved Caspase-3 and PARP, as well as LC3BIIlevels. The inactivation of mTOR was also observed in tumor tissuesisolated from BigV-treated mice.

REFERENCES

[1]. Bei Wang, et al. Bigelovin, a sesquiterpene lactone, suppresses tumor growth through inducing apoptosis and autophagy via the inhibition of mTOR pathway regulated by ROS generation in liver cancer. Biochem Biophys Res Commun. 2018 May 5;499(2):156-163.

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