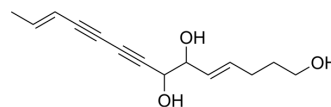


Lobetyol

Cat. No.:	HY-117652
CAS No.:	136171-87-4
Molecular Formula:	C ₁₄ H ₁₈ O ₃
Molecular Weight:	234.29
Target:	Apoptosis; Bcl-2 Family; MDM-2/p53
Pathway:	Apoptosis
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Lobetyol is a natural compound that can be isolated from <i>Lobelia chinensis</i> . Lobetyol induces apoptosis and cell cycle arrest in MKN45 cells. Lobetyol shows anti-virus, anti-inflammation and anti-tumor activity ^{[1][2]} . Lobetyol is a click chemistry reagent, it contains an Alkyne group and can undergo copper-catalyzed azide-alkyne cycloaddition (CuAAC) with molecules containing Azide groups.																	
IC₅₀ & Target	Bax	Bcl-2																
In Vitro	<p>Lobetyol (0-100 µg/mL, 0-48 h) leads to a dose- and time-dependent proliferation inhibition in MKN45 cells^[1]. Lobetyol (0-100 µg/mL, 48 h) induces apoptosis and cell cycle arrest in a time- and dose-dependent manner in MKN45 cells^[1].</p> <p>Lobetyol (0-100 µg/mL, 48 h) increases the expression level of Bax, P53, and decreased the expression level of Bcl-2^[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <p>Cell Proliferation Assay^[1]</p> <table border="1"> <tr> <td>Cell Line:</td> <td>MKN45 cells</td> </tr> <tr> <td>Concentration:</td> <td>0 µg/mL, 50 µg/mL, 75 µg/mL, 100 µg/mL</td> </tr> <tr> <td>Incubation Time:</td> <td>12 h, 24 h, 48 h</td> </tr> <tr> <td>Result:</td> <td>Showed a dose- and time-dependent proliferation inhibition in MKN45 cells, with an IC₅₀ of 71.47 ± 4.29 µg/mL at 48 h. Exhibited more safety on L02 and HEK293 human normal cell lines.</td> </tr> </table> <p>Apoptosis Analysis^[1]</p> <table border="1"> <tr> <td>Cell Line:</td> <td>MKN45 cells</td> </tr> <tr> <td>Concentration:</td> <td>0 µg/mL, 50 µg/mL, 75 µg/mL, 100 µg/mL</td> </tr> <tr> <td>Incubation Time:</td> <td>48 h</td> </tr> <tr> <td>Result:</td> <td>Increased the apoptotic population in MKN45 cells in a dose-dependent manner. Flow cytometric assay of Annexin-V/PI revealed apoptotic populations under increasing dose of lobetyol ranging from 0 µg/mL, 50 µg/mL, 75 µg/mL, to 100 µg/mL are 5.5%, 13.74%,</td> </tr> </table>		Cell Line:	MKN45 cells	Concentration:	0 µg/mL, 50 µg/mL, 75 µg/mL, 100 µg/mL	Incubation Time:	12 h, 24 h, 48 h	Result:	Showed a dose- and time-dependent proliferation inhibition in MKN45 cells, with an IC ₅₀ of 71.47 ± 4.29 µg/mL at 48 h. Exhibited more safety on L02 and HEK293 human normal cell lines.	Cell Line:	MKN45 cells	Concentration:	0 µg/mL, 50 µg/mL, 75 µg/mL, 100 µg/mL	Incubation Time:	48 h	Result:	Increased the apoptotic population in MKN45 cells in a dose-dependent manner. Flow cytometric assay of Annexin-V/PI revealed apoptotic populations under increasing dose of lobetyol ranging from 0 µg/mL, 50 µg/mL, 75 µg/mL, to 100 µg/mL are 5.5%, 13.74%,
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27.32% to 31.57%, respectively.

Western Blot Analysis^[1]

Cell Line: MKN45 cells

Concentration: 0 µg/mL, 50 µg/mL, 75 µg/mL, 100 µg/mL

Incubation Time: 12 h, 24 h, 48 h

Result: Increased the expression level of Bax, P53, and decreased the expression level of Bcl-2. The release of cytochrome c was detected, followed by caspase-9 and -3 activations.

In Vivo

Lobetyol (0-10 mg/kg, Once per 3 days) suppresses tumor growth in MKN45 nude models^[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model: BALB/C nude mice (6 weeks-old, injected intraperitoneally with MKN45 cells)^[1]

Dosage: 0, 2, 5, 10 mg/kg

Administration: Once per 3 days

Result: Suppressed tumor growth in MKN45 nude models. The protein levels of Ki67 in MKN45 cells were significantly decreased. Meanwhile, cleaved-caspase-3 positive MKN45 cells were found increased with the tendency of lobetyol concentrations in the study in vivo.

REFERENCES

[1]. Shen J, et al. Lobetyol activate MAPK pathways associated with G1/S cell cycle arrest and apoptosis in MKN45 cells in vitro and in vivo. *Biomed Pharmacother.* 2016 Jul;81:120-127.

[2]. Xie Q, et al. The in vitro/in vivo metabolic pathways analysis of lobetyol, lobetyolin, and lobetyolinin, three polyacetylenes from *Codonopsis Radix*, by UHPLC-Q/TOF-MS and UHPLC-MS/MS. *J Pharm Biomed Anal.* 2023 Jan 20;223:115140.

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

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