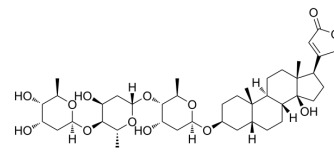


## Digitoxin

<b>Cat. No.:</b>	HY-B1357												
<b>CAS No.:</b>	71-63-6												
<b>Molecular Formula:</b>	C <sub>41</sub> H <sub>64</sub> O <sub>13</sub>												
<b>Molecular Weight:</b>	764.94												
<b>Target:</b>	Bcl-2 Family; Caspase; Apoptosis; HSV; Na <sup>+</sup> /K <sup>+</sup> ATPase; Calcium Channel												
<b>Pathway:</b>	Apoptosis; Anti-infection; Membrane Transporter/Ion Channel; Neuronal Signaling												
<b>Storage:</b>	<table border="0"> <tr> <td>Powder</td> <td>-20°C</td> <td>3 years</td> </tr> <tr> <td></td> <td>4°C</td> <td>2 years</td> </tr> <tr> <td>In solvent</td> <td>-80°C</td> <td>2 years</td> </tr> <tr> <td></td> <td>-20°C</td> <td>1 year</td> </tr> </table>	Powder	-20°C	3 years		4°C	2 years	In solvent	-80°C	2 years		-20°C	1 year
Powder	-20°C	3 years											
	4°C	2 years											
In solvent	-80°C	2 years											
	-20°C	1 year											



### SOLVENT & SOLUBILITY

<b>In Vitro</b>	DMSO : 100 mg/mL (130.73 mM; Need ultrasonic)			
		Solvent Concentration	Mass	
			1 mg	5 mg
	<b>Preparing Stock Solutions</b>	<b>1 mM</b>	1.3073 mL	6.5365 mL
		<b>5 mM</b>	0.2615 mL	1.3073 mL
		<b>10 mM</b>	0.1307 mL	0.6536 mL
	Please refer to the solubility information to select the appropriate solvent.			
<b>In Vivo</b>	<ol style="list-style-type: none"> <li>Add each solvent one by one: 10% DMSO &gt;&gt; 40% PEG300 &gt;&gt; 5% Tween-80 &gt;&gt; 45% saline Solubility: ≥ 2.5 mg/mL (3.27 mM); Clear solution</li> <li>Add each solvent one by one: 10% DMSO &gt;&gt; 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (3.27 mM); Clear solution</li> <li>Add each solvent one by one: 10% DMSO &gt;&gt; 90% corn oil Solubility: ≥ 2.5 mg/mL (3.27 mM); Clear solution</li> </ol>			

### BIOLOGICAL ACTIVITY

<b>Description</b>	Digitoxin is an anti-cancer agent. Digitoxin induces apoptosis, inhibits influenza cytokine storm, causes DNA double-stranded breaks (DSBs) and blocks the cell cycle at the G2/M phase. Digitoxin induces calcium uptake into cells by forming transmembrane calcium channels and can be used for research of heart failure <sup>[1][2][3][4][5]</sup> .			
<b>IC<sub>50</sub> &amp; Target</b>	Bcl-2	Caspase-9	Caspase 3	Bax
	HSV-1			

**In Vitro**

Digitoxin (0-80 nM, 72 h) compromised cell survival in PC12 cells<sup>[1]</sup>.  
 Digitoxin (4-1000 nM, 24-48 h) has an antitumor effects in MHCC97H, A549, HCT116 and HeLa cells<sup>[3]</sup>.  
 Digitoxin (4-100 nM, 24-48 h) disrupts the cell cycle in HeLa cells<sup>[3]</sup>.  
 Digitoxin (20-500 nM, 48 h) activates mitochondrial apoptosis in HeLa cells<sup>[3]</sup>.  
 MCE has not independently confirmed the accuracy of these methods. They are for reference only.  
 Cell Viability Assay<sup>[3]</sup>

Cell Line:	MHCC97H, A549, HCT116 and HeLa cells
Concentration:	4-1000 nM
Incubation Time:	24 h, 48 h
Result:	Decreased the viability of these cancer cells in a dose- and time-dependent manner, with the IC <sub>50</sub> values ranging from 0.075 to 0.395 μM following digitoxin treatment for 24 h and from 0.028 to 0.077 μM following digitoxin treatment for 48 h.

Cell Cycle Analysis<sup>[3]</sup>

Cell Line:	HeLa cells
Concentration:	4 nM, 20 nM, 100 nM
Incubation Time:	24 h, 36 h, 48 h
Result:	Increased cell population in the G2/M phase from 16.27 to 18.36, 23.46 and 31.51% at concentrations of 20 nM for 12, 24 and 36 h. Increased average cell population in the G2/M phase from 16.27 to 28.07% at concentrations of 4, 20 and 100 nM for 24 h. Significantly decreased the protein expression levels of total CDK1 and phosphorylated CDK1.

Apoptosis Analysis<sup>[3]</sup>

Cell Line:	HeLa cells
Concentration:	20 nM, 100 nM, 500 nM
Incubation Time:	48 h
Result:	Upregulated Bax expression and unaltered Bcl-2 expression. Significantly increased the expression of cytochrome c.

**In Vivo**

Digitoxin (1-2 mg/kg, Intraperitoneal injection, once a day for 19 days) has anticancer effects in nude mice<sup>[3]</sup>.  
 Digitoxin (0.3-3 μg/kg, Intraperitoneal injection, once a day for 4 days) blocks the host over-production of cytokines in the cotton rat lung<sup>[4]</sup>.  
 MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	nude mice harboring HeLa tumor xenografts <sup>[3]</sup>
Dosage:	1 mg/kg, 2 mg/kg
Administration:	Intraperitoneal injection (i.p.)
Result:	Decreased the tumor volume from 330.71±45.61 to 214.56.93±73.25 mm. Strongly increased the protein levels of cleaved caspase-3.

Reduced the number of Ki-67-positive cells.

Animal Model: cotton rats<sup>[4]</sup>

Dosage: 0.3 µg/kg, 1 µg/kg, 3 µg/kg

Administration: Intraperitoneal injection (i.p.)

Result: Blocked cytokine storm.  
Differentially affected cytokine expression.  
Left immune cell density intact in virus-infected lung.

## CUSTOMER VALIDATION

- Biochem Biophys Res Commun. 2020 Feb 19;522(4):862-868.
- University of Saskatchewan. 2020 Jun 22.
- Nat Metab. 2019 Nov;1(11):1074-1088.

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## REFERENCES

- [1]. Haux J. Digitoxin is a potential anticancer agent for several types of cancer [J]. Medical hypotheses, 1999, 53(6): 543-548.
- [2]. Su C T, Hsu J T A, Hsieh H P, et al. Anti-HSV activity of digitoxin and its possible mechanisms [J]. Antiviral research, 2008, 79(1): 62-70.
- [3]. Gan H, Qi M, Chan C, et al. Digitoxin inhibits HeLa cell growth through the induction of G2/M cell cycle arrest and apoptosis in vitro and in vivo [J]. International Journal of Oncology, 2020, 57(2): 562-573.
- [4]. Pollard B S, Blanco J C, Pollard J R. Classical drug digitoxin inhibits influenza cytokine storm, with implications for COVID-19 therapy [J]. in vivo, 2020, 34(6): 3723-3730.
- [5]. Arispe N, et al. Heart failure drug digitoxin induces calcium uptake into cells by forming transmembrane calcium channels [J]. Proceedings of the National Academy of Sciences, 2008, 105(7): 2610-2615.

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

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