Chlorambucil

Cat. No.: HY-13593
CAS No.: 305-03-3
Molecular Formula: C₁₄H₁₉Cl₂NO₂
Molecular Weight: 304.21
Target: DNA Alkylator/Crosslinker
Pathway: Cell Cycle/DNA Damage
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: ≥ 24 mg/mL (78.89 mM)
* "≥" means soluble, but saturation unknown.

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Solvent Concentration</th>
<th>Mass</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>1 mM</td>
<td></td>
<td>3.2872 mL</td>
<td>16.4360 mL</td>
<td>32.8720 mL</td>
</tr>
<tr>
<td></td>
<td>5 mM</td>
<td></td>
<td>0.6574 mL</td>
<td>3.2872 mL</td>
<td>6.5744 mL</td>
</tr>
<tr>
<td></td>
<td>10 mM</td>
<td></td>
<td>0.3287 mL</td>
<td>1.6436 mL</td>
<td>3.2872 mL</td>
</tr>
</tbody>
</table>

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: ≥ 2.5 mg/mL (8.22 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (8.22 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (8.22 mM); Clear solution

BIOLOGICAL ACTIVITY

Description
Chlorambucil (CB-1348), an orally active antineoplastic agent, is a bifunctional alkylating agent belonging to the nitrogen mustard group. Chlorambucil can be used for the research of lymphocytic leukemia, ovarian and breast carcinomas, and Hodgkin’s disease[1][2][3][4].

IC₅₀ & Target
DNA Alkylator[1]
### In Vitro
Chlorambucil can deprive the function of complementary strands of DNA molecules via alkalization-induced cross interaction, and then inhibits tumor cell proliferation. Chlorambucil (0, 2.5, 5, 10 μM) exhibits slight inhibitory effect on Raji cell apoptosis, but potently increases DR4 and DR5 mRNA expression in Raji cells. Chlorambucil (10 μM) in combination with Tumor necrosis factor (TNF) related apoptosis inducing ligand (TRAIL, 80 ng/ml) has synergistic effect on Raji cell apoptosis and inhibition on proliferation\[1\].

Chlorambucil is a DNA alkylator at high doses and, at lower doses, acts as an inhibitor of synthesis of nuclear proteins, particularly histones. Increasing doses are associated with a higher frequency of apoptosis, whereas long-term maintenance therapy has been associated with mutations of the p53 gene, leading to secondary malignancies\[4\].

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

### In Vivo
Chlorambucil (0.2 mg/kg, p.o.) in combination with levamisole (5 mg/kg) has enhanced anti-cancer effect on Ehrlich ascites carcinoma which elevates apoptosis of Ehrlich ascites carcinoma and the survival rate of the mice. However, Chlorambucil exhibits adverse effects on the liver and kidneys of mice\[2\].

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### PROTOCOL

#### Cell Assay \[1\]
Cultured cells at log-growth phase are digested by trypsin into single cell suspension and are seeded into 96-well plate at 1000 per well density. The plate is placed in a 37°C chamber with 5% CO₂. After attached growth for 24 h, cells are treated with TRAIL at 0, 20, 40 and 80 ng/mL or Chlorambucil at 0, 2.5, 5 and 10 μM for 48 h. 10 μL CCK-8 reagent is added to each well, followed by incubation at 37°C for 4 h. Absorbance values at 450 nm are then measured by a micro-plate reader. Six parallel samples are performed in each treatment group. Cell proliferation rate (%) = mean value of experimental group/mean value of control group × 100\%\[1\].

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#### Animal Administration \[2\]
Female Swiss mice are divided randomly into five group (20 mice per group). Group 1 is kept as the control group, Group 2 receives intraperitoneal injection of by 2.5 × 10⁶ Ehrlich ascites carcinoma cell, Group 3 is treated orally with Chlorambucil 0.2 mg/kg body weight, Group 4 is treated orally with levamisole (5 mg/kg body weight) and Group 5 is treated orally with a combination of Chlorambucil and levamisole each day, using a bent stainless steel stomach tube\[2\].

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### CUSTOMER VALIDATION
- Mol Biol Cell. 2023 Mar 29;mbcE22110518.

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### REFERENCES