Tamoxifen Citrate

Cat. No.: HY-13757
CAS No.: 54965-24-1
Molecular Formula: C₃₂H₃₇NO₈
Molecular Weight: 563.64
Target: Estrogen Receptor/ERR; HSP; Autophagy; Apoptosis
Pathway: Others; Cell Cycle/DNA Damage; Metabolic Enzyme/Protease; Autophagy; Apoptosis
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 : 250 mg/mL (443.55 mM; Need ultrasonic)
H₂O : < 0.1 mg/mL (insoluble)

Preparation of Stock Solutions

<table>
<thead>
<tr>
<th>Solvent Concentration</th>
<th>Mass 1 mg</th>
<th>Mass 5 mg</th>
<th>Mass 10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td>1.7742 mL</td>
<td>8.8709 mL</td>
<td>17.7418 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.3548 mL</td>
<td>1.7742 mL</td>
<td>3.5484 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.1774 mL</td>
<td>0.8871 mL</td>
<td>1.7742 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: ≥ 2.08 mg/mL (3.69 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (3.69 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.69 mM); Clear solution

BIOLOGICAL ACTIVITY

Description
Tamoxifen Citrate (ICI 46474) is an orally active, selective estrogen receptor modulator (SERM) which blocks estrogen action in breast cells and can activate estrogen activity in other cells, such as bone, liver, and uterine cells[1][2][3]. Tamoxifen Citrate is a potent Hsp90 activator and enhances the Hsp90 molecular chaperone ATPase activity. Tamoxifen Citrate also potent inhibits infectious EBOV Zaire and Marburg (MARV) with IC₅₀ of 0.1 µM and 1.8 µM.
respectively\(^5\). Tamoxifen Citrate activates autophagy and induces apoptosis\(^4\).

<table>
<thead>
<tr>
<th>IC(_{50}) &amp; Target</th>
<th>Estrogen receptor</th>
<th>HSP90</th>
</tr>
</thead>
</table>

**In Vitro**
Tamoxifen Citrate (ICI 46474) shows strong inhibition of MCF-7 cells (EC\(_{50}=1.41\) μM) and to a lesser extent the T47D cells (EC\(_{50}=2.5\) μM) but does not affect the MDA-MB-231 cells\(^2\).

**In Vivo**
The Tamoxifen Citrate-inducible gene knockout strategy has clear advantages in that expression of a gene can be ablated in adult mice at will in a tissue specific manner. To study the role of Med1 in adult heart, 7-week old TmcsMed1\(^{-/-}\) mice are given a daily intraperitoneal injection of Tamoxifen Citrate at a dose of 65 mg/kg for 5 days and killed at selected intervals thereafter. qPCR analysis of RNA shows that the Med1 expression begin to decrease after 3 days of Tamoxifen Citrate injection (about 70% decrease), and by 5 days of injection, Med1 expression is almost non-detectable in the heart. Tamoxifen Citrate-inducible cardiac-specific disruption of Med1 (TmcsMed1\(^{-/-}\)) in adult mice causes dilated cardiomyopathy\(^3\).

**PROTOCOL**

**Animal Administration**\(^3\)

Mice\(^3\)

Seven-week old TmcsMed1\(^{-/-}\) mice and the wild-type littermates are then administered Tamoxifen intraperitoneally at a daily dose of 65 mg/kg body weight for 5 days and then killed at selected intervals after initiation of Tamoxifen treatment. For each experiment 3 to 5 mice for control and csMed1\(^{-/-}\) are used. To obtain survival curve 41 csMed1\(^{-/-}\) and 41 csMed1\(^{fl/fl}\) mice are used. Thirteen TmcsMed\(^{-/-}\) mice and the same number of littermates are used for the survival curve experiments using Tamoxifen inducible model.

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

**CUSTOMER VALIDATION**

- Biomacromolecules. 2015 Sep 14;16(9):2701-14.

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**REFERENCES**


