Gestrinone

Cat. No.: HY-101405
CAS No.: 16320-04-0
Molecular Formula: C₂₁H₂₄O₂
Molecular Weight: 308.41
Target: Estrogen Receptor/ERR
Pathway: Others
Storage: Powder -20°C 3 years
          In solvent -80°C 6 months
          -20°C 1 month

SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 50 mg/mL (162.12 mM)
H₂O : < 0.1 mg/mL (insoluble)

* "≥" means soluble, but saturation unknown.

<table>
<thead>
<tr>
<th>Preparing Stock Solutions</th>
<th>Solvent Concentration</th>
<th>Mass Solvent Concentration</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>1 mg</td>
<td>5 mg</td>
</tr>
<tr>
<td>1 mM</td>
<td>3.2424 mL</td>
<td>16.2122 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.6485 mL</td>
<td>3.2424 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.3242 mL</td>
<td>1.6212 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 (8.11 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (8.11 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (8.11 mM); Clear solution

BIOLOGICAL ACTIVITY

Description
Gestrinone (R2323) is a synthetic steroid hormone used to treat endometriosis. It inhibits leiomyoma cells with an IC₅₀ of 43.67 μM.

IC₅₀ & Target
IC₅₀: 43.67 μM (leiomyoma cells)[²]
### In Vitro

Gestrinone binds to endometrial receptors for estrogen, progesterone and androgen, occupies all specific binding sites of steroids in the steroid target cells despite the presence of endogenous steroids\(^1\). Gestrinone exhibits stronger inhibitory effects on the growth of leiomyoma cells at 60 h than that at 20 and 40 h. Leiomyoma cells appears less dense, the cytoplasm is atrophic, the intercellular connections dwindled and nuclear aggregations are observed with more than 10 μM gestrinone treatment. Gestrinone treatment reduces the relative mRNA levels of estrogen α in a concentration dependent manner at concentrations of 0.1-3.0 μM\(^2\).

### In Vivo

The estrogen-sensitive endpoints, vaginal keratinization and uterine progesterone receptor concentration, are enhanced by treatment with a combination of flutamide and either danazol or gestrinone. These data indicate that danazol and gestrinone have estrogenic activity that is masked by the androgenic component of these drugs\(^3\). The mean hormone binding globulin treated with gestrinone fell from 56.4 nM to 28.1 nM after one week's treatment and to 7.1 nM after 4 weeks respectively\(^4\).

### PROTOCOL

#### Cell Assay \(^2\)

Gestrinone is dissolved in DMSO and diluted in cell culture media. The final concentration of DMSO in the culture media is 0.5%. The cells are cultured in 96-well plates and treated with DMSO or graded concentrations of gestrinone (0.1, 0.5, 1.0, 5.0, 10, 50 or 100 μM) for 20, 40 and 60 h. The absorbance (OD) at 450 nm is read to determine the cell viability in each well\(^2\). MCE has not independently confirmed the accuracy of these methods. They are for reference only.

### REFERENCES


