**Ospemifene**

**Cat. No.:** HY-B0723  
**CAS No.:** 128607-22-7  
**Molecular Formula:** C₂₄H₂₃ClO₂  
**Molecular Weight:** 378.89  
**Target:** Estrogen Receptor/ERR  
**Pathway:** Others  
**Storage:** Powder  
-20°C  3 years  
4°C  2 years  
In solvent  
-80°C  6 months  
-20°C  1 month  

**SOLVENT & SOLUBILITY**

<table>
<thead>
<tr>
<th>Concentration</th>
<th>Solvent</th>
<th>Mass</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td></td>
<td>2.6393 mL</td>
<td>13.1964 mL</td>
<td>26.3929 mL</td>
<td></td>
</tr>
<tr>
<td>5 mM</td>
<td></td>
<td>0.5279 mL</td>
<td>2.6393 mL</td>
<td>5.2786 mL</td>
<td></td>
</tr>
<tr>
<td>10 mM</td>
<td></td>
<td>0.2639 mL</td>
<td>1.3196 mL</td>
<td>2.6393 mL</td>
<td></td>
</tr>
</tbody>
</table>

*“≥” means soluble, but saturation unknown.*

Please refer to the solubility information to select the appropriate solvent.

**BIOLOGICAL ACTIVITY**

**Description**

Ospemifene is a selective estrogen for the prevention of postmenopausal osteoporosis with IC₅₀ values of 827nM and 1633nM for ERα and ERβ, respectively. Target: ERα and ERβ IC₅₀: 827 and 1633 nm for ERα and -β, respectively[1]

**In vitro:** The estrogen-dependent MCF-7 human breast cancer cells were used as a model for studies on the effects of Ospemifene on breast cancer cells. The addition of the compound at concentrations of 0.1 nm to 10 μm did not cause a significant increase in MCF-7 cell growth in vitro when studied by measuring ATP or 3-[4,5-dimethylthiazol-2-yl][2,5-diphenyltetrazolium bromide levels, cell numbers, and rate of [3H]thymidine incorporation during a 7-day culture period. On the other hand, the compound did not inhibit the growth stimulation caused by 1 nm estradiol, except at a concentration 10 nm by only 30%. Similar results were obtained with ZR 75–1 cells, another estrogen-dependent human breast cancer cell line. The cytotoxicity of FC1271a at high concentrations was therefore markedly lower than that for TAM, TOR, or RAL[1]. In ER+ MCF-7 cells, TOR VI and FC-1271a exhibited anti-estrogenic activity. The anti-estrogenic effects of these compounds were less potent as anti-estrogens when compared with TOR and RAL[2].

**In vivo:** In the DMBA rat mammary carcinoma model, Ospemifene showed a clear antitumor effect that seemed to be caused primarily by a decrease in the appearance of new tumors but also by a retardation of tumor growth.
progression without stimulating the growth of human breast cancer cells.[1] Tumor growth was shown to be inhibited at these doses, indicating anti-estrogenic activity at all doses including 50 and 100 mg/kg Ospemifene. By the end of treatment, MCF-7 tumors in Ospemifene treated mice were statistically smaller compared with control tumors.[2]

REFERENCES
