Catalog Number: 156738, 156739
Tamoxifen

Structure:

![Structure of Tamoxifen](image)

**Free Base**

- Molecular Formula: C_{26}H_{29}NO
- Molecular Weight: 371.5
- CAS #: 10540-29-1

**Citrate Salt**

- Molecular Formula: C_{26}H_{29}NO·C_{6}H_{8}O_{7}
- Molecular Weight: 563.6
- CAS #: 54965-24-1

**Synonyms:** [Z]-1-[p-Dimethylaminoethoxyphenyl]-1,2-diphenyl-1-butene; (Z)-2-[4-(1,2-Diphenyl-1-butenyl)phenoxy]-N,N-dimethylethanamine; ICI 47699; trans-Tamoxifen; Z-Tamoxifen; (Z)-2-(p-(1,2-Diphenyl-1-butenyl)phenoxy)-N,N-dimethylethylamine; trans-1-(p-b-Dimethylaminoethoxyphenyl)-1,2-diphenylbut-1-ene citrate

**Physical Description:** White fine, crystalline powder

**pKa:** approximately 8.85; approximately 6.9 (in Triton® X-100)

**Stability:** Tamoxifen is hygroscopic at high relative humidities and is sensitive to UV light. It is recommended to store the products in the dark.

**Solubility:**

**Free Base:** Practically insoluble in water (< 0.01% @ 20°C); soluble in methanol, ethanol, 2-propanol, propylene glycol, chloroform (50 mg/ml - clear, colorless to faint yellow solution) or DMSO. Solutions are sensitive to UV light. Photolysis products are the E isomer and the phenanthrenes formed by cyclization of both isomers.

**Citrate Salt:** Soluble in methanol (50 mg/ml with heat) or ethanol (10 mg/ml with sonication); very slightly soluble in water (0.3 mg/L @ 20°C; the pH is approximately 3.0-3.5), 0.02 N HCl (0.2 mg/ml @ 37°C), acetone or chloroform. A 4.0 mM solution in DMSO can be prepared. Solutions are sensitive to UV light. Photolysis products are the E isomer and the phenanthrenes formed by cyclization of both isomers.

**Description:** Protein kinase C inhibitor (IC_{50} = 50-200 uM depending on assay conditions in MCF-7 cells or IC_{50} = 100 uM in other cells).
in rat brain\textsuperscript{26}). The PKC inhibition is also dependent on the phospholipid concentration. Also inhibits both calmodulin-dependent and calmodulin-independent Ca\textsuperscript{2+}, Mg\textsuperscript{2+}-ATPase.

Induces apoptosis in human malignant glioma cell lines. Tamoxifen and its metabolite 4-hydroxytamoxifen are mixed estrogen agonists/antagonists.

Tamoxifen has been shown to protect bone from estrogen-deficiency bone loss and lower plasma cholesterol in the rat.\textsuperscript{15} A 10 \textmu M solution has exhibited fungicidal activity (optimal pH 7.5) against yeast cells of \textit{C. albicans}.\textsuperscript{7} It has been implemented in liver carcinogenesis in rats.\textsuperscript{16} A possible mechanism for the DNA adduct formation leading to carcinogenesis was reported.\textsuperscript{22} 100 nM solutions combined with vinblastine are cytotoxic to both rat prostate adenocarcinoma cell line and human prostate cancer cells.\textsuperscript{27} Flow cytometric analysis of DNA content and BrdU (5-bromo-2'-deoxyuridine) labeling in MCF-7 (estrogen-responsive human clonal breast cancer cell line) cells have shown that the effect of tamoxifen on the growth of estrogen-dependent cells in culture may be due to accumulation of cells in G1 phase (before onset of S-phase) and the exit of some cells from the cell cycle compartment in the cell cycle progress.\textsuperscript{11} The mechanism of tamoxifen action may involve interactions in the signaling transduction pathway: tamoxifen is a competitive inhibitor of calmodulin-stimulated phosphodiesterase activity; molecular interactions between tamoxifen and calmodulin were reported.\textsuperscript{13}

Other actions of tamoxifen are:

– Reduction of plasma levels of insulin-like growth factor;
– Induction of cells surrounding cancer cells to secrete transforming growth factor b;
– Inhibition of membrane lipid peroxidation probably by decreasing membrane fluidity.\textsuperscript{33}

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Available:

References:

– Merck Index 12th Ed., No. 9216.