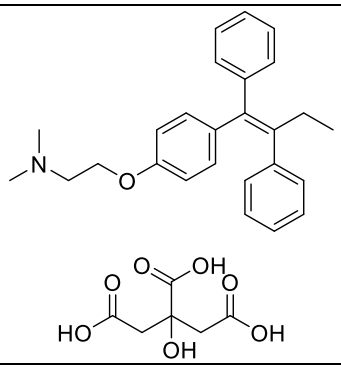
Product data sheet

MedKoo Cat#: 100800 Name: Tamoxifen citrate CAS#: 54965-24-1 (citrate) Chemical Formula: C32H37NO8 Molecular Weight: 563.65	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
Tamoxifen, also known as ICI 46474, is an antagonist of the estrogen receptor in breast tissue via its active metabolite, 4-hydroxytamoxifen. In other tissues such as the endometrium, it behaves as an agonist, and thus may be characterized as a selective estrogen-receptor modulator. Tamoxifen is the usual endocrine (anti-estrogen) therapy for hormone receptor-positive breast cancer in pre-menopausal women, and is also a standard in post-menopausal women although aromatase inhibitors are also frequently used in that setting.

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	50.0	88.7
Ethanol	10.0	17.7

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	**1 mg**	**5 mg**	**10 mg**
1 mM	1.77 mL	8.87 mL	17.74 mL
5 mM	0.35 mL	1.77 mL	3.55 mL
10 mM	0.18 mL	0.89 mL	1.77 mL
50 mM	0.04 mL	0.18 mL	0.35 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study
In vitro study

1. Hawariah A, Stanslas J. In vitro response of human breast cancer cell lines to the growth-inhibitory effects of styrylpyrone derivative (SPD) and assessment of its antiestrogenicity. Anticancer Res. 1998 Nov-Dec;18(6A):4383-6. PMID: 9891496.
2. Yu J, Astrinidis A, Howard S, Henske EP. Estradiol and tamoxifen stimulate LAM-associated angiomyolipoma cell growth and activate both genomic and nongenomic signaling pathways. Am J Physiol Lung Cell Mol Physiol. 2004 Apr;286(4):L694-700. doi: 10.1152/ajplung.00204.2003. Epub 2003 Aug 15. PMID: 12922981.

In vivo study

1. Aleem M, Padwal V, Choudhari J, Balasinor N, Parte P, Gill-Sharma M. Effects of tamoxifen citrate on gene expression during nuclear chromatin condensation in male rats. Asian J Androl. 2005 Sep;7(3):311-21. doi: 10.1111/j.1745-7262.2005.00027.x. PMID: 16110360.
2. Karaca T, Gözalan AU, Yoldaş Ö, Bilgin BÇ, Tezer A. Effects of tamoxifen citrate on postoperative intra-abdominal adhesion in a rat model. Int J Surg. 2013;11(1):68-72. doi: 10.1016/j.ijsu.2012.11.015. Epub 2012 Dec 2. PMID: 23211136.

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7. Bioactivity

Biological target:

Tamoxifen Citrate (ICI 46474) is a selective estrogen receptor modulator (SERM), potent Hsp90 activator, and inhibits infectious EBOV Zaire and Marburg (MARV) with IC50 of 0.1 μM and 1.8 μM, respectively.

In vitro activity

The effects of estrogen on LAM or angiomyolipoma cell growth have not been previously studied in vitro. The development of a primary cell culture from a LAM-associated renal angiomyolipoma has been reported here. The growth of the angiomyolipoma cells was stimulated by tamoxifen citrate (Fig. 3B). Tamoxifen citrate at 0.2 μM stimulated cultured cell growth by approximately threefold relative to the vehicle control level at 6 days ($P < 0.05$). These results indicate that tamoxifen acts as an estrogen agonist in these angiomyolipoma cells, in contrast to tamoxifen's estrogen antagonist action in Eker rat-derived ELT3 cells. Tamoxifen citrate also increased p44/42 MAPK phosphorylation at 15-, 30-, 45-, and 60-min time points (Fig. 4B), suggesting that tamoxifen and estradiol are signaling through common cellular pathways. This is consistent with the hypothesis that tamoxifen acts as an estrogen agonist in angiomyolipoma cells. After tamoxifen citrate treatment, increased expression of c-myc was also seen at 8 h in the angiomyolipoma cells, again without a change in cyclin D1 (Fig. 5B). It has been reported here that cells derived from a sporadic LAM-associated angiomyolipoma grew in response to tamoxifen citrate. This data indicates that tamoxifen citrate stimulates both genomic, transcriptional responses (increased expression of c-myc) and nongenomic, cytoplasmic responses (rapid activation of p44/42 MAPK) in cultured angiomyolipoma cells.

Reference: Am J Physiol Lung Cell Mol Physiol. 2004 Apr;286(4):L694-700. https://pubmed.ncbi.nlm.nih.gov/12922981/

In vivo activity

The aim of this study was to evaluate the effects of tamoxifen citrate on gene expression during nuclear chromatin condensation in male rats. The effects of an oral dose of 0.4 kg/(kg.d) tamoxifen citrate on rates of in vitro chromatin decondensation, acridine orange (AO) dye uptake, concentration of thiol-groups, levels and/or expression of transition proteins 1, 2 (TP1, TP2), protamine 1 (P1), cyclic AMP response element modulator-tau (CREMtau), androgen-binding protein (ABP) and cyclic adenosine 3',5' monophosphate (cAMP) were evaluated after 60 days of exposure in adult male rats. Controls received the vehicle. Tamoxifen citrate enhanced the rates of chromatin decondensation, increased AO dye uptake and reduced free thiols in caput epididymal sperms and reduced the levels of TP1, TP2, P1, and CREMtau in the testis, while cAMP was unaffected. P1 deposition was absent in the sperm. The transcripts of TP1, TP2 were increased, of P1 and ABP decreased, while those of CREMtau unaffected in the testis. Tamoxifen citrate reduced caput epididymal sperm chromatin compaction by reducing the testicular levels of proteins TP1, TP2 and P1 and the CREMtau involved in chromatin condensation during spermiogenesis. Tamoxifen citrate affects the expression of these genes at both the transcriptional and post-transcriptional levels.

Reference: Asian J Androl. 2005 Sep;7(3):311-21. https://pubmed.ncbi.nlm.nih.gov/16110360/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.