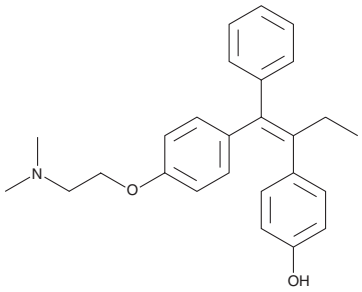
PRODUCT INFORMATION

4'-hydroxy Tamoxifen

Item No. 19519

CAS Registry No.:	82413-23-8
Formal Name:	4-[(1Z)-1-[[4-[2-(dimethylamino)ethoxy]phenyl] phenylmethylene]propyl]-phenol
MF:	$C_{26}H_{29}NO_2$
FW:	387.5
Purity:	≥98% (may contain up to 10% of the (E) isomer)
UV/Vis.:	λ_{max}: 241, 288 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

4'-hydroxy Tamoxifen is supplied as a crystalline solid. A stock solution may be made by dissolving the 4'-hydroxy tamoxifen in the solvent of choice. 4'-hydroxy Tamoxifen is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of 4'-hydroxy tamoxifen in ethanol and DMF is approximately 20 mg/ml and approximately 2 mg/ml in DMSO.

4'-hydroxy Tamoxifen is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, 4'-hydroxy tamoxifen should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. 4'-hydroxy Tamoxifen has a solubility of approximately 0.3 mg/ml in a 1:2 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

4'-hydroxy Tamoxifen is an estrogen receptor (ER) antagonist (IC_{50} = 2.4 nM for the rabbit receptor) and active metabolite of tamoxifen (Item Nos. 13258 | 11629).[1,2] It is formed from tamoxifen by the cytochrome P450 (CYP) isoforms CYP2D6, CYP3A4, CYP2B6, and CYP2C19.[2] 4'-hydroxy Tamoxifen inhibits the proliferation of MCF-7 and MDA-MB-231 breast cancer cells (IC_{50}s = 27 and 16 µM, respectively).[3] It reduces the survival percentage of HEC-1A and HEC-1B endometrial cancer cells when used at concentrations of 10 and 100 nM.[4] Administration of liposomes encapsulating 4'-hydroxy tamoxifen (4 mg/kg twice per week) reduces tumor growth in an RPMI-8226 multiple myeloma mouse xenograft model.[5]

References

1. Löser, R., Seibel, K., Roos, W., *et al*. *In vivo* and *in vitro* antiestrogenic action of 3-hydroxytamoxifen, tamoxifen and 4-hydroxytamoxifen. *Eur. J. Cancer Clin. Oncol.* **21(8)**, 985-990 (1985).
2. Crewe, H.K., Notley, L.M., Wunsch, R.M., *et al*. Metabolism of tamoxifen by recombinant human cytochrome P450 enzymes: Formation of the 4-hydroxy, 4'-hydroxy and N-desmethyl metabolites and isomerization of trans-4-hydroxytamoxifen. *Drug Metab. Dispos.* **30(8)**, 869-874 (2002).
3. Seeger, H., Huober, J., Wallwiener, D., *et al*. Inhibition of human breast cancer cell proliferation with estradiol metabolites is as effective as with tamoxifen. *Horm. Metab. Res.* **36(5)**, 277-280 (2004).
4. Cuevas, M.E. and Lindeman, T.E. *In vitro* cytotoxicity of 4'-OH-tamoxifen and estradiol in human endometrial adenocarcinoma cells HEC-1A and HEC-1B. *Oncol. Rep.* **33(1)**, 464-470 (2016).
5. Urbinati, G., Audisio, D., Marsaud, V., *et al*. Therapeutic potential of new 4-hydroxy-tamoxifen-loaded pH-gradient liposomes in a multiple myeloma experimental model. *Pharm. Res.* **27(2)**, 327-339 (2010).

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