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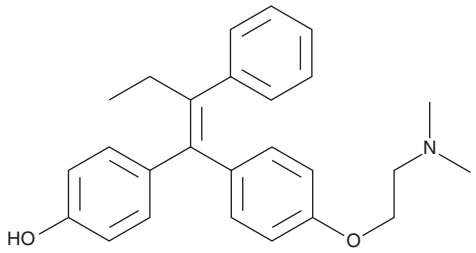
PRODUCT INFORMATION

(Z)-4-hydroxy Tamoxifen

Item No. 14854

CAS Registry No.:	68047-06-3
Formal Name:	4-[(1Z)-1-[4-[2-(dimethylamino)ethoxy] phenyl]-2-phenyl-1-buten-1-yl]-phenol
Synonyms:	ICI 79280, *trans*-4-hydroxy Tamoxifen
MF:	$C_{26}H_{29}NO_2$
FW:	387.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 246, 287 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

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

(Z)-4-hydroxy Tamoxifen is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, (Z)-4-hydroxy tamoxifen should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. (Z)-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

(Z)-4-hydroxy Tamoxifen is an active metabolite of the estrogen receptor (ER) modulator tamoxifen (Item No. 13258).[1] It is primarily formed from tamoxifen by the cytochrome P450 (CYP) isoforms CYP2D6 and CYP2B6.[2] (Z)-4-hydroxy Tamoxifen (10 nM) decreases progesterone receptor (PR) mRNA expression induced by the estrogen steroid 17β-estradiol (Item No. 10006315) in MCF-7 breast cancer cells.[3] Liposomal administration of (Z)-4-hydroxy tamoxifen (4 mg/kg twice per week) reduces tumor growth in an RPMI-8226 multiple myeloma mouse xenograft model.[4]

References

1. 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).
2. Desta, Z., Ward, B.A., Soukhova, N.V., *et al.* Comprehensive evaluation of tamoxifen sequential biotransformation by the human cytochrome P450 system in vitro: Prominent roles for CYP3A and CYP2D6. *J. Pharmacol. Exp. Ther.* **310(3)**, 1062-1075 (2004).
3. Y.C., L., Desta, Z., Flockhart, D.A., *et al.* Endoxifen (4-hydroxy-N-desmethyl-tamoxifen) has anti-estrogenic effects in breast cancer cells with potency similar to 4-hydroxy-tamoxifen. *Cancer Chemother. Pharmacol.* **55(5),** 471-478 (2005).
4. 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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