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	HO' V '0'
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).

WARNING THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFFTY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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