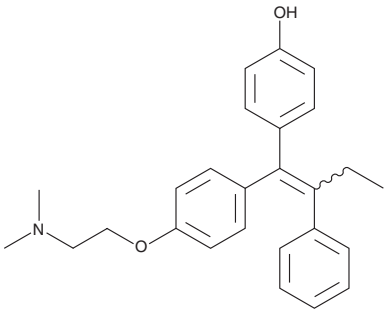
PRODUCT INFORMATION

(E/Z)-4-hydroxy Tamoxifen

Item No. 17308



CAS Registry No.:	68392-35-8
Formal Name:	4-[1-[4-[2-(dimethylamino)ethoxy]phenyl]-2-phenyl-1-buten-1-yl]-phenol
Synonyms:	Afimoxifene, 4-OHT, 4-hydroxy Tamoxifen
MF:	$C_{26}H_{29}NO_2$
FW:	387.5
Purity:	≥98% (E) and (Z) isomers (50:50)
UV/Vis.:	λ_{max}: 205, 246, 287 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	As supplied, 2 years from the QC date provided on the Certificate of Analysis, when stored properly

Laboratory Procedures

(E/Z)-4-hydroxy Tamoxifen is supplied as a crystalline solid. A stock solution may be made by dissolving the (E/Z)-4-hydroxy tamoxifen in the solvent of choice. (E/Z)-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 (E/Z)-4-hydroxy tamoxifen in ethanol and DMF is approximately 20 mg/ml and approximately 2 mg/ml in DMSO.

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

Tamoxifen (Item No. 13258) is a selective estrogen receptor (ER) modulator that is widely used in the therapeutic and chemopreventive treatment of breast cancer. Although it is an antagonist of ER action in breast tissue, it acts as an ER agonist in bone and blood vessels and a partial ER agonist in uterine tissues. (E/Z)-4-hydroxy Tamoxifen is an active metabolite of tamoxifen that is formed by the action of cytochrome P450 2D6 in human liver.[1] It exhibits more potent estrogen agonist/antagonist activity than its parent compound and can inhibit MCF-7 and MDA-MB-231 cell proliferation with IC_{50} values of 27 and 18 µM, respectively.[2] (E/Z)-4-hydroxy Tamoxifen has been used to stimulate LC3 lipidation and formation of autophagic vesicles in a superoxide-dependent manner.[3]

References

1. Desta, Z., Ward, B.A., Soukhova, N.V., *et al. J. Pharmacol. Exp. Ther.* **310(3)**, 1062-1075 (2004).
2. Seeger, H., Huober, J., Wallwiener, D., *et al. Horm. Metab. Res.* **36(5)**, 277-280 (2004).
3. Duan, L., Danzer, B., Levenson, V.V., *et al. Cancer Lett.* **353(2)**, 290-300 (2014).

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