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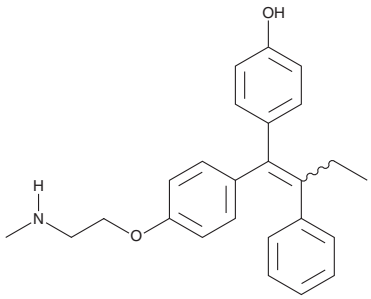
PRODUCT INFORMATION

(E/Z)-Endoxifen

Item No. 21502

CAS Registry No.:	110025-28-0
Formal Name:	4-[1-[4-[2-(methylamino)ethoxy]phenyl]-2-phenyl-1-buten-1-yl]-phenol
Synonym:	(E/Z)-N-desmethyl-4-hydroxy Tamoxifen
MF:	$C_{25}H_{27}NO_2$
FW:	373.5
Purity:	≥98% (mixture of isomers)
UV/Vis.:	λ_{max}: 244, 286 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

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

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

(E/Z)-Endoxifen is a mixture of (E)-endoxifen and (Z)-endoxifen.[1] (Z)-Endoxifen is an active metabolite of the estrogen receptor antagonist tamoxifen (Item Nos. 13258 | 11629) and is formed from tamoxifen primarily by the cytochrome P450 (CYP) isoform CYP2D6 *via* an N-desmethyltamoxifen (Item No. 40673) intermediate.[2] (Z)-Endoxifen (100 nM) inhibits 17β-estradiol-induced increases in mRNA encoding the progesterone receptor in MCF-7 breast cancer cells.[3] (E)-Endoxifen is a potential impurity in commercial preparations of (Z)-endoxifen.[4]

References

1. Brauch, H., Mürdter, T.E., Eichelbaum, M., *et al.* Pharmacogenomics of tamoxifen therapy. *Clin. Chem.* **55(10)**, 1770-1782 (2009).
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. Elkins, P., Coleman, D., Burgess, J., *et al.* Characterization of the isomeric configuration and impurities of (Z)-endoxifen by 2D NMR, high resolution LC–MS, and quantitative HPLC analysis. *J. Pharm. Biomed. Anal.* **88**, 174-179 (2014).

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