

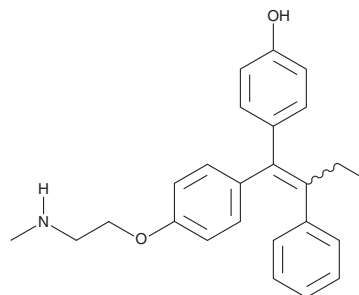
# PRODUCT INFORMATION



## (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<sub>25</sub>H<sub>27</sub>NO<sub>2</sub>  
**FW:** 373.5  
**Purity:** ≥98% (mixture of isomers)  
**UV/Vis.:** λ<sub>max</sub>: 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.<sup>1</sup> (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.<sup>2</sup> (Z)-Endoxifen (100 nM) inhibits 17β-estradiol-induced increases in mRNA encoding the progesterone receptor in MCF-7 breast cancer cells.<sup>3</sup> (E)-Endoxifen is a potential impurity in commercial preparations of (Z)-endoxifen.<sup>4</sup>

### 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).

#### WARNING

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

#### SAFETY 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.

#### WARRANTY AND LIMITATION OF REMEDY

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