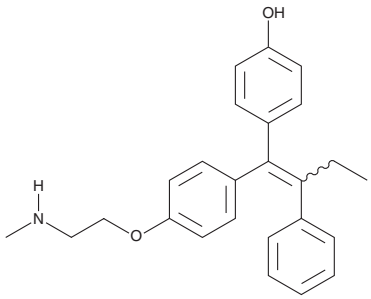
PRODUCT INFORMATION

(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. (E/Z)-Endoxifen 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)-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 an active metabolite of tamoxifen (Item No. 13258) produced by the sequential action of cytochrome P450 (CYP) isoforms, including CYP2D6.[1-3] It is a strong anti-estrogen, as it has an approximately 100-fold greater affinity for estrogen receptors than tamoxifen.[1] As the efficient conversion of tamoxifen to endoxifen depends on CYP2D6, polymorphisms in this CYP isoform can impact the effectiveness of +tamoxifen treatment.[1-3]

References

1. Brauch, H., Mürdter, T.E., Eichelbaum, M., *et al.* Pharmacogenomics of tamoxifen therapy. *Clin. Chem.* **55(10)**, 1770-1782 (2009).
2. Mugundu, G.M., Sallans, L., Guo, Y., *et al*. Assessment of the impact of CYP3A polymorphisms on the formation of α-hydroxytamoxifen and N-desmethyltamoxifen in human liver microsomes. *Drug Metab. Dispos.* **40(2)**, 389-396 (2012).
3. Markopoulos, C., Kykalos, S., and Mantas, D. Impact of CYP2D*6 in the adjuvant treatment of breast cancer patients with tamoxifen. *World J. Clin. Oncol.* **5(3)**, 374-381 (2014).

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