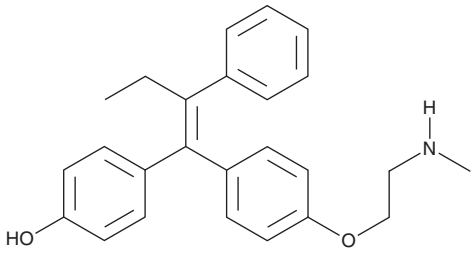
PRODUCT INFORMATION

Endoxifen

Item No. 16022

CAS Registry No.:	112093-28-4
Formal Name:	4-[(1Z)-1-[4-[2-(methylamino)ethoxy]phenyl]-2-phenyl-1-buten-1-yl]-phenol
Synonyms:	N-Desmethyl-4-Hydroxytamoxifen, 4-Hydroxy-N-Desmethyltamoxifen
MF:	$C_{25}H_{27}NO_2$
FW:	373.5
Purity:	≥98%
Stability:	≥2 years at -20°C
Supplied as:	A crystalline solid
UV/Vis.:	λ_{max}: 245, 286 nm



Laboratory Procedures

For long term storage, we suggest that endoxifen be stored as supplied at -20°C. It should be stable for at least two years.

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

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

Tamoxifen (TMX; Item No. 13258) is a selective estrogen receptor (ER) modulator used as adjuvant therapy for estrogen-dependent breast cancer.[1] Endoxifen is an active metabolite of TMX, produced by the sequential action of cytochrome P450 (CYP) isoforms, including CYP2D6.[2-4] It is a strong anti-estrogen, as it has an approximately 100-fold greater affinity for ERs than TMX.[2] As the efficient conversion of TMX to endoxifen depends on CYP2D6, polymorphisms in this CYP isoform can impact the effectiveness of TMX treatment.[2-4]

References

1. Clarke, M., Collins, R., Davies, C., *et al.* Tamoxifen for early breast cancer: An overview of the randomised trials. *Lancet* **351**, 1451-1467 (1998).
2. Brauch, H., Mürdter, T.E., Eichelbaum, M., *et al.* Pharmacogenomics of tamoxifen therapy. *Clin. Chem.* **55(10)**, 1770-1782 (2009).
3. 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).
4. 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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