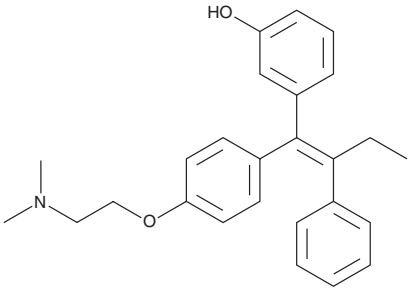
PRODUCT INFORMATION

(E/Z)-Droloxifene

Item No. 33406

CAS Registry No.:	165813-01-4
Formal Name:	3-[1-[4-[2-(dimethylamino)ethoxy] phenyl]-2-phenyl-1-buten-1-yl]-phenol
Synonym:	3-Hydroxytamoxifen
MF:	$C_{26}H_{29}NO_2$
FW:	387.5
Purity:	≥98% (mixture of isomers)
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)-Droloxifene is supplied as a crystalline solid. A stock solution may be made by dissolving the (E/Z)-droloxifene in the solvent of choice, which should be purged with an inert gas. (E/Z)-Droloxifene is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of (E/Z)-droloxifene in these solvents is approximately 1, 15, and 30 mg/ml, respectively.

(E/Z)-Droloxifene is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, (E/Z)-droloxifene should first be dissolved in DMF and then diluted with the aqueous buffer of choice. (E/Z)-Droloxifene has a solubility of approximately 0.25 mg/ml in a 1:3 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

(E/Z)-Droloxifene is a mixture of (E)-droloxifene, a selective estrogen receptor modulator (SERM), and (Z)-droloxifene.[1] (E)-Droloxifene binds to the estrogen receptor (ER) in rabbit uterine homogenates (IC_{50} = 24 nM in a radioligand binding assay), increases uterine weight in immature rats, and reduces estradiol-induced increases in uterine weight in juvenile rats.[1-3] It also inhibits 17β-estradiol-stimulated growth of MCF-7, ZR-75-1, and T47D human breast cancer cells in a concentration-dependent manner.[4] (Z)-Droloxifene binds weakly to ERs and has no estrogenic or antiestrogenic activity.[5]

References

1. Robertson, J.F.R. Selective oestrogen receptor modulators/new antioestrogens: A clinical perspective. *Cancer Treat. Rev.* **30(8)**, 695-706 (2004).
2. Löser, R., Seibel, K., Roos, W., *et al. In vivo* and *in vitro* antiestrogenic action of 3-hydroxytamoxifen, tamoxifen and 4-hydroxytamoxifen. *Eur. J. Cancer Clin. Oncol.* **21(8)**, 985-990 (1985).
3. Hasmann, M., Rattel, B., and Löser, R. Preclinical data for droloxifene. *Cancer Lett.* **84(2)**, 101-116 (1994).
4. Kawamura, I., Mizota, T., Lacey, E., *et al.* The estrogenic and antiestrogenic activities of droloxifene in human breast cancers. *Jpn. J. Pharmacol.* **63(1)**, 27-34 (1993).
5. Löser, R., Seibel, K., and Huber, H.J. Pharmacological activities of droloxifene isomers. *Anticancer Res.* **8(6)**, 1271-1274 (1988).

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