

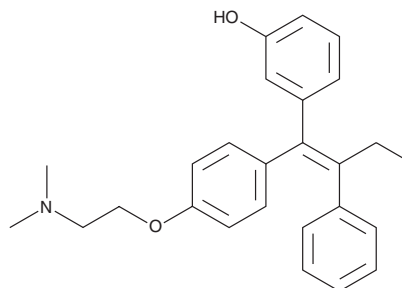
PRODUCT INFORMATION



(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: C₂₆H₂₉NO₂
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 (IC₅₀ = 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

- Robertson, J.F.R. Selective oestrogen receptor modulators/new antioestrogens: A clinical perspective. *Cancer Treat. Rev.* **30(8)**, 695-706 (2004).
- 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).
- Hasmann, M., Rattel, B., and Löser, R. Preclinical data for droloxifene. *Cancer Lett.* **84(2)**, 101-116 (1994).
- 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).
- Löser, R., Seibel, K., and Huber, H.J. Pharmacological activities of droloxifene isomers. *Anticancer Res.* **8(6)**, 1271-1274 (1988).

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.

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CAYMAN CHEMICAL

1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA

PHONE: [800] 364-9897
[734] 971-3335

FAX: [734] 971-3640

CUSTSERV@CAYMANCHEM.COM
WWW.CAYMANCHEM.COM