



# SZABO SCANDIC

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## Produktinformation



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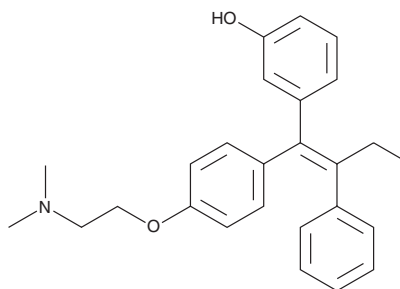
# PRODUCT INFORMATION



## Droloxifene

Item No. 33406

**CAS Registry No.:** 82413-20-5  
**Formal Name:** 3-[(1E)-1-[4-[2-(dimethylamino)ethoxy]phenyl]-2-phenyl-1-buten-1-yl]-phenol  
**Synonyms:** FK-435, 3-Hydroxytamoxifen, K-060-E  
**MF:** C<sub>26</sub>H<sub>29</sub>NO<sub>2</sub>  
**FW:** 387.5  
**Purity:** ≥98%  
**Supplied as:** A crystalline solid  
**Storage:** -20°C  
**Stability:** ≥2 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

### Laboratory Procedures

Droloxifene is supplied as a crystalline solid. A stock solution may be made by dissolving the droloxifene in the solvent of choice, which should be purged with an inert gas. Droloxifene is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of droloxifene in these solvents is approximately 1, 15, and 30 mg/ml, respectively.

Droloxifene is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, droloxifene should first be dissolved in DMF and then diluted with the aqueous buffer of choice. 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

Droloxifene is a non-steroidal selective estrogen receptor modulator (SERM) and derivative of tamoxifen (Item No. 13258).<sup>1</sup> Droloxifene binds to the estrogen receptor (ER) in rabbit uterine homogenates (IC<sub>50</sub> = 24 nM in a radioligand binding assay) and inhibits expression of an estrogen-dependent luciferase reporter induced by 17β-estradiol (Item No. 10006315; IC<sub>50</sub> = 49.8 nM).<sup>2,3</sup> It inhibits 17β-estradiol-stimulated growth of MCF-7, ZR-75-1, and T47D human breast cancer cells in a concentration-dependent manner.<sup>4</sup> Droloxifene (10 mg/kg) increases wet uterine weight in mice.<sup>5</sup>

### 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. Shen, E.S., Meade, E.H., Pérez, M.C., et al. Expression of functional estrogen receptors and galanin messenger ribonucleic acid in immortalized luteinizing hormone-releasing hormone neurons: Estrogenic control of galanin gene expression. *Endocrinology* **139(3)**, 939-948 (1998).
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. Greenberger, L.M., Annable, T., Collins, K.I., et al. A new antiestrogen, 2-(4-hydroxy-phenyl)-3-methyl-1-[4-(2-piperidin-1-yl-ethoxy)-benzyl]-1H-indol-5-ol hydrochloride (ERA-923), inhibits the growth of tamoxifen-sensitive and -resistant tumors and is devoid of uterotrophic effects in mice and rats. *Clin. Cancer Res.* **7(10)**, 3166-3177 (2001).

#### 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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