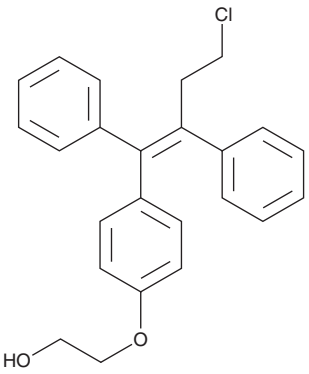
PRODUCT INFORMATION

Ospemifene

Item No. 23755



CAS Registry No.:	128607-22-7
Formal Name:	2-[4-[(1Z)-4-chloro-1,2-diphenyl-1-buten-1-yl]phenoxy]-ethanol
Synonym:	FC-1271a
MF:	$C_{24}H_{23}ClO_2$
FW:	378.9
Purity:	≥98%
UV/Vis.:	λ_{max}: 240, 282 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

Ospemifene is supplied as a crystalline solid. A stock solution may be made by dissolving the ospemifene in the solvent of choice, which should be purged with an inert gas. Ospemifene is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of ospemifene is approximately 1.5 mg/ml in ethanol and approximately 20 mg/ml in DMSO and DMF.

Ospemifene is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, ospemifene should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Ospemifene has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Ospemifene is a non-hormonal selective estrogen receptor modulator (SERM).[1] It binds to estrogen receptor α (ERα) and ERβ (K_is = 380 and 410 nM, respectively). It increases vaginal weight and vaginal epithelial height (ED_{50}s = 0.48 and 0.39 mg/kg per day, respectively) in an ovariectomized rat model of menopause. Ospemifene also increases progesterone receptor protein expression in vaginal epithelium and stroma and inhibits estrogen response element-mediated transactivation induced by 17β-estradiol (Item No. 10006315) in a reporter assay using MCF-7 cells. Formulations containing ospemifene have been used in the treatment of vulvar and vaginal atrophy-induced dyspareunia.

Reference

1. Unkila, M., Kari, S., Yatkin, E., *et al.* Vaginal effects of ospemifene in the ovariectomized rat preclinical model of menopause. *J. Steroid Biochem. Mol. Biol.* **138**, 107-115 (2013).

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