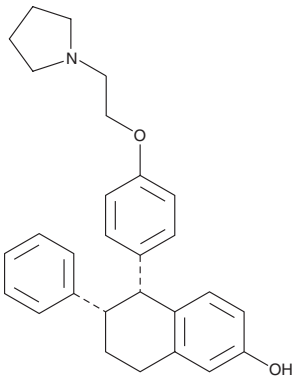
PRODUCT INFORMATION

Lasofoxifene

Item No. 21754



CAS Registry No.: 180916-16-9
Formal Name: (5R,6S)-5,6,7,8-tetrahydro-6-phenyl-5-[4-[2-(1-pyrrolidinyl) ethoxy]phenyl]-2-naphthalenol
Synonym: CP 336,156
MF: $C_{28}H_{31}NO_2$
FW: 413.6
Purity: ≥98%
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

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

Lasofoxifene is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, lasofoxifene should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Lasofoxifene 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

Lasofoxifene is a third-generation, non-steroidal selective estrogen receptor modulator (SERM). It selectively binds to human ERα with an IC_{50} value of 1.5 nM and inhibits bone loss in ovariectomized rats.[1] In clinical studies of postmenopausal osteoporosis, 0.5 mg/day lasofoxifene was associated with reduced risks of nonvertebral and vertebral fractures, ER-positive breast cancer, coronary heart disease, and stroke but an increased risk of venous thromboembolic events.[2,3] Lasofoxifene has also been shown to act as an inverse agonist at the CB_2 cannabinoid receptor, indicating its potential to be repurposed as a therapeutic for indications wherein CB_2 is a target.[4]

References

1. Ke, H. Z., Paralkar, V. M., Grasser, W. A., *et al.* Effects of CP-336,156, a new, nonsteroidal estrogen agonist/antagonist, on bone, serum cholesterol, uterus, and body composition in rat models. *Endocrinology* **139(4)**, 2068-2076 (1998).
2. Cummings, S. R., Ensrud, K., Delmas, P. D., *et al.* Lasofoxifene in postmenopausal women with osteoporosis. *N. Engl. J. Med.* **362(8)**, 686-696 (2010).
3. Gennari, L., Merlotti, D., and Nuti, R. Selective estrogen receptor modulator (SERM) for the treatment of osteoporosis in postmenopausal women: Focus on lasofoxifene. *Clin. Interv. Aging* **5**, 19-29 (2010).
4. Kumar, P. and Song, Z.H. CB_2 cannabinoid receptor is a novel target for third-generation selective estrogen receptor modulators bazedoxifene and lasofoxifene. *Biochem. Bioph. Res. Co.* **443(1)**, 144-149 (2014).

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