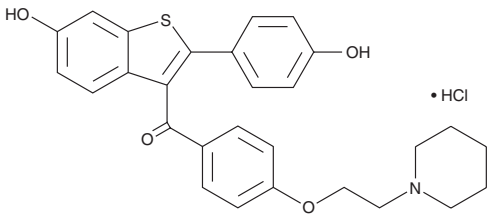
PRODUCT INFORMATION

Raloxifene (hydrochloride)

Item No. 10011620

CAS Registry No.: 82640-04-8
Formal Name: [6-hydroxy-2-(4-hydroxyphenyl) benzo[b]thien-3-yl][4-[2-(1-piperidinyl) ethoxy]phenyl]-methanone, monohydrochloride
Synonyms: Keoxifene, LY156758
MF: $C_{28}H_{27}NO_4S \bullet HCl$
FW: 510.0
Purity: ≥98%
UV/Vis.: λ_{max}: 222, 287 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

Raloxifene (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the raloxifene (hydrochloride) in the solvent of choice, which should be purged with an inert gas. Raloxifene (hydrochloride) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of raloxifene (hydrochloride) in these solvents is approximately 0.1, 15, and 10 mg/ml, respectively.

Raloxifene (hydrochloride) is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, raloxifene (hydrochloride) should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Raloxifene (hydrochloride) has a solubility of approximately 0.3 mg/ml in a 1:2 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Raloxifene is a selective estrogen receptor modulator (SERM) that binds to the estrogen receptor with an IC_{50} value of 0.4 nM.[1] It exhibits estrogenic activity in bone cells without stimulating breast or uterine tissues.[2] Raloxifene guards endothelial cells obtained from rat aortic rings against oxidative insult (1 µM) and lowers serum cholesterol in ovariectomized rodents (ED_{50} = 0.2 mg/kg).[2,3] Raloxifene also inhibits the voltage-gated potassium channel $K_v4.3$ in an estrogen-independent manner (IC_{50} = 2 µM).[4] Formulations containing raloxifene have been shown to reduce bone resorption and promote bone formation in post-menopausal women.[5]

References

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2. Black, L.J., Sato, M., Rowley, E.R., *et al. J. Clin. Invest.* **93(1)**, 63-69 (1994).
3. Wong, C.M., Yung, L.M., Leung, F.P., *et al. Brit. J Pharmacol.* **155(3)**, 326-334 (2008).
4. Chae, Y.J., Kim, D.H., Lee, H.J., *et al. Pflugers Arch.* **467(8)**, 1663-1676 (2015).
5. Özmen, B., Kirmaz, C., Aydin, K., *et al. Eur. Cytokine. Net.* **18(3)**, 148-153 (2007).

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