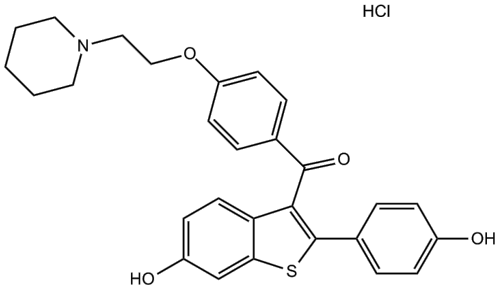
Product Data Sheet

Product Name: Raloxifene HCl
Cat. No.: GC13350

Chemical Properties

Cas. No.	82640-04-8		
Chemical Name	[6-hydroxy-2-(4-hydroxyphenyl)-1-benzothiophen-3-yl]-[4-(2-piperidin-1-ylethoxy)phenyl] methanone;hydrochloride		
SMILES	C1CCN(CC1)CCOC2=CC=C(C=C2)C(=O)C3=C(SC4=C3C=CC(=C4)O)C5=CC=C(C=C5)O.Cl		
Formula	$C_{28}H_{28}ClNO_4S$	M.Wt	510.04
Solubility	≥ 22.35mg/mL in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		

Structure



Background

Raloxifene hydrochloride (LY156758 hydrochloride; LY139481 hydrochloride) is a second generation selective estrogen receptor antagonist.Target: Estrogen receptorApproved: September 14, 2007Raloxifene activates TGF beta 3 promoter as a full agonist at nanomolar concentrations, and raloxifene inhibits the estrogen response element-containing vitellogenin promoter expression as a pure estrogen antagonist in transient transfection assays [1]. Raloxifene, has been demonstrated as a potent uncompetitive inhibitor of human liver aldehyde oxidase-catalyzed oxidation of phthalazine, vanillin, and nicotine-Delta1'(5')-iminium ion, with Ki values of 0.87 nM, 1.2 nM and 1.4 nM. Raloxifene has also been shown to be a noncompetitive inhibitor of an aldehyde oxidase-catalyzed

reduction reaction of a hydroxamic acid-containing compound, with a Ki of 51 nM [2]. Raloxifene (3 mg/kg/day) has potent estrogenic activity on bone resorption and serum cholesterol, a lesser effect on bone formation, and minimal activity on uterine wet weight in ovariectomized (OVX) rats. [3]. Raloxifene (0.1 mg/kg-10 mg/kg, orally for 5 weeks) increases bone mineral density in the distal femur and proximal tibia in ovariectomized (OVX) rat. Raloxifene reduces serum cholesteroloral with ED50 of 0.2 mg/kg in ovariectomized (OVX) rat. Raloxifene diverges dramatically from estrogen in its lack of significant estrogenic effects on uterine tissue [4]. Raloxifene prevents cancellous osteopenia as well as the changes in radial bone growth, bone resorption, and blood cholesterol, but is less effective in reducing cancellous bone formation and does not prevent uterine atrophy in ovariectomized (OVX) rats [5].

References:
[1]. Yang, N.N., et al., Estrogen and raloxifene stimulate transforming growth factor-beta 3 gene expression in rat bone: a potential mechanism for estrogen- or raloxifene-mediated bone maintenance. Endocrinology, 1996. 137(5): p. 2075-84.
[2]. Obach, R.S., Potent inhibition of human liver aldehyde oxidase by raloxifene. Drug Metab Dispos, 2004. 32(1): p. 89-97.
[3]. Sato, M., M.K. Rippy, and H.U. Bryant, Raloxifene, tamoxifen, nafoxidine, or estrogen effects on reproductive and nonreproductive tissues in ovariectomized rats. FASEB J, 1996. 10(8): p. 905-12.
[4]. Black, L.J., et al., Raloxifene (LY139481 HCI) prevents bone loss and reduces serum cholesterol without causing uterine hypertrophy in ovariectomized rats. J Clin Invest, 1994. 93(1): p. 63-9.
[5]. Evans, G., et al., The effects of raloxifene on tibia histomorphometry in ovariectomized rats. Endocrinology, 1994. 134(5): p. 2283-8.