Raloxifene hydrochloride

Cat. No.: HY-13738A

CAS No.: 82640-04-8

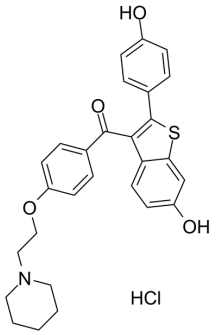
Molecular Formula: $C_{28}H_{28}ClNO_4S$

Molecular Weight: 510.04

Target: Estrogen Receptor/ERR; Autophagy

Pathway: Vitamin D Related/Nuclear Receptor; Autophagy

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 33.33 mg/mL (65.35 mM; Need ultrasonic)
H_2O : < 0.1 mg/mL (ultrasonic;warming;heat to 60°C) (insoluble)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.9606 mL	9.8032 mL	19.6063 mL
5 mM	0.3921 mL	1.9606 mL	3.9213 mL
10 mM	0.1961 mL	0.9803 mL	1.9606 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (4.90 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (4.90 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.90 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Raloxifene hydrochloride (Keoxifene hydrochloride) is a second generation selective and orally active estrogen receptor modulator. Raloxifene hydrochloride produces estrogen-agonistic effects on bone and lipid metabolism and estrogen-antagonistic effects on uterine endometrium and breast tissue[1].

IC_{50} & Target

IC50: estrogen receptor[1]

In Vitro

Raloxifene 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 is a potent uncompetitive inhibitor of human liver aldehyde oxidase-catalyzed oxidation of phthalazine, vanillin, and nicotine-Delta1'(5')-iminium ion, exhibits K_i values of 0.87 to 1.4 nM[2].
Raloxifene is also a noncompetitive inhibitor of an aldehyde oxidase-catalyzed reduction reaction of a hydroxamic acid-containing compound, with a K_i value of 51 nM[2].
Raloxifene (0-80 μM; 48 hours) significantly decreased in mouse mammary carcinoma BJMC3879luc2 cells viability as a concentration manner in BJMC3879luc2 cells[5].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[5]

Cell Line:	BJMC3879luc2 cells
Concentration:	0 μM, 10 μM, 20 μM, 40 μM, 80 μM
Incubation Time:	48 hours
Result:	Reduced BJMC3879luc2 cell viability.

In Vivo

Raloxifene (3 mg/kg; once daily) 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 (oral administration; 0.1 mg/kg-10 mg/kg; 5 weeks) increases bone mineral density in the distal femur and proximal tibia. It reduces serum cholesteroloral with ED_{50} of 0.2 mg/kg in ovariectomized (OVX) rat[4].
Raloxifene (subcutaneously implanted mini-osmotic pumps; 18 or 27 mg/kg; once daily; 6 weeks) significantly suppresses tumor volumes in mice, in addition, the multiplicity of lymph node metastasis is also significantly decreased[5].
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Animal Model:	Syngeneic BALB/c mice with BJMC3879luc2 cells[5]
Dosage:	18 or 27 mg/kg
Administration:	Subcutaneously implanted mini-osmotic pumps
Result:	Inhibited tumor growth in mice.

CUSTOMER VALIDATION

- Free Radic Biol Med. 2017 Apr 10;108:404-417.
- Viruses. 2021 Jun 28;13(7):1255.
- ACS Omega. 2023 Jun 14.
- PLoS Negl Trop Dis. 2019 Aug 20;13(8):e0007681.
- J Pharmaceut Biomed. 2020, 113870.

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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 HCl) prevents bone loss and reduces serum cholesterol without causing uterine hypertrophy in ovariectomized rats. J Clin Invest, 1994. 93(1): p. 63-9.

[5]. Shibata MA, et al. Raloxifene inhibits tumor growth and lymph node metastasis in a xenograft model of metastatic mammary cancer.BMC Cancer. 2010 Oct 19;10:566.

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