Product Data Sheet

Product Name: Arzoxifene hydrochloride (LY 353381 HCl)
Cat. No.: GC33381

Chemical Properties

Cas. No.	182133-27-3		
SMILES	OC1=CC=C(C(OC2=CC=C(OCCN3CCCCC3)C=C2)=C(C4=CC=C(OC)C=C4)S5)C5=C1.[H]Cl		
Formula	$C_{28}H_{30}ClNO_4S$	M.Wt	512.06
Solubility	Soluble in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ 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			

Protocol

Cell experiment:

For growth experiments, MCF-7 and MDA-MB-231 cells are treated with Arzoxifene HCl (LY353381.HCl) (0.1, 1, 10, 100, 1000 nM). Medium is renewed at days 3 and 5. At day 6, four wells are used for each cell-number determination by counting in a hemocytometer[1].

Animal experiment:

Rats[2]Antiestrogen activity is evaluated in 21-day old Sprague Dawley rats.17α-Ethynyl estradiol at 0.1 mg/kg/day is used as the estrogenic stimulus to increase uterine weight in these rats. Arzoxifene HCl (LY353381.HCl)LY353381.HCl (0.001-10 mg/kg) or raloxifene (1 mg/kg) are administered by oral gavage in a volume of 0.2 mL, 15 min prior to the EE2 gavage. Dosing with test compounds is continued for 3 consecutive days. Animals are fasted over night, following the final dose[2].

Caution: Product has not been fully validated for medical applications. For research use only.
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References:

[1]. Suh N, et al. Arzoxifene, a new selective estrogen receptor modulator for chemoprevention of experimental breast cancer. Cancer Res. 2001 Dec 1;61(23):8412-5.

Background

Arzoxifene hydrocloride is a selective estrogen receptor modulator that is a potent estrogen antagonist in mammary and uterine tissue while acting as an estrogen agonist to maintain bone density and lower serum cholesterol.

Arzoxifene inhibits cell growth as effectively as the antiestrogen tamoxifen. Northern analysis reveals that arzoxifene exerts a statistically significant inhibition of pS2 and progesterone receptor B mRNA expression. Significant agonistic effect is observed on the antitrypsin mRNA expression. In contrast to estradiol and tamoxifen, arzoxifene does not upregulate cathepsin D mRNA and protein expression[1].

Arzoxifene prevents the ovariectomy-induced increase in body weight and serum cholesterol levels of treated rats and lowers them to below sham levels in a dose dependent manner, with maximum efficacy similar to estrogen or raloxifene. Arzoxifene (LY353381.HCl) prevents loss of bone due to ovariectomy with an ED50 of about 0.01 mg/kg with maximal efficacy observed at 0.1-1 mg/kg/day. Arzoxifene (LY353381.HCl) antagonizes the estrogen-induced elevation in uterine weight down to vehicle-dosed control levels with ED50 of 0.03 mg/kg/day[2].

[1]. Suh N, et al. Arzoxifene, a new selective estrogen receptor modulator for chemoprevention of experimental breast cancer. Cancer Res. 2001 Dec 1;61(23):8412-5.

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