Arzoxifene hydrochloride

Cat. No.: HY-13556A

CAS No.: 182133-27-3

Molecular Formula: $C_{28}H_{30}ClNO_4S$

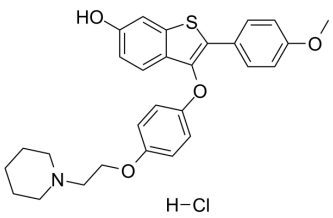
Molecular Weight: 512.06

Target: Estrogen Receptor/ERR

Pathway: Others

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 25 mg/mL (48.82 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.9529 mL	9.7645 mL	19.5290 mL
	5 mM	0.3906 mL	1.9529 mL	3.9058 mL
	10 mM	0.1953 mL	0.9764 mL	1.9529 mL

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

BIOLOGICAL ACTIVITY

Description

Arzoxifene (LY353381) 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.

IC_{50} & Target

Estrogen receptor[1]

In Vitro

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].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

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 ED_{50} 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 ED_{50} of 0.03 mg/kg/day[2].

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PROTOCOL

Cell Assay

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].

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Animal Administration [2]

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].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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.

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