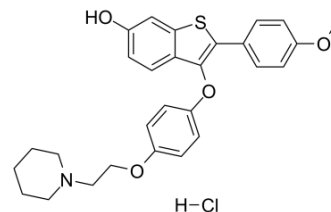


## Arzoxifene hydrochloride

<b>Cat. No.:</b>	HY-13556A
<b>CAS No.:</b>	182133-27-3
<b>Molecular Formula:</b>	C <sub>28</sub> H <sub>30</sub> ClNO <sub>4</sub> S
<b>Molecular Weight:</b>	512.06
<b>Target:</b>	Estrogen Receptor/ERR
<b>Pathway:</b>	Others
<b>Storage:</b>	Please store the product under the recommended conditions in the Certificate of Analysis.



### BIOLOGICAL ACTIVITY

<b>Description</b>	Arzoxifene (LY353381) hydrochloride 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.
<b>IC<sub>50</sub> &amp; Target</b>	Estrogen receptor <sup>[1]</sup>
<b>In Vitro</b>	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 <sup>[1]</sup> . MCE has not independently confirmed the accuracy of these methods. They are for reference only.
<b>In Vivo</b>	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 <sub>50</sub> 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 <sub>50</sub> of 0.03 mg/kg/day <sup>[2]</sup> . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

### PROTOCOL

<b>Cell Assay</b>	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 <sup>[1]</sup> . MCE has not independently confirmed the accuracy of these methods. They are for reference only.
<b>Animal Administration</b> <sup>[2]</sup>	Rats <sup>[2]</sup> Antiestrogen activity is evaluated in 21-day old Sprague Dawley rats. 17 $\alpha$ -Ethinyl estradiol at 0.1 mg/kg/day is used as the estrogenic stimulus to increase uterine weight in these rats. Arzoxifene 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 <sup>[2]</sup> .

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

## REFERENCES

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

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