Droloxifene

Cat. No.: HY-121149

CAS No.: 82413-20-5

Molecular Formula: $C_{26}H_{29}NO_2$

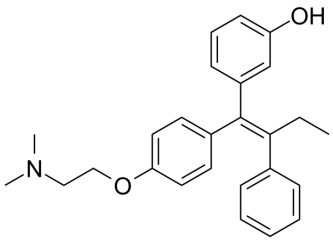
Molecular Weight: 387.51

Target: Estrogen Receptor/ERR; Apoptosis

Pathway: Others; Apoptosis

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 : 50 mg/mL (129.03 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.5806 mL	12.9029 mL	25.8058 mL
	5 mM	0.5161 mL	2.5806 mL	5.1612 mL
	10 mM	0.2581 mL	1.2903 mL	2.5806 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 5 mg/mL (12.90 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Droloxifene, a Tamoxifen derivative, is an orally active and selective estrogen receptor modulator. Droloxifene shows antiestrogenic and anti-implantation effects. Droloxifene induces p53 expression and apoptosis in MCF-7 cells. Droloxifene prevents bone loss in ovariectomized rats [1][2][3].

In Vitro

Droloxifene (10 nM; 16-18 hours) induces apoptosis in MCF-7 cells[1].

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

Cell Viability Assay[2]

Cell Line:	MCF-7 cells
Concentration:	10 nM

Incubation Time:	16-18 hours
Result:	Induced cells apoptosis

In Vivo

Droloxifene (5-20 mg/kg; p.o.; daily for 4 weeks) increases BMD of DFM at 10mg/kg, and completely prevents the decrease of BMC and BMD of DFM induced by ovariectomized (OVX) at 20 mg/kg/day[3].

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Animal Model:	5-month-old sham-operate rats[3]
Dosage:	5, 10, 20 mg/kg
Administration:	Oral; daily for 4 weeks
Result:	BMD of DFM increased significantly at 10mg/kg; completely prevented the decrease of BMC and BMD of DFM induced by OVX at 20 mg/kg/day.

CUSTOMER VALIDATION

- Research Square Preprint. 2020 Nov 4;rs.3.rs-100914.

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REFERENCES

[1]. Herrington DM, et al. Cardiovascular effects of droloxifene, a new selective estrogen receptor modulator, in healthypostmenopausal women. Arterioscler Thromb Vasc Biol. 2000 Jun;20(6):1606-12.

[2]. Grasser WA, et al. Common mechanism for the estrogen agonist and antagonist activities of droloxifene. J Cell Biochem. 1997 May;65(2):159-71.

[3]. Ke HZ, et al. Droloxifene, a new estrogen antagonist/agonist, prevents bone loss in ovariectomized rats. ndocrinology. 1995 Jun;136(6):2435-41.

[4]. Huang Y, et al. Anti-implantation effect of droloxifene in rats and its relationship with anti-estrogenic activity. Acta Pharmacol Sin. 2005 Oct;26(10):1243-7.

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