Acolbifene hydrochloride

Cat. No.: HY-16023

CAS No.: 252555-01-4

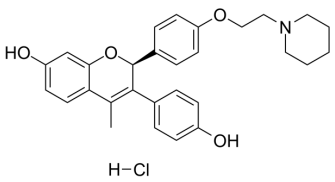
Molecular Formula: $C_{29}H_{32}ClNO_4$

Molecular Weight: 494.02

Target: Estrogen Receptor/ERR

Pathway: Vitamin D Related/Nuclear Receptor

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 : 180 mg/mL (364.36 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.0242 mL	10.1210 mL	20.2421 mL
5 mM	0.4048 mL	2.0242 mL	4.0484 mL
10 mM	0.2024 mL	1.0121 mL	2.0242 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: ≥ 4.5 mg/mL (9.11 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 4.5 mg/mL (9.11 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 4.5 mg/mL (9.11 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Acolbifene (EM-652) hydrochloride, an active metabolite of EM800, is an orally active, cancer-preventing selective estrogen receptor modulator (SERM). Acolbifene (EM-652) hydrochloride inhibits estradiol (E2)-induced transcriptional activity of ERα (IC_{50}=2 nM) and ERβ (IC_{50}=0.4 nM). Acolbifene (EM-652) hydrochloride exerts a potent and pure antiestrogenic action in the mammary gland and uterus. Anticarcinogenic properties[1][2][3][4][5].

IC_{50} & Target

ERα	ERβ
2 nM (IC_{50}, E2-induced transcriptional activity)	0.4 nM (IC_{50}, E2-induced transcriptional activity)

In Vitro	Acolbifene (ACOL) does not affect pathways of cholesterol synthesis, supporting the involvement of the clearance-related receptors in its hypocholesterolemic action[2]. Acolbifene (EM-652) shows no agonistic activity on ERα and ERβ transcriptional function and blocks the estradiol (E2)-mediated activation of both ERα and ERβ[3]. Acolbifene (EM-652) shows the most potent inhibition of estradiol-stimulated cell proliferation in human breast cancer cells (ZR-75-1, MCF-7, T-47D) and is devoid of any intrinsic estrogenic activity[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Acolbifene (ACOL) reduces food intake and strongly decreases cholesterolemia in rats fed a cholesterol-free diet[2]. Acolbifene (ACOL) reduces food intake (16%) and weight gain (45%, mainly fat) similarly in both dietary cohorts[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Female Sprague-Dawley rats (n = 42) initially weighing 175-200 g[2].
Dosage:	2.5 mg/kg.
Administration:	Oral gavage, once daily for 21 d.
Result:	Prevents tumor growth in rats.

CUSTOMER VALIDATION

- Int J Mol Sci. 2022 Oct 6;23(19):11892.

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REFERENCES

[1]. Wang T, et al. Recent advances in selective estrogen receptor modulators for breast cancer. Mini Rev Med Chem. 2009 Sep;9(10):1191-201.

[2]. Christian Lemieux, et al. The selective estrogen receptor modulator acolbifene reduces cholesterolemia independently of its anorectic action in control and cholesterol-fed rats. J Nutr. 2005 Sep;135(9):2225-9.

[3]. A Tremblay, et al. EM-800, a novel antiestrogen, acts as a pure antagonist of the transcriptional functions of estrogen receptors alpha and beta. Endocrinology. 1998 Jan;139(1):111-8.

[4]. Sylvain Gauthier, et al. Synthesis and structure-activity relationships of analogs of EM-652 (acolbifene), a pure selective estrogen receptor modulator. Study of nitrogen substitution. J Enzyme Inhib Med Chem. 2005 Apr;20(2):165-77.

[5]. F Labrie, et al. EM-652 (SCH 57068), a third generation SERM acting as pure antiestrogen in the mammary gland and endometrium. J Steroid Biochem Mol Biol. Apr-Jun 1999;69(1-6):51-84.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA