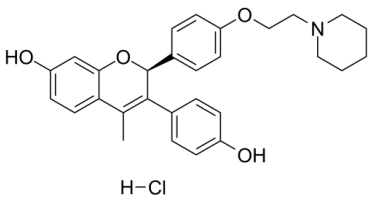
Data Sheet

Product Name:	Acolbifene (hydrochloride)
Cat. No.:	CS-0006117
CAS No.:	252555-01-4
Molecular Formula:	$C_{29}H_{32}ClNO_4$
Molecular Weight:	494.02
Target:	Estrogen Receptor/ERR
Pathway:	Others
Solubility:	DMSO : 180 mg/mL (364.36 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

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]. **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].

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].

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.

CAIndexNames:

2H-1-Benzopyran-7-ol, 3-(4-hydroxyphenyl)-4-methyl-2-[4-[2-(1-piperidinyl)ethoxy]phenyl]-, hydrochloride (1:1), (2S)-

SMILES:

OC1=CC=C2C(C)=C(C3=CC=C(O)C=C3)[C@H](C4=CC=C(OCCN5CCCCC5)C=C4)OC2=C1.[H]Cl

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

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