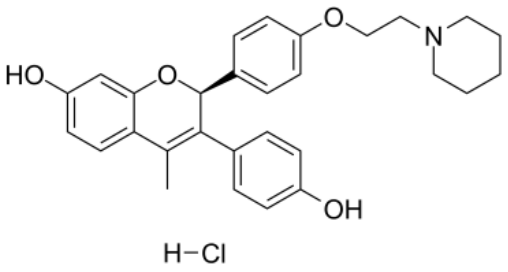
Product Data Sheet

Product Name: Acolbifene hydrochloride
Cat. No.: GC61514

Chemical Properties

Cas. No.	252555-01-4		
SMILES	OC1=CC=C2C(C)=C(C3=CC=C(O)C=C3)[C@H](C4=CC=C(OCCN5CCCCC5)C=C4)OC2=C1.[H]Cl		
Formula	$C_{29}H_{32}ClNO_4$	M.Wt	494.02
Solubility	DMSO: 180 mg/mL (364.36 mM)	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure			



Background

Acolbifene (EM-652) hydrochloride, the active metabolite of EM800, is an orally active pure antiestrogen and selective estrogen receptor antagonist with an IC50 of of 0.110 nM in T-47D cells. Acolbifene (EM-652) hydrochloride possesses potent and pure anticarcinogenic properties[1][2].

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].

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]. Animal Model: Female Sprague-Dawley rats (n = 42) initially weighing 175-200 g[2].

[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.

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