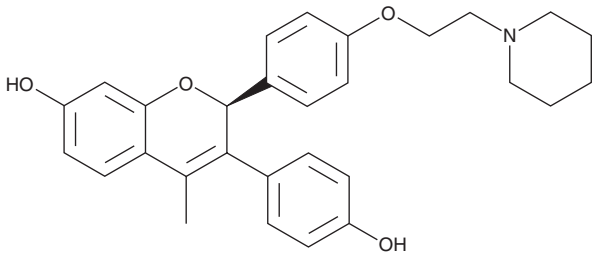
PRODUCT INFORMATION

Acolbifene

Item No. 23931

CAS Registry No.:	182167-02-8
Formal Name:	(2S)-3-(4-hydroxyphenyl)-4-methyl-2-[4-[2-(1-piperidinyl)ethoxy]phenyl]-2H-1-benzopyran-7-ol
Synonym:	SCH 57068
MF:	$C_{29}H_{31}NO_4$
FW:	457.6
Purity:	≥98%
Supplied as:	A solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Acolbifene is supplied as a solid. A stock solution may be made by dissolving the acolbifene in the solvent of choice, which should be purged with an inert gas. Acolbifene is soluble in the organic solvent DMSO.

Description

Acolbifene is a selective estrogen receptor modulator (SERM). It inhibits transcriptional activity of estrogen receptor α (ERα) and ERβ induced by estradiol (E_2; Item No. 10006315; IC_{50}s = 2 and 0.4 nM, respectively).[1] It binds to ERs in cytosol from human breast cancer and non-cancerous uterine cells (K_is = 0.047 and 0.042 nM, respectively) and to ERs in cytosol from rat uterine cells (IC_{50} = 0.44 nM) but not to progesterone or androgen receptors in cytosol from rat uterine and ventral prostate cells (IC_{50}s = 22,500 and >10,000 nM, respectively).[1,2] Acolbifene inhibits E_2-stimulated proliferation of T47D, ZR-75-1, and MCF-7 breast cancer cells (IC_{50}s = 0.146, 0.75, and 0.321 nM, respectively) but not basal proliferation.[1] Acolbifene (50 µg per day) inhibits tumor growth stimulated by estrone (E_1; Item No. 10006485) in a ZR-75-1 human breast cancer xenograft model in ovariectomized mice.[3] It also inhibits E_1-stimulated endometrial epithelium thickening *in vivo* in ovariectomized mice when administered at a dose of 50 µg per day.

References

1. Labrie, F., Labrie, C., Bélanger, A., *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.* **69(1-6)**, 51-84 (1999).
2. Martel, C., Provencher, L., Li, X., *et al.* Binding characteristics of novel nonsteroidal antiestrogens to the rat uterine estrogen receptors. *J. Steroid Biochem. Mol. Biol.* **64(3-4)**, 199-205 (1998).
3. Gutman, M., Couillard, S., Roy, J., *et al.* Comparison of the effects of EM-652 (SCH57068), tamoxifen, toremifene, droloxifene, idoxifene, GW-5638 and raloxifene on the growth of human ZR-75-1 breast tumors in nude mice. *Int. J. Cancer* **99(2)**, 273-278 (2002).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM