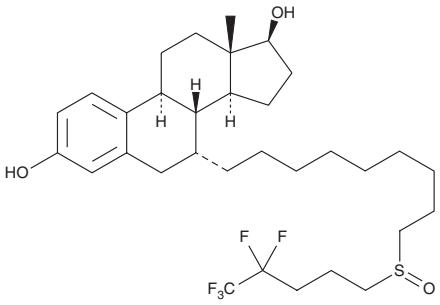
PRODUCT INFORMATION

Fulvestrant

Item No. 10011269



CAS Registry No.:	129453-61-8
Formal Name:	7α-[9-[(4,4,5,5,5-pentafluoropentyl)sulfinyl] nonyl]-estra-1,3,5(10)-triene-3,17β-diol
Synonym:	ICI 182780
MF:	$C_{32}H_{47}F_5O_3S$
FW:	606.8
Purity:	≥98%
UV/Vis.:	λ_{max}: 282 nm
Supplied as:	A crystalline 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

Fulvestrant is supplied as a crystalline solid. A stock solution may be made by dissolving the fulvestrant in the solvent of choice, which should be purged with an inert gas. Fulvestrant is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of fulvestrant in these solvents is approximately 20 mg/ml.

If aqueous stock solutions are required for biological experiments, they can best be prepared by diluting the organic solvent into aqueous buffers or isotonic saline. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. We do not recommend storing the aqueous solution for more than one day.

Description

Fulvestrant is a selective estrogen receptor degrader (SERD) that downregulates and degrades estrogen receptor α (ERα).[1,2] It binds to rat uterine ER with an IC_{50} value of 44.8 nM and prevents uterine weight increases induced by estradiol in immature rats (ED_{50} = 0.06 mg/kg per day) but has no effect on uterine weight alone.[3] It also decreases uterine weight in adult rats without affecting the production of luteinizing and follicle-stimulating hormones and prolactin. Fulvestrant inhibits the growth of ER-positive MCF-7 human breast cancer cells but not ER-negative BT-20 cells when used at a concentration of 1 µg/ml. It also prevents tumor growth in MCF-7 and Br10 breast cancer mouse xenograft models when used at a single dose of 5 mg per animal. Fulvestrant is neuroprotective *in vitro* against neurotoxicity induced by glutamate- and amyloid-β (1-42) (Aβ42) in primary rat hippocampal cells.[4] Formulations containing fulvestrant have been used in the treatment of estrogen-sensitive breast cancer.

References

1. Kansra, S., Yamagata, S., Sneade, L., *et al.* Differential effects of estrogen receptor antagonists on pituitary lactotroph proliferation and prolactin release. *Mol. Cell. Endocrinol.* **239(1-2)**, 27-36 (2005).
2. Wardell, S.E., Marks, J.R., and McDonnell, D.P. The turnover of estrogen receptor α by the selective estrogen receptor degrader (SERD) fulvestrant is a saturable process that is not required for antagonist efficacy. *Biochem. Pharmacol.* **82(2)**, 122-130 (2011).
3. Wakeling, A.E., Dukes, M., and Bowler, J. A potent specific pure antiestrogen with clinical potential. *Cancer Res.* **51(15)**, 3867-3873 (1991).
4. Zhao, L., O'Neill, K., and Brinton, R.D. Estrogenic agonist activity of ICI 182,780 (Faslodex) in hippocampal neurons: Implications for basic science understanding of estrogen signaling and development of estrogen modulators with a dual therapeutic profile. *J. Pharmacol. Exp. Ther.* **319(3)**, 1124-1132 (2006).

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