TOLL FREE PHONE 1 800 667 0322 • PHONE +1 604 877 0713
INFO@STEMCELL.COM • TECHSUPPORT@STEMCELL.COM
FOR GLOBAL CONTACT DETAILS VISIT WWW.STEMCELL.COM

Fulvestrant

Estrogen receptor (ER) antagonist

Catalog #100-1649 5 mg

Product Description

Fulvestrant is an estrogen receptor (ER) antagonist which competitively inhibits estradiol (IC_{50} = 9.35 nM). Estradiol has been linked to the development and progression of ER-positive breast cancer (Johansson et al.; Rodriguez et al.). Fulvestrant has a high binding affinity for ER, 89% greater than that of estradiol (Wakeling and Bowler). By binding to ER, fulvestrant inhibits receptor dimerization, inactivates activating factor 1 (AF1) and activating factor 2 (AF2), and reduces translocation of the receptor to the nucleus (Nathan & Schmid). It also leads to the accelerated degradation of ER (Nicholson et al.).

Alternative Names: ICI 182780, ZD 9238

CAS Number: 129453-61-8

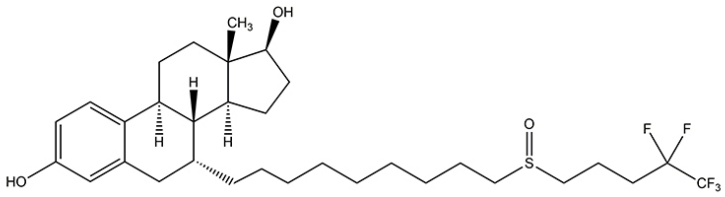
Chemical Formula: $C_{32}H_{47}F_5O_3S$

Molecular Weight: 606.8 g/mol

Purity: ≥ 98%

Chemical Name: 7α,17β-[9-[(4,4,5,5,5-Pentafluoropentyl)sulfinyl]nonyl]estra-1,3,5(10)-triene-3,17-diol

Structure:



Properties

Product Format: A white powder

Stability and Storage: Product stable at -20°C as supplied. As a precaution, STEMCELL recommends storing all small molecules away from direct light. For long-term storage, store with a desiccant. Stable as supplied for 12 months from date of receipt.

Preparation:
- DMSO ≤ 95 mM
- Absolute ethanol ≤ 45 mM

For example, to prepare a 10 mM stock solution in DMSO, resuspend 1 mg in 165 µL of DMSO.

Prepare stock solution fresh before use. Information regarding stability of small molecules in solution has rarely been reported; however, as a general guide we recommend storage in DMSO at -20°C. Aliquot into working volumes to avoid repeated freeze-thaw cycles. The effect of storage of stock solution on compound performance should be tested for each application.

Compound has low solubility in aqueous media. For use as a cell culture supplement, stock solution should be diluted into culture medium immediately before use. Avoid final DMSO or absolute ethanol concentration above 0.1% due to potential cell toxicity.

Published Applications

CANCER RESEARCH
- Inhibits tumor growth and causes downregulation of the estrogen receptor in xenograft models of endocrine therapy-resistant breast cancer (Wardell et al.).
- Inhibits tumor growth and reduces tumor volume when used in combination with epidermal growth factor receptor (EGFR) inhibitors in a xenograft model of non–small-cell lung cancer (Garon et al.).

References

Garon EB et al. (2013) Antiestrogen fulvestrant enhances the antiproliferative effects of epidermal growth factor receptor inhibitors in human non-small-cell lung cancer. J Thorac Oncol 8(3): 270–8.
Johansson Å et al. (2022) Investigating the effect of estradiol levels on the risk of breast, endometrial, and ovarian cancer. J Endocr Soc 6(8): 1–9.
Nathan MR & Schmid P. (2017) A review of fulvestrant in breast cancer. Oncol Ther 5(1): 17.
Nicholson RI et al. (1995) Responses to pure antiestrogens (ICI 164384, ICI 182780) in estrogen-sensitive and -resistant experimental and clinical breast cancer. Ann N Y Acad Sci 761(1): 148–63.
Rodriguez GV et al. (2017) Estradiol promotes breast cancer cell migration via recruitment and activation of neutrophils. Cancer Immunol Res 5(3): 234–47.
Wakeling AE & Bowler J. (1987) Steroidal pure antioestrogens. J Endocrinol 112(3): R7–10.
Wardell SE et al. (2020) Pharmacokinetic and pharmacodynamic analysis of fulvestrant in preclinical models of breast cancer to assess the importance of its estrogen receptor-α degrader activity in antitumor efficacy. Breast Cancer Res Treat 179(1): 67–77.

Related Products

For a complete list of small molecules available from STEMCELL Technologies, visit www.stemcell.com/smallmolecules or contact us at techsupport@stemcell.com.

Warning

This product is hazardous. Please refer to the Safety Data Sheet (SDS).