PRODUCT INFORMATION

Fulvestrant-d_3

Item No. 25413

Formal Name: (7R,8R,9S,13S,14S,17S)-13-methyl-7-(9-((4,4,5,5,5-pentafluoropentyl)sulfinyl)nonyl)-7,8,9,11,12,13,14,15,16,17-decahydro-6H-cyclopenta[a]phenanthrene-16,16,17-d_3-3,17-diol

MF: $C_{32}H_{44}D_3F_5O_3S$

FW: 609.8

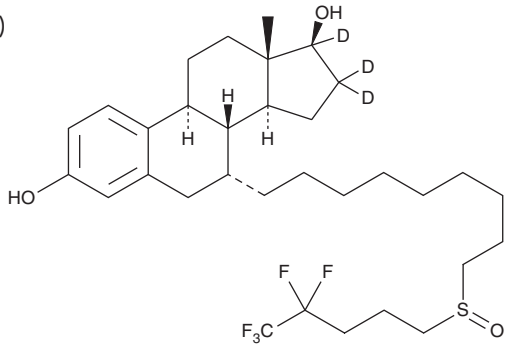
Chemical Purity: ≥98% (Fulvestrant)

Deuterium Incorporation: ≥99% deuterated forms (d_1-d_3); ≤1% d_0

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

Fulvestrant-d_3 is intended for use as an internal standard for the quantification of fulvestrant (Item No. 10011269) by GC- or LC-MS. The accuracy of the sample weight in this vial is between 5% over and 2% under the amount shown on the vial. If better precision is required, the deuterated standard should be quantitated against a more precisely weighed unlabeled standard by constructing a standard curve of peak intensity ratios (deuterated *versus* unlabeled).

Fulvestrant-d_3 is supplied as a solid. A stock solution may be made by dissolving the fulvestrant-d_3 in the solvent of choice, which should be purged with an inert gas. Fulvestrant-d_3 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of fulvestrant-d_3 in ethanol and DMF is approximately 25 mg/ml and approximately 20 mg/ml in DMSO.

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. Mol. Cell Endocrinol.* **239(1-2)**, 27-36 (2005).
2. Wardell, S.E., Marks, J.R., and McDonnell, D.P. *Biochem. Pharmacol.* **82(2)**, 122-130 (2011).
3. Wakeling, A.E., Dukes, M., and Bowler, J. *Cancer Res.* **51(15)**, 3867-3873 (1991).
4. Zhao, L., O'Neill, K., and Brinton, R.D. *J. Pharmacol. Exp. Ther.* **319(3)**, 1124-1132 (2006).

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