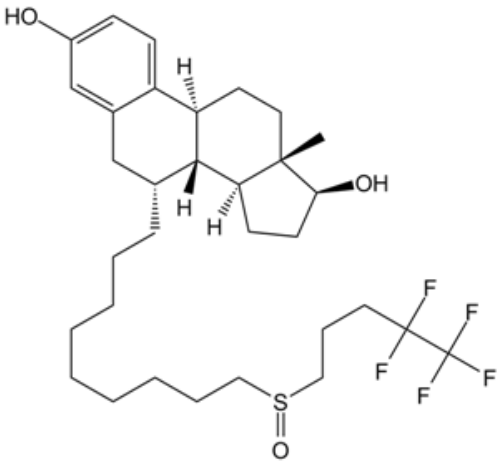
Product Data Sheet

Product Name: Fulvestrant (ICI 182,780)
Cat. No.: GC18000

Chemical Properties

Cas. No.	129453-61-8		
Chemical Name	(7R,8R,9S,13S,14S,17S)-13-methyl-7-[9-(4,4,5,5,5-pentafluoropentylsulfinyl)nonyl]-6,7,8,9,11,12,14,15,16,17-decahydrocyclopenta[a]phenanthrene-3,17-diol		
SMILES	CC12CCC3C(C1CCC2O)C(CC4=C3C=CC(=C4)O)CCCCCCCCCS(=O)CCCC(C(F)(F)F)(F)F		
Formula	$C_{32}H_{47}F_5O_3S$	M.Wt	606.77
Solubility	≥ 30.35mg/mL in DMSO	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



Protocol

Cell experiment [1]:

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Cell lines	Bats-72 cell line (estrogen receptor-negative MDR cell line)
Preparation method	Cells were exposed to designated concentrations of doxorubicin with or without co-treatment of fulvestrant for 72 h, the cell viabilities were determined.
Reaction Conditions	1-10 μM;72 h
Applications	Fulvestrant sensitizes doxorubicin-induced cytotoxicity in estrogen receptor-negative MDR cell line Bats-72.
Animal experiment [2]:	
Animal models	Balb/C-nu/nu mice (MCF7 cells model)
Preparation method	Mice were treated with fulvestrant in addition to the estradiol exposure.
Dosage form	5 mg/mouse; s.c.; twice per week
Applications	Fulvestrant significantly inhibited macrophage and neutrophil infiltration in mice cancer model.

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References:

[1]. Huang Y, Jiang D,et,al. Fulvestrant reverses doxorubicin resistance in multidrug-resistant breast cell lines independent of estrogen receptor expression. Oncol Rep. 2017 Feb;37(2):705-712. doi: 10.3892/or.2016.5315. Epub 2016 Dec 14. PMID: 28000875; PMCID: PMC5355712.

[2]. Abrahamsson A, Rodriguez GV, et,al. Fulvestrant-Mediated Attenuation of the Innate Immune Response Decreases ER+ Breast Cancer Growth In Vivo More Effectively than Tamoxifen. Cancer Res. 2020 Oct 15;80(20):4487-4499. doi: 10.1158/0008-5472.CAN-20-1705. Epub 2020 Aug 27. PMID: 32855207.

Background

Fulvestrant is a selective estrogen receptor (ER) antagonist. It binds, blocks and degrades estrogen receptor, then inhibits estrogen receptor(ER)-mediated transcriptional activity with an IC_{50} of 9.4 nM [1-3].

Fulvestrant(3 μM ;1 month) increases the sensitivity of H1975 NSCLC cells to gefitinib[4].Fulvestrant(1-10 μM;72 h) strongly sensitized doxorubicin-induced cytotoxicity in MDR cell lines[5].

Fulvestrant(5 mg/mouse; s.c.; twice per week) significantly inhibited macrophage and neutrophil infiltration in mice cancer model. Fulvestrant decreases ER^+ breast cancer growth in the presence of physiologic levels of estradiol in human breast cancer in nude mice[6]. Fulvestrant (5mg/mouse; twice weekly; s.c.) in combination with tamoxifen enhanced tumor regression[7].

References:

[1]. Vergote I, Robertson JF. Fulvestrant is an effective and well-tolerated endocrine therapy for postmenopausal women with advanced breast cancer: results from clinical trials. Br J Cancer. 2004 Mar;90 Suppl 1(Suppl 1):S11-4. doi: 10.1038/sj.bjc.6601631. PMID: 15094759; PMCID: PMC2750769.

[2]. Osborne CK, Wakeling A, et,al. Fulvestrant: an oestrogen receptor antagonist with a novel

mechanism of action. Br J Cancer. 2004 Mar;90 Suppl 1(Suppl 1):S2-6. doi: 10.1038/sj.bjc.6601629. PMID: 15094757; PMCID: PMC2750773.

[3]. Dowsett M, Nicholson RI, et,al. Biological characteristics of the pure antiestrogen fulvestrant: overcoming endocrine resistance. Breast Cancer Res Treat. 2005;93 Suppl 1:S11-8. doi: 10.1007/s10549-005-9037-3. PMID: 16247595.

[4]. Shen H, Liu J, et,al. Fulvestrant increases gefitinib sensitivity in non-small cell lung cancer cells by upregulating let-7c expression. Biomed Pharmacother. 2014 Apr;68(3):307-13. doi: 10.1016/j.biopha.2013.10.007. Epub 2013 Nov 7. PMID: 24268810.

[5]. Huang Y, Jiang D, et,al. Fulvestrant reverses doxorubicin resistance in multidrug-resistant breast cell lines independent of estrogen receptor expression. Oncol Rep. 2017 Feb;37(2):705-712. doi: 10.3892/or.2016.5315. Epub 2016 Dec 14. PMID: 28000875; PMCID: PMC5355712.

[6]. Abrahamsson A, Rodriguez GV, et,al. Fulvestrant-Mediated Attenuation of the Innate Immune Response Decreases ER+ Breast Cancer Growth In Vivo More Effectively than Tamoxifen. Cancer Res. 2020 Oct 15;80(20):4487-4499. doi: 10.1158/0008-5472.CAN-20-1705. Epub 2020 Aug 27. PMID: 32855207.

[7]. Mishra AK, Abrahamsson A, et,al. Fulvestrant inhibits growth of triple negative breast cancer and synergizes with tamoxifen in ERα positive breast cancer by up-regulation of ERβ. Oncotarget. 2016 Aug 30;7(35):56876-56888. doi: 10.18632/oncotarget.10871. PMID: 27486755; PMCID: PMC5302959.