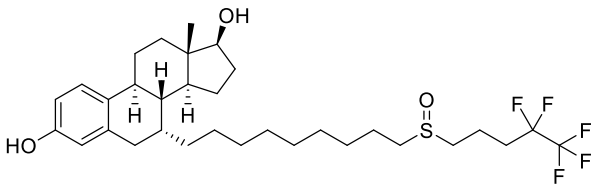
Product data sheet

MedKoo Cat#: 100390 Name: Fulvestrant CAS#: 129453-61-8 Chemical Formula: $C_{32}H_{47}F_5O_3S$ Exact Mass: 606.31661 Molecular Weight: 606.77	
Product supplied as:	Powder
55Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
Fulvestrant is a synthetic estrogen receptor antagonist. Unlike tamoxifen (which has partial agonist effects) and the aromatase inhibitors (which reduce the estrogen available to tumor cells), fulvestrant binds competitively to estrogen receptors in breast cancer cells, resulting in estrogen receptor deformation and decreased estrogen binding. In vitro studies indicate that fulvestrant reversibly inhibits the growth of tamoxifen-resistant, estrogen-sensitive, human breast cancer cell lines.

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	100	164.81
Ethanol	100	164.81

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	1.6481 mL	8.2404 mL	16.4807 mL
5 mM	0.3296 mL	1.6481 mL	3.2961 mL
10 mM	0.1648 mL	0.8240 mL	1.6481 mL
50 mM	0.0330 mL	0.1648 mL	0.3296 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study
In vitro study

1. Khalid S, Hanif R, Jabeen I, Mansoor Q, Ismail M. Pharmacophore modeling for identification of anti-IGF-1R drugs and in-vitro validation of fulvestrant as a potential inhibitor. PLoS One. 2018 May 22;13(5):e0196312. doi: 10.1371/journal.pone.0196312. PMID: 29787591; PMCID: PMC5963753.

2. Gao H, Xue Y, Cao L, Liu Q, Liu C, Shan X, Wang H, Gu Y, Zhang Y. ESR1 and its antagonist fulvestrant in pituitary adenomas. Mol Cell Endocrinol. 2017 Mar 5;443:32-41. doi: 10.1016/j.mce.2016.12.029. Epub 2016 Dec 31. PMID: 28043824.

In vivo study

1. Gao H, Xue Y, Cao L, Liu Q, Liu C, Shan X, Wang H, Gu Y, Zhang Y. ESR1 and its antagonist fulvestrant in pituitary adenomas. Mol Cell Endocrinol. 2017 Mar 5;443:32-41. doi: 10.1016/j.mce.2016.12.029. Epub 2016 Dec 31. PMID: 28043824.
2. Kuhn J, Dina OA, Goswami C, Suckow V, Levine JD, Hucho T. GPR30 estrogen receptor agonists induce mechanical hyperalgesia in the rat. Eur J Neurosci. 2008 Apr;27(7):1700-9. doi: 10.1111/j.1460-9568.2008.06131.x. Epub 2008 Mar 26. PMID: 18371086.

7. Bioactivity

Product data sheet

Biological target:

Fulvestrant (ICI-182780, ZD 9238, ZM 182780) is an estrogen receptor (ER) antagonist with IC50 of 0.94 nM in a cell-free assay.

In vitro activity

Fulvestrant (ICI 182780; ZD 9238; ZM 182780) is a potent and specific inhibitor of estrogen action and demonstrates excellent growth-inhibitory effects in both cell and animal models of human breast cancer. Fulvestrant inhibits MCF-7 human breast cancer cells growth with IC50 of 290 nM. The relative binding affinities of Fulvestrant is 0.89. Fulvestrant has significantly increased antiestrogenic potency and retains pure estrogen antagonist activity.

Reference: Br J Cancer. 2004 Mar;90 Suppl 1(Suppl 1):S2-6. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC2750773/

In vivo activity

When administered alone, parenterally (s.c.), to immature female rats Fulvestrant (ICI 182,780) is devoid of uterotropic activity. Complete antagonism of Estrogen action is achieved with a dose of 0.5 mg Fulvestrant/kg/day s.c. The effects of Fulvestrant administered p.o. are qualitatively similar but potency is reduced by an order of magnitude compare with s.c. dosing (ED50 0.46 and complete antagonism at 5 mg/kg/day p.o.)

Reference: Br J Cancer. 2004 Mar;90 Suppl 1(Suppl 1):S2-6. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC2750773/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.