

Fulvestrant

Catalog No: tcsc1267



Available Sizes

Size: 10mg

Size: 50mg

Size: 100mg



Specifications

CAS No:

129453-61-8

Formula:

$C_{32}H_{47}F_5O_3S$

Pathway:

Others;Autophagy

Target:

Estrogen Receptor/ERR;Autophagy

Purity / Grade:

>98%

Solubility:

DMSO : ≥ 29 mg/mL (47.79 mM); H₂O :

Alternative Names:

ICI 182780;ZD 9238;ZM 182780

Observed Molecular Weight:

606.77

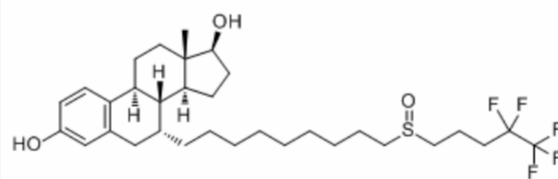
Product Description

Fulvestrant is a potent **Estrogen Receptor** antagonist with an **IC₅₀** of 9.4 nM.

IC50 & Target: IC50: 9.4 nM (Estrogen Receptor)^[1]

In Vitro: Fulvestrant (ICI 182,780) 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 compare with that of Estradiol. Fulvestrant has significantly increased antiestrogenic potency and retains pure estrogen antagonist activity^[1]. Fulvestrant is the first of a new type of endocrine treatment—an oestrogen receptor (ER) antagonist that downregulates the ER^[2]. Treatment of MCF-7 cells with 1 μM Tamoxifen has no effect on the expression of ERα, whereas 100 nM Fulvestrant completely inhibits ERα expression^[3].

In Vivo: When administered alone, parenterally (s.c.), to immature female rats Fulvestrant (ICI 182,780) is devoid of uterotrophic activity and, when coadministered with Estradiol, it effectively blocks the uterotrophic action of Estradiol in a dose-dependent manner (ED50: 0.06 mg/kg/day s.c.). 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.)^[1]. The antitumour activity of Fulvestrant is first demonstrated in two models of human breast cancer in nude mice. In one of these models, the growth of MCF-7 tumour xenografts, supported by continuous treatment with oestradiol, is completely blocked for at least 4 weeks following a single injection of Fulvestrant 5 mg. Similar reductions in growth are seen in the Br10 human tumour model. In other studies in nude mice bearing MCF-7 xenografts, Fulvestrant suppresses the growth of established tumours for twice as long and tumour growth is delayed to a greater extent than is observed with Tamoxifen treatment. Tamoxifen-resistant breast tumours, which grow in nude mice after long-term treatment with Tamoxifen, remain sensitive to growth inhibition by Fulvestrant^[2]. These are comparable to the tumor growth inhibition (TGI) observed for Tamoxifen and Fulvestrant, which on day 40 are 86 and 88%, respectively^[3].



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!