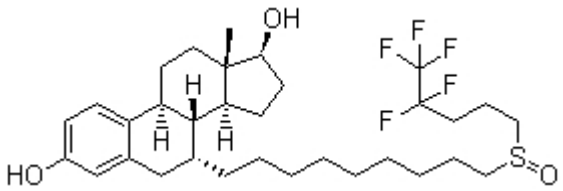
Product Introduction

Fulvestrant

Fulvestrant is an **estrogen receptor (ER)** antagonist with **IC50** of 0.94 nM.

Technical Data:

Molecular Weight (MW):	606.77	
Formula:	$C_{32}H_{47}F_5O_3S$	
Solubility (25°C) * <1 mg/ml means slightly soluble or insoluble:	DMSO 100 mg/mL	
	Water <1 mg/mL	
	Ethanol 100 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	129453-61-8	

Biological Activity

Fulvestrant is an effective inhibitor of the growth of ER-positive MCF-7 (with IC50 of 0.29 nM) but with no effect on the growth of ER-negative BT-20 human breast cancer cells. Fulvestrant causes accumulation of cells in G0/G1 and also reduces the proportion of cells capable of continued DNA synthesis. [1] Fulvestrant competitively inhibits binding of oestradiol to the estrogen receptor. Fulvestrant blocks nuclear localization of the ER through impairing receptor dimerisation, and energy-dependent nucleo-cytoplasmic shuttling. Because of the instability of fulvestrant-ER complex, the binding of Fulvestrant with ER finally results in accelerated degradation of the ER protein. [2] Fulvestrant (10 nM) not only decreases IGF-IR mRNA levels but also decreases the half-life. [3] Treatment with 100 μM Fulvestrant leads to a time dependent increase

of TNFR1 and TRADD steady-state mRNA levels in MCF-7 cells. [4] Fulvestrant is capable of down-regulating androgen receptor expression and diminishes androgenic responses in LNCaP human prostate cancer cells. Fulvestrant also significantly attenuates R1881-stimulated growth by 70%. [5] Fulvestrant is able to modulate mitosis and cell death in immature cerebellar neurons via rapid activation of MAPK. [6]

Fulvestrant is devoid of uterotropic activity, and when co-administered with estradiol, it effectively blocks the uterotropic action of estradiol with ED50 of 0.06 mg/kg/day s.c. in immature female rats. A single s.c. injection of 5 mg of Fulvestrant suspension blocks completely the growth of MCF-7 xenografts. The growth of transplants of the BrlO human breast tumor is also suppressed effectively by 10 μM Fulvestrant. [1] Fulvestrant (10 mg/rat, s.c.) reduces the androgen receptor expression, ERK1/2 phosphorylation and cell proliferation in the rat ventral prostate. [7] Fulvestrant also displays anti-angiogenesis in the chick egg chorioallantoic membrane. [8]

References

[1] Wakeling AE, et al. Cancer Res, 1991, 51(15), 3867-3873.
[2] Osborne CK. Br J Cancer, 2004, 90 Suppl 1, S2-6.
[3] Huynh H, et al. Clin Cancer Res, 1996, 2(12), 2037-2042.
[4] Smolnikar K, et al. Breast Cancer Res Treat, 2000, 63(3), 249-259.
[5] Bhattacharyya RS, et al. Mol Cancer Ther, 2006, 5(6), 1539-1549.
[6] Wong JK, et al. J Neurosci, 2003, 23(12), 4984-4995.
[7] Fernandes SA, et al. Int J Androl, 2011, 34(5 Pt 1), 486-500.
[8] Gagliardi A, et al. Cancer Res, 1993, 53(3):533-535.