

Fulvestrant

Chemical Properties

CAS No. : 129453-61-8

Formula: C32H47F5O3S

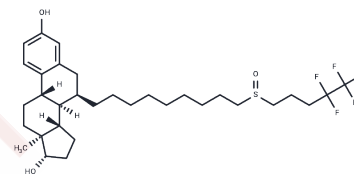
Molecular Weight: 606.77

Storage:

Keep away from direct sunlight, Keep away from moisture, Store at low temperature

Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Actual storage temperature shall be subject to the COA.



Biological Description

Description	Fulvestrant (ZM 182780) is an estrogen receptor (ER) antagonist (IC ₅₀ =9.4 nM) and an agonist of GPR30. Fulvestrant has antitumor activity, inhibiting cell proliferation and inducing apoptosis and autophagy.
Targets(IC50)	Apoptosis, Estrogen Receptor/ERR, Estrogen/progestogen Receptor, Autophagy
In vitro	<p>METHODS: ER-positive MCF-7 and ER-negative MDA-MB-231 cells were treated with Fulvestrant (0.01-10000 nM) for 6 days, and the cell growth rate was measured by crystal violet staining.</p> <p>RESULTS: Fulvestrant inhibited the growth of MCF-7 cells with an IC₅₀ of 0.8 nM. Fulvestrant did not inhibit the growth of MDA-MB-231 cells with an IC₅₀ greater than 1 μM. [1]</p> <p>METHODS: Human breast cancer cells MCF-7 were treated with Fulvestrant (100 nM) for 0.25-6 h, and the expression levels of target proteins were detected by Western Blot.</p> <p>RESULTS: ERα protein expression was reduced in a time-dependent manner when MCF-7 cells were exposed to Fulvestrant. [2]</p>
In vivo	<p>METHODS: To assay anti-tumor activity in vivo, Fulvestrant (25-200 mg/kg, 5% DMSO/95% corn oil) was injected subcutaneously four times per week for four weeks into Nu/J mice bearing tamoxifen-resistant (TamR) tumors.</p> <p>RESULTS: Significant inhibition of tumor growth was observed at all doses of Fulvestrant, and no significant differences were detected between doses. [3]</p> <p>METHODS: To assay anti-tumor activity in vivo, Fulvestrant (5 mg/mouse) was injected subcutaneously into nude mice with in situ established ER+ mammary carcinomas twice weekly for twenty-four days.</p> <p>RESULTS: Fulvestrant treatment resulted in a significant reduction in tumor growth. [4]</p>
Cell Research	In brief, hippocampi were dissected from the brains of embryonic day 18 Sprague-Dawley rat fetuses, treated with 0.02% trypsin in Hanks' balanced salt solution (137 mM NaCl, 5.4 mM KCl, 0.4 mM KH ₂ PO ₄ , 0.34 mM Na ₂ HPO ₄ ·7H ₂ O, 10.0 mM glucose, and 10.0 mM HEPES) at 37°C for 5 min and dissociated by repeated passage through a series of fire-polished constricted Pasteur pipettes. For intracellular Ca ²⁺ imaging analyses, approximately 10 ⁴ cells were seeded onto poly-D-lysine (10 μg/ml)-coated 22-mm coverslips in covered 35-mm Petri dishes. For neuroprotection and Western immunoblotting analyses, approximately 10 ⁶ cells/ml were seeded onto poly-D-

Cell Research	lysine-coated solid black and clear bottom 96-well culture plates and 60-mm Petri dishes, respectively. Cells were grown in phenol-red free neurobasal medium supplemented with B27, 5 U/ml penicillin, 5 µg/ml streptomycin, 0.5 mM glutamine, and 25 µM glutamate at 37°C in 10% CO ₂ for the first 3 days and NBM without glutamate afterward. Cultures grown in serum-free NBM yields approximately 99.5% neurons and 0.5% glial cells [2].
Animal Research	MCF-7 cells were suspended in culture medium (no serum) and inoculated s.c. into the flank of adult female nude mice (0.1 ml/approximately 5 x 10 ⁶ cells). Mice were maintained in a clean environment and were given sterile food and water. Estrogen supplementation was provided by ethynyl estradiol at 1 µg/ml in the water. Antiestrogen treatment was initiated when tumor diameter attained a minimum of 0.5 cm. The Br10 tumor at passage 49 was established by implantation of 1-2-mm ³ tumor fragments into the flank of anesthetized intact adult female nude mice. After 3 passages a reproducible pattern of growth was established without additional estrogen supplementation. Approximately two-thirds of animals established progressively growing tumors which attained measurable size (area, ≥70 mm ²) after 6-7 weeks. Antiestrogen treatment was initiated at the time of transplantation. Tamoxifen was administered once daily p.o. at a dose of 10 mg/kg (1 ml/100 g body weight of aqueous dispersion in 0.5% Tween 80) and ICI 182,780 as a single s.c. injection of 5 mg/mouse (50 mg/ml in arachis oil). Tumor size was assessed weekly as the product of caliper measurements of the largest diameter and the axis perpendicular to it [1].

Solubility Information

Solubility	Ethanol: 30.3 mg/mL (49.94 mM),Sonication is recommended. DMSO: 260 mg/mL (428.5 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 6.07 mg/mL (10 mM),Suspension. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
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	0.824 mL	1.6481 mL
50 mM	0.033 mL	0.1648 mL	0.3296 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

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