Exemestane

Cat. No.: HY-13632

CAS No.: 107868-30-4

Molecular Formula: $C_{20}H_{24}O_2$

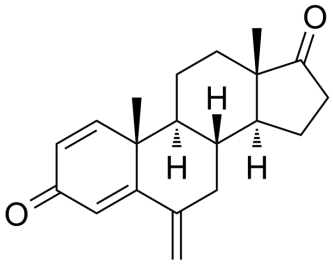
Molecular Weight: 296.4

Target: Cytochrome P450

Pathway: Metabolic Enzyme/Protease

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 54 mg/mL (182.19 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.3738 mL	16.8691 mL	33.7382 mL
5 mM	0.6748 mL	3.3738 mL	6.7476 mL
10 mM	0.3374 mL	1.6869 mL	3.3738 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (8.43 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (8.43 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (8.43 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Exemestane (FCE 24304) is a selective, irreversible and orally active steroidal aromatase inhibitor with IC_{50}s of 30 nM and 40 nM for human placental and rat ovarian aromatase, respectively. Exemestane can be used for hormone-dependent breast cancer research[1][2].

IC_{50} & Target

Aromatase

In Vitro

Exemestane (EXE; 1-1000 nM; 72 hours; hFOB, Saos-2 cells<) treatment significantly increases the number of the cells[2].
Exemestane (0.1- μM; 72 hours) increases alkaline phosphatase activity in hFOB and Saos-2 cells and induces the expression of MYBL2, OSTM1, HOXD11, ADCYAP1R1, and glypican 2 in hFOB cells[2].
Exemestane competitively inhibits and time-dependently inactivates of human placental aromatase with K_i of 4.3 nM.
Exemestane displaces [^{3}H]5α-dihydrotestosterone from rat prostate androgen receptor with IC_{50} of 0.9 μM[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[2]

Cell Line:	hFOB, Saos-2 cells
Concentration:	1 nM, 10 nM, 100 nM, 1000 nM
Incubation Time:	72 hours
Result:	Induced cell proliferation.

In Vivo

Exemestane (EXE; 20-100 mg/kg; intramuscular injection; once weekly; for 16 weeks) treatment significantly increases the lumbar vertebral and femoral BMD, bending strength of the femur, compressive strength of the fifth lumbar vertebra, and trabecular bone volume. Exemestane significantly reduces an ovariectomy-induced increase in serum pyridinoline and serum osteocalcin. Exemestane causes significant reductions of serum cholesterol and low-density lipoprotein cholesterol [3].

Exemestane (20 mg/kg/day s.c.) induces 26% complete (CR) and 18% partial (PR) tumor regressions in rats with 7,12-dimethylbenzanthracene (DMBA)-induced mammary tumors[4].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Female Sprague Dawley rats (10-month-old) bearing ovariectomy [3]
Dosage:	20 mg/kg, 50 mg/kg, or 100 mg/kg
Administration:	Intramuscular injection; once weekly; for 16 weeks
Result:	Significantly increased the lumbar vertebral and femoral BMD, bending strength of the femur, compressive strength of the fifth lumbar vertebra, and trabecular bone volume.

CUSTOMER VALIDATION

- J Pharm Biomed Anal. 2023 Jul 14, 115583.
- J Pharmaceut Biomed. 2020, 113870.

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REFERENCES

[1]. Di Salle, E., et al., Novel aromatase and 5 alpha-reductase inhibitors. J Steroid Biochem Mol Biol, 1994. 49(4-6): p. 289-94.

[2]. Miki, Y, et al. Effects of aromatase inhibitors on human osteoblast and osteoblast-like cells: a possible androgenic bone protective effects induced by exemestane. Bone. 2004 Sep 1;10(17):5717-23.

[3]. Goss, P.E., et al., Effects of the steroidal aromatase inhibitor exemestane and the nonsteroidal aromatase inhibitor letrozole on bone and lipid metabolism in ovariectomized rats. Clin Cancer Res, 2004. 10(17): p. 5717-23.

[4]. Zaccheo, T., D. Giudici, and E. Di Salle, Inhibitory effect of combined treatment with the aromatase inhibitor exemestane and tamoxifen on DMBA-induced mammary tumors in rats. J Steroid Biochem Mol Biol, 1993. 44(4-6): p. 677-80.

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