Elacestrant

Cat. No.: HY-19822

CAS No.: 722533-56-4

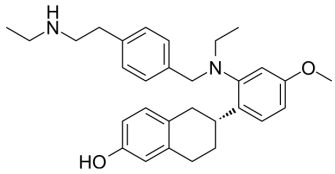
Molecular Formula: $C_{30}H_{38}N_2O_2$

Molecular Weight: 458.63

Target: Estrogen Receptor/ERR

Pathway: Vitamin D Related/Nuclear Receptor

Storage: 4°C, sealed storage, away from moisture and light
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 160 mg/mL (348.87 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1804 mL	10.9020 mL	21.8041 mL
	5 mM	0.4361 mL	2.1804 mL	4.3608 mL
	10 mM	0.2180 mL	1.0902 mL	2.1804 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: ≥ 4 mg/mL (8.72 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 4 mg/mL (8.72 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 4 mg/mL (8.72 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Elacestrant (RAD1901) is an orally available and selective estrogen receptor degrader (SERD) with IC_{50}s of 48 and 870 nM for ERα and ERβ, respectively. Elacestrant also can inhibit growth of ER^+ breast cancer cell lines in vitro and in vivo[1][2].

IC_{50} & Target

IC50: 48 nM (ERα), 870 nM (ERβ)[1]

In Vitro

Elacestrant (RAD1901; 0.5 nM-10 μM; 48 h) exhibits dose-dependent inhibition of ERα expression, with a EC_{50} of 0.6 nM in MCF-7 cells[1].
Elacestrant (0-1 μM; 48 h) inhibits proliferation of Estradiol (E2)-stimulated MCF-7 cells in a dose-dependent manner, with an

EC_{50} of 4 pM[1].
Elacestrant (0-1 μM; 24 or 48 h) results in a dose-dependent and marked decrease in estrogen receptor protein expression in MCF7, T47D, and HCC1428 cells[2].
Elacestrant (0.01, 0.1, 1.0 μM) decreases expression of progesterone receptor (PGR, PR; an ER target gene), in both MCF7 and T47D cell lines[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]

Cell Line:	ER-positive MCF-7 cells (Estradiol (E2)-stimulated)[1]
Concentration:	0-1 μM
Incubation Time:	48 h
Result:	Showed antiproliferative activity on cells.

Western Blot Analysis[1]

Cell Line:	MCF-7 cells
Concentration:	0.5 nM-10 μM
Incubation Time:	48 h
Result:	Inhibited ERα expression (EC_{50} of 0.6 nM) in a dose-dependent manner.

Western Blot Analysis[2]

Cell Line:	MCF7, T47D, and HCC1428 cells
Concentration:	0-1 μM
Incubation Time:	24 or 48 h
Result:	Decreased the expression of estrogen receptor protein.

In Vivo

Elacestrant (0.3-120 mg/kg; p.o.; single daily for 40 days) antagonizes E2-mediated uterine stimulation in a dose-dependent manner in vivo[1].
Elacestrant (30, 60 mg/kg; p.o.; single daily for 4 weeks) induces complete tumor growth inhibition in mice[2].
Tumor growth inhibition is maintained for 4 weeks after Elacestrant withdrawal[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	MCF7 cell line xenograft model of mice[2].
Dosage:	30, 60 mg/kg
Administration:	Oral administration; single daily for 4 weeks.
Result:	Inhibited growth of tumor.

CUSTOMER VALIDATION

- Cancer Discov. 2023 Nov 20.
- J Med Chem. 2020 Oct 8;63(19):11085-11099.

- NPJ Breast Cancer. 2022 Dec 14;8(1):130.
- Mol Cancer Ther. 2020 Jul;19(7):1395-1405.
- J Cell Mol Med. 2023 Aug 18.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. Bihani T, et al. Elacestrant (RAD1901), a Selective Estrogen Receptor Degrader (SERD), Has Antitumor Activity in Multiple ER+ Breast Cancer Patient-derived Xenograft Models. Clin Cancer Res. 2017 Aug 15;23(16):4793-4804.

[2]. Garner F, et al. RAD1901: a novel, orally bioavailable selective estrogen receptor degrader that demonstrates antitumor activity in breast cancer xenograft models. Anticancer Drugs. 2015 Oct;26(9):948-56.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA