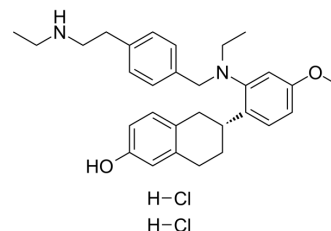


## Elacestrant dihydrochloride

<b>Cat. No.:</b>	HY-19822A
<b>CAS No.:</b>	1349723-93-8
<b>Molecular Formula:</b>	C <sub>30</sub> H <sub>40</sub> Cl <sub>2</sub> N <sub>2</sub> O <sub>2</sub>
<b>Molecular Weight:</b>	531.56
<b>Target:</b>	Estrogen Receptor/ERR
<b>Pathway:</b>	Vitamin D Related/Nuclear Receptor
<b>Storage:</b>	4°C, sealed storage, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



### SOLVENT & SOLUBILITY

#### In Vitro

DMSO : 100 mg/mL (188.13 mM; Need ultrasonic)  
H<sub>2</sub>O : 50 mg/mL (94.06 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	1 mM	1.8813 mL	9.4063 mL	18.8126 mL
	5 mM	0.3763 mL	1.8813 mL	3.7625 mL
	10 mM	0.1881 mL	0.9406 mL	1.8813 mL

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

#### In Vivo

- Add each solvent one by one: 5% DMSO >> 40% PEG300 >> 5% Tween-80 >> 50% saline  
Solubility: ≥ 2.87 mg/mL (5.40 mM); Clear solution
- Add each solvent one by one: 5% DMSO >> 95% (20% SBE-β-CD in saline)  
Solubility: ≥ 2.87 mg/mL (5.40 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline  
Solubility: ≥ 2.5 mg/mL (4.70 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)  
Solubility: ≥ 2.5 mg/mL (4.70 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil  
Solubility: ≥ 2.5 mg/mL (4.70 mM); Clear solution
- Add each solvent one by one: 1% DMSO >> 99% saline  
Solubility: ≥ 0.57 mg/mL (1.07 mM); Clear solution

### BIOLOGICAL ACTIVITY

#### Description

Elacestrant (RAD1901) dihydrochloride is an orally available and selective estrogen receptor degrader (SERD) with IC<sub>50</sub>s of 48

and 870 nM for ER $\alpha$  and ER $\beta$ , respectively. Elacestrant dihydrochloride also can inhibit growth of ER<sup>+</sup> breast cancer cell lines in vitro and in vivo<sup>[1][2]</sup>.

#### IC<sub>50</sub> & Target

IC<sub>50</sub>: 48 nM (ER $\alpha$ ), 870 nM (ER $\beta$ )<sup>[1]</sup>

#### In Vitro

Elacestrant dihydrochloride (RAD1901; 0.5 nM-10  $\mu$ M; 48 h) exhibits dose-dependent inhibition of ER $\alpha$  expression, with a EC<sub>50</sub> of 0.6 nM in MCF-7 cells<sup>[1]</sup>.

Elacestrant dihydrochloride (0-1  $\mu$ M; 48 h) inhibits proliferation of Estradiol (E2)-stimulated MCF-7 cells in a dose-dependent manner, with an EC<sub>50</sub> of 4 pM<sup>[1]</sup>.

Elacestrant dihydrochloride (0-1  $\mu$ M; 24 or 48 h) results in a dose-dependent and marked decrease in estrogen receptor protein expression in MCF7, T47D, and HCC1428 cells<sup>[2]</sup>.

Elacestrant dihydrochloride (0.01, 0.1, 1.0  $\mu$ M) decreases expression of progesterone receptor (PGR, PR; an ER target gene), in both MCF7 and T47D cell lines<sup>[2]</sup>.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

##### Cell Proliferation Assay<sup>[1]</sup>

Cell Line:	ER-positive MCF-7 cells (Estradiol (E2)-stimulated)
Concentration:	0-1 $\mu$ M
Incubation Time:	48 h
Result:	Showed antiproliferative activity on cells.

##### Western Blot Analysis<sup>[1]</sup>

Cell Line:	MCF-7 cells
Concentration:	0.5 nM-10 $\mu$ M
Incubation Time:	48 h
Result:	Inhibited ER $\alpha$ expression (EC <sub>50</sub> of 0.6 nM) in a dose-dependent manner.

##### Western Blot Analysis<sup>[2]</sup>

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

#### In Vivo

Elacestrant dihydrochloride (0.3-120 mg/kg; p.o.; single daily for 40 days) antagonizes E2-mediated uterine stimulation in a dose-dependent manner in vivo<sup>[1]</sup>.

Elacestrant dihydrochloride (30, 60 mg/kg; p.o.; single daily for 4 weeks) induces complete tumor growth inhibition in mice<sup>[2]</sup>.

Tumor growth inhibition is maintained for 4 weeks after Elacestrant dihydrochloride withdrawal<sup>[2]</sup>.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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

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Result:

Inhibited growth of tumor.

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## CUSTOMER VALIDATION

- Cancer Discov. 2023 Nov 20.
- Cancer Res. 2024 Oct 2.
- NPJ Breast Cancer. 2022 Dec 14;8(1):130.
- Biomed Pharmacother. 2024 Oct 14:180:117523.
- J Med Chem. 2020 Oct 8;63(19):11085-11099.

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## REFERENCES

[1]. Bihani T, et al. Elacestrant (RAD1901), a Selective Estrogen Receptor Degradar (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.

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**Caution: Product has not been fully validated for medical applications. For research use only.**

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