Elacestrant dihydrochloride

Cat. No.: HY-19822A

CAS No.: 1349723-93-8

Molecular Formula: $C_{30}H_{40}Cl_2N_2O_2$

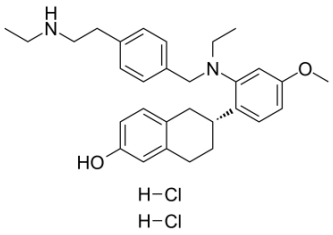
Molecular Weight: 531.56

Target: Estrogen Receptor/ERR

Pathway: Others

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (188.13 mM; Need ultrasonic)

H_2O : 50 mg/mL (94.06 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	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

1. Add each solvent one by one: 1% DMSO >> 99% saline
   Solubility: ≥ 0.57 mg/mL (1.07 mM); Clear solution
2. 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
3. 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
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.70 mM); Clear solution
5. 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
6. 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

BIOLOGICAL ACTIVITY

Description	Elacestrant dihydrochloride (RAD1901 dihydrochloride) is an orally available selective estrogen receptor degrader (SERD) with IC_{50}s of 48 and 870 nM for ERα and ERβ, respectively.
IC_{50} & Target	IC50: 48 nM (ERα), 870 nM (ERβ)[1]
In Vitro	RAD1901 selectively binds to and degrades the ER and is a potent antagonist of ER-positive breast cancer cell proliferation. RAD1901 treatment exhibits dose-dependent inhibition of ERα expression, with an EC_{50} of 0.6 nM. Treatment of ER-positive MCF-7 cells with E2 results in a potent and dose-dependent increase in proliferation, with an EC_{50} of 4 pM. Treatment of cells with RAD1901 in the presence of 10 pM E2 resultsin a dose-dependent decrease in proliferation, with an IC_{50} value of 4.2 nM[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	RAD1901 produces a robust and profound inhibition of tumor growth in MCF-7 xenograft models. RAD1901-treated animals survived longer than those treated with either control or ICI 182780. RAD1901 preserves ovariectomy-induced bone loss and preventes the uterotropic effects of E2[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [1]	For proliferation assays, MCF-7 cells are treated with 2% charcoal-stripped FBS–MEM containing 10 pM E2 with either RAD1901 or additional E2 at concentrations ranging from 10^{-9} to 1 M. The medium is removed after 48 h of incubation and the cells are lysed by adding 100 µl of CellTiter Glo. The plates are gently mixed on a plate shaker for 10 min before the luminescent signal is measured on a luminometer. The EC_{50} and $IC_{50}$of the test compound are then defined[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [1]	Mice: RAD1901 is stored as a dry powder, formulated for use as a homogenous suspension in 0.5% (w/v) methylcellulose in deionized water. Fourteen days after tumor cell implantation, the mice are randomized into nine groups of 15 animals each and treated with vehicle, CI 47699 (1 mg/animal every other day), ICI 182780 (0.5 mg/animal daily), or RAD1901 (0.3, 1, 3, 10, 30, 60, 90, and 120 mg/kg daily). Tumor volumes are evaluated twice per week[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- J Med Chem. 2020 Oct 8;63(19):11085-11099.
- Mol Cancer Ther. 2020 Jul;19(7):1395-1405.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. 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.

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

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