Erteberel

Cat. No.: HY-18295

CAS No.: 533884-09-2

Molecular Formula: $C_{18}H_{18}O_3$

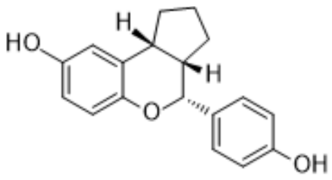
Molecular Weight: 282.33

Target: Estrogen Receptor/ERR

Pathway: Vitamin D Related/Nuclear Receptor

Storage:

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



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 30 mg/mL (106.26 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.5420 mL	17.7098 mL	35.4195 mL
5 mM	0.7084 mL	3.5420 mL	7.0839 mL
10 mM	0.3542 mL	1.7710 mL	3.5420 mL

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

BIOLOGICAL ACTIVITY

Description

Erteberel (LY500307) is a potent and selective estrogen receptor beta (ERβ) agonist with K_i and EC_{50} of 1.54 nM and 3.61 nM, respectively. Erteberel has anti-tumor activities[1][2].

IC_{50} & Target

ERβ 1.54 nM (Ki)	ERβ 3.61 nM (EC50)

In Vitro

Treatment with Erteberel (0.25-10 μM, 72 hours) significantly reduces the proliferation of GBM cells with no activity on normal astrocytes in vitro[2].

Erteberel promotes apoptosis of GBM cells. Erteberel modulated several pathways related to apoptosis, cell cycle, and DNA damage response[2].

Erteberel (0-1000 μM) sensitizes GBM cells to several FDA-approved chemotherapeutic drugs including cisplatin, lomustine and temozolomide[2].

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

Cell Viability Assay[2]

Cell Line:	U87, U251,T98G and normal astrocytes
Concentration:	0.25, 0.5, 1, 2, 4, 6, 8, and 10 μM
Incubation Time:	72 h
Result:	Treatment with Erteberel significantly reduces the viability of various GBM cell lines in adose-dependent manner. In contrast, viability of normal astrocytes is not affected at the tested doses, suggesting that Erteberel has tumor cell–specific activity[2].

In Vivo

Erteberel (5 mg/Kg body weight/day, oral, 28 days) treatment significantly reduces tumor growth and promotes apoptosis of GBM tumors in an orthotopic model[2].

Erteberel (5 mg/Kg body weight/day, oral, 40-50 days) treatment improves the overall survival of tumor-bearing mice in the GL26 syngeneic glioma model[2].

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

Animal Model:	Athymic mice (5-7 weeks) inoculated with OVCAR-3 cells[2]
Dosage:	5mg/Kg body weight
Administration:	Oral, daily for 28 days
Result:	Immunohistochemical analysis reveals that Erteberel treatment significantly reduces the number of proliferation marker Ki-67-positive cells and increases the number of TUNEL-positive apoptotic cells[2].

CUSTOMER VALIDATION

- Cell Death Discov. 2021 Jul 22;7(1):189.
- Research Square Preprint. 2021 Feb.

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REFERENCES

[1]. Zhao L, et al. Pharmacological activation of estrogen receptor beta augments innate immunity to suppress cancer metastasis. Proc Natl Acad Sci U S A. 2018 Apr 17;115(16):E3673-E3681.

[2]. Sareddy GR, et al. Selective Estrogen Receptor β Agonist LY500307 as a Novel Therapeutic Agent for Glioblastoma. Sci Rep. 2016 Apr 29;6:24185.

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