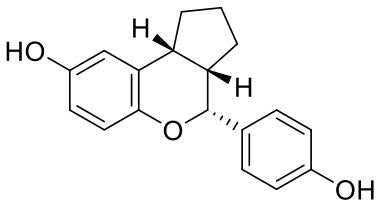
Product data sheet

MedKoo Cat#: 319762 Name: Erteberel CAS#: 533884-09-2 Chemical Formula: $C_{18}H_{18}O_3$ Exact Mass: 282.1256 Molecular Weight: 282.339	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
Erteberel, also known as LY500307, is a selective estrogen receptor beta (ERβ) agonist that was developed for the treatment of benign prostatic hyperplasia. LY500307 was well tolerated in BPH patients with LUTS at doses up to 25 mg once daily for 24 weeks. The study was terminated early because of inadequate efficacy.

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	30.0	106.26
DMF	30.0	106.26
Ethanol	30.0	106.26

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	3.54 mL	17.71 mL	35.42 mL
5 mM	0.71 mL	3.54 mL	7.08 mL
10 mM	0.35 mL	1.77 mL	3.54 mL
50 mM	0.07 mL	0.35 mL	0.71 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study
In vitro study

1. Sareddy GR, Li X, Liu J, Viswanadhapalli S, Garcia L, Gruslova A, Cavazos D, Garcia M, Strom AM, Gustafsson JA, Tekmal RR, Brenner A, Vadlamudi RK. Selective Estrogen Receptor β Agonist LY500307 as a Novel Therapeutic Agent for Glioblastoma. Sci Rep. 2016 Apr 29;6:24185. doi: 10.1038/srep24185. PMID: 27126081; PMCID: PMC4850367.

In vivo study

1. Zhao L, Huang S, Mei S, Yang Z, Xu L, Zhou N, Yang Q, Shen Q, Wang W, Le X, Lau WB, Lau B, Wang X, Yi T, Zhao X, Wei Y, Warner M, Gustafsson JÅ, Zhou S. 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. doi: 10.1073/pnas.1803291115. Epub 2018 Mar 28. PMID: 29592953; PMCID: PMC5910874.
2. Sareddy GR, Li X, Liu J, Viswanadhapalli S, Garcia L, Gruslova A, Cavazos D, Garcia M, Strom AM, Gustafsson JA, Tekmal RR, Brenner A, Vadlamudi RK. Selective Estrogen Receptor β Agonist LY500307 as a Novel Therapeutic Agent for Glioblastoma. Sci Rep. 2016 Apr 29;6:24185. doi: 10.1038/srep24185. PMID: 27126081; PMCID: PMC4850367.

7. Bioactivity

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Biological target:

Erteberel (LY500307) is an estrogen receptor beta (ERβ) agonist with Ki and EC50 of 1.54 nM and 3.61 nM, respectively.

In vitro activity

Treatment with LY500307 significantly reduced the viability of various GBM cell lines in a dose-dependent manner. In contrast, viability of normal astrocytes was not affected at the tested doses, suggesting that LY500307 has tumor cell–specific activity (Fig. 1a). Cell Titer-Glo luminescent cell viability assays revealed that LY500307 reduced the viability of various patient-derived GBM cells in a dose dependent manner (Fig. 1b). As shown in Fig. 1c, LY500307 significantly reduced the colony formation of U87 and U251 GBM cells. LY500307 significantly induced Annexin V-positive apoptotic cells in U87, U251 (Fig. 1d,e) and patient derived primary GBM (GBM10) cells (Supplementary Fig. 1b). Collectively, these results suggested that LY500307 has potential to selectively reduce cell viability, decrease survival and induce apoptosis of GBM cells.

Reference: Sci Rep. 2016; 6: 24185. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC4850367/

In vivo activity

In detail, the number of lung metastatic nodules in mice of the 4T1 model treated with LY500307 was significantly lower than that in mice of the 4T1 model treated with control (Fig. 1B; $P < 0.05$). Moreover, the overall survival in the LY500307 treatment group of the 4T1 murine model was significantly longer than that of the control group (Fig. 1C; $P < 0.05$).

Reference: Proc Natl Acad Sci U S A. 2018 Apr 17; 115(16): E3673–E3681.
https://www.ncbi.nlm.nih.gov/pmc/articles/PMC5910874/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.