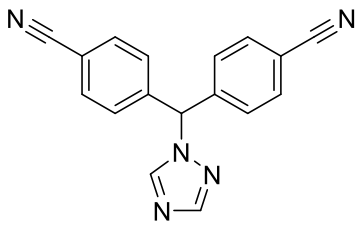
Product data sheet

MedKoo Cat#: 100510 Name: Letrozole CAS#: 112809-51-5 Chemical Formula: $C_{17}H_{11}N_5$ Exact Mass: 285.10145 Molecular Weight: 285.3	
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:

Letrozole, also known as CGS-20267, is a nonsteroidal inhibitor of estrogen synthesis with antineoplastic activity. As a third-generation aromatase inhibitor, letrozole selectively and reversibly inhibits aromatase, which may result in growth inhibition of estrogen-dependent breast cancer cells. Aromatase, a cytochrome P-450 enzyme localized to the endoplasmic reticulum of the cell and found in many tissues including those of the premenopausal ovary, liver, and breast, catalyzes the aromatization of androstenedione and testosterone into estrone and estradiol, the final step in estrogen biosynthesis.

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	38.0	132.87

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	3.51	17.53	35.05
5 mM	0.70	3.51	7.01
10 mM	0.35	1.75	3.51
50 mM	0.07	0.35	0.07

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. Kim HJ, Seong HS, Choi Y, Heo SC, Kim YD. Letrozole Suppresses the Fusion of Osteoclast Precursors through Inhibition of p38-Mediated DC-STAMP Pathway. Int J Mol Sci. 2020 Nov 9;21(21):8396. doi: 10.3390/ijms21218396. PMID: 33182361; PMCID: PMC7664929.

2. Dave N, Chow LM, Gudelsky GA, LaSance K, Qi X, Desai PB. Preclinical pharmacological evaluation of letrozole as a novel treatment for gliomas. Mol Cancer Ther. 2015 Apr;14(4):857-64. doi: 10.1158/1535-7163.MCT-14-0743. Epub 2015 Feb 18. PMID: 25695958; PMCID: PMC4631403.

In vivo study

1. Arroyo P, Ho BS, Sau L, Kelley ST, Thackray VG. Letrozole treatment of pubertal female mice results in activational effects on reproduction, metabolism and the gut microbiome. PLoS One. 2019 Sep 30;14(9):e0223274. doi: 10.1371/journal.pone.0223274. PMID: 31568518; PMCID: PMC6768472.

2. Torres PJ, Ho BS, Arroyo P, Sau L, Chen A, Kelley ST, Thackray VG. Exposure to a Healthy Gut Microbiome Protects Against Reproductive and Metabolic Dysregulation in a PCOS Mouse Model. Endocrinology. 2019 May 1;160(5):1193-1204. doi: 10.1210/en.2019-00050. PMID: 30924862; PMCID: PMC6482036.

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7. Bioactivity

Biological target:

Letrozole (CGS 20267) is a potent, selective, reversible, and non-steroidal inhibitor of aromatase with an IC50 of 11.5 nM

In vitro activity

This study investigated the effect of letrozole on bone metabolism, focusing on osteoclastogenesis. Letrozole did not affect the viability, proliferation, or migration of bone marrow-derived macrophages (BMMs); however, it reduced the multinucleation of immature osteoclasts and subsequent bone resorption in vitro. Overall, letrozole inhibited the expression of dendritic cell-specific transmembrane protein (DC-STAMP), tartrate-resistant acid phosphatase, calcitonin receptor, and cathepsin K. Among them, the reduced expression of DC-STAMP was the most prominent. However, this downregulation of DC-STAMP expression following letrozole treatment was not related to the inhibition of major osteoclastogenesis pathways, such as the nuclear factor-κB (NF-κB), c-Fos, and nuclear factor of activated T cell c1 (NFATc1) pathways, but was attributed to the inhibition of p38, which is known to reside upstream of DC-STAMP expression. Notably, the anti-osteoclastogenic effect of letrozole was abolished following treatment with the p38 activator anisomycin. Contrary to expectations, these results strongly suggest a previously unknown anti-osteoclastogenic activity of letrozole, mediated by the downregulation of the p38/DC-STAMP pathway.

Int J Mol Sci. 2020 Nov 9;21(21):8396. https://pubmed.ncbi.nlm.nih.gov/33182361/

In vivo activity

In this study, it was investigated whether letrozole treatment of pubertal female mice exerts organizational or activational effects on host physiology and the gut microbiome. In previous findings, letrozole treatment of female mice resulted in weight gain and abdominal adiposity compared to placebo mice. In this cohort, 5 weeks of letrozole treatment also resulted in increased body weight (Fig 4A). When body weight and parametrial fat were measured at the end of the experiment, placebo and letrozole-treated mice had similar body weights and amounts of parametrial fat relative to body weight post-pellet removal (Fig 4A and 4B). Since changes in the gut microbiome were reported to correlate with PCOS in both women and in rodent models, it was investigated whether letrozole treatment resulted in organizational or activational effects on the composition of the gut microbiome. The species richness (alpha diversity) of the gut microbiome in placebo and letrozole-treated female mice was analyzed using Faith's PD estimate before (weeks 1–5) and after (weeks 9–13) pellet removal (Fig 6A). Similar to a previous report, placebo mice showed a significant positive correlation with alpha diversity during the first 5 weeks of the study that corresponded with puberty. In contrast, letrozole treatment of pubertal female mice did not result in a significant change in alpha diversity over time (RM-ANOVA: $p = 0.059$) (Fig 6A). After pellet removal, placebo mice did not demonstrate a positive correlation with alpha diversity over time and letrozole mice demonstrated similar alpha diversity to placebo-treated mice (Fig 6A). This study clearly showed that letrozole treatment of pubertal female mice resulted in activational effects on the reproductive axis.

PLoS One. 2019; 14(9): e0223274. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC6768472/

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.