

## Name(s)

- **Generic:** letrozole (LET roe zole) | **Brand:** Femara

## Therapeutic Category

- Aromatase Inhibitor | Antineoplastic Agent

## Indication(s)

1. **Hormone Receptor Positive Breast Cancer (In women after menopause):** Used to treat and prevent cancer from returning in postmenopausal women. In early breast cancer treatment it used after 5 years of tamoxifen. In Advanced breast cancer it follows antiestrogen therapy.
2. OFF-LABEL | **Other Breast Cancer Variations** (Advanced, Metastatic, HER2-positive, HER2-negative)
3. OFF-LABEL | **Infertility; Ovulation Stimulation in females w/ Polycystic Ovary Syndrome (PCOS):** Some meta-analyses studies have suggested that letrozole is superior to clomiphene in the induction of ovulation in females with PCOS with increased ovulation/pregnancy/birth rate.
4. OFF-LABEL | **Recurrent Ovarian Cancer:** Use in platinum and taxane resistant ovarian cancers have been suggested but benefits need further study.
5. OFF-LABEL | Pediatric uses in Delayed puberty and growth in males; McCune-Albright syndrome in females; Short-stature in males: Limited data and studies. Consult most current literature if considering usage in any OFF-LABEL uses with limited evidence.

## Dosage Form & Strength

- **Tablet:** 2.5 mg

## Dosing by Indication

- Dosing for **Advanced Breast Cancer** in Postmenopausal Female Adults: 2.5 mg po qd until tumor progression.
- **Adjuvant** Dosing for **Early Breast Cancer** in Postmenopausal Female Adults: 2.5 mg po qd for 5 years. Discontinue at relapse.
- **Extended Adjuvant Dosing** for **Early Breast Cancer** in Postmenopausal Female Adults: 2.5 mg po qd for 5 years after 5 years of tamoxifen starting w/in 3 months of tamoxifen discontinuation. Discontinue at relapse.
- OFF-LABEL | **Infertility in PCOS patients:** Initiate 2.5 mg po qd for 5 days starting on day 3, 4, or 5 following menses or progestin induced bleed. If ovulation does not occur at initiation dose may increase to 5 mg po qd for 5 days on next cycle. Max of 7.5 mg/day. Therapy was studied for up to 5 cycles.
- OFF-LABEL | **Epithelial Ovarian Cancer:** 2.5 mg po qd until disease progression or if toxicity becomes intolerable.

## Mechanism of Action & Pharmacology

- **MOA:** Letrozole decreases the amount of estrogen in the body which causes the growth of some some breast cancers. It is a competitive non-steroidal aromatase enzyme inhibitor. The drug binds to the heme group of the cytochrome P450 aromatase enzyme which significantly reduces estrogen levels in the plasma without affecting aldosterone and androgens or thyroid and adrenal hormones.



- **Absorption:** Rapid | **Metabolism:** Hepatically metabolized via CYP3A4 and 2A6 to an inactive metabolite. | **Excretion:** ~90% is excreted in the urine | **Time to Peak:** Plasma steady state is seen within 2-6 weeks. | **Half-Life Elimination** is ~2 days (48 hours) | **Protein Binding** in plasma is weak.

## Special Populations & Considerations

- Patients with **mild to moderate hepatic impairment** experience a 37% higher AUC and a 47% reduction in systemic clearance when **severe hepatic impairment** is present.
- Women who are pregnant should not handle on inhale dust from this medication.
- Concern for **CNS depression** causing dizziness and fatigue.
- **Decrease in bone mineral density (BMD)** has been seen after 2 years of use in patients on letrozole. 3.8% decrease in BMD compared to 2% in patients not using letrozole.

## Side Effects

- **General Side Effects:** Hot flashes, hair loss, pain (in muscles, bones, joints), trouble sleeping, dizziness
- >10% (More common): Hot flashes (50%); Headache (4-20%); Hypercholesterolemia (3-52%); Weight Gain (2-13% to name a few.

## Drug Interactions

- General drug interaction concerns are with drugs that contain estrogens such as **ethinyl estradiol, conjugated estrogen** or estrogen blockers such as **anastrozole** and **tamoxifen**
- **Tamoxifen** may decrease letrozole serum concentrations
- Letrozole may increase **methadone** serum concentrations.

## Monitoring Parameters

- Cholesterol; Hepatic function; Bone Density; Pregnancy
- Monitor **Adherence**

## Patient Counseling Information

- Medication is not affected if taken with food.
- Used to treat breast cancer in women (and other cancers and can be used for infertility)
- Most common side effects are hot flashes, n/v, loss of strength/energy, and pain (back, muscles, joints).

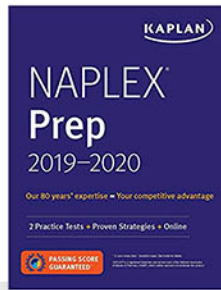
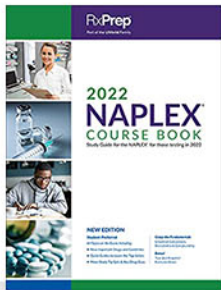
## Reference(s)

- <https://www.drugs.com/ppa/letrozole.html>
- <https://www.webmd.com/drugs/2/drug-4297/letrozole-oral/details>

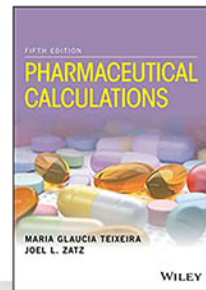
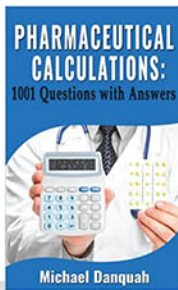
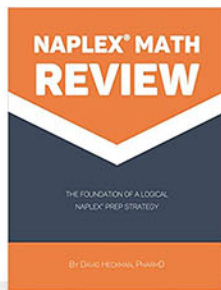
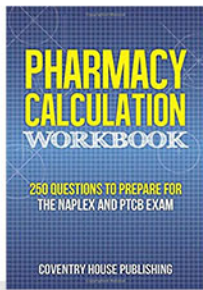


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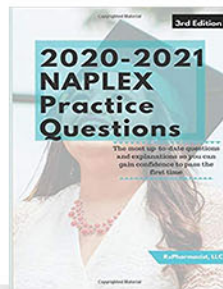
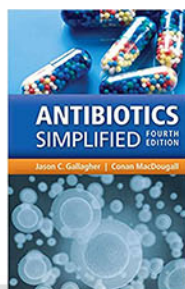
## Calculations (NAPLEX)



## Pharmacy Law (MPJE)



## Supplemental



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