RonanRx
PERSONALIZED MEDICINE

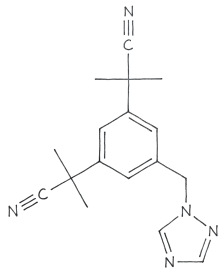
CLINICAL MONOGRAPH · HORMONE OPTIMIZATION

Anastrozole

Aromatase inhibitor used adjunctively in hormone protocols

Anastrozole is a once-daily oral medicine that lowers estrogen in postmenopausal women by blocking the aromatase enzyme, which is how the body converts androgens into estrogen [fda_label_arimidex]. The brand name is Arimidex (approved by the FDA in 1995). Its main FDA-approved use is to treat hormone-receptor-positive breast cancer in postmenopausal women, either after surgery (adjuvant therapy) or for cancer that has spread [atac2002; cuzick2014].

Beyond breast cancer, doctors use anastrozole off-label to manage estrogen in men on testosterone replacement therapy, to treat boys with idiopathic short stature or pubertal gynecomastia, and as an alternative to clomiphene in some male infertility scenarios [mauras2016; helo2015]. The FDA-approved 1 mg tablet is often too high for these off-label uses, which is one reason compounding pharmacies prepare lower strengths (commonly 0.125, 0.25, or 0.5 mg) on a patient-specific prescription.



EVIDENCE POSTURE FDA APPROVED WELL STUDIED REVIEWED **2026-05-11**

State-licensed 503A | Pharmacist reviewed | Doctor led | Cold-chain ready | Patient choice preserved

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FOR CLINICIANS

Anastrozole is a third-generation, non-steroidal, reversible aromatase inhibitor that suppresses peripheral estrogen biosynthesis from androgenic precursors in adipose tissue, breast, bone, and brain [plourde1995] [raman2002; deronde2007; atac2002]. At the labeled 1 mg/day dose, it reduces plasma estradiol by >80% in postmenopausal women and produces near-complete suppression of total-body aromatization [geisler2002, lonning2010] [eastell2011; forbes2008]. The FDA-approved indication (Arimidex, December 1995) is hormone-receptor-positive breast cancer in postmenopausal women, both as adjuvant therapy and for advanced disease [fda_label_arimidex, buzdar1996, nabholtz2000] [amir2011].

Pivotal phase III evidence comes from ATAC (anastrozole vs tamoxifen vs combination, N=9,366), IBIS-II prevention [cuzick2014, cuzick2020] in postmenopausal women at high breast-cancer risk (53% reduction in incident invasive ER+ breast cancer), IBIS-II DCIS [forbes2016], MA.27 [goss2013] (head-to-head with exemestane), TEAM [vandevelde2011], and the EBCTCG patient-level meta-analysis [ebctcg2015]. Comparative aromatase-inhibitor pharmacology (anastrozole vs letrozole vs exemestane) is summarized by Geisler and Lønning [geisler2002, lonning2010] [eastell2008; cuzick2010]. The class-typical adverse-event profile is dominated by arthralgia/musculoskeletal symptoms [sestak2008, crew2007], accelerated bone turnover with elevated fracture risk relative to tamoxifen, vasomotor symptoms, and adverse lipid effects [burnettbowie2009; atac2005]. Bone loss is partly attenuated by concomitant zoledronic acid [brufsky2009] and risedronate [sestak2014] [eastell2006]. Off-label clinical use in men (TRT adjunct, hypogonadism, infertility), pediatric short stature [wickman2001, hero2006, mauras2016], pubertal gynecomastia [riepe2004, plourde2004], ovulation induction [mitwally2001, mitwally2002], and endometriosis [ailawadi2004] is supported by smaller controlled trials. Compounded 503A preparations enable patient-specific dose individualization, most commonly sub-1-mg strengths for men on testosterone replacement, oral suspensions for pediatric administration, and troche formulations for patients with GI intolerance [plourde1995] [helo2015; leder2004].

Why Personalized Anastrozole

Arimidex's 1 mg once-daily dose was calibrated in postmenopausal women with hormone-receptor-positive breast cancer, where the goal is near-complete estrogen suppression and a single fixed strength serves that goal. That dose was not chosen for a 45-year-old man on testosterone replacement whose estradiol is running 60 pg/mL and who needs a fractional, titratable knock-down without crashing his joints and libido. It was not chosen for a 12-year-old boy with pubertal gynecomastia who needs weight-based dosing in a liquid. And it was not chosen for a patient who cannot tolerate the oral tablet's GI effects but can hold a troche under the tongue. Anastrozole has a 50-hour half-life, so steady-state estradiol takes about a week to reveal, which means dose precision and patient-specific monitoring matter more than they would for a faster-clearing drug.

Compounding is where that precision lives. RonanRx prepares anastrozole at sub-1-mg strengths (0.125, 0.25, 0.5 mg capsules), as oral suspensions for pediatric weight-based titration, and as sublingual troches when the GI route is not viable. The active ingredient is the same molecule the FDA reviewed in 1995, sourced from FDA-registered facilities with certificates of analysis on file. What changes is the strength, the dosage form, and the cadence, all anchored to a prescriber's read of the patient's estradiol, symptoms, bone status, and lipid panel rather than to a label written for a different population.

This is what pharmacy looked like before the manufactured tablet became the only option: a prescriber wrote for a named patient, a licensed pharmacist prepared it, and the dose matched the person. Compounded anastrozole is that older arrangement, kept honest by state inspection, USP <795> documentation, and full lot traceability.

Quick Facts About Anastrozole

Category: Third-generation non-steroidal aromatase inhibitor

Active ingredient: Anastrozole, a benzyl-triazole small molecule that reversibly inhibits the aromatase (CYP19A1) enzyme

FDA-approved branded form: Arimidex (1 mg oral tablet, approved December 1995) for postmenopausal hormone-receptor-positive breast cancer in adjuvant and advanced settings

Route: Oral; compounded preparations may also be sublingual/troche, oral suspension, or low-strength capsule

Evidence posture: Strong: ATAC and IBIS-II are landmark phase III trials; the EBCTCG patient-level meta-analysis pooled >30,000 women across aromatase-inhibitor vs tamoxifen trials. Adjunctive use in men and pediatrics is supported by smaller controlled trials.

FDA-approval status: Manufactured 1 mg tablet (Arimidex and generic anastrozole) is FDA-approved. Compounded preparations are not FDA-approved.

Compounded under: 503A, patient-specific prescription only, for documented individualization needs: pediatric oral suspensions, sub-1-mg strengths for men on testosterone replacement, troche formulations for GI-intolerant patients

Schedule / pregnancy: Not a controlled substance. Pregnancy contraindicated, animal teratogenicity and embryolethality.

Half-life: ~50 hours; steady state in approximately 7 days with daily dosing

> **SPECIALS: PATIENT-SPECIFIC PRESCRIPTION ONLY**
>
> Anastrozole described in this monograph is a 503A compounded preparation. Every dose is made on a prescription, for a named patient, by a licensed pharmacist. It is not a stocked, mass-manufactured product.
>
> - **Made to order, not off a shelf.** No batch sits in a warehouse waiting for buyers. Your prescription triggers the prep.
> - **Named-patient label.** The bottle carries one patient's name. The batch records carry one prescription.
> - **Dose, strength, and route chosen for the patient.** A prescriber decides what gets compounded, not a manufacturer who set the strength for a trial population.
> - **Licensed pharmacist on the hook.** A real person, with a license that can be pulled, signs off on every prep. State inspectors check the facility.
> - **Compounded drugs are not FDA-approved.** They should not be evaluated using branded-drug trial data alone. Availability varies by state and prescribed medication.

How This Differs from a Research-Use-Only Website

A research-use-only website ships a vial from a warehouse. There is no prescription, no pharmacist, no facility inspection, and no way to recall the product if something is wrong with it. If the vial is mislabeled, contaminated, or under-potent, there is nobody whose license is at stake.

A 503A compounding pharmacy is the other thing. The doctor writes the prescription. A licensed pharmacist, whose name is on the label, prepares the medicine in a facility the state inspects. If something goes wrong, there is a person and a license on the hook, and a documented chain of custody on every lot. That accountability is what makes it safe.

What is Anastrozole?

Anastrozole is a small-molecule, third-generation, non-steroidal aromatase inhibitor. Chemically it is a benzyl-triazole; the triazole nitrogen coordinates with the heme iron of the aromatase (CYP19A1) enzyme, reversibly blocking the active site without itself being modified by the enzyme. This reversibility

distinguishes anastrozole and letrozole (also non-steroidal triazoles) from exemestane, a steroidal aromatase inactivator that forms an irreversible covalent adduct with the enzyme [plourde1995, smith2003, lonning2010] [fda_label_arimidex].

Anastrozole was developed at Zeneca (later AstraZeneca) and received FDA approval as Arimidex on December 27, 1995, initially for postmenopausal women with advanced breast cancer that had progressed on tamoxifen [fda_label_arimidex]. The adjuvant indication followed after the ATAC trial reported its first results [atac2002]. The drug substance is manufactured as a 1 mg film-coated oral tablet; generic anastrozole has been widely available since patent expiry in 2010.

Beyond Arimidex, compounding pharmacies prepare anastrozole in custom strengths and dosage forms: lower-strength oral capsules (commonly 0.125, 0.25, or 0.5 mg) for men on testosterone replacement therapy who need only fractional estrogen suppression, oral suspensions for pediatric short-stature and pubertal gynecomastia indications, and sublingual troches for patients with GI intolerance or who require faster absorption [fda_label_arimidex].

How Anastrozole Works

Anastrozole reversibly inhibits aromatase (CYP19A1), the cytochrome P450 enzyme that converts androstenedione and testosterone into estrone and estradiol respectively [leder2004]. Aromatase is expressed in ovarian granulosa cells, adipose tissue, bone, brain, breast tissue, and the testicular Leydig cells [burnettbowie2009]. In postmenopausal women, ovarian aromatase activity has largely ceased and peripheral (adipose, bone, brain) aromatization is the dominant source of circulating estrogens; pharmacological aromatase inhibition therefore produces near-complete estrogen depletion in this population [geisler2002, lonning2010, smith2003].

At the labeled 1 mg/day dose, anastrozole reduces plasma estradiol by greater than 80% and total-body aromatization by approximately 96, 97% in postmenopausal women [geisler2002]. In men, anastrozole reduces estradiol and raises testosterone (by relieving estrogen-mediated negative feedback on the hypothalamic-pituitary-testicular axis), this is the mechanistic basis for off-label use in TRT adjunct, hypogonadotropic hypogonadism, and male infertility scenarios [raman2002; helo2015; eastell2008]. The reversible, non-steroidal mode of inhibition (shared with letrozole) is distinct from the steroidal irreversible mechanism of exemestane [smith2003, lonning2010].

Clinical effects driven by estrogen suppression include reduction of estrogen-receptor-positive breast tumor growth, reduction of breast-cancer incidence in high-risk postmenopausal women, increased bone resorption (because estrogen restrains osteoclast activity), vasomotor symptoms, arthralgia, vaginal dryness, and adverse changes in lipid profile [atac2002; cuzick2014; sestak2008].

Biological Role of Anastrozole

Aromatase sits at the apex of estrogen biosynthesis and is the only enzyme that can produce estrogens from androgenic precursors. In premenopausal women the ovarian theca-granulosa axis supplies most circulating estradiol; after the menopause, ovarian estrogen production collapses and peripheral aromatization in adipose tissue, bone, brain, and skin becomes the dominant source. This is why aromatase inhibitors are clinically effective in postmenopausal but not premenopausal women: in premenopausal women, ovarian aromatase suppression triggers reflexive gonadotropin rise and ovarian estradiol production resumes, defeating the inhibition [deronde2007].

In men, aromatase converts a small but biologically important fraction of testosterone to estradiol. Estradiol (not testosterone) is the dominant regulator of male bone resorption [falahati2000], a substantial contributor to lipid homeostasis, and the proximate signal for epiphyseal closure at puberty. Aromatase inhibition in men therefore produces a profile of relative estrogen deficiency superimposed on rising testosterone, clinically useful when the goal is to manage gynecomastia, delay growth-plate closure in short-stature pubertal boys, or correct estrogen-driven hypogonadotropic suppression in obese or hypogonadal men [wickman2001; mauras2016; leder2004].

Detailed Mechanism of Anastrozole

Aromatase (CYP19A1) catalyzes the rate-limiting step in estrogen biosynthesis: three sequential hydroxylations of the C19 methyl group of androstenedione or testosterone, culminating in cleavage of the C19 substituent and aromatization of the steroid A-ring to yield estrone or estradiol respectively. The enzyme is localized to the endoplasmic-reticulum membrane and uses NADPH-cytochrome P450 reductase as electron donor. Anastrozole occupies the substrate-binding pocket and coordinates the heme iron via the N4 of its triazole ring; binding is reversible and competitive with the natural androgen substrate [plourde1995, geisler2002].

Geisler and colleagues directly compared anastrozole 1 mg/day with letrozole 2.5 mg/day in a crossover study of postmenopausal breast-cancer patients using a sensitive whole-body aromatization assay with tritium-labeled androstenedione tracer [geisler2002]. Letrozole suppressed total-body aromatization more completely (>99.1%) than anastrozole (96.7, 97.7%), but both agents reduced plasma estrone and estradiol below the lower limit of conventional immunoassay quantification. Lønning's reviews [lonning2010] integrate this comparative work and concluded that the differences between third-generation inhibitors are clinically detectable but small relative to the difference from earlier-generation agents (aminoglutethimide, formestane).

In men, the same enzyme converts approximately 0.2% of circulating testosterone into estradiol, but because aromatase is expressed in adipose tissue this fraction rises with adiposity, contributing to the

relative hypogonadism of obese men. Anastrozole reduces estradiol and (by interrupting estrogen-driven negative feedback at the hypothalamus and pituitary) raises LH, FSH, and serum testosterone. Burnett-Bowie [burnettbowie2009] and Leder [leder2004] characterized this hormonal response in placebo-controlled trials of older hypogonadal men. Helo (2015) directly compared anastrozole 1 mg/day with clomiphene citrate 25 mg/day in hypogonadal men and reported equivalent testosterone raises with anastrozole producing larger drops in estradiol [helo2015]. The Falahati-Nini study [falahati2000] separately demonstrated that estradiol (not testosterone) is the dominant regulator of bone resorption in older men, establishing the bone-safety concern that has accompanied aromatase inhibitor use in men.

Aromatase inhibition in pubertal boys delays epiphyseal fusion (which is driven by estrogen at the growth plate), extending the window of linear growth. Wickman [wickman2001] reported the first controlled trial in boys with delayed puberty (letrozole vs placebo); Hero extended the methodology to idiopathic short stature [hero2006], and Mauras [mauras2016] published a multi-arm randomized trial comparing aromatase inhibitors, growth hormone, and combination therapy in pubertal boys with idiopathic short stature. For pubertal gynecomastia, Riepe [riepe2004] and Plourde [plourde2004] published controlled trials of anastrozole specifically; the placebo-controlled Plourde trial did not meet its primary endpoint for breast-volume reduction, an important null finding.

Anastrozole Research History

Anastrozole originated at Zeneca's Alderley Park research site in the late 1980s as part of a structured program to develop selective, orally bioavailable, reversible aromatase inhibitors that would displace the first-generation aminoglutethimide (poorly selective, requiring concomitant glucocorticoid replacement) and second-generation formestane (steroidal, parenteral, less convenient). Plourde and colleagues at Zeneca published the discovery and early clinical pharmacology in 1995 [plourde1995], characterizing anastrozole as a 'potent and selective fourth-generation aromatase inhibitor' (the early Zeneca literature used a different generation-numbering convention than the now-standard scheme that classes it as third-generation alongside letrozole and exemestane).

The FDA approved anastrozole as Arimidex on December 27, 1995 for postmenopausal women with advanced breast cancer that had progressed on tamoxifen, on the basis of the Buzdar phase III trial against megestrol acetate [buzdar1996]. First-line use in metastatic disease followed after the Nabholtz [nabholtz2000, nabholtz2003] (North American TARGET) trial demonstrated non-inferiority and improved tolerability vs tamoxifen. The transformative event for clinical practice was the ATAC trial [atac2002], the largest adjuvant breast-cancer trial of its era (N=9,366), which randomized postmenopausal women with operable invasive breast cancer to anastrozole vs tamoxifen vs the combination. ATAC reported its first results at 33-month median follow-up [atac2002], 5-year completed-treatment results [atac2005, buzdar2004atac], 100-month analysis [forbes2008], and 10-year follow-up [cuzick2010]. Anastrozole improved disease-free survival and reduced contralateral breast cancers vs

tamoxifen, established the class-typical arthralgia [sestak2008] and bone-loss [eastell2006, eastell2008, eastell2011] profile, and reduced endometrial cancer and thromboembolic events.

The IBIS-II prevention trial [cuzick2014, cuzick2020] tested anastrozole as a primary preventive in postmenopausal women at elevated breast-cancer risk and demonstrated a 53% reduction in incident invasive ER+ breast cancer with long-term durability. The IBIS-II DCIS trial [forbes2016] established anastrozole as an alternative to tamoxifen in postmenopausal women with hormone-receptor-positive ductal carcinoma in situ. Comparative trials situated anastrozole alongside letrozole (BIG 1-98 [thurlimann2005, mouridsen2009] and the MA.27 head-to-head with exemestane [goss2013]) and within sequential regimens (Intergroup Exemestane Study [coombes2004, coombes2007], MA-17 [goss2003], TEAM [vandevelde2011]). The EBCTCG patient-level meta-analysis [ebctcg2015] pooled >30,000 women across aromatase-inhibitor-vs-tamoxifen trials and confirmed an approximately 30% relative reduction in 10-year breast-cancer recurrence with aromatase inhibitors. Off-label use in men and pediatrics developed in parallel: Wickman [wickman2001] established the proof-of-concept in delayed puberty (with letrozole), Plourde [plourde2004] and Riepe [riepe2004] tested anastrozole specifically for pubertal gynecomastia, Mauras [mauras2016] examined idiopathic short stature, and Burnett-Bowie [burnettbowie2009], Leder [leder2004], and Helo [helo2015] characterized the hormonal and clinical response in older or hypogonadal men. ASCO clinical practice guidelines synthesizing the adjuvant breast-cancer evidence have been updated in 2010 [burstein2010], 2014 [burstein2014], and 2019 [burstein2019].

Anastrozole Timeline

1995 • Plourde et al [plourde1995]. publish the discovery and early clinical pharmacology of anastrozole (Arimidex), characterizing it as a potent, selective, reversible non-steroidal aromatase inhibitor

1995 • FDA approves Arimidex (1 mg tablet) for postmenopausal women with advanced breast cancer that has progressed on tamoxifen (December 27, 1995) [fda_label_arimidex]

1996 • Buzdar et al [buzdar1996]. publish the registrational phase III trial of anastrozole vs megestrol acetate in postmenopausal women with advanced breast cancer (J Clin Oncol)

2000 • Nabholtz et al [nabholtz2000]. publish the North American TARGET trial of anastrozole vs tamoxifen as first-line therapy for advanced breast cancer in postmenopausal women (J Clin Oncol)

2000 • Falahati-Nini et al [falahati2000]. (J Clin Invest), separate-arm goserelin-suppression study establishes that estradiol, not testosterone, is the dominant regulator of bone resorption in older men, defining the bone-safety concern for aromatase inhibitors in men

2001 • Wickman et al [wickman2001]. (Lancet), randomized trial of letrozole vs placebo in boys with delayed puberty: proof-of-concept that aromatase inhibition delays epiphyseal fusion and increases predicted adult height

2001 • Mitwally and Casper introduce aromatase inhibitors for ovulation induction in clomiphene-resistant women (Fertil Steril) [mitwally2001]

2002 • ATAC Trialists Group publish first results of the ATAC trial in the Lancet, anastrozole superior to tamoxifen on disease-free survival in postmenopausal early breast cancer at 33-month median follow-up [atac2002]

2002 • Geisler et al [geisler2002]. (J Clin Oncol), head-to-head crossover study of anastrozole vs letrozole on total-body aromatization and plasma estrogen: both suppress estrogens below assay limits; letrozole more complete on whole-body aromatization

2002 • Raman and Schlegel (J Urol), anastrozole and testolactone in oligozoospermic men with low testosterone:estradiol ratio: improves semen parameters and hormonal profile [raman2002]

2003 • Smith and Dowsett (NEJM) publish the canonical review of aromatase inhibitors in breast cancer [smith2003]

2003 • Goss et al [goss2003]. (NEJM), MA-17 trial of letrozole after 5 years of tamoxifen establishes the extended-adjuvant paradigm

2004 • Coombes et al [coombes2004]. (NEJM), Intergroup Exemestane Study: switching to exemestane after 2, 3 years of tamoxifen improves outcomes

2004 • Leder et al [leder2004]. (JCEM), placebo-controlled trial of anastrozole in elderly men with low or borderline-low serum testosterone: raises testosterone, drops estradiol

2004 • Plourde et al. (JCEM) and Riepe et al. (Horm Res), controlled trials of anastrozole for pubertal gynecomastia [plourde2004; riepe2004]. Plourde RCT did not meet its primary endpoint for breast-volume reduction

2004 • Ailawadi et al [ailawadi2004]. (Fertil Steril), pilot study of letrozole plus norethindrone for endometriosis-related pelvic pain (off-label aromatase-inhibitor evidence pathway)

2005 • Howell et al [atac2005]. (Lancet) publish ATAC results after completion of 5 years of adjuvant treatment, disease-free survival and recurrence advantage for anastrozole persist after treatment ends

2005 • Thürlimann et al [thurlimann2005]. (NEJM), first results of BIG 1-98 demonstrating letrozole superior to tamoxifen in postmenopausal early breast cancer

2006 • Eastell et al [eastell2006]. (J Bone Miner Res), ATAC bone subprotocol 2-year results: anastrozole accelerates bone loss vs tamoxifen

2006 • Hero et al [hero2006]. (Clin Endocrinol), letrozole during adolescence increases near-final height in boys with constitutional delay of puberty

2007 • Coombes et al [coombes2007]. (Lancet), IES survival and safety analysis at extended follow-up confirms exemestane switching benefit

2007 • Crew et al [crew2007]. (J Clin Oncol), prevalence of joint symptoms in postmenopausal women on aromatase inhibitors: incidence approaches 50%, often a discontinuation driver

2007 • de Ronde and de Jong (Curr Opin Endocrinol Diabetes Obes), review of therapeutic uses of aromatase inhibitors in men [deronde2007]

2008 • Forbes et al [forbes2008]. (Lancet Oncol), ATAC 100-month analysis confirms persistent disease-free survival advantage of anastrozole over tamoxifen

2008 • Eastell et al [eastell2008]. (J Clin Oncol), ATAC bone subprotocol 5-year results: bone mineral density loss continues throughout active treatment with anastrozole

2008 • Sestak et al [sestak2008]. (Lancet Oncol), ATAC retrospective analysis of risk factors for joint symptoms with aromatase inhibitor therapy

2009 • Brufsky et al [brufsky2009]., Z-FAST adjuvant zoledronic acid plus aromatase inhibitor: pre-emptive zoledronic acid prevents aromatase-inhibitor-associated bone loss

2009 • Burnett-Bowie et al [burnettbowie2009]. (JCEM), aromatase inhibition in older men with low testosterone: increases testosterone but reduces bone mineral density

2009 • Mouridsen et al [mouridsen2009]. (NEJM), BIG 1-98 letrozole alone vs sequential tamoxifen-letrozole confirms monotherapy aromatase inhibition as a standard

2010 • Cuzick et al [cuzick2010]. (Lancet Oncol), ATAC 10-year analysis: anastrozole reduces breast-cancer recurrence and contralateral breast cancers vs tamoxifen with no overall survival difference

2010 • Burstein et al [burstein2010]. (J Clin Oncol), ASCO clinical practice guideline update on adjuvant endocrine therapy in postmenopausal women

2010 • Lønning (J Steroid Biochem Mol Biol), review of plasma and tissue estrogen suppression with third-generation aromatase inhibitors [lonning2010]

2011 • Amir et al [amir2011]. (JNCI), systematic review and meta-analysis of toxicity of adjuvant endocrine therapy: aromatase inhibitors increase fracture and cardiovascular events vs tamoxifen

2011 • Eastell et al [eastell2011]. (Ann Oncol), long-term bone-mineral-density follow-up of ATAC: bone loss attenuates after treatment ends but partial recovery is incomplete

2011 • Goss et al [goss2011]. (NEJM), MAP.3 trial of exemestane for breast-cancer prevention in postmenopausal women: 65% reduction in invasive breast cancer (steroidal-AI prevention companion to IBIS-II)

2011 • van de Velde et al [vandevelde2011]. (Lancet), TEAM trial of upfront exemestane vs sequential tamoxifen-exemestane in postmenopausal early breast cancer

2013 • Goss et al [goss2013]. (J Clin Oncol), MA.27 head-to-head trial of anastrozole vs exemestane in postmenopausal early breast cancer: equivalent efficacy, different toxicity profiles

2014 • Cuzick et al [cuzick2014]. (Lancet), IBIS-II prevention trial: anastrozole reduces incident invasive ER+ breast cancer by 53% in high-risk postmenopausal women

2014 • Sestak et al [sestak2014]. (Lancet Oncol), IBIS-II bone substudy: concomitant risedronate prevents anastrozole-associated bone loss in moderate-risk women

2014 • Burstein et al [burstein2014]. (J Clin Oncol), ASCO clinical practice guideline focused update on adjuvant endocrine therapy

2015 • EBCTCG patient-level meta-analysis (Lancet), aromatase inhibitors vs tamoxifen across >30,000 women: 30% relative reduction in 10-year breast-cancer recurrence with aromatase inhibitors [ebctcg2015]

2015 • Helo et al [helo2015]. (J Sex Med), randomized prospective double-blind trial of clomiphene vs anastrozole in hypogonadal men: equivalent testosterone raises; anastrozole drops estradiol further

2016 • Forbes et al [forbes2016]. (Lancet), IBIS-II DCIS: anastrozole non-inferior to tamoxifen for prevention of locoregional and contralateral breast cancer in postmenopausal women with hormone-receptor-positive DCIS

2016 • Mauras et al [mauras2016]. (JCEM), randomized trial of aromatase inhibitors, growth hormone, or combination in pubertal boys with idiopathic short stature

2019 • Burstein et al [burstein2019]. (J Clin Oncol), ASCO clinical practice guideline focused update on adjuvant endocrine therapy

2020 • Cuzick et al [cuzick2020]. (Lancet), IBIS-II long-term results: anastrozole prevention benefit persists for at least 12 years

2022 • EBCTCG (Lancet Oncol), aromatase inhibitors vs tamoxifen in premenopausal women receiving ovarian suppression: superior recurrence reduction with aromatase inhibitor + OFS [ebctcg2022]

Clinical Contexts for Anastrozole

Adjuvant hormone-receptor-positive early breast cancer in postmenopausal women

FDA APPROVED

FDA-approved indication for manufactured Arimidex.

Anastrozole (Arimidex) is FDA-approved as adjuvant therapy for postmenopausal women with hormone-receptor-positive early breast cancer [fda_label_arimidex]. The ATAC trial randomized 9,366 women to anastrozole vs tamoxifen vs combination for 5 years; anastrozole produced superior disease-free survival, fewer contralateral breast cancers, less endometrial cancer, fewer thromboembolic events, but more fractures and arthralgia than tamoxifen [atac2002; atac2005; forbes2008]. The 10-year analysis [cuzick2010] showed durable recurrence reduction. The EBCTCG patient-level meta-analysis [ebctcg2015] confirmed an approximately 30% relative reduction in 10-year breast-cancer recurrence with aromatase inhibitors vs tamoxifen across >30,000 women. Head-to-head with exemestane (MA.27 [goss2013]) showed equivalent efficacy with somewhat different toxicity profiles. ASCO clinical practice guidelines [burstein2010, burstein2014, burstein2019] recommend an aromatase inhibitor for at least part of adjuvant endocrine therapy in postmenopausal women.

Branded product: Arimidex (anastrozole 1 mg tablet, originally AstraZeneca; generic since 2010)

First-line advanced/metastatic hormone-receptor-positive breast cancer in postmenopausal women

FDA APPROVED

FDA-approved indication for manufactured Arimidex.

Anastrozole is FDA-approved as first-line therapy for advanced or metastatic hormone-receptor-positive breast cancer in postmenopausal women, and for second-line use after tamoxifen failure [fda_label_arimidex]. The North American TARGET trial [nabholtz2000, nabholtz2003] demonstrated time-to-progression and tolerability advantages vs tamoxifen as first-line therapy. The original second-line registrational evidence came from Buzdar's phase III trial against megestrol acetate [buzdar1996].

Branded product: Arimidex

Primary prevention of breast cancer in high-risk postmenopausal women WELL STUDIED

Studied in IBIS-II; not an FDA-approved indication for anastrozole in the United States.

IBIS-II [cuzick2014, cuzick2020] randomized 3,864 postmenopausal women at elevated breast-cancer risk to anastrozole or placebo for 5 years. Anastrozole reduced incident invasive ER+ breast cancer by 53% over 12 years of follow-up. The benefit is durable; bone-loss can be mitigated by concomitant risedronate in moderate-risk women [sestak2014]. The companion MAP.3 trial of exemestane [goss2011] established the steroidal-AI prevention pathway. Use for prevention is supported by NCCN and other society guidelines but not by FDA labeling in the United States.

Ductal carcinoma in situ (DCIS) in postmenopausal women WELL STUDIED

Studied in IBIS-II DCIS; not a separate FDA-approved indication.

The IBIS-II DCIS trial [forbes2016] randomized 2,980 postmenopausal women with hormone-receptor-positive DCIS treated with breast-conserving surgery to anastrozole vs tamoxifen for 5 years. Anastrozole was non-inferior to tamoxifen for prevention of locoregional and contralateral breast cancer, with the expected differences in adverse-event profile (more musculoskeletal, less endometrial and vasomotor).

Off-Label Uses of Anastrozole

Aromatase management in men on testosterone replacement therapy (TRT) WELL STUDIED

Off-label, common in men's health practice. Supported by mechanism and small controlled trials.

Exogenous testosterone is aromatized to estradiol in adipose tissue and other peripheral sites; some men on TRT develop supraphysiologic estradiol with attendant gynecomastia, fluid retention, or mood symptoms [leder2004]. Anastrozole reduces estradiol and is widely prescribed adjunctively [helo2015]. The FDA-approved 1 mg tablet is usually too high for this purpose, sub-1-mg compounded strengths (commonly 0.125, 0.5 mg, dosed twice weekly or daily) are routine. The Falahati-Nini finding [falahati2000] that estradiol is the dominant regulator of male bone resorption establishes the bone-safety concern that argues for the lowest effective estrogen-suppression target [burnettbowie2009; deronde2007].

Idiopathic hypogonadotropic hypogonadism in men (testosterone-raising monotherapy) WELL STUDIED

Off-label; supported by small randomized trials.

Helo et al. [helo2015] randomized hypogonadal men to anastrozole 1 mg/day vs clomiphene citrate 25 mg/day in a double-blind comparison. Both regimens raised testosterone equivalently; anastrozole produced larger drops in estradiol [leder2004; burnettbowie2009]. de Ronde [deronde2007] summarized the broader male-hormone use case. Anastrozole is sometimes preferred over clomiphene in men whose primary concern is symptomatic hyperestrogenemia rather than fertility preservation.

Male infertility (clomiphene-resistant or low testosterone-to-estradiol ratio) EMERGING

Off-label; supported by case series and small trials.

Pavlovich et al. [pavlovich2001] identified a subset of infertile men with low testosterone-to-estradiol ratio who improved with aromatase blockade. Raman and Schlegel [raman2002] extended this finding using anastrozole and testolactone in oligozoospermic men, reporting improved semen parameters. Aromatase inhibition can be considered when clomiphene has failed or when the hormonal profile suggests estrogen-driven gonadotropin suppression [deronde2007].

Idiopathic short stature and constitutional delay of growth and puberty in boys WELL STUDIED

Off-label; supported by randomized controlled trials, primarily with letrozole but with anastrozole-specific data.

Aromatase inhibition delays epiphyseal fusion at the growth plate (which is estrogen-driven), extending the window of linear growth in adolescent boys. Wickman et al. [wickman2001] published the foundational placebo-controlled trial with letrozole in boys with delayed puberty. Hero et al. [hero2006] extended near-final-height analysis. Mauras et al. [mauras2016] randomized pubertal boys with idiopathic short stature to aromatase inhibitor, growth hormone, or combination. Compounded oral suspensions and lower-strength capsules are typical for pediatric administration given the lack of an FDA-approved pediatric formulation.

Pubertal gynecomastia in adolescent boys EMERGING

Off-label; trial evidence is mixed.

Riepe et al. [riepe2004] reported an uncontrolled series of pubertal gynecomastia treated with anastrozole. The placebo-controlled Plourde RCT [plourde2004] did not meet its primary endpoint for breast-volume reduction, an important null finding that argues against routine anastrozole monotherapy for this indication, though it is still used selectively in clinical practice.

Ovulation induction (clomiphene-resistant women, ART superovulation) EMERGING

Off-label; letrozole is more commonly used than anastrozole in this space, but anastrozole has been studied.

Mitwally and Casper [mitwally2001] introduced aromatase inhibitors for ovulation induction in women with inadequate clomiphene response, and extended the application to poor responders during controlled ovarian stimulation [mitwally2002]. Letrozole subsequently became the more commonly used aromatase inhibitor in this indication; anastrozole is sometimes substituted for letrozole-intolerant patients.

Endometriosis-associated pelvic pain EMERGING

Off-label; small pilot studies primarily with letrozole.

Ailawadi et al. [ailawadi2004] reported a pilot trial of letrozole plus norethindrone for endometriosis-related chronic pelvic pain, establishing the aromatase-inhibitor-plus-progestin evidence pathway. Anastrozole has been used in similar regimens in clinical practice though direct anastrozole trial evidence in endometriosis is limited.

FDA-Approved Uses of Anastrozole

Brand	Indication	Year	Route
Arimidex	Adjuvant treatment of hormone-receptor-positive early breast cancer in postmenopausal women; first-line treatment of hormone-receptor-positive or hormone-receptor-unknown locally advanced or metastatic breast cancer in postmenopausal women; treatment of advanced breast cancer in postmenopausal women with disease progression following tamoxifen	1995	Oral, 1 mg once daily

Arimidex (anastrozole 1 mg oral tablet) was approved by FDA on December 27, 1995, originally for postmenopausal women with advanced breast cancer that had progressed on tamoxifen [fda_label_arimidex]. The indication was subsequently expanded to first-line metastatic disease and to adjuvant therapy for early hormone-receptor-positive breast cancer in postmenopausal women, on the basis of the Nabholtz [nabholtz2000] and ATAC [atac2002, atac2005] trials respectively. Generic anastrozole has been widely available since 2010.

Labeled contraindications include pregnancy (animal teratogenicity and embryolethality), known hypersensitivity, and premenopausal status (anastrozole is ineffective when ovarian aromatase activity is present) [fda_label_arimidex]. The label discusses ischemic cardiovascular events (with a slight increase observed in ATAC vs tamoxifen, partly because tamoxifen has its own cardioprotective effects), bone effects (recommending baseline DEXA scan in women at risk for osteoporosis), and hypercholesterolemia.

Compounded Anastrozole (503A)

Compounded anastrozole is dispensed under 503A only when the prescriber documents a patient-specific clinical need that the FDA-approved manufactured 1 mg tablet cannot meet. The principal patient-specific scenarios for anastrozole compounding fall into three categories: (1) strengths not commercially available, most commonly sub-1-mg oral capsules (0.125, 0.25, or 0.5 mg) for men on testosterone replacement therapy who do not require the full anti-estrogen effect of the labeled dose [helo2015, leder2004]; (2) routes or dosage forms not commercially available, pediatric oral suspensions for boys with idiopathic short stature, constitutional delay, or pubertal gynecomastia [mauras2016, hero2006, plourde2004], and

sublingual troches for patients with severe GI intolerance to the oral tablet; and (3) excipient sensitivity to a component of the manufactured 1 mg tablet [fda_label_arimidex].

Compounded preparations are not bioequivalent to Arimidex; PK published for the manufactured 1 mg tablet should not be assumed to transfer to compounded preparations without local stability and dissolution data [fda_label_arimidex] [atac2005; ebctcg2015]. The published phase III evidence base for anastrozole was generated with manufactured product and does not transfer to compounded preparations without separate evaluation [atac2002; cuzick2010; cuzick2014].

Unlike tirzepatide or semaglutide, anastrozole is not associated with a recent FDA drug shortage, so the shortage-exception pathway under section 503A(b)(1)(D) does not apply [goss2013]. RonanRx compounds anastrozole only on the basis of patient-specific clinical individualization, not preference, price, or convenience [fda503a, fda_essentially_a_copy] [fda_label_arimidex].

Anastrozole Formulations and Routes

Form	Concentration	Description
Oral tablet (FDA-approved reference product)	1 mg	Arimidex (and generic anastrozole), film-coated 1 mg oral tablet. Once-daily dosing.
Compounded oral capsule (sub-1-mg)	Typically 0.125, 0.25, or 0.5 mg	Hand-filled hard-gelatin capsules at strengths below the commercial 1 mg tablet, used most commonly for men on testosterone replacement therapy who require fractional estrogen suppression.
Compounded oral suspension	Typically 0.1, 1.0 mg/mL	Liquid oral suspension for pediatric administration in idiopathic short stature, constitutional delay of growth and puberty, or pubertal gynecomastia. Compounded under USP <795> with documented stability and beyond-use dating.
Compounded sublingual troche	Variable	Slow-dissolving troche for buccal/sublingual administration in patients with significant GI intolerance to the oral tablet. Limited PK data; bioavailability differs from the oral tablet.

Routes used in published literature: oral, sublingual, buccal, troche.

Anastrozole Dosing

Route	Population	Range	Duration	Study type
Oral		1 mg once daily		

Route	Population	Range	Duration	Study type
	Postmenopausal women with hormone-receptor-positive breast cancer (Arimidex labeled regimen)		5 years (adjuvant); until progression (advanced/ metastatic)	FDA-approved labeled regimen
Oral	Postmenopausal women at elevated breast-cancer risk (off-label prevention)	1 mg once daily	5 years (IBIS-II regimen); benefit durable beyond 12 years	Phase III randomized prevention trial (IBIS-II)
Oral	Adult men (off-label aromatase management on TRT, hypogonadism)	0.25, 1 mg every other day to once daily; compounded sub-1-mg strengths common to target a specific estradiol range without over-suppression	Continuous while clinically indicated, with periodic estradiol monitoring	Randomized controlled trials in older or hypogonadal men
Oral	Pubertal boys with idiopathic short stature or constitutional delay (off-label)	1 mg once daily in published Mauras protocol; smaller compounded oral-suspension doses titrated to weight and growth response in clinical practice	Months to years, until target predicted adult height or epiphyseal closure	Randomized controlled trials in boys with idiopathic short stature
Oral	Adolescent boys with pubertal gynecomastia (off-label)	1 mg once daily, primary efficacy endpoint not met in the placebo-controlled Plourde trial	Typically 6 months in trial regimens	Randomized placebo-controlled trial (Plourde 2004)

Doctor-prescribed and titrated [plourde1995]. For the FDA-approved postmenopausal breast cancer indication, the labeled dose is 1 mg orally once daily; no titration is needed and no dose adjustment is required for renal or hepatic impairment per the label. For off-label male hormone management, lower fractional doses are usual, compounded 0.125, 0.25, or 0.5 mg capsules dosed every other day or daily, with estradiol monitoring at steady state (approximately one week after initiation or dose change).

Anastrozole's half-life is approximately 50 hours, so steady-state is reached in about 7 days [fda_label_arimidex] [plourde1995]. This is clinically relevant: estradiol checks drawn too early after initiation or dose change will not reflect the patient's eventual steady-state estradiol. The lowest effective dose principle is especially important in men, where over-suppression of estradiol can produce iatrogenic estrogen-deficiency symptoms (joint pain, low libido, accelerated bone loss) that mimic the original hypogonadism [leder2004; burnettbowie2009; falahati2000].

Anastrozole Safety

Anastrozole's safety profile in postmenopausal women is well characterized through ATAC and IBIS-II [42][50][12]. The principal aromatase-inhibitor class-typical adverse events are arthralgia and musculoskeletal symptoms (approximately 30, 50% incidence, frequent dose-limiting toxicity) [26][30], accelerated bone resorption with increased fracture risk relative to tamoxifen, vasomotor symptoms (hot flushes), vaginal dryness, and adverse changes in lipid profile (mild increase in total cholesterol and LDL) [29]. Cardiovascular event rates in ATAC were slightly higher with anastrozole than tamoxifen, partly because tamoxifen has its own venous-thromboembolism penalty and lipid effects that confound the comparison [37][52][24].

Relative to tamoxifen, anastrozole offers favorable rates of endometrial cancer (large reduction), venous thromboembolism (large reduction), vaginal bleeding, and ischemic cerebrovascular events, but worse rates of fractures, arthralgia, and vaginal dryness [20][28]. The aggregate disease-free survival advantage of anastrozole over tamoxifen in ATAC [34] reflects the net balance [52][38]. Bone loss can be mitigated by concomitant zoledronic acid [31] or risedronate [43]; ASCO and other societies recommend baseline DEXA scan and periodic monitoring with calcium and vitamin D repletion at minimum [35][44][49].

In men, the safety considerations are different. Burnett-Bowie [32] demonstrated that anastrozole 1 mg/day in older hypogonadal men raises testosterone but produces measurable bone-mineral-density loss over 12 months, consistent with the Falahati-Nini finding [5] that estradiol is the dominant regulator of male bone resorption [52]. This argues for compounded sub-1-mg strengths in TRT adjunct use rather than the labeled 1 mg, targeted to a low-but-detectable estradiol range rather than maximal suppression.

Anastrozole is not metabolized by CYP2D6 and is not affected by tamoxifen's CYP2D6 polymorphism issue. Pregnancy is contraindicated based on animal teratogenicity and embryolethality. Pediatric use is off-label and requires individualized prescribing [52].

Contraindications

Anastrozole is contraindicated in: pregnancy (animal teratogenicity and embryolethality; pregnancy category X); premenopausal status as the sole intervention (without concomitant ovarian function suppression, anastrozole is ineffective when ovarian aromatase activity is intact and may trigger reflex ovarian stimulation); and known hypersensitivity to anastrozole or any excipient in the manufactured 1 mg tablet [52].

Cautions include severe hepatic impairment (limited PK data in cirrhosis), severe osteoporosis (consider concomitant antiresorptive therapy from initiation [31][43]), and ischemic cardiovascular disease (cardiovascular event rates were slightly higher in ATAC vs tamoxifen, partly an artifact of tamoxifen's own lipid effects).

Drug interactions

Anastrozole is metabolized by hepatic N-dealkylation, hydroxylation, and glucuronidation; CYP isoenzymes contribute but anastrozole is not a clinically meaningful CYP substrate, inhibitor, or inducer at therapeutic doses [52]. Anastrozole does not have the CYP2D6 metabolic dependence that complicates tamoxifen prescribing.

Tamoxifen administered concurrently with anastrozole reduces anastrozole plasma concentrations by approximately 27%, this is part of the basis for the ATAC trial's finding that the combination arm was no better than tamoxifen alone, contrary to the prespecified hypothesis [12]. Concomitant estrogen-containing therapies (HRT, oral contraceptives) would antagonize the pharmacologic effect of anastrozole and are not recommended. Warfarin and other CYP-metabolized drugs do not require dose adjustment per the label.

Adverse events

Across ATAC and IBIS-II [4250], the most common adverse events with anastrozole vs comparator (tamoxifen or placebo) were hot flushes (35, 40% vs 30, 40% on tamoxifen; clearly elevated vs placebo in IBIS-II), arthralgia and musculoskeletal symptoms (approximately 30, 50%, higher than tamoxifen) [3026], vaginal dryness, mood changes, and headache. Fractures were significantly more common with anastrozole than tamoxifen in ATAC (11.0% vs 7.7% at median 68 months) [20]; the absolute excess of fractures is the principal long-term safety concern [34].

Relative to tamoxifen, anastrozole substantially reduces endometrial cancer, venous thromboembolism, hot-flush severity (modestly), and ischemic cerebrovascular events. Hepatic enzyme elevations are uncommon; clinically apparent hepatotoxicity is rare. Cardiovascular ischemic events were slightly more frequent with anastrozole vs tamoxifen in ATAC (4.1% vs 3.4%) and pooled in the Amir meta-analysis [37] of adjuvant endocrine therapy across trials, this difference is partly artifactual (tamoxifen has its own lipid effects) but the absolute event-rate balance should be considered when choosing therapy [1228].

Bone loss is the most extensively characterized adverse-event domain. The ATAC bone subprotocol [2429] showed continuous decline in lumbar-spine and total-hip BMD over 5 years of active anastrozole. Long-term follow-up [38] showed partial recovery after treatment ends but incomplete normalization. Concomitant zoledronic acid (Z-FAST [31]) and risedronate (IBIS-II bone substudy [43]) prevent or mitigate aromatase-inhibitor-associated bone loss in postmenopausal women. In men, Burnett-Bowie [32] demonstrated measurable BMD loss over 12 months with anastrozole 1 mg/day, informing the case for sub-1-mg compounded strengths when aromatase inhibition is used adjunctively with TRT.

↗ Monitoring Anastrozole Therapy

Baseline assessment in postmenopausal women started on anastrozole should include DEXA bone densitometry, lipid panel, and confirmation of postmenopausal status. ASCO guidelines [burstein2010, burstein2014, burstein2019] recommend periodic DEXA monitoring with calcium and vitamin D repletion;

antiresorptive therapy (bisphosphonate or denosumab) is indicated when baseline T-score is in the osteopenic or osteoporotic range or when significant bone loss develops on therapy [brufsky2009, sestak2014].

For off-label male hormone use, baseline labs should include total and free testosterone, estradiol (sensitive LC-MS/MS assay preferred, radioimmunoassay overestimates at the low concentrations relevant to men on aromatase inhibitors), LH, FSH, lipid panel, hematocrit, and PSA when age-appropriate [helo2015; leder2004; burnettbowie2009]. Estradiol should be rechecked at steady state (approximately one week after initiation or dose change) and periodically thereafter. Over-suppression of estradiol (typically below 10, 20 pg/mL on sensitive assay) is a common cause of iatrogenic symptoms, joint pain, low libido, mood changes, and accelerated bone resorption, and is the principal reason compounded sub-1-mg strengths are often preferred over the labeled 1 mg tablet in this population [falahati2000].

Anastrozole in Special Populations

Anastrozole Evidence Quality

Evidence supporting manufactured anastrozole (Arimidex) for postmenopausal hormone-receptor-positive breast cancer is exceptionally strong. ATAC alone enrolled 9,366 women and reported results at 33 months [atac2002], 5 years [atac2005], 100 months [forbes2008], and 10 years [cuzick2010]. IBIS-II prevention [cuzick2014, cuzick2020] enrolled 3,864 high-risk postmenopausal women and demonstrated a 53% reduction in incident invasive ER+ breast cancer durable beyond 12 years. IBIS-II DCIS [forbes2016] extended the evidence into the DCIS population [pavlovich2001]. The EBCTCG patient-level meta-analysis [ebctcg2015] pooled >30,000 women across aromatase-inhibitor vs tamoxifen trials. Comparative head-to-head trials with letrozole (BIG 1-98 [thurlimann2005, mouridsen2009]) and exemestane (MA.27 [goss2013]) demonstrated broadly equivalent efficacy across the third-generation aromatase inhibitor class.

Evidence supporting off-label uses is heterogeneous. The men's-health applications (TRT adjunct, hypogonadism, infertility) are supported by small randomized trials and the de Ronde review [deronde2007], sufficient to characterize the hormonal response but not powered for hard outcomes such as bone fracture or cardiovascular events [burnettbowie2009; raman2002]. Pediatric short-stature evidence rests on randomized trials primarily with letrozole [wickman2001, hero2006] with anastrozole-specific data from Mauras [mauras2016]. The Plourde placebo-controlled trial of anastrozole for pubertal gynecomastia [plourde2004] did not meet its primary endpoint, an important counterweight to the uncontrolled positive series [helo2015; leder2004].

Evidence specifically supporting compounded preparations is absent in the conventional clinical-trial sense, there is no parallel efficacy program for compounded oral suspensions, sub-1-mg capsules, or troches [pavlovich2001]. Compounded use is therefore an extrapolation from the manufactured-product PK and

the underlying mechanism, justified case by case by patient-specific clinical factors that the 1 mg tablet cannot accommodate. Compounded preparations may differ from Arimidex in bioavailability, particularly for sublingual or troche routes; clinicians should anticipate that estradiol response to a compounded preparation may differ from the labeled product.

Major Anastrozole Clinical Studies

Study	Design	Participants	Duration	Finding
ATAC first results (Baum / ATAC Trialists Group, 2002, Lancet)	Phase III double-blind randomized trial of anastrozole vs tamoxifen vs anastrozole+tamoxifen as adjuvant therapy in postmenopausal women with operable invasive breast cancer	9366	33-month median follow-up at first analysis	Anastrozole improved disease-free survival and reduced contralateral breast cancers vs tamoxifen; combination not superior to tamoxifen alone (defeated by tamoxifen's lowering of anastrozole exposure) [atac2002]
ATAC completed-treatment analysis (Howell, 2005, Lancet)	ATAC follow-up after completion of 5 years of randomized adjuvant treatment	9366	68-month median follow-up	Disease-free survival advantage of anastrozole over tamoxifen persists after treatment completion; the combination arm was discontinued [atac2005]. Fractures more frequent on anastrozole (11.0% vs 7.7%)
ATAC 100-month analysis (Forbes, 2008, Lancet Oncol)	Long-term follow-up of the ATAC trial	9366	100-month median follow-up	Persistent disease-free survival and time-to-recurrence advantages for anastrozole over tamoxifen; durable contralateral-breast-cancer reduction [forbes2008]
ATAC 10-year analysis (Cuzick, 2010, Lancet Oncol)	Long-term follow-up of the ATAC trial	9366	120-month median follow-up	Sustained disease-free survival and recurrence-time advantages; no overall survival difference [cuzick2010]. Fracture

Study	Design	Participants	Duration	Finding
				excess limited to active-treatment period
IBIS-II prevention primary results (Cuzick, 2014, Lancet)	Phase III double-blind placebo-controlled prevention trial of anastrozole 1 mg/day for 5 years in postmenopausal women at elevated breast-cancer risk	3864	5 years of treatment, 5-year primary follow-up	53% reduction in incident invasive ER+ breast cancer vs placebo; significant reduction in DCIS; expected adverse-event profile [cuzick2014]
IBIS-II long-term follow-up (Cuzick, 2020, Lancet)	Long-term follow-up of IBIS-II prevention trial	3864	12-year median follow-up	Prevention benefit durable beyond 12 years; relative reduction in invasive ER+ breast cancer maintained without resurgence after treatment ends [cuzick2020]
IBIS-II DCIS (Forbes, 2016, Lancet)	Phase III double-blind randomized trial of anastrozole vs tamoxifen for 5 years in postmenopausal women with hormone-receptor-positive DCIS treated with breast-conserving surgery	2980	84-month median follow-up	Anastrozole non-inferior to tamoxifen for locoregional and contralateral breast-cancer prevention; expected adverse-event differences (more musculoskeletal, less endometrial and vasomotor) [forbes2016]
Nabholtz / TARGET (2000, J Clin Oncol)	Phase III randomized open-label trial of anastrozole vs tamoxifen as first-line therapy for advanced or metastatic breast cancer in postmenopausal women	353	Treatment until progression	Anastrozole produced longer time to progression than tamoxifen in hormone-receptor-positive disease, with better tolerability, established first-line metastatic use [nabholtz2000]
Buzdar (1996, J Clin Oncol), anastrozole vs megestrol acetate	Phase III randomized trial of anastrozole 1 mg or 10 mg vs megestrol acetate in postmenopausal women with advanced breast cancer progressing on tamoxifen	—	Treatment until progression	Anastrozole produced equivalent time to progression with better tolerability than megestrol, registrational evidence for

Study	Design	Participants	Duration	Finding
				FDA approval as second-line therapy [buzdar1996]
EBCTCG aromatase inhibitor meta-analysis (2015, Lancet)	Patient-level meta-analysis of randomized trials comparing aromatase inhibitor vs tamoxifen in postmenopausal women with early breast cancer	31920	10-year follow-up endpoints	Approximately 30% relative reduction in 10-year breast-cancer recurrence and 15% relative reduction in breast-cancer mortality with aromatase inhibitor vs tamoxifen [ebctcg2015]
EBCTCG aromatase inhibitor in premenopausal women (2022, Lancet Oncol)	Patient-level meta-analysis of aromatase inhibitor vs tamoxifen in premenopausal women with ER+ early breast cancer receiving ovarian suppression	—	Pooled follow-up	Aromatase inhibitor + ovarian function suppression superior to tamoxifen + OFS for breast-cancer recurrence in premenopausal women [ebctcg2022]
MA.27 (Goss, 2013, J Clin Oncol)	Phase III randomized trial of anastrozole vs exemestane as adjuvant therapy for postmenopausal women with early hormone-receptor-positive breast cancer	7576	5 years of treatment, 4.1-year median follow-up	Equivalent event-free survival between anastrozole and exemestane; somewhat different adverse-event profiles (musculoskeletal more with anastrozole; hot flushes and lipid changes overlap) [goss2013]
BIG 1-98 first results (Thürlimann, 2005, NEJM)	Phase III randomized double-blind trial of letrozole vs tamoxifen as adjuvant therapy in postmenopausal women with hormone-receptor-positive early breast cancer	8010	25.8-month median follow-up at first analysis	Letrozole superior to tamoxifen for disease-free survival; comparable trial structure to ATAC for the letrozole arm of the third-generation aromatase inhibitor class [thurlimann2005]
BIG 1-98 monotherapy vs sequential (Mouridsen, 2009, NEJM)	Phase III randomized comparison of letrozole monotherapy vs sequential tamoxifen-letrozole	8010	71-month median follow-up	Letrozole monotherapy non-inferior to sequential regimens; established upfront aromatase

Study	Design	Participants	Duration	Finding
				inhibitor as a standard [mouridsen2009]
TEAM (van de Velde, 2011, Lancet)	Phase III randomized open-label trial of upfront exemestane vs sequential tamoxifen-exemestane in postmenopausal women with hormone-receptor-positive early breast cancer	9779	5.1-year median follow-up	Upfront and sequential strategies comparable at 5 years for disease-free survival [vandevelde2011]
MA-17 (Goss, 2003, NEJM)	Phase III randomized placebo-controlled trial of extended adjuvant letrozole after 5 years of tamoxifen in postmenopausal women with early breast cancer	—	Median follow-up 2.4 years (early termination)	Extended adjuvant letrozole significantly improved disease-free survival vs placebo, established the extended-adjuvant paradigm for aromatase inhibitor therapy [goss2003]
Intergroup Exemestane Study primary results (Coombes, 2004, NEJM)	Phase III randomized trial of switching to exemestane vs continuing tamoxifen after 2, 3 years of tamoxifen in postmenopausal women with early breast cancer	4742	30.6-month median follow-up	Switching to exemestane improved disease-free survival vs continued tamoxifen, established switching as an adjuvant strategy [coombes2004]
Intergroup Exemestane Study long-term (Coombes, 2007, Lancet)	Long-term survival and safety analysis of IES	—	55.7-month median follow-up	Persistent benefit of exemestane switch on disease-free survival [coombes2007]
MAP.3 (Goss, 2011, NEJM)	Phase III randomized double-blind placebo-controlled trial of exemestane 25 mg/day for 5 years for breast-cancer prevention in postmenopausal women at elevated risk	4560	35-month median follow-up at primary analysis	65% reduction in incident invasive breast cancer with exemestane vs placebo, steroidal-AI prevention companion to IBIS-II [goss2011]
Geisler (2002, J Clin Oncol), total-	Crossover study of anastrozole 1 mg/day vs	—		Both agents suppressed plasma estrone and

Study	Design	Participants	Duration	Finding
body aromatization comparison	letrozole 2.5 mg/day on plasma estrogens and whole-body aromatization in postmenopausal breast-cancer patients		6 weeks per arm with crossover	estradiol below assay limits; letrozole suppressed total-body aromatization more completely (>99.1%) than anastrozole (96.7, 97.7%) [geisler2002]
Plourde (1995, J Steroid Biochem Mol Biol), anastrozole discovery and clinical pharmacology	Preclinical and phase 1 clinical pharmacology of anastrozole (Arimidex)	—	—	Characterized anastrozole as a potent, selective, reversible non-steroidal aromatase inhibitor with oral bioavailability and a half-life of approximately 50 hours supporting once-daily dosing [plourde1995]
ATAC bone subprotocol 2-year (Eastell, 2006, J Bone Miner Res)	Prospective bone-mineral-density substudy of the ATAC trial	—	24 months	Anastrozole significantly accelerated bone loss at lumbar spine and total hip vs tamoxifen at 2 years [eastell2006]
ATAC bone subprotocol 5-year (Eastell, 2008, J Clin Oncol)	Continued ATAC bone subprotocol follow-up	—	60 months	BMD decline continued throughout 5 years of active anastrozole therapy [eastell2008]
ATAC bone long-term (Eastell, 2011, Ann Oncol)	7-year follow-up of ATAC bone subprotocol	—	7 years (5 years active + 2 years off-treatment)	BMD decline attenuates after treatment ends but partial recovery is incomplete; long-term fracture risk modestly elevated even after discontinuation [eastell2011]
ATAC arthralgia risk factors (Sestak, 2008, Lancet Oncol)	Retrospective analysis of risk factors for joint symptoms in ATAC participants	—	—	Prior chemotherapy, prior hormone replacement therapy, and obesity predicted aromatase-inhibitor-related arthralgia; symptom onset typically within the first

Study	Design	Participants	Duration	Finding
				months of therapy [sestak2008]
Crew (2007, J Clin Oncol), prevalence of arthralgia	Prospective survey of joint symptoms in postmenopausal women on aromatase inhibitor therapy	—	—	Approximately 47% of women on aromatase inhibitor therapy reported joint symptoms; symptoms drove discontinuation in a substantial minority [crew2007]
Amir (2011, JNCI), toxicity meta-analysis	Systematic review and meta-analysis of adverse events in adjuvant endocrine therapy trials	—	—	Aromatase inhibitors increased fracture (OR 1.47) and cardiovascular events (OR 1.26) vs tamoxifen; tamoxifen increased venous thromboembolism, endometrial cancer, and vasomotor symptoms [amir2011]
Z-FAST (Brufsky, 2009)	Phase III randomized trial of upfront vs delayed zoledronic acid in postmenopausal women on adjuvant letrozole	—	—	Upfront zoledronic acid prevented aromatase-inhibitor-associated bone loss; framework applies to anastrozole as well [brufsky2009]
IBIS-II bone substudy (Sestak, 2014, Lancet Oncol)	Substudy of IBIS-II in moderate-bone-loss-risk women randomized to risedronate vs placebo on anastrozole	—	3 years	Concomitant risedronate prevented anastrozole-associated bone loss in moderate-risk women [sestak2014]
Helo (2015, J Sex Med), anastrozole vs clomiphene in hypogonadal men	Randomized prospective double-blind trial of anastrozole 1 mg/day vs clomiphene 25 mg/day in hypogonadal men	—	12 weeks	Both raised total testosterone equivalently; clomiphene raised estradiol, anastrozole lowered it [helo2015]. SHBG and other secondary endpoints differed
		37	9 weeks	

Study	Design	Participants	Duration	Finding
Leder (2004, JCEM), aromatase inhibition in older men	Randomized double-blind placebo-controlled trial of anastrozole 1 mg/day or 1 mg twice weekly vs placebo in older men with low or borderline-low serum testosterone			Both anastrozole regimens raised serum testosterone and reduced estradiol; established the dose-response framework for adjunctive male use [leder2004]
Burnett-Bowie (2009, JCEM), aromatase inhibition and bone in older men	Randomized double-blind placebo-controlled trial of anastrozole 1 mg/day in older men with low testosterone	—	12 months	Anastrozole raised testosterone but produced measurable bone-mineral-density decline, establishes the bone-safety concern for unmonitored aromatase inhibition in men [burnettbowie2009]
Falahati-Nini (2000, J Clin Invest), estradiol vs testosterone in male bone	Goserelin-induced gonadal suppression with controlled testosterone and estradiol add-back in older men	—	—	Estradiol, not testosterone, is the dominant regulator of bone resorption in older men, foundational evidence informing male aromatase inhibitor use [falahati2000]
Mauras (2016, JCEM), aromatase inhibitors in pubertal boys	Randomized trial of aromatase inhibitor, growth hormone, or combination in pubertal boys with idiopathic short stature	—	—	Aromatase inhibition increased predicted adult height in pubertal boys with idiopathic short stature; combination with growth hormone produced additive effects [mauras2016]
Hero (2006, Clin Endocrinol), letrozole in boys with delayed puberty	Long-term follow-up of letrozole therapy in adolescent boys with constitutional delay of growth and puberty	—	—	Letrozole during adolescence increased near-final adult height in boys with constitutional delay [hero2006]
Wickman (2001, Lancet), aromatase inhibitor and	Randomized placebo-controlled trial of letrozole in boys with delayed puberty receiving testosterone	31	18 months	Letrozole increased predicted adult height; foundational proof-of-concept that aromatase

Study	Design	Participants	Duration	Finding
adult height in boys				inhibition delays epiphyseal fusion at the growth plate [wickman2001]
Plourde (2004, JCEM), anastrozole for pubertal gynecomastia	Randomized placebo-controlled trial of anastrozole 1 mg/day in adolescent boys with pubertal gynecomastia	—	6 months	Anastrozole did not significantly reduce breast volume vs placebo, primary endpoint not met [plourde2004]. Important null finding for the gynecomastia indication
Riepe (2004, Horm Res), anastrozole for pubertal gynecomastia	Open-label series of anastrozole for pubertal gynecomastia in boys	—	—	Reported reductions in breast volume with anastrozole therapy; uncontrolled design limits interpretation alongside the Plourde RCT null finding [riepe2004]
Pavlovich (2001, J Urol), treatable endocrinopathy in infertile men	Case series of infertile men with low testosterone-to-estradiol ratio treated with aromatase inhibition	—	—	Subset of infertile men with low testosterone-to-estradiol ratio responded to aromatase inhibition with improved hormonal profile and semen parameters [pavlovich2001]
Raman and Schlegel (2002, J Urol), aromatase inhibitors for male infertility	Retrospective cohort of oligozoospermic men treated with anastrozole or testolactone	—	—	Aromatase inhibition improved testosterone-to-estradiol ratio and semen parameters; informed off-label use in male-factor infertility [raman2002]
Mitwally and Casper (2001, Fertil Steril), aromatase inhibitor for ovulation induction	Pilot study of letrozole for ovulation induction in clomiphene-resistant women	—	—	Established aromatase inhibitor as an alternative to clomiphene for ovulation induction, anastrozole has since been used similarly [mitwally2001]

Study	Design	Participants	Duration	Finding
Ailawadi (2004, Fertil Steril), aromatase inhibitor for endometriosis	Pilot trial of letrozole plus norethindrone acetate for endometriosis-related chronic pelvic pain	—	—	Reduced pelvic pain in women refractory to standard therapy, established the aromatase-inhibitor-plus-progestin pathway for endometriosis [ailawadi2004]
Smith and Dowsett (2003, NEJM), aromatase inhibitor review	Comprehensive review of third-generation aromatase inhibitors in breast cancer	—	—	Synthesized evidence for anastrozole, letrozole, and exemestane and the mechanistic and clinical distinctions among them [smith2003]
Lønning (2010, J Steroid Biochem Mol Biol), third-generation AI tissue suppression	Review of plasma and tissue estrogen suppression with third-generation aromatase inhibitors	—	—	Consolidated comparative pharmacology of anastrozole vs letrozole vs exemestane; clinical-effect differences are small relative to differences from earlier-generation agents [lonning2010]
de Ronde (2007, Curr Opin Endocrinol Diabetes Obes), aromatase inhibitors in men	Review of therapeutic uses of aromatase inhibitors in men	—	—	Synthesized evidence for AI use in male hypogonadism, gynecomastia, short stature, and infertility [deronde2007]
Burstein ASCO 2010 (J Clin Oncol)	ASCO clinical practice guideline update on adjuvant endocrine therapy for postmenopausal women	—	—	Recommended an aromatase inhibitor as part of adjuvant endocrine therapy for postmenopausal women with hormone-receptor-positive breast cancer [burstein2010]
Burstein ASCO 2014 (J Clin Oncol)	ASCO clinical practice guideline focused update on adjuvant endocrine therapy	—	—	Extended adjuvant aromatase inhibitor recommended for selected women after 5 years of

Study	Design	Participants	Duration	Finding
				initial endocrine therapy [burstein2014]
Burstein ASCO 2019 (J Clin Oncol)	ASCO clinical practice guideline focused update on adjuvant endocrine therapy for postmenopausal women	—	—	Further refined extended-adjuvant recommendations and identified patient subgroups most likely to benefit from prolonged aromatase inhibitor therapy [burstein2019]

Anastrozole Pharmacokinetics & Pharmacodynamics

Pharmacokinetics

Anastrozole is well absorbed after oral administration with an absolute bioavailability of approximately 85%. Food does not meaningfully alter the area under the curve. Peak plasma concentrations occur at approximately 2 hours; the drug is approximately 40% bound to plasma proteins. Anastrozole is metabolized hepatically by N-dealkylation, hydroxylation, and glucuronidation to inactive metabolites; less than 10% is excreted unchanged in urine. The terminal half-life is approximately 50 hours, and steady-state concentrations are reached after approximately 7 days of once-daily dosing [plourde1995, fda_label_arimidex].

Anastrozole is not a clinically meaningful substrate, inhibitor, or inducer of cytochrome P450 isoenzymes at therapeutic doses. No dose adjustment is required for age, gender, renal function, or mild hepatic impairment. Tamoxifen co-administration reduces anastrozole exposure by approximately 27%, a PK interaction relevant to the ATAC combination-arm finding [atac2002].

Compounded oral suspensions, sub-1-mg capsules, and troches may differ from the manufactured 1 mg tablet in dissolution, absorption, or bioavailability. Published PK should not be assumed to transfer without local data, particularly for sublingual/buccal routes where first-pass hepatic metabolism is bypassed and time-to-peak and apparent exposure can differ substantially.

Pharmacodynamics

Pharmacodynamic effects in postmenopausal women are dominated by estrogen suppression: plasma estradiol falls by >80% within 24 hours of the first dose and reaches its nadir within a few days, in parallel with steady-state PK; total-body aromatization is suppressed by approximately 96, 97% [geisler2002, lonning2010]. In men, anastrozole raises serum testosterone (by approximately 30, 60% at the 1 mg/day dose in older hypogonadal men) and reduces estradiol [leder2004, burnettbowie2009, helo2015].

Clinical pharmacodynamic endpoints include breast-cancer recurrence and disease-free survival (in the breast-cancer indications), incident invasive breast cancer (in prevention), serum testosterone and estradiol (in male hormone management), and predicted adult height (in pediatric short-stature indications). Bone-mineral-density change and lipid profile are well-characterized secondary endpoints; in adult women anastrozole accelerates bone loss [eastell2006, eastell2008] and produces modest adverse lipid changes.

Comparing Anastrozole Formulations

The FDA-approved product is Arimidex (and generic anastrozole), a 1 mg oral film-coated tablet [fda_label_arimidex; helo2015]. There is no other manufactured strength and no manufactured non-oral form. This is the relevant comparator for any 503A compounded preparation.

Compounded sub-1-mg capsules (0.125, 0.25, 0.5 mg) are the most common compounded form, used predominantly in men's hormone management where the labeled 1 mg dose over-suppresses estradiol. Compounded oral suspensions allow weight-based dosing in pediatric short-stature and pubertal indications [mauras2016]. Compounded sublingual or buccal troches bypass first-pass hepatic metabolism and may produce different time-to-peak and exposure profiles than the oral tablet; clinicians should anticipate that estradiol response to a compounded preparation may differ from the labeled product and dose accordingly with monitoring.

Anastrozole Storage and Handling

Manufactured Arimidex (and generic anastrozole) is stored at 20, 25°C (controlled room temperature, USP), with brief excursions permitted between 15, 30°C [fda_label_arimidex]. Tablets are dispensed in their original container with desiccant where supplied.

Compounded anastrozole preparations are stored per the pharmacy's documented stability data [usp_795]. Compounded capsules typically have a beyond-use date assigned per USP <795>. Oral suspensions in aqueous vehicle require beyond-use dating based on chemical and microbiological stability; refrigerated storage extends BUD for many vehicles.

Anastrozole Compounding & Operations

503A compounding

Compounded anastrozole is prepared under 503A on patient-specific prescriptions in state-licensed compounding pharmacies. RonanRx prepares nonsterile anastrozole preparations (capsules, oral suspensions, troches) per USP General Chapter <795>, with documented active pharmaceutical ingredient sourcing, weight verification, content uniformity assessment per the pharmacy's quality-management

system, and full lot traceability [usp_795]. Active ingredient is obtained from FDA-registered facilities with documented certificates of analysis.

Beyond-use dating, ingredient identity verification, and stability assessment follow USP <795> requirements [usp_795]. Each compounded batch is documented per state board of pharmacy retention rules with full traceability from API lot through dispensing. RonanRx compounds anastrozole only on documented patient-specific clinical individualization, typically sub-1-mg strengths for men, pediatric oral suspensions, or troche formulations for GI-intolerant patients, and not as routine substitution for the commercially available 1 mg tablet, consistent with FDA guidance on compounded copies of commercially available drugs [fda503a, fda_essentially_a_copy].

Pharmacist review

Each prescription for compounded anastrozole undergoes pharmacist review prior to dispensing. The review confirms: a documented patient-specific clinical reason that the manufactured 1 mg tablet is not appropriate (most commonly a sub-1-mg dose target, pediatric administration, or GI intolerance); absence of contraindications (pregnancy, premenopausal status without ovarian suppression for breast-cancer indications, known hypersensitivity); appropriate concomitant medication review (concomitant tamoxifen reduces anastrozole exposure; concomitant estrogen-containing therapy antagonizes the intended effect); and an indication consistent with published evidence and current practice, adjuvant or advanced breast cancer in postmenopausal women, IBIS-II-style prevention in high-risk postmenopausal women, off-label male hormone management with monitoring, or pediatric short-stature/gynecomastia with appropriate specialty input [fda_label_arimidex] [helo2015; leder2004].

RonanRx does not fill prescriptions that read as routine substitution of compounded for the manufactured 1 mg tablet without documented clinical rationale, consistent with FDA guidance on compounded copies of commercially available drugs [fda_essentially_a_copy] [fda_label_arimidex]. For off-label male hormone management, the most common compounded use case, RonanRx pharmacists confirm that the prescriber's regimen includes plans for estradiol monitoring at steady state, given the consequences of over-suppression in this population [burnettbowie2009; falahati2000].

Quality and traceability

Active pharmaceutical ingredients are sourced from FDA-registered facilities with documented certificates of analysis. Each compounded batch is recorded with lot numbers traceable to API source, compounding date, beyond-use date, identity verification, weight verification, content uniformity assessment, and dispensing pharmacist of record. Finished product records are retained per state board of pharmacy retention requirements.

Frequently Asked Questions About Anastrozole

Is compounded anastrozole the same as Arimidex?

No. Arimidex (and its generic equivalents) is the FDA-approved manufactured 1 mg anastrozole tablet [fda_label_arimidex]. Compounded anastrozole is pharmacy-prepared on a patient-specific prescription, typically at a sub-1-mg strength, as an oral suspension, or as a troche. Compounded preparations are not FDA-approved and are not bioequivalent to Arimidex [fda503a].

Why would a patient need a compounded version?

Three main reasons: (1) a strength not commercially available, most commonly 0.125, 0.25, or 0.5 mg capsules for men on testosterone replacement therapy who do not require the full anti-estrogen effect of the labeled 1 mg dose; (2) a dosage form not commercially available, most commonly an oral suspension for pediatric patients with idiopathic short stature, constitutional delay of puberty, or pubertal gynecomastia; or (3) excipient sensitivity to a component of the manufactured tablet [helo2015; leder2004; mauras2016; fda503a].

How does anastrozole compare with letrozole and exemestane?

All three are third-generation aromatase inhibitors with broadly equivalent clinical efficacy in postmenopausal breast cancer [lonning2010; smith2003; goss2013]. Anastrozole and letrozole are non-steroidal reversible inhibitors; exemestane is a steroidal irreversible inactivator. In direct comparison of total-body aromatization, letrozole suppresses estrogen production marginally more completely than anastrozole, but both reduce plasma estradiol below assay limits [geisler2002] [thurlimann2005]. The head-to-head adjuvant trials (MA.27 anastrozole vs exemestane; BIG 1-98 letrozole monotherapy) showed broadly equivalent efficacy with differing tolerability profiles.

What are the most common side effects?

Arthralgia and musculoskeletal symptoms (approximately 30, 50% of women, frequent enough to drive discontinuation), hot flushes, vaginal dryness, mood changes, and headache. Bone loss with elevated fracture risk relative to tamoxifen is the principal long-term safety concern in postmenopausal women, baseline DEXA and antiresorptive therapy when needed are standard of care [atac2005] [eastell2008; burnettbowie2009]. In men using anastrozole adjunctively with TRT, over-suppression of estradiol can cause joint pain, low libido, and accelerated bone loss, symptoms that mimic the original hypogonadism [crew2007; sestak2008; eastell2006].

Can anastrozole be used to prevent breast cancer?

Yes, with caveats. The IBIS-II prevention trial demonstrated a 53% reduction in incident invasive ER+ breast cancer in postmenopausal women at elevated risk who took anastrozole 1 mg/day for 5 years [cuzick2014; cuzick2020]. The benefit was durable beyond 12 years. Anastrozole is not FDA-approved for prevention in the United States but is recommended by NCCN and other society guidelines as a prevention option for high-risk postmenopausal women alongside tamoxifen and raloxifene.

Is anastrozole effective in premenopausal women?

Not as monotherapy. Anastrozole is ineffective when ovarian aromatase activity is intact, ovarian aromatase suppression triggers reflex gonadotropin rise and ovarian estradiol production resumes, defeating the inhibition [fda_label_arimidex]. In premenopausal women with breast cancer, an aromatase inhibitor is used only in combination with ovarian function suppression (GnRH agonist or oophorectomy); the EBCTCG 2022 meta-analysis demonstrated superior recurrence reduction with aromatase inhibitor plus ovarian function suppression vs tamoxifen plus ovarian function suppression [ebctcg2022].

Why use anastrozole in men if it can cause bone loss?

Because under-treatment of supraphysiologic estradiol on TRT also has costs, gynecomastia, fluid retention, mood symptoms, and because the bone-loss risk in men is dose-dependent. The Burnett-Bowie trial showed measurable BMD decline at anastrozole 1 mg/day; compounded sub-1-mg strengths can achieve the desired estradiol target with less bone-resorption impact [burnettbowie2009; helo2015]. Estradiol monitoring at steady state and avoidance of over-suppression are essential [leder2004; falahati2000].

Does RonanRx sell compounded anastrozole directly to patients?

No. Compounded anastrozole requires a patient-specific prescription written by a licensed doctor for an identified patient with a documented clinical reason that the FDA-approved 1 mg tablet is not appropriate, plus pharmacist review before dispensing [fda_essentially_a_copy]. RonanRx is not a direct-to-consumer storefront [fda503a].

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How to Access Anastrozole

Compounded Anastrozole is dispensed under 503A on a patient-specific prescription. Depending on your role, the next step looks different.

FOR PRESCRIBING CLINICIANS

Offer this medication

A pharmacist will follow up within two business days. We'll cover state availability, supported formulations, and what integration looks like for your clinic.

ronanrx.com/request-partnership-call

PATIENT WITH A DOCTOR

Receive your prescription

If your doctor has prescribed Anastrozole, sign up so we can prepare and ship your medication. The signup wizard collects intake and connects you to the prescribing workflow.

ronanrx.com/patients

PATIENT WITHOUT A DOCTOR

Find a partner clinic

RonanRx prescribes through partner clinics — we don't initiate prescriptions on this site. Read how the referral process works and how to find a partner clinic in your state.

ronanrx.com/find-clinic

Other compounds RonanRx makes

This monograph is one of many in the RonanRx formulary. Every compound below is prepared under 503A on a patient-specific prescription. Browse the full catalog at ronanrx.com/medications and ronanrx.com/peptides, or scan the codes at right for each index.

Medications

Peptides

MEDICATIONS (40)

Alpha-Lipoic Acid (ALA) — Antioxidant & mitochondrial
Coenzyme Q10 (CoQ10) — Antioxidant & mitochondrial
Glutathione — Antioxidant & mitochondrial
NAD+ / NMN — Antioxidant & mitochondrial
Compounded Topical Anesthetics (BLT, LET) — Dermatology
Topical Minoxidil — Dermatology
Topical Tretinoin — Dermatology
Compounded Magnesium — Energy & nutritional
Cyanocobalamin — Energy & nutritional
High-Dose Vitamin D — Energy & nutritional
Hydroxocobalamin — Energy & nutritional
Iron (Compounded) — Energy & nutritional
L-Carnitine — Energy & nutritional
Methylcobalamin (B12) — Energy & nutritional
Methylfolate — Energy & nutritional
Anastrozole — Hormone optimization
Clomiphene & Enclomiphene — Hormone optimization
DHEA — Hormone optimization
Estradiol — Hormone optimization
Estriol — Hormone optimization
Human Chorionic Gonadotropin (HCG) — Hormone optimization
Pregnenolone — Hormone optimization
Progesterone — Hormone optimization
Testosterone — Hormone optimization
Compounded Metformin — Metabolic & weight
Compounded Semaglutide — Metabolic & weight
Compounded Tirzepatide — Metabolic & weight
Lipotropic Injection (MIC, MICC) — Metabolic & weight
Low-Dose Naltrexone (LDN) — Metabolic & weight
Naltrexone-Bupropion Combination — Metabolic & weight
Topiramate — Metabolic & weight
Bremelanotide / PT-141 — Sexual health
Compounded Sildenafil — Sexual health
Compounded Tadalafil — Sexual health
Trimix Injection — Sexual health
Compounded Gabapentin — Sleep & recovery
Compounded Melatonin — Sleep & recovery
Compounded T3 (Liothyronine) — Thyroid
Compounded T3/T4 Combinations — Thyroid
Compounded T4 (Levothyroxine) — Thyroid

PEPTIDES (21)

Sermorelin — Available now

Tesamorelin — Available now

AOD-9604 — Growth-hormone axis (under FDA review)

CJC-1295 — Growth-hormone axis (under FDA review)

GHRP-2 / GHRP-6 — Growth-hormone axis (under FDA review)

Hexarelin — Growth-hormone axis (under FDA review)

Ipamorelin — Growth-hormone axis (under FDA review)

MK-677 / Ibutamoren — Growth-hormone axis (under FDA review)

5-Amino 1MQ — Metabolic & longevity (under FDA review)

Epitalon / Epithalon — Metabolic & longevity (under FDA review)

MOTS-C — Metabolic & longevity (under FDA review)

Thymosin Alpha-1 / Thymalin — Metabolic & longevity (under FDA review)

DSIP, Delta Sleep-Inducing Peptide — Neuro & cognitive (under FDA review)

Selank — Neuro & cognitive (under FDA review)

Semax — Neuro & cognitive (under FDA review)

Vasoactive Intestinal Peptide (VIP) — Neuro & cognitive (under FDA review)

BPC-157 — Tissue repair (under FDA review)

KPV — Tissue repair (under FDA review)

LL-37 — Tissue repair (under FDA review)

Pentadeca Arginate (PDA) — Tissue repair (under FDA review)

TB-500 / Thymosin Beta-4 — Tissue repair (under FDA review)