

RESEARCH MONOGRAPH . COMPOUND PROFILE

Anastrozole

A non-steroidal aromatase inhibitor; first-line for postmenopausal ER-positive breast cancer and an off-label estrogen control agent in AAS users.

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RESEARCH USE ONLY

ABSTRACT

Anastrozole (2,2'-[5-(1H-1,2,4-triazol-1-ylmethyl)-1,3-phenylene]bis(2-methylpropanenitrile); CAS 120511-73-1; molecular formula C₁₇H₁₉N₅; molecular weight 293.37) is a non-steroidal third-generation aromatase inhibitor developed at Zeneca (now AstraZeneca) and approved by the FDA in 1995 under the trade name Arimidex. Mechanism: reversible competitive inhibition of CYP19 (aromatase), the enzyme that converts androgens (androstenedione, testosterone) to estrogens (estrone, estradiol). At a 1 mg daily dose in postmenopausal women, plasma estradiol is reduced by approximately 80 percent. Distinct from earlier aromatase inhibitors (aminoglutethimide) by improved selectivity and elimination of corticosteroid replacement need. Plasma half-life is approximately 50 hours; metabolism is hepatic. Approved for ER-positive postmenopausal breast cancer (adjuvant and metastatic) and for ductal carcinoma in situ. Off-label use as estrogen control in androgen-using populations is widespread (typical dose 0.25 to 0.5 mg every other day). Used as the canonical non-steroidal aromatase inhibitor in mechanism studies.

1. Compound Identification

Anastrozole is described as non-steroidal aromatase inhibitor (third generation). A non-steroidal aromatase inhibitor; first-line for postmenopausal ER-positive breast cancer and an off-label estrogen control agent in AAS users.

1.1 Class summary

Non-steroidal aromatase inhibitor (third generation)

1.2 Subtitle

A non-steroidal aromatase inhibitor; first-line for postmenopausal ER-positive breast cancer and an off-label estrogen control agent in AAS users.

2. Abstract

Anastrozole (2,2'-[5-(1H-1,2,4-triazol-1-ylmethyl)-1,3-phenylene]bis(2-methylpropenenitrile); CAS 120511-73-1; molecular formula C₁₇H₁₉N₅; molecular weight 293.37) is a non-steroidal third-generation aromatase inhibitor developed at Zeneca (now AstraZeneca) and approved by the FDA in 1995 under the trade name Arimidex. Mechanism: reversible competitive inhibition of CYP19 (aromatase), the enzyme that converts androgens (androstenedione, testosterone) to estrogens (estrone, estradiol). At a 1 mg daily dose in postmenopausal women, plasma estradiol is reduced by approximately 80 percent. Distinct from earlier aromatase inhibitors (aminoglutethimide) by improved selectivity and elimination of corticosteroid replacement need. Plasma half-life is approximately 50 hours; metabolism is hepatic. Approved for ER-positive postmenopausal breast cancer (adjuvant and metastatic) and for ductal carcinoma in situ. Off-label use as estrogen control in androgen-using populations is widespread (typical dose 0.25 to 0.5 mg every other day). Used as the canonical non-steroidal aromatase inhibitor in mechanism studies.

3. Mechanism of Action

Reversible competitive aromatase (CYP19) inhibition. Reduces plasma estradiol by approximately 80 percent at clinical dose.

The mechanistic profile described above represents the consensus position from peer-reviewed literature where available; for compounds with sparse formal characterization, this section reflects vendor-grade reports and structurally-related class pharmacology and should be interpreted with appropriate caution.

4. Pharmacokinetics and Routes of Administration

Pharmacokinetic data are summarized within the abstract for compounds with formal Phase 1 records. Compounds without published human pharmacokinetic studies are noted as such; investigators should treat the absence of data as a research limitation.

5. Reported Research Dose Ranges

Clinical 1 mg per oral administration daily. Off-label estrogen control 0.25 to 0.5 mg every other day. Rodent studies 0.05 to 0.5 mg/kg/day.

Doses are scaled from clinical use where the compound is approved as a medicine, from Phase 2/3 trials where development advanced, or from rodent dose-response data scaled by allometric assumptions where the compound has no human clinical record. Investigators should treat dose ranges from research-chemical-grade vendors as starting points for their own pharmacokinetic and tolerability characterization rather than validated dosing recommendations.

6. Sourcing and Quality Verification

Investigators should obtain analytical confirmation of identity (mass spectrometry; for peptides, additionally amino acid analysis or N-terminal sequencing) and purity (HPLC area-percent, ideally greater than 95 percent) on every lot. Vendor certificates of analysis vary in quality; third-party analytical confirmation through independent laboratories (e.g., Janoshik Analytical, Anabolic Lab) is the gold standard for research-grade peptides and small molecules.

For compounds with established pharmaceutical-grade marketing in any jurisdiction, sourcing from the marketed product (where legal and accessible) provides the highest quality assurance.

7. Reconstitution and Handling

Peptides should be reconstituted in bacteriostatic water or sterile water for injection in the volume appropriate to the desired concentration; lyophilized peptides should be allowed to come to room temperature before reconstitution to minimize precipitation. Reconstituted peptides should be stored refrigerated and used within the timeframe specified by the manufacturer (typically 14 to 30 days for bacteriostatic water reconstitutions, shorter for sterile water).

Small molecules: storage conditions vary by compound; consult the certificate of analysis for the specific product. Most are stable at room temperature in dry, dark conditions; oxidation-sensitive compounds (e.g., catecholamine precursors) require cool storage and protection from light.

8. Stack Interaction Considerations

Investigators combining this compound with other research substances should consider receptor-level interactions (additive effects at shared targets), enzyme-level interactions (CYP-mediated drug-drug interactions where applicable), and pharmacodynamic interactions (additive sedation, additive serotonergic activity, additive hemodynamic effects).

The stack interaction section in published monographs of well-characterized compounds is necessarily incomplete for any specific combination; investigators should treat novel combinations with elevated caution and titrate gradually.

9. References

1. Buzdar AU. Anastrozole as adjuvant therapy for early-stage breast cancer. Cancer Pract 2002.
2. Plourde PV, et al. Arimidex: a new oral, once-a-day aromatase inhibitor. J Steroid Biochem Mol Biol 1995.
3. Geisler J, et al. Influence of anastrozole, a selective, non-steroidal aromatase inhibitor, on in vivo aromatisation and plasma oestrogen levels in post-menopausal women. Br J Cancer 1996.

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