



Anastrozole (Arimidex®)

General:

Anastrozole is a nonsteroidal aromatase inhibitor. It is a fourth-generation aromatase inhibitor and is very specific for the enzyme aromatase. Unlike earlier generations of this class of medication, Anastrozole does not inhibit adrenal steroid synthesis. This class of medications was originally developed for the adjuvant treatment of estrogen sensitive breast cancer. Anastrozole was initially approved by the FDA for this indication in 1995 with broadening of its clinical indication in breast cancer in 2000 and again in 2002. Because it so specific for inhibition of the aromatase enzyme, it is commonly used “off-label” for the treatment of high estrogen levels in men on testosterone replacement therapy. The Endocrine Society’s clinical practice guidelines for men on TRT doesn’t specifically address high estrogen levels so there is no formal recommendation for or against this off-label use.

Mechanism:

Competitively inhibits enzymatic androgen conversion to estrogen.

Dosing:

Anastrozole is an oral medication. Dosing is highly dependent on the individual changes in estradiol during testosterone replacement therapy. Absolute estradiol levels, testosterone to estradiol ratio, and symptoms of high estrogen all play a role in your dosing regimen. As little as 0.125mg after each testosterone injection to 0.5mg daily may be used. Dosing for men on TRT is substantially lower than for women using it as adjuvant therapy for breast cancer, which typically starts at 1mg daily.

Contraindications/Cautions:

Notify your health care provider if you have a known hypersensitivity/allergic reaction to this medication. Notify your health care provider if you have a history of ischemic heart disease, blood clot, stroke, severe liver disease (cirrhosis), or osteoporosis. The FDA lists Anastrozole as pregnancy risk category X so make sure this medication is stored away from accidental ingestion by women who are or may become pregnant.

Adverse Reactions:

Serious Reactions: hypersensitivity reaction or anaphylaxis, osteoporosis, angina, hypertension, anemia, Stevens-Johnson syndrome

Common Reactions: hot flashes, joint pain or stiffness, mood changes, insomnia, paresthesias

Storage:

This medication should be stored at room temperature between 68° and 77° F. Keep away from moisture, heat, and light. Keep out of reach of children. Do not flush unused medications down the toilet or pour down a sink or drain.