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REVIEW

# Atamestane, a new aromatase inhibitor for the management of benign prostatic hyperplasia

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Atamestane is a new, competitive, and irreversible inhibitor of estrogen biosynthesis. Its pharmacologic action has been evaluated in mice, rats, rabbits, dogs, monkeys, and humans. In rats, atamestane leads to a decrease of pregnant mare serum gonadotropin-stimulated ovarian estrogen production, and inhibits androstenedione-induced estrogenic effects such as uterine growth and abortion. In all species tested, atamestane lacks other intrinsic hormonal or antihormonal activities, and shows no inhibition of other cytochrome P450-dependent enzymes of adrenal steroidogenesis. However, it inhibits estrogen-related negative feedback. The extent and consequences of the induced counterregulation of the pituitary-hypothalamic axis show major sex- and species-specific differences. Atamestane is highly effective in inhibiting estrogen-induced hyperplastic changes in the fibromuscular stroma of the prostate in androstenedione-treated dogs and monkeys. In male volunteers and patients with benign prostatic hyperplasia (BPH), atamestane induces an expected reduction of serum (and BPH tissue) estrogen concentrations without significant changes in androgen levels. In conclusion, all available results indicate that atamestane is a selective (no inhibition of adrenal function), pure (no endocrine side effects), and highly effective steroidal aromatase inhibitor, with an excellent safety profile. Based on the discussion of its clinical potential, atamestane seems to be a promising compound for the management of BPH.



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