



Review Article

Bicalutamide: A review

Shayna Aulakh¹, Venkataram Mysore¹

¹Department of Dermatology, Venkat Charnalaya - Centre for PG training and Advanced Dermatology, Affiliated to Rajiv Gandhi University of Health Sciences, Bangalore, Karnataka, India

*Corresponding author:

Dr. Venkataram Mysore,
Department of Dermatology,
Venkat Charnalaya - Centre
for PG training and Advanced
Dermatology, Affiliated to Rajiv
Gandhi University of Health
Sciences, Bangalore, Karnataka,
India.

drvenkat@venkatcenter.com

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ABSTRACT

Bicalutamide is a non-steroidal androgen receptor antagonist that exerts anti-androgenic effects on peripheral tissues. It has been recently of interest in female pattern hair loss and has led to the off-label use of this drug for the improvement of Sinclair grading in such patients. This review aims to discuss the pharmacological properties along with indications, contraindications, and safety profile of bicalutamide for its use in dermatological research.

Keywords: Alopecia, Androgen Receptor, Anti-androgen drug, Bicalutamide, Female pattern hair loss

INTRODUCTION

Androgen receptor (AR) is a ligand-dependent transcriptional factor located on the X chromosome. It is known to be located in a diverse range of tissues including bone, muscle, prostate, adipose tissue, and the reproductive, cardiovascular, immune, neural, and hematopoietic systems.¹

In the skin and subcutaneous tissues, ARs are found in the majority of keratinocytes within the epidermis, approximately 10% of fibroblasts in the dermis, as well as in the basal cells of sebaceous glands, sebocytes, and dermal papillary cells of hair follicles.² The localization of AR in hair follicles subdues the dermal papillary cells to undergo regression, producing progressive hair follicle miniaturization and subsequently the conversion of terminal hair follicles into vellus hair follicles.³

Classic anti-androgen therapies to combat hyperandrogenism or increased peripheral sensitivity include drugs such as ketoconazole, spironolactone, cyproterone acetate, and flutamide [Figure 1].⁴

Bicalutamide is a member of the non-steroidal AR antagonists group of drugs. These groups of drugs modulate the action of ARs by antagonistic action. This group of drugs includes flutamide, bicalutamide, nilutamide enzalutamide, apalutamide, and darolutamide. They were first approved for their use in prostate cancer in conjunction with gonadotropin-releasing hormone (GnRH) analogs.⁵

CHEMICAL STRUCTURE

Flutamide is a substituted anilide. Bicalutamide is derived synthetically after the structural modification of flutamide. The systematic name of bicalutamide is (RS)-N-[4-cyano-3-

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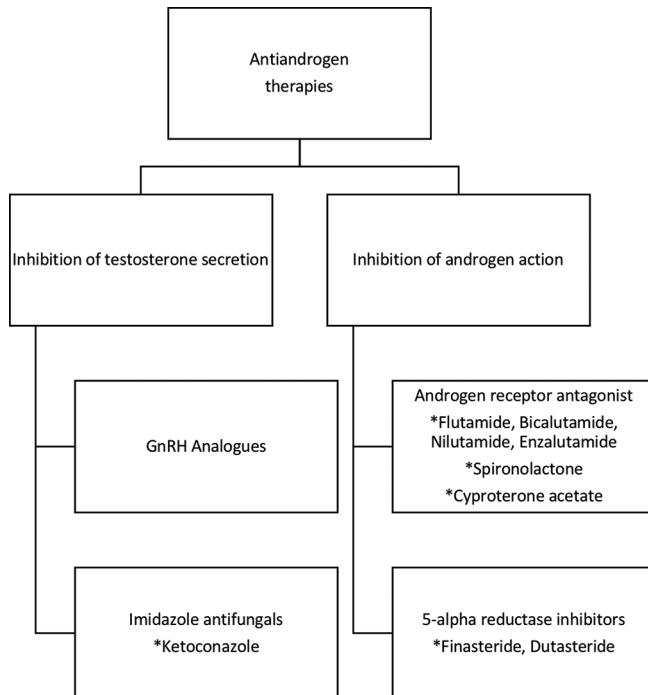


Figure 1: Classification of anti-androgen therapies. GnRH: Gonadotropin-releasing hormone. *Name of compounds (drugs) under the pharmaceutical classes

(trifluoromethyl)phenyl]-3-[(4-fluorophenyl)sulfonyl]-2-hydroxy-2-methylpropanamide. Its chemical structure is shown in Figure 2. A racemic mixture of an equal proportion of R and S enantiomers forms the final proprietary release formulation of bicalutamide.⁶

PHARMACOKINETICS AND PHARMACODYNAMICS

Bicalutamide is well absorbed from gut mucosa and its absorption is not affected by food. It follows a linear absorption coefficient. The tissue distribution of bicalutamide is not well documented; however, it is known to cross the blood-brain barrier, causing central anti-androgenic effects as well. It is metabolized by the liver. Its R enantiomer is hydroxylated by the enzyme CYP3A4 into R-hydroxy bicalutamide and the S enantiomer is rapidly glucuronidated without hydroxylation.⁷ In severe hepatic impairment, the elimination half-life of the active (R)-enantiomer of bicalutamide is increased by about 1.75-fold (76% increase; elimination half-life of 5.9 and 10.4 days for normal and impaired patients, respectively). It is highly protein-bound and is mainly excreted through urine. However, the elimination half-life of bicalutamide remains unchanged in renal impairment.⁸

Indications: Bicalutamide is Food and Drug Administration (FDA)-approved for the treatment of carcinoma prostate. It

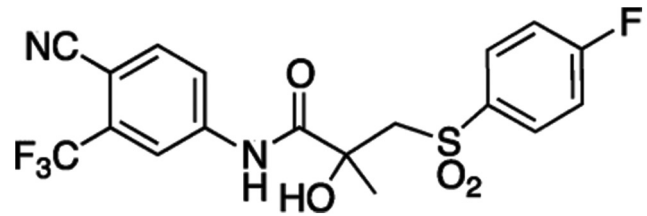


Figure 2: Chemical structure of bicalutamide. NC: Cyano group, F₃C: Trifluoromethyl group, SO₂: Sulfonyl group, OH: Hydroxy group, NH: amide group.

is used off-label for various indications such as androgenetic alopecia in females (female pattern hair loss [FPHL]), hirsutism, frontal fibrosing alopecia (FFA), seborrhea, acne, hidradenitis suppurativa (HS), feminization of transgender women, precocious puberty in boys, chemical castration, and priapism.

MECHANISM OF ACTION

Female Pattern Hair Loss (FPHL)

The synthesis of testosterone is from glandular as well as extra-glandular sites. In males, the primary production of testosterone is from the testis, under the influence of the feedback loop of GnRH, luteinizing hormone (LH), and follicle-stimulating hormone secreted from the hypothalamic-pituitary axis.⁹ However, in females, the primary sources of testosterone synthesis are ovarian theca cells (regulated by LH) and the adrenal cortex. Androstenedione and dehydroepiandrosterone are likewise produced by the ovary and adrenal gland and are converted either to more potent androgens (e.g., testosterone and dihydrotestosterone [DHT]) or to estrogens in peripheral organs including skin.¹⁰

Serum testosterone concentration in women ranges from 15 to 65 ng/dL (0.5–2.3 nM). The average daily rate of testosterone production in women is approximately 0.25 mg, with about half of this daily output derived from the metabolic conversion of androstenedione to testosterone at extra-glandular sites, including the skin. Two peaks of androgen concentration parallel the peaks of plasma estrogens at the preovulatory and luteal phases of the menstrual cycle.¹¹ Nevertheless, both forms – testosterone and DHT – bind to the peripheral AR, inducing a conformational change in the receptor. This alteration enables the movement of the receptor-ligand complex into the nucleus. The activated AR-ligand complex acts as a transcription factor and regulates gene expression. There is an alteration of the Wnt/ β -catenin transcription pathway and secretion of transforming growth factor β , ultimately causing a shortened anagen phase and miniaturization of hair follicles. In disorders of androgen excess, such as polycystic ovarian syndrome (PCOS), or in local androgen abnormalities at cutaneous sites, due to either

5- α reductase or AR abnormalities, clinical conditions such as FPHL, hirsutism, and acne can be induced through this mechanism.¹²

Based on the understanding of the pathogenesis of FPHL, the AR can serve as a better target than DHT to tackle patterned hair loss, especially in females with or without other signs of virilization. The non-steroidal AR antagonists with no other hormonal activity competitively block androgen action on accessory sex organs and skin, typically reversing hair loss by inducing anagen.¹³ Studies done by Fernandez-Nieto *et al.* and Ismail *et al.* with an oral non-steroidal anti-androgen, bicalutamide, have shown 27.5% and 20.2% reduction in hair loss, respectively, at 6 months follow-up [Table 1].^{14,15} Fernandez-Nieto *et al.* studied a total of 44 patients with FPHL, who used doses of 25 mg/d in 15 patients and 50 mg/d in 29 patients. A total of 28 patients had coexisting comorbidities, namely, PCOS in 14 patients, seborrhea, acne, hirsutism, and alopecia (SAHA) syndrome in 6 patients, and hirsutism in eight patients. A total of 32 patients were evaluated after 6 months for response to treatment and showed a 27.5% reduction in the Sinclair scale. The side effects encountered in their study were a mild and transient increase of liver enzymes (<3 times the upper limit of normal) in five patients, hair shedding in 3, transient amenorrhea in 2, and endometrial hyperplasia, and headache in a single patient each.¹⁴

A more recent and potentially safer and more effective approach to treating this condition could involve the

topical preparation of non-steroidal AR antagonists. These meso-solutions serve to target the scalp specifically, thus minimizing the risk of side effects in other parts of the body. In a study done by Gomez-Zubiaur *et al.*, they used mesotherapy with 1 mL of 0.5% bicalutamide solution and noted a subtle improvement in hair density at 3 months [Table 1].¹⁶

Hirsutism

It is the presence of terminal coarse hairs in females in a male-pattern distribution. It is often part of PCOS along with acne, seborrhea, and patterned hair loss. Oral contraceptive pills (OCPs) are currently the primary treatment of choice in such patients. However, in a randomized control trial done by Moretti *et al.*, they showed that OCPs combined with oral bicalutamide (50 mg/d) were more effective in treating severe hirsutism.¹⁷ Following this, Mùderris *et al.* used low-dose oral bicalutamide (25 mg/d) as a standalone therapy for the treatment of hirsutism and found clinical improvement in the degree of hirsutism in all patients by a mean reduction in hirsutism scores of $41.2 \pm 11.4\%$ at 3 months and $61.6 \pm 11.1\%$ at 6 months.¹⁸

Notably, bicalutamide had also been shown to reverse minoxidil-induced hypertrichosis in FPHL by Moussa *et al.* in a case series of 35 patients. They commenced bicalutamide at a dose of 10 mg and gradually up-titrated it to a mean dose of 14.4 mg until no hypertrichosis was

Table 1: Review of literature of bicalutamide use in FPHL.

	Fernandez-Nieto <i>et al.</i> ¹⁴ (N=44)	Ismail <i>et al.</i> ¹⁵ (N=316)	Gomez-Zubiaur <i>et al.</i> ¹⁶ (N=6)
Mean age (in years)	34.8	49.0	35.7
Comorbidities	PCOS (14), SAHA (6), hirsutism (8)		Seborrhea PCOS (2) Hirsutism (3) Abdominal obesity (4)
Mean treatment duration in months (duration range)	10.5 (02–24)	6.2 (02–69)	6
Bicalutamide dose mg (N)	50 (29), 25 (15)	10 (220), 12.5 (8), 20 (79), 25 (3), 30 (5), 50 (1)	Mesotherapy With 1 mL of 0.5% bicalutamide solution Three monthly sessions for 6 months
Concomitant treatments (N)	Oral minoxidil 0.5–1 mg (33) Topical 5% minoxidil (5) Finasteride 2.5 mg (1) Dutasteride 0.5–1 mg (7) Mesotherapy with dutasteride (6)	Oral minoxidil (308) Spironolactone (172)	None
Improvement	Sinclair stage at 6 months: 2.14 (27.5% reduction)	Sinclair stage at 6 months: 2.21 (20.2% reduction)	The degree of alopecia was not modified in any of the cases; however, in all cases, a subtle improvement in hair density was described after the third session, which did not persist at the 6-month visit.

N: Sample size, PCOS: Polycystic ovarian syndrome, FPHL: Female pattern hair loss, SAHA: Seborrhea, acne, hirsutism, and alopecia

seen with concomitant oral minoxidil therapy.¹⁹ Therefore, oral bicalutamide may be useful for decreasing the risk of hypertrichosis as well, which is the most frequent adverse effect of oral minoxidil while improving Sinclair grading in FPHL patients.

The mechanism of bicalutamide in minoxidil-induced hypertrichosis is not entirely clear. However, there is a possibility that minoxidil might have an impact on the AR or its downstream signaling pathways, as mentioned by Moussa *et al.* in their paper.¹⁹ Another study reports that minoxidil suppresses AR-related functions, decreases AR transcriptional activity in reporter assays, and reduces the expression of AR targets at the protein level.²⁰ Ironically, these noted observations bring into doubt the prior assertion that hypertrichosis induced by minoxidil is not influenced by androgens but is due to the stimulation of dermal papilla cells by various growth factors.²¹ This view rather prompts a reconsideration of the differentiation between hypertrichosis and hirsutism and needs a better understanding of the mechanism of the same.

Acne and seborrhea

Hormonal acne and seborrhea can be constituents of polycystic ovary syndrome or as part of SAHA syndrome. Lowering androgen concentrations or controlling their effect at the tissue level is a priority in the therapeutic strategy for such patients. Oral anti-androgen like bicalutamide can be combined with other therapies for non-responders and more effective results.²²

Frontal Fibrosing Alopecia (FFA)

FFA is a patterned form of cicatricial alopecia, commonly encountered in postmenopausal females. The only report of bicalutamide use in FFA is published by Jerjen *et al.* They have mentioned the difficulty in determining if FFA represents androgenetic alopecia (AGA) with a lichenoid tissue reaction or patterned lichen planopilaris, hence the use of oral bicalutamide in their cohort along with low-dose oral minoxidil and other anti-androgens to clinically improve it.²³

Hidradenitis Suppurativa (HS)

HS/acne inversa is a post-pubertal, chronic, inflammatory disorder of the hair follicle presenting as painful, deep-seated, and inflamed lesions in the apocrine gland-bearing areas of the body. In a systematic review by Zouboulis *et al.*, their literature search cumulated 452 HS-associated druggable genes which they proposed as targets in HS and postulated that they can be repurposed as drugs for controlling HS, including AR ligands like bicalutamide.²⁴

Feminization of transgender women

Anti-androgenic effects of bicalutamide can also form part of gender-affirming hormonal therapy along with a therapeutic armamentarium consisting of estrogens and progestins. This will add to the scope of practice for obstetricians and gynecologists with some modest expansion of the knowledge base required to prescribe this drug to their patients.²⁵

Precocious puberty in boys

Familial male-limited precocious puberty is a GnRH-independent form of isosexual precocious puberty driven by androgens which promote virilization and growth. At present, there is no established treatment. Steroidal as well as non-steroidal anti-androgens can be used. However, Reiter *et al.* used the effective and favorable tolerability profile of bicalutamide as an anti-androgen along with anastrozole in 14 patients of precocious puberty. They showed an overall decreased growth rate, bone maturation rate, and less aggressive behavior with minimal changes in the Tanner stage in the testes, scrotum, and pubic hair in their study.²⁶

Chemical castration

The role of testosterone in sexual offending is thought to be mediated by its effect on known risk factors for recidivism such as deviant sexual arousal and/or sexual preoccupation. Anti-androgenic effects of bicalutamide have been employed as chemical castration therapy along with psychosocial therapies in such patients.²⁷

Priapism

Priapism is a disorder in which the penis maintains a prolonged, rigid erection in the absence of appropriate stimulation. It is a potentially devastating condition and may lead to penile fibrosis if untreated. Dahm *et al.* reported the use of 50 mg of bicalutamide in three patients, who not only experienced no further episodes of sustained priapism but also reported maintained libido and the ability to achieve sustained rigid erections for intercourse.²⁸

Table 2 summarizes the comparative features of available AR blockers and their versatility of use in different indications, reported so far in the literature.²⁹⁻³¹

DOSAGE

Bicalutamide is used in dosages of 150–200 mg/d for prostatic carcinoma followed by 50 mg/d in patients with concomitant GnRH analog use.³² It is available in 50 mg tablets in the market. In various studies so far, it has been used in FPHL in dosages varying from 12.5 mg to 50 mg 3–7 times per week. Ismail *et al.* have used a low-dose regimen of 10 mg

Table 2: Comparison of different AR blockers.

Drug	Mechanism of action	Relative potency	Side-effect profile	Selectivity and versatility of treating various condition
Bicalutamide	Competitive AR antagonist, with partial agonist action	4.3	Mild gynecomastia and breast tenderness, reversible hepatotoxicity, hyperlipidemia (favorable side effect profile with lesser gastrointestinal side effects)	Carcinoma prostate, androgenetic alopecia in females (FPHL), hirsutism in females, frontal fibrosing alopecia, seborrhea, acne, hidradenitis suppurativa, feminization of transgender women, precocious puberty in boys, chemical castration, priapism
Flutamide	Competitive AR antagonist	3.3	Diarrhea, hepatotoxicity, photosensitivity	Carcinoma prostate, androgenetic alopecia in females (FPHL), hirsutism, acne and seborrhea, chemical castration, priapism
Enzalutamide	AR Full antagonist, inhibits binding	Data not available; apalutamide and enzalutamide are more efficacious agents for nmCRPC than darolutamide	Fatigue, hypertension, Hot flushes, dizziness, nausea, seizure risk	Non-metastatic castration resistant prostate carcinoma (CRPC), metastatic CRPC
Apalutamide	Selective and competitive AR antagonist	Data not available; apalutamide and enzalutamide are more efficacious agents for nmCRPC than darolutamide	Fatigue, hypertension, rash, diarrhea, nausea, weight loss, arthralgia, hot flushes and peripheral edema	Non-metastatic CRPC
Darolutamide	AR Full antagonist, inhibits binding	Data not available; apalutamide and enzalutamide are more efficacious agents for nmCRPC than darolutamide	Fatigue, nausea, pain in extremities, rashes, ischemia, heart failure (most favorable safety profile out of enzalutamide, apalutamide)	Non-metastatic CRPC

FPHL: Female pattern hair loss, CRPC: Castration-resistant prostatic carcinoma, nm: Non-metastatic, AR: Androgen receptor

daily in most of their patients.¹⁵ However, considering its relative safety profile than flutamide, much more efficacious results can be obtained at higher doses of 50 mg daily in FPHL of Sinclair grade 3 and 4.³³ Similarly, the first reported dose of bicalutamide for use in hirsutism was also 50 mg/d but low doses of 25 mg/d and 15 mg/d have also been found effective.¹⁷⁻¹⁹

SIDE EFFECTS

Side effects reported so far with bicalutamide use are enumerated in Table 3. Anti-androgens are known to cause mild gynecomastia and breast tenderness (due to increased testicular estrogen production) along with mild reversible hepatotoxicity. Bicalutamide has fewer gastrointestinal side effects than flutamide. Symptoms such as nausea, vomiting, abdominal pain, fatigue, anorexia, flu-like symptoms, dark urine, and jaundice may indicate underlying hepatic dysfunction in patients on bicalutamide. In a study done by Fernandez-Nieto *et al.* ($n = 44$), there is a mild and transient increase of liver enzymes (3 times the upper limit

of normal) in only five patients, that too not mandating its discontinuation in any of them.¹⁴ However, Ismail *et al.* ($n = 316$) have reported it in nine patients, out of which three discontinued.¹⁵ Although mentioned in the literature, libido, and potency are largely preserved with the anti-androgenic effect of the bicalutamide group, even in males, contrary to as seen with 5-alpha reductase inhibitors, that is, finasteride and dutasteride.^{28,34} Bicalutamide has also been found to increase serum lipid levels in women, especially those having pre-existing deranged cardiometabolic profiles and PCOS. It warrants regular monitoring of serum lipids while the patient is on oral treatment.¹⁷ Other reported side effects include myalgias and arthralgias, dyspnea, and photosensitivity.³⁵⁻³⁷

USE IN SPECIAL POPULATIONS

Bicalutamide is contraindicated in pregnant females (Pregnancy Category X). Hence, it should be used cautiously in females of childbearing age group and male patients with female partners of reproductive potential.³⁸ Manufacturers advise to use an effective contraception

Table 3: Side effect profile of bicalutamide.

Gynecomastia (in males)	Hepatotoxicity
Breast tenderness	Anemia
Mood changes (anxiety, depression, memory loss)	Edema feet and fluid retention
Weight gain	Gastrointestinal symptoms (nausea, diarrhea, constipation)
Potential teratogenicity (theoretical risk of feminization of the male fetus)	Abnormal uterine bleeding (endometrial hyperplasia) and amenorrhea
Difficulty in concentrating	Paradoxical hair shedding
Maculopapular rash, urticaria and angioedema	Myalgias and arthralgias
Decreased libido (rarely reported in males, not reported in females)	Acneiform eruption
Palpitations and dyspnea (Presents secondary to interstitial pneumonitis and subsequent pulmonary fibrosis)	Photosensitivity

during treatment and for 130 days after the final dose of bicalutamide.³⁹ It is unknown if bicalutamide is secreted in breast milk; hence, it has not yet been recommended for use in lactating/breastfeeding females. Cautious use in patients of hepatic dysfunction and on drugs known to cause hepatic impairment is mandated. No dose modification is required in patients with renal impairment. No data are available for its use in the pediatric population in the case of adolescent-onset AGA, acne, and hirsutism.

WORKUP AND MONITORING

Baseline complete blood count, liver function tests, serum fasting lipid profile, and urinary pregnancy test should be ordered. The investigations should be repeated monthly during the initial 4 months and subsequently at regular intervals, particularly following any up-dosing schedule.³⁹

DRUG INTERACTIONS

Bicalutamide is metabolized exclusively in the liver through the CYP3A4 enzyme. Hence, cautious use of CYP3A4 inducers (phenytoin, rifampicin, phenobarbital, and glucocorticoids) and inhibitors (ketoconazole, clarithromycin, diltiazem, erythromycin, itraconazole, ritonavir, and verapamil) is warranted. Food does not affect the absorption of oral bicalutamide.⁷ Hemorrhage with concomitant use of coumarin anticoagulant has been reported. Therefore, close monitoring of prothrombin time and international normalized ratio, and subsequent dose adjustment of the anticoagulant is required.⁴⁰

FORMULATION

Bicalutamide is available in 50 mg tablet form for oral administration. No oral suspension or injectable preparations are available so far. Bicalutamide is potentially water-insoluble, it is hence physically micronized to smaller particle sizes to ensure better bioavailability through tablet form.⁴¹

CONCLUSION

AR antagonism theoretically serves as a better target than DHT to tackle patterned hair loss, especially in females. The encouraging results observed in studies suggest the potential for bicalutamide in FPHL patients. However, experience with the drug is limited, and hence, there is a need for further studies before its routine use. The drug's reported safety and mechanism of action, however, offer promise for further investigation and application in the management of hair loss.

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