RF – Bicalutamide: An Emergent Treatment Option in Trichology

FR – Bicalutamida, una realidad emergente en tricología

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KEYWORDS
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PALABRAS CLAVE
Alopecia androgenética;
Bicalutamida;
Minoxidil;
Hipertricosis

Bicalutamide is a nonsteroidal androgen receptor antagonist approved for treatment of prostate cancer. It is now considered an alternative option in trichology and is used off-label in patients with female pattern hair loss.¹

Bicalutamide exerts selective peripheral action in hair follicle dermal papilla cells. At the doses used in trichology, the drug has no mineralocorticoid or glucocorticoid activity, nor does it exert effects on testosterone, estrogen, or progesterone levels.²

There is no agreement on the appropriate dose of bicalutamide for female pattern hair loss, although it is always lower than that administered in prostate cancer. In the largest series to date, Ismail et al.² found that 10 mg/d was the most commonly used dose, whereas Fernandez-Nieto et al.¹ found it to be between 25 and 50 mg/d. In both studies, bicalutamide was generally administered in combination with other drugs, mainly oral minoxidil at 0.5–1 mg/d and, albeit to a lesser extent, with spironolactone.¹ ² The time to improvement varied between 6 months and 2 years, with an improvement of 20.2–27.5% on the Sinclair scale at 6 months (Table 1).

Given the antiandrogenic activity of bicalutamide, some studies suggest that treatment at a mean dose of 14.4 mg/d combined with oral minoxidil at a mean dose of 1.5 mg/d for more than 3 months could reduce minoxidil-induced hypertrichosis, thus enabling better tolerance to higher doses of the drug and optimizing treatment of female pattern hair loss.³

Given its role in miniaturization of the follicle and the above-mentioned effect, the drug was used successfully in a patient with central centrifuged alopecia at 10 mg/d in combination with 0.45 mg/d of oral minoxidil and a topical corticosteroid.⁴

Published studies have shown bicalutamide to have a good safety and tolerability profile.¹⁻³ The most common

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Table 1  Summary of the current literature on the use of bicalutamide in trichology.

<table>
<thead>
<tr>
<th>Authors</th>
<th>Study type</th>
<th>Disease treated</th>
<th>No. of patients treated</th>
<th>Dose, mg/d (% of patients treated)</th>
<th>Concomitant drugs (% of patients treated)</th>
<th>Duration of treatment, mo</th>
<th>Initial time of improvement measured, mo</th>
<th>Results at 6 mo, % reduction in Sinclair score</th>
<th>Adverse effects (% patients affected)</th>
<th>No. (%) of patients discontinuing treatment owing to adverse effects</th>
</tr>
</thead>
<tbody>
<tr>
<td>Ismail et al.</td>
<td>Case series</td>
<td>FPHL</td>
<td>316</td>
<td>-10 (69.6%)</td>
<td>-Oral minoxidil&lt;sup&gt;a&lt;/sup&gt; (97.4%)</td>
<td>2–69 (mean, 6.21)</td>
<td>3</td>
<td>20.2</td>
<td>-Elevated transaminases (2.9%)</td>
<td>13 (4.1%)</td>
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<td></td>
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<td></td>
<td></td>
<td>-12.5 (2.5%)</td>
<td>-Spironolactone&lt;sup&gt;b&lt;/sup&gt; (54.4%)</td>
<td></td>
<td></td>
<td></td>
<td>-Swollen limbs (2.5%)</td>
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<td></td>
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<td>-20 (25%)</td>
<td>-Monotherapy (1.8%)</td>
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<td></td>
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<td>-Gastrointestinal disorders (1.9%)</td>
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<td>-25 (1%)</td>
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<td></td>
<td></td>
<td>-In &lt;1% of cases, respectively, breast pain, acneiform eruption, asthenia, myalgia, decreased libido, menstrual disorders, mood changes, palpitations, dyspnea, photosensitivity</td>
<td></td>
</tr>
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<tr>
<td>Fernandez-Nieto et al.</td>
<td>Case series</td>
<td>FPHL</td>
<td>44</td>
<td>25 (34.1%) -25 (34.1%) -50 (65.9%)</td>
<td>-Oral minoxidil 0.5-1 mg/d (75.0%)</td>
<td>2-24 (mean, 10.5)</td>
<td>6</td>
<td>27.5</td>
<td>-Elevated transaminases (11.4%) -Effluvium (6.8%) -Menstrual disorders (4.5%) -Migraine (2.2%) -Endometrial hyperplasia (2.2%)</td>
<td>0</td>
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<tr>
<td>Moussa et al.</td>
<td>Case series</td>
<td>Minoxidil-induced hypertrichosis in FPHL</td>
<td>35</td>
<td>10 (57%) -10 (57%) -20 (40%) -25 (3%)</td>
<td>-Oral minoxidil 0.25-10 mg/d (mean dose 1.5 mg/d) (100%)</td>
<td>28.9 (mean, 25.92)</td>
<td>3.4</td>
<td>19.1</td>
<td>-Elevated transaminases (6%) -Scalp dysesthesia (3%) -Migraine (3%) -Periorbital edema (3%)</td>
<td>2</td>
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<tr>
<td>Lobon et al.</td>
<td>Case study</td>
<td>Centrifugal central alopecia</td>
<td>10, with increase to 20 (100%)</td>
<td>10 mg/d and topical clobetasol dipropionate 0.05% (100%)</td>
<td>-Oral minoxidil 0.5-1 mg/d</td>
<td>-</td>
<td>4</td>
<td>-</td>
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**Abbreviation**: FPHL, female pattern hair loss.

* Dose of oral minoxidil not specified.

* Dose of spironolactone not specified.
adverse effect was hepatotoxicity, with elevated transam- 
inases in 2.9–12.5% of cases and values lower than three 
times the upper limit of normal in all cases. This effect is 
dose-dependent, with most cases improving spontaneously 
or after reducing the dose of bicalutamide, without the need 
for discontinuation. Other less common undesirable effects 
cluded gastrointestinal disorders, swollen limbs, breast 
pain, and migraine (Table 1).1–3

Bicalutamide is contraindicated in pregnant women and 
should be administered with caution in women with a per-
sonal or family history of hormone-dependent tumors.9

Current evidence points to the need for a blood analy-
sis before initiating therapy with bicalutamide (complete 
blood count, creatinine, liver enzymes, lipid profile, and 
prothrombin time). The analysis should be repeated every 
3–6 months.1,9

Advances in our knowledge of bicalutamide in recent 
years have enabled us to evaluate it as an important alterna-
tive in the treatment of female pattern hair loss, especially 
in premenopausal patients with other features of hyperan-
drogenism such as acne, hirsutism, and seborrhea.1 More 
evidence is necessary to confirm the safety and efficacy 
profile of bicalutamide and to standardize dosing. How-
ever, a dose of 10–50 mg/d seems to be both safe and 
effective in monotherapy or in combination with other 

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