GRANACTIVE RETINOID®
Opção diferenciada ao ácido retinoico

http://aformulabr.com.br/qrcode/granactivetinoidafv01.pdf
GRANACTIVE RETINOID®
Opção diferenciada ao ácido retinoico

DESCRIÇÃO
O Granactive Retinoid® é um retinoato de hidroxypinacolona, um éster derivado da vitamina A, de grau cosmético.

MECANISMO DE AÇÃO
Embora os benefícios dos retinoides sejam conhecidos há décadas, a irritação da pele e a instabilidade fotoquímica dificultam a adesão ao tratamento e estabilidade das formulações. O Granactive Retinoid® é um derivado único, pois liga-se diretamente aos receptores de retinoides sem a necessidade de metabolização para outras formas ativas, como observado nos retinoides clássicos, o que justifica seu menor potencial de irritação e aumento da estabilidade. A ligação do Granactive Retinoid® aos receptores retinoides pode aumentar a expressão genética, ativando e desativando funções celulares chave. Quando isso ocorre, uma cascata de mecanismos que beneficiam a tenacidade cutânea são acionados, resultando na renovação celular, aumento do seu turnover e da biossíntese de proteínas e glicanos extracelulares que intervêm no combate e reversão dos sinais de envelhecimento da pele.

INDICAÇÕES
✓ Redução das linhas de expressão; rejuvenescimento;
✓ Tratamento da acne;
✓ Clareamento e uniformização do tom da pele;
✓ Prevenção do fotoenvelhecimento.

DOSE USUAL
Recomendação tópica de 1 a 3% de Granactive Retinoid®.

SUGESTÕES DE FÓRMULAS

<table>
<thead>
<tr>
<th>Granactive Retinoid®</th>
<th>1 %</th>
<th>Luminecense</th>
<th>4%</th>
</tr>
</thead>
<tbody>
<tr>
<td>Asebiol</td>
<td>1 %</td>
<td>Fitalite qsp</td>
<td>15 g</td>
</tr>
<tr>
<td>Papaina</td>
<td>0,3 %</td>
<td></td>
<td></td>
</tr>
<tr>
<td>Gel qsp</td>
<td></td>
<td></td>
<td></td>
</tr>
</tbody>
</table>

**Modo de uso:** duas vezes ao dia, antes da aplicação do FPS.
**Indicação:** acne comedoniana papular, leve a moderada.

<table>
<thead>
<tr>
<th>Granactive Retinoid®</th>
<th>2 %</th>
<th>Climbazol</th>
<th>0,5%</th>
</tr>
</thead>
<tbody>
<tr>
<td>Creme qsp</td>
<td></td>
<td></td>
<td>50 g</td>
</tr>
</tbody>
</table>

**Modo de uso:** aplicar na face 2 vezes ao dia.
**Indicação:** anti-aging.

PRINCIPAIS REFERÊNCIAS

GRANACTIVE RETINOID®

ESTUDOS CLÍNICOS

Treatment of mild to moderate acne with a fixed combination of hydroxypinacolone retinoate, retinol glycospheres and papain glycospheres.

AIM: A fixed combination of 0.1% hydroxypinacolone retinoate (synthetic ester of 9-cis-retinoic acid), 1% retinol in glycospheres and 2% papain in glycospheres in aqueous gel has been recently introduced into the Italian market in order to reduce the incidence and severity of irritant contact dermatitis caused by topical retinoids, without compromising their efficacy. Primary objectives of this sponsor-free, pilot, open, multicenter study were to evaluate the efficacy and tolerability of this gel in patients with comedonal-papular, mild to moderate acne of the face. METHODS: Ninety-eight Caucasian patients (28 males and 70 females), with an age ranging from 15 to 40 years, were treated with the gel once daily for 12 weeks. Acne severity and treatment efficacy were evaluated by means of the Global Acne Grading System (GAGS) and lesions count. RESULTS: Ninety-four patients were considered evaluable. A 41% mean reduction in the GAGS score was observed; a 40.8% mean reduction of total lesions was recorded; 15.3% of patients experienced mild to moderate local side effects (dryness, peeling, erythema, burning). No patients stopped the treatment because of these side effects. CONCLUSION: This study, based on a high number of evaluable patients, demonstrates that this fixed combination is an effective and safe option for the treatment of comedonal-papular, mild to moderate acne of the face. A controlled clinical study is necessary to confirm these data.

Efficacy and local tolerability of different spray products in the treatment of mild to moderate acne of the back and chest. A controlled, 3-arm, assessor-blinded prospective trial.

BACKGROUND: A spray formulation containing 2 vitamin-A derivatives (hydroxypinacolone retinoate and retinol) carried in glycospheres (RetinSphere®) combined with an antimicrobial peptide (BIOPEP-15), salicylic acid and vitamin E (BR) has been recently developed for the treatment of truncal acne. We evaluated clinical efficacy of BR in comparison with two commonly used sprays, containing triethylcitrate and ethylinoleate (AK) and containing betaine, glycine and salicylic acid 2% (SP). The products were applied twice daily. METHODS: In a randomized, parallel-groups, assessor-blinded, 6-week trial, we enrolled 75 subjects (38 men, 37 women, mean age 21 years) with mild-to-moderate truncal acne. Twenty-five subjects were randomized to each treatment group (BR, AK or SP). Primary outcome of the study was the evolution of Global Acne Grading System (GAGS) score in comparison with baseline and within groups at week 6. Secondary outcome was the evaluation of skin irritation. RESULTS: All but 2 subjects concluded the study. At baseline mean±SD of GAGS scores were 9.8±7 in BR, 10.7±7.6 in AK and 10.7±7.0 in SP groups, respectively. At week 6 GAGS score in BR was statistically significantly lower in comparison with AK and SP (p=0.03). A significant greater percentage reduction of GAGS scores in comparison with baseline was observed in BR group (-72%) in comparison with AK group (-45%) (p=0.05) and with SP group (-36%) (p=0.009). No significant differences between the groups were observed regarding erythema, burning and xerosis scores at week 6. Twelve subjects out of 25(48%) in BR group, 15(60%) in AK group and 14(56%) in SP group reported some grade of erythema, burning or xerosis. CONCLUSIONS: BR spray showed to be a more effective treatment of mild-to-moderate truncal acne in comparison to AK and SP sprays. This formulation showed also good skin tolerability comparable with anti-acne sprays not containing vitamin-A derivatives (Trial registration number: ISRCTN38383374).

Efficacy and safety of a 12-month treatment with a combination of hydroxypinacolone retinoate and retinol glycospheres as maintenance therapy in acne patients after oral isotretinoin.

BACKGROUND: A correct therapeutic management of acne should include a maintenance therapy to prevent recurrences after discontinuing a successful treatment. The aim of this study is to investigate efficacy and safety of a 12-month maintenance treatment with a product, based on Retinsphere technology that combines retinol encapsulated in glycospheres and hydroxypinacolone retinoate (Biretix gel®), to control acne relapse after a treatment with oral isotretinoin (O.I.). METHODS: The study consisted of 2 phases: active treatment phase (AP) and maintenance phase (MP). In the AP, 40 consecutive patients with moderate facial acne were treated with O.I. until acne remission. Then, the patients entered in the MP and were treated with Biretix gel® once-daily for 12 months.
The efficacy parameter was the relapse rate during MP. RESULTS: Thirty-nine patients completed the study. Relapse appeared in 6 patients (15.38%). The new product with Retinsphere technology was well tolerated and none of the subjects complained of adverse events. CONCLUSIONS: Our findings seems to provide favorable evidence of the efficacy and the safety of this new product in the maintenance treatment after O.I. in patient with moderate acne. The efficacy is maintain for a period as long as a year after O.I. suspension.

**Climbazole boosts activity of retinoids in skin.**

OBJECTIVE: To explore whether climbazole enhances retinoid-associated biological activities in vitro and in vivo.

METHODS: Primary human dermal fibroblasts (HDFs) were treated from six to 48 h with either retinoids (retinol, retinyl propionate, retinyl palmitate) alone or in combination with climbazole, and then assessed for cellular retinoic acid-binding protein 2 (CRABP2) mRNA expression by RT-qPCR. Next, skin equivalent (SE) cultures were topically treated with retinol or retinyl propionate, with or without climbazole, and then measured for biological changes in retinoid biomarkers. Lastly, an IRB-approved clinical study was conducted on the outer forearm of 16 subjects to ascertain the effects of low (0.02%) or high (0.1%) levels of retinol, retinyl propionate (0.5%), climbazole (0.5%) or a combination of retinol (0.02%)/climbazole (0.5%). Indicators of retinoid activities were measured after 3 weeks. RESULTS: Treatment of HDFs with retinol or retinyl propionate was unaffected by climbazole but alone, resulted in a significantly (P < 0.01) higher sustained CRABP2 mRNA expression than those treated with retinyl palmitate or vehicle control. In SEs, climbazole combined with either retinol or retinyl propionate boosted retinoid related activity greater than the retinoid only, reflected by a dose-response, downregulation of loricrin (LOR) and induction of keratin 4 (KRT4) proteins. In vivo, retinol (0.1%) and retinyl propionate (0.5%) significantly increased most evaluated biomarkers, as expected. Low-dose retinol or climbazole alone did not increase these biomarkers; however, in combination, significant (P < 0.05) increases in retinoid and ageing biomarkers were detected. CONCLUSION: Climbazole boosted retinoid activity both in the SE model, after a combined topic treatment with either retinol or retinyl propionate, and in vivo, in combination with a low level of retinol. Based upon the evidence presented here, we suggest that the topical skin application of climbazole in combination with retinoids could deliver skin ageing benefits more than a less robust retinoid alone.

**BIOLOGICAL PATHWAYS TO YOUTHFUL SKIN WITH GRANACTIVE RETINOID**

Stimulating cell proliferation and cell turnover are important for normalizing cell renewal and repair processes. As we age, our skin becomes thinner and less elastic, leading to skin with a loose, sagging, and wrinkled appearance. Granactive Retinoid helps renew skin plumpness, elasticity, and hydration to provide a radiant and fresh appearance. Moreover, Granactive Retinoid stimulates skin cell proliferation; restoring thickness to skin that has become thinner over time. These processes help fill in lines and wrinkles to give a youthful appearance, while safeguarding skin from further wrinkle development. The effectiveness of Granactive Retinoid at filling lines and wrinkles can be seen in Image 1. Granactive Retinoid is highly recommended for promoting clear skin when used in conjunction with monographed anti-acne treatments. This cosmetic ingredient promotes cell turnover and renewal, which translates to improved skin clarity and the appearance of a healthier complexion.

*Image 1. dramatic reduction of lines and wrinkles was observed after 14 day Granactive Retinoid application.*
These results add credence to the beneficial safety and irritation profile of Granactive Retinoid as a topical cosmetic. The low irritation profile of Granactive Retinoid versus retinol was demonstrated on a 24 hour occlusive patch test and can be seen in Image 2.

Granactive Retinoid is a next-generation anti-aging product, delivering the performance of retinol and retinoid derivatives with significantly lower irritation potential, thus supporting clear, visibly more youthful looking skin with better consumer acceptance. The mechanism of action of Granactive Retinoid is advanced compared to retinol derivatives. To interact with retinoid receptors, retinol must first be metabolized to more active forms, such as retinaldehyde and retinoic acid using several enzymatic steps. Granactive Retinoid is unique in that it processes innate retinoic activity, binding directly with retinoid receptors without the need for metabolic breakdown to more biologically active forms. Granactive Retinoid is dermatologically tested to offer less irritation potential than retinol, providing a gentle, safe and effective anti-aging retinoid.
REFERÊNCIAS


Referência baseada na lamina do fornecedor.