Tretinoin Formulation: From Microsponges to Polymeric Emulsion

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The history of tretinoin and its use in dermatology is a testament both to the drug's well-established efficacy and its potential to cause skin irritation. Over more than four decades, the drug that launched the retinoid class into the market has been used in the topical management of acne, either alone or in combination. In fact, current consensus acne treatment guidelines rely heavily on retinoids, as they address several aspects of acne pathogenesis—they are comedolytic, resolve the precursor microcomedone, and are anti-inflammatory—without posing any risk for antibiotic resistance.[1]

However, topically applied tretinoin has been associated with skin dryness, erythema, and even development of dermatitis. This legacy of irritation may largely derive from the earliest vehicles of the drug, which were formulated with a high concentration of active drug solubilized in solutions that contained several irritating excipients such as alcohol.[2] Innovation led to the formulation of tretinoin in sponge-like, porous, polymeric microspheres that encapsulate the drug and deliver it gradually, in a vehicle where tretinoin has limited solubility.[3] Microsponge delivery of tretinoin was associated with decreased irritation and improved drug stability. Subsequent formulation advancements attempted to further improve the patient experience with tretinoin.

The latest advancement in vehicle formulation for tretinoin has brought prescribers the first topical lotion formulation of tretinoin 0.05% (Altreno, Ortho Dermatologics). The novel lotion formulation incorporates a polymeric honeycomb matrix that helps provide a uniform distribution of both active and moisturizing/hydrating ingredients. Phase 3 data show a 52% percent reduction in inflammatory lesions at week 12 compared to baseline with the use of tretinoin 0.05% lotion; statistically significant reduction in comedonal lesions as early as week 4, and a 46% reduction by week 12.[4] More importantly, the new formulation was very well tolerated in studies.

As discussed ahead, data show specific skin-supporting benefits associated with the novel lotion formulation of tretinoin. Specifically, topical application of the lotion was associated with rapidly increased skin hydration and decreased trans-epidermal water loss (TEWL)—an important measure of barrier function—as measured by Corneometer® and Tewameter®, respectively. The documented barrier-supporting effects of the lotion vehicle are welcomed for acne, as it is well established that a properly functioning barrier is essential to re-establishing healthy skin. A wide range of patients with various skin types may appreciate the hydrating effects of an easily applied topical lotion. Additionally, the lotion formulation may be especially attractive to those women who may wish to apply make-up over their topical medications.

With these thoughts in mind, dermatology providers can see potential benefit in the availability of a topical lotion formulation of tretinoin with proven efficacy and excellent tolerability.

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