Re-Formulating the Old Tretinoin: Unique Microencapsulated Tretinoin with Microencapsulated Benzoyl Peroxide Combination Product for Acne Vulgaris

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Introduction: Historically, tretinoin use within acne has been limited by its tolerability and molecular stability. Tretinoin 0.1% has a higher rate of irritant adverse events (AEs) than lower concentrations. When used concurrently with benzoyl peroxide (BPO), the tretinoin molecule is unstable and oxidizes the BPO. New technology enables BPO and tretinoin to be combined in one cream, improving the stability of tretinoin and tolerability of the combined product.

Objectives: Determine if a re-formulated combination of microencapsulated tretinoin 0.1% and microencapsulated BPO 3% provides a stable and well tolerated product.

Materials and method: E-BPO/T separately encapsulates tretinoin crystals and BPO crystals in silica core shell structures, enabling inclusion of both in one cream. Silica shells control the release rate of active ingredients, allowing efficacy while minimizing AEs and optimizing tolerability.

Results: Two Phase 3, multicenter, double-blind, randomized studies of daily E-BPO/T (n=571) or vehicle (n=287): E-BPO/T found superior to vehicle on Investigator's Global Assessment (IGA) success rate and absolute mean change in inflammatory and non-inflammatory lesions (NIL) from baseline to Week 12. IGA success significant at Week 8; mean NIL reduction significant at Week 2 in both studies. Nearly all AEs were mild or moderate in severity. Mean tolerability parameters of both E-BPO/T and vehicle stayed below 1 (scale of 0-3) and were not statistically different.

Conclusions: Unique microencapsulation technology enables combining BPO 3% and tretinoin 0.1% into one cream for concurrent use in acne treatment with a manageable tolerability profile.