

DISCOLORATION DEFENSE

Proven to target multiple biological pathways of pigmentation, correcting a wide range of pigmentary conditions

INTRODUCTION

The etiology of skin discoloration remains poorly understood and its treatment continues to be challenging. In addition, topical ingredients proven effective in treating pigmentary disorders are lacking. Multiple factors have been implicated in the pathogenesis of melasma, post-inflammatory hyperpigmentation (PIH), and hyperpigmentation. In order for a topical treatment to successfully address a wide range of pigmentary conditions, it is crucial the formulation contain ingredients that target multiple biological pathways associated with the development of discoloration. Niacinamide, kojic acid, and hydroxyethylpiperazine ethane sulfonic acid (HEPES) are established depigmenting ingredients each exhibiting distinct modes of action: tyrosinase inhibition, melanosome transfer disruption, and exfoliation. More recently, the role that inflammatory mediators play in melanogenesis has been more clearly elucidated. Tranexamic acid (TXA) is a synthetic analog of the amino acid lysine that competitively inhibits the transformation of plasminogen to plasmin, a molecule that degrades fibrin.¹ As such, it has long been used as an anti-fibrinolytic agent for patients with menorrhagia and in open-heart surgery. Its use in melasma was first reported in 1979 when it was noticed that patients treated with TXA for chronic urticaria noticed improvement of their melasma.² Tranexamic acid has recently been introduced as a topical therapy aimed to reduce pigmentation in melasma, PIH, and hyperpigmentation. TXA controls pigmentation by inhibiting the release of inflammatory mediators, specifically prostaglandins and arachidonic acid, which are involved in melanogenesis.³

OBJECTIVE

To evaluate the tolerance and efficacy of SkinCeuticals Discoloration Defense (containing: 3% tranexamic acid, 5% niacinamide, 1% kojic acid, and 5% HEPES), in inhibiting several pathways responsible for stimulating melanogenesis, correcting a multitude of pigmentary conditions, including melasma.

CLINICAL METHODOLOGY

A 12-week, single-center, clinical study was conducted on 50 subjects. Efficacy and tolerability evaluations were conducted at baseline and weeks 2, 4, and 12.

Efficacy measures included visual evaluation and grading by the investigator, on a modified Griffith's scale, of skin texture, appearance of post-inflammatory hyperpigmentation (PIH), appearance of hyperpigmentation, and evenness of skin tone. The visual evaluation and grading by the investigator of the appearance of melasma was conducted on a modified MASI scale. Bioinstrumentation measurements included mexameter and full-face digital imaging.

Cutaneous tolerability was evaluated by assessing subjective and objective irritation of the treatment area. Clinically-graded objective irritation parameters included erythema, dryness, scaling and edema. In addition, subjects self-assessed burning, stinging, itching, tightness and tingling.

Inclusion Criteria

- Females aged 25–60
- 50% self-perceived sensitive skin
- Fitzpatrick skin types I–IV
- All ethnicities
- Mild to moderate PIH (35 subjects)
- Mild to moderate melasma (35 subjects)
- Mild to moderate hyperpigmentation, skin texture roughness, skin tone unevenness

PROTOCOL

Twice daily, subjects applied Discoloration Defense for 12 weeks. The support regimen was limited to a basic sunscreen.

RESULTS

Expert grading showed statistically-significant improvement in melasma, PIH, hyperpigmentation, skin texture, and skin tone evenness at weeks 2, 4, and 12 when compared to baseline (Graph 1 and Figures 1, 2, and 3).

Melanin index as measured by mexameter demonstrated a significant improvement in PIH and melasma by week 12. (Graph 2)

Product was well tolerated. No adverse events occurred.

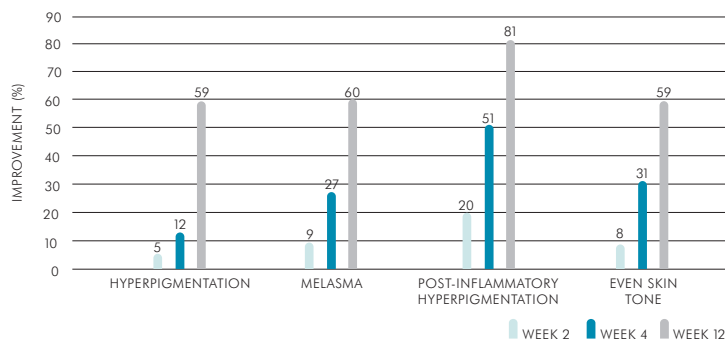
1. Sadako N. (1979). Treatment of melasma with tranexamic acid. *The Clin Rep.* 13:3129–31.

2. Kim, M. S., Bang, S. H., Kim, J.-H., Shin, H.-J., Choi, J.-H., & Chang, S. E. (2015). Tranexamic Acid Diminishes Laser-Induced Melanogenesis. *Annals of Dermatology*, 27(3), 250–256.

3. Tse TW, Hui E. (2013). Tranexamic acid: an important adjuvant in the treatment of melasma. *J Cosmet Dermatol*, 12(1):57–66.

CLINICAL STUDY RESULTS

Graph 1: Clinical Improvement Across Key Markers of Discoloration



Graph 2: Mexameter Results: Melanin Index

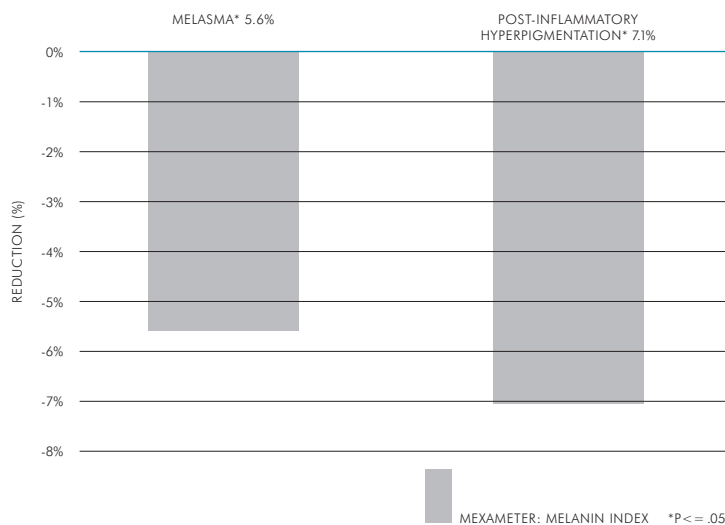


Figure 1: Visible Improvement in Melasma



AVERAGE results



ABOVE AVERAGE results

Figure 2: Visible Improvement in PIH



AVERAGE results

Figure 3: Visible Improvement in Hyperpigmentation



AVERAGE results



ABOVE AVERAGE results

CONCLUSION

Discoloration Defense is shown to have significant utility in correcting a wide range of pigmentary conditions including melasma, PIH, and hyperpigmentation.

Clinical studies demonstrated:

- significant improvement in clinical skin attributes
- significant improvement in bioinstrumentation measurement
- twice-daily use of the formulation was well tolerated