PRESCRIPTION MONOGRAPH

Compounded Active Ingredients: Cetirizine/Caffeine/GHK-Cu
Form: Topical Solution

Drug Class:

- Cetirizine: H1 antihistamine
- Caffeine: CNS stimulant (methylxanthine)
- GHK-Cu: Peptide complex (endogenous tripeptide); Copper-binding growth factor analog

Mechanism of Action[1,2,3]:

When compounded together, Cetirizine, Caffeine, and GHK-Cu have the potential to target several potential contributors to thinning rather than relying on a single pathway. They are intended to:

- Reduce follicular inflammation by blocking histamine-mediated signaling to decrease PGD2 expression (a prostaglandin associated with hair thinning in AGA).
- Stimulate follicular activity by enhancing cAMP signaling, to counteract DHT-induced hair thinning, improve microcirculation, and increase keratinocyte production.
- Promote follicular repair and regenerative signaling by encouraging new blood vessel formation around hair follicles and stimulating the growth of hair-forming cells.

Indications Commonly Prescribed For:

- Androgenetic alopecia
- Post-inflammatory shedding
- Cosmetic hair quality: To improve density, thickness, and retention.

Before Use: Let your healthcare provider know if you have any medication allergies before you take this compounded preparation. Let your health care provider know if you have any liver or kidney problems. Let your healthcare provider know of all supplements you are currently taking.

Contraindications:

- Known allergy to any component
- Wilson's disease (copper metabolism disorder)
- Active neoplastic disease (caution due to proliferative effects)
- Scalp irritation or dermatitis where barrier is compromised

Cautions: Let your Healthcare provider know if you experience any adverse side effects.

Warnings and Precautions:

- Monitor for signs of copper overload
- Scalp irritation: active ingredients or may cause redness, itching, dryness, burning, or contact dermatitis.
- Systemic caffeine exposure: Significant absorption is not expected with appropriate topical application, but excessive exposure could potentially cause nervousness, tremor, palpitations, or sleep disturbance in caffeine-sensitive individuals.
- Scalp conditions**:** Use with caution with psoriasis, eczema, or other compromised barrier.

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Adverse Reactions: If you experience any side effects or adverse reactions, including those not listed, please contact your healthcare provider. Seek emergency care if symptoms are severe.

Common:

- Mild skin irritation, redness
- Itching, Dryness
- Initial shedding
- Flaking, scaling
- Unwanted facial hair growth
- Contact dermatitis
- Folliculitis
- Localized rash

Rare:

- Drowsiness or fatigue
- Dry mouth
- Headache
- Nervousness or restlessness
- Tremor
- Palpitations
- Sleep disturbance
- Tachycardia or arrhythmia

Interactions:

- Chelating agents (e.g., EDTA) may reduce GHK-Cu effectiveness
- Avoid combining with high-dose zinc (competes with copper binding)
- Additive stimulation with other topical vasodilators
- Concurrent minoxidil, tretinoin, finasteride, dutasteride, or other compounded agents may increase scalp irritation
- Topical caffeine absorption combined with oral caffeine or stimulant medications could theoretically increase palpitations, nervousness, tremor, or insomnia

Use in Specific Populations:

- Pediatrics: Avoid systemic use; topical use unstudied
- Geriatrics: Frequently used for anti-aging benefit
- Pregnancy: Safety not established

Storage:

- Store in original container at room temperature (up to 30°C or 86°F)
- Store in a cool dry place away from heat, sunlight, and moisture

How to Use: This compounded preparation is provided as a topical solution in a spray bottle. Apply the directed number of sprays evenly to the affected areas of the scalp, usually once or twice daily as instructed by your provider. Gently massage the solution into the scalp if recommended. Wash your hands after application. Avoid getting the solution in your eyes, mouth, or on broken skin. If you miss a dose, apply it as soon as you remember, but do not double the application if it is nearly time for the next one. Consistent use is important, and desired results may take several weeks to become noticeable.

Monitoring Parameters:

- Skin/hair response over 8–12 weeks
- Liver function tests if used systemically long-term
- Copper and ceruloplasmin levels in extended systemic protocols

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Citations:

1. Szendzielorz E, Spiewak R. Caffeine as an Active Molecule in Cosmetic Products for Hair Loss: Its Mechanisms of Action in the Context of Hair Physiology and Pathology. *Molecules*. 2025; 30(1):167. https://doi.org/10.3390/molecules30010167
2. A. Rossi, D. Campo, M.C. Fortuna, V. Garelli, G. Pranteda, D. Di Nunno & M. Carlesimo (2017): A preliminary study on topical cetirizine in the therapeutic management of androgenetic alopecia., Journal of Dermatological Treatment, DOI: 10.1080/09546634.2017.1341610
3. Liu T, Liu Y, Zhao X, Zhang L, Wang W, Bai D, Liao Y, Wang Z, Wang M, Zhang J. Thermodynamically stable ionic liquid microemulsions pioneer pathways for topical delivery and peptide application. *Bioact Mater*. 2024;32:502-513. doi:10.1016/j.bioactmat.2023.10.002

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