Introduction

The youthful appearance of skin is characterized not only by the absence of wrinkles, but also skin radiance and an even skin tone. As skin ages it becomes less luminous and brown age spots begin to appear.

Citrolumine 8 has been developed to brighten skin tone, fade age spots and increase overall skin luminosity. The efficacy of the skin brightening properties has been tested. Related literature documents show the potency of the citroflavonoids’ anti-inflammatory as well as antioxidant agents, which are considered excellent benefits in a skin care active.

Description (400817.00.2)

Citrolumine 8 is based on highly concentrated liposomal-encapsulated citroflavonoids, extracted from citrus fruits.

- Preservative-free, liquid
- Liposome size >100nm

INCI

US. Glycerin, Water, Lecithin, Citrus Paradisi (Grapefruit) Fruit Extract, Citrus Aurantium Amara (Bitter Orange) Fruit Extract, Sodium Ascorbate, Tocopherol, Helianthus Annuus (Sunflower) Seed Oil
EU. Glycerin, Aqua, Lecithin, Citrus Paradisi Fruit Extract, Citrus Aurantium Amara Fruit Extract, Sodium Ascorbate, Tocopherol, Helianthus Annuus Seed Oil

(Please refer to the proprietary composition declaration for up-to-date INCI listing.)

Recommended Applications & Use Levels

Applications: For anti-aging products, products to fade age spots and brighten skin tone

Recommended use level: 1%
Analytical Data

HPLC Trace

Fig. 1 depicts an HPLC trace of the flavonoid mixture showing peaks for the major citroflavonoids present:

- Naringin (22%)
- Neohesperidin (5.3%)
- Narirutin (4.9%)
- Hesperidin (1.0%)

In Vitro Activity

Tyrosinase Inhibition – Cellular Assay

Test protocol

- The flavonoid mixture* was tested for its ability to inhibit tyrosinase using the indirect cellular inhibition assay
- The tyrosinase enzyme was extracted from normal human epidermal melanocytes (NHEM) previously treated with the test compounds. The samples were incubated with the substrate L-DOPA (dihydroxy phenyl alanine) to determine their enzymatic activities

Results & Conclusion

- The flavonoid mixture* containing 1% Citrolumine 8 reduces tyrosinase activity by 60% compared to untreated control and by 20% compared to kojic acid (Fig. 2)

* 0.4mg / ml flavonoid mixture corresponds to 1% Citrolumine 8
In Vivo Activity

Chromameter and high-resolution imaging studies on skin tone & age-spots

Test protocol

- Skin colour or the melanin index (MI) was measured using a Skin Pigment Analyzer SPA99 (Caucasian) and a Chromameter CR300 (Asian) and high resolution imaging studies. A two-month evaluation of the brightening and anti-aging effects of 1% Citrolumine 8, in a cosmetic lotion4 applied twice daily, was carried out on six Caucasian and three Asian female volunteers in a pilot study
- Both, their faces and the backs of their hands were assessed for the general brightening effects and the specific impact on age spots

Results & conclusion: Caucasian skin

Facial skin

- Citrolumine 8, when used containing 1% in a cosmetic lotion4, reduced the pigmentation of age spots while also brightening the skin tone (Fig. 3)
- Results on study day 56:
  - 9.0% increase in the lightening of the age spots
  - 5.2% increase in brightening of the skin tone

Skin on back of hands

- The age spots on the backs of study subjects’ hands had faded significantly (Figs. 4 & 5)
Results & Conclusion: Asian Skin

Forearm skin

- After having observed significant improvements on Caucasian skin, preliminary tests conducted on Asian skin delivered positive brightening effects as well
- After 56 days of use, Citrolumine 8 (use level 1%) significantly brightened Asian skin tested on the top of the forearm (Fig. 6)

Formulation Recommendations

Citrolumine 8 is a liposomal-based product and is easily formulated into products as long as following formulation guidelines are followed to ensure that the liposomes remain intact:

- Liposomes should be added to formulation below 40°C using low shear mixing after emulsifying
- Addition of liposomes should occur during last step of manufacturing process
- Ethyl alcohol concentration should be kept below 5% and solvents in general below 10%
- Surfactants in general should be avoided but low levels (up to 1%) of non-ionic, high HLB surfactants are well tolerated
- High levels of salts (>0.5%) should be avoided
- Recommended storage temperature of Citrolumine 8 is 2–8°C
- Frame formulation available

Safety & Regulatory

Toxicology

- Ames Reverse Mutation Assay (OECD 471) using strains of Salmonella typhimurium and Escherichia coli: Non-mutagenic
- BCOP eye irritation (OECD 437): Non-irritant at 1%
- Single Patch Test: Non-irritating, dermatologically tested at concentration of 1%
- Human Repeat Insult Patch Test (HRIPT): Non-irritating and does not produce any sensitization at concentration of 1%
- MTT cellular viability test showed that the flavonoid mixture* at 0.4mg/ml stimulated cell growth and at 1.0mg/ml viability was only reduced to 83%

REACH

- Compliant with REACH regulation (EC) No 1907/2006 and its amendments

*0.4mg/ml flavonoid mixture corresponds to 1% Citrolumine 8

References

4. Lipoid Kosmetik frame formulation (Skin Lotion Citrolumine 8)