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# BergaCare smartLipids® - commercial lipid submicron particle concentrates for cosmetics, consumer care & pharma

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BergaCare smartLipids® are commercial submicron solid lipid particles for delivery of cosmetic and pharmaceutical actives to the skin, being in size  $> 100$  nm and  $< 1$   $\mu$ m. They are a specialized version of the NLC delivery system [1, 2]. In contrast to the “standard” NLC, they are composed of a multiple mixture of lipids (preferentially 5-10). This complex “chaotic” lipid mixture gives them special properties such as increased drug loading and increased physical stability [3, 4]. In addition, based on the size distribution they are no nanoparticles according to the EU cosmetic regulations, i.e. no labelling as “nano” on the final product is necessary. These submicron lipid particles are ideal for the incorporation of lipophilic or amphiphilic actives. The BergaCare smartLipids® are produced with industrial quality under “cosmetic/pharma GMP” and can be purchased as concentrates (10/20-30%) for admixture to dermal formulations such as gels, creams and lotions, or being incorporated into decorative cosmetics. This is the translation of an academic, science-based development into commercial products.

The BergaCare smartLipids® combine the advantages of the previous generation of lipid particles (NLC) with the special feature of the specialized complex lipid mixture. The overall key features can be summarized:

**1. High loading & increased physical stability:** The complex lipid particle matrix has a low ordered crystalline state ( $\alpha$ ,  $\beta'$ ) and many imperfections, thus allowing high loading with actives (e.g. instead just 5% retinol in standard NLC now 15%). The actives are firmly enclosed/protected in the particle matrix during storage.

**2. Increased dermal penetration:** The particles adsorb onto the skin, forming an occlusive film (so called “invisible patch”) which promotes penetration. For example, coenzyme Q10 can be made more active compared to existing traditional dermal formulations, allowing a market differentiation. The BergaCare smartLipids® are therefore a delivery system for all lipophilic actives on the market heaving need for penetration/bioavailability improvement.

**3. Restoration of natural skin barrier:** By adhesion to the skin, an impaired, stressed lipid film of the stratum corneum (= natural barrier) is repaired and re-enforced. The enforced barrier protects the skin against environmental hazards (UV, pollution, etc.). Thus also unloaded



BergaCare smartLipids® are promoting the generation of normal, healthy skin.

4. Protection of chemically labile actives: In contrast to liquid o/w emulsions, a solid particle matrix can more effectively protect actives against degradation, e.g. retinol. Thus these particles are a carrier system for labile actives.

5. Controlled release: The controlled release allows tailor-made particles, for fast action (e.g. lidocaine) to prolonged action, or even avoidance of release in case of actives which should preferentially remain on the skin and not penetrate (UV sunscreens). Due to controlled and prolonged release, skin irritations can be minimized/avoided due to avoidance of too high concentrations on the skin (e.g. as shown for tretinoin).

6. Delivery system for sunscreens: Incorporation into lipid particles increases UV absorption efficiency of molecular sunscreens (= reduction of concentration for same efficiency), and the retarded release reduces skin penetration compared to o/w emulsions (= reduced side effects), e.g. avobenzene.

The first product in launch preparation are BergaCare smartLipids®Q10 and retinol. Incorporation into products: after production of dermal products the BergaCare smartLipids® concentrate is simply admixed under gentle blending. BergaCare smartLipids® formulations are also offered customized. Developments can also be made for pharma actives (drugs). A pharmaceutical contract manufacturer is available.

References:

- [1] R. H. Müller et al., European patent EP 1 176 949 (2014)
- [2] R. H. Müller et al., US patent US 8,663,692 B1 (2014)
- [3] R. H. Müller, R. Ruick, C. M. Keck, smartLipids - the next generation of lipid nanoparticles by optimized design of particle matrix, PT.27, DPhG-Jahrestagung (2014)
- [5] R. Ruick, PhD thesis Freie Universität Berlin (2016)

