# Emulsive Water-Soluble Concentrates

The present invention relates to micellar water-soluble concentrates according to the features of claim 1 and their use in cosmetic, pharmaceutical or dietary products.

Lipophile or fatlike substances in the pharmaceutical, cosmetic or dietary field usually have to be transfered into a problem-free application form. An actually problem-free application form is the emulsion with emulgatores reducing the tension at the boundary layer of fat droplets thus providing a fine and stable distribution of fat in water. Depending from the field of application there are emulsions from creamlike to milky consistency with amounts of emulgators from 2 - 10%. The particle size of the fat droplets of an emulsion depends from many factors, like fat, emulgator, applied energy und is usually within a three digit nm-range (100 - 1000 nm).

Special requests and applications demand for transparent to translucent products with sizes of the droplets within a one to two digit nm range (5 - 100 nm). Such formulations can only be represented by micellar solutions. Lipophil materials are solved in the form of mixed micells together with a suitable solubilizer (emulgator) and coemulgator to transparent formulations.

In the fields of cosmetics and dermatology visually esthetical products are needed containing substances difficult to solve in water in partly high concentrations. Beside the appearance such products are supposed to have an excellent physical stability. Examples are transparent

oilbaths in cosmetics and dermatology with triglycerides, paraffinoils and etheric oils as fatlike substances.

Perfumoils contain as well mostly fatlike fragrances solved in clear transparent form. Pharmaceuticals that are difficult to solve in water are processed for oral or parenteral application as well to transparent formulations.

It is the objective of a topical, oral or parenteral applied product to use the lipophil active substance. Emulgators or coemulgators are unwanted but to date technologically necessary auxiliary substances.

It is state of the art that the solubilization of fatlike substances to transparent systems in the above mentioned fields of application can be made exclusively with ethoxilised tensides or tensides having a high HLB-value and/or with alcohols. These solubilizer are having serious deficiencies:

- the highly volatile alcohols -VOC- (Ethanol, Isopropanol) are very toxic for cells and
- they are for protection of the atmosphere unwanted in many formulations.
- Emulgators have to be applied for solubilization in great quantities and consequently for application of the actually active substance considerable quantities of auxiliary substances have to be carried with them. In order to solubilize for example 1 g lavender oil in the common way 5 g PEG 40 hydrated castor oil in a 25% (w/w) ethanolic solution are needed. Or in order to solubilize for example 20 g vitamin E-acetat in the common way 44 g PEG 36 hydrated castor oil and 25 g propylenglykol in a water solution are needed. And in order to solubilize

the lipophile vitamins A, E and D in the common way a ten times excess of a mixture from glycocholacid and phosphatidylcholin (Cernevit) is needed for example.

State of the art

DE-198 59 427 A1 discloses the production of micellar solved fatlike substances to transparent systems in the form of mikroemulsions. As emulgator-/coemulgatorsystem exclusively a mixture from lecithin and ethoxilised emulgators, lecithin/emulgators with high HLB-value or lecithin/light volatile alcohols are used. It is a disadvantage of this state of the art that the described emulsions are not transparent but stay opaque, milky. DE 199 22 193 describes the production of a typical milky fatemulsion from hydrated lecithin, etheric oils and water and the production of optically transparent concentrates.

Objective

The present invention has the objective to solve substances being difficult to solve in water to transparent or translucent micellar watersoluble concentrates and to apply these concentrates.

This objective is achieved with micellar watersoluble concentrates according to the invention with the features of claim 1 and the application of these micellar watersoluble concentrates with the features of claim 10.

Contrary to micellar systems up to date the advantage is achieved with the invention that the use of a second emulgator is completely avoided and as well mono- or bivalent

alcohols. Further surprisingly advantageous results from the invention that in relation to the fat this is possible with a lack of phospholipids thus being in contradiction to the conventional possibilities up to date to achieve solubilization.

According to the invention ethoxilised tensides or other strong solubilizers can be replaced by a system of natural substances (lecithins/phospholipides/polyols) being uncritical for the health and safe for the environment.

According to the invention only 5 - 100 % of the mass of a fat as solubilizer (lecithins/phospholipide) is needed for solubilization. Procedures up to date are having the inverse proportion.

According to the invention light volatile organic solubilizers (ethanol, isopropanol, etc.) may be avoided.

According to the invention emulsive soluble concentrates are created by means of a one step production process resulting after dilution with water in opaque to transparent, finely dispersed emulsions of a type that would not or only much more difficult be manufacturable if the emulsive concentrate is avoided.

These new concentrates can be produced best by means of high pressure homogenisators.

Rotor-stator mixers achieve less transparent concentrates. The disadvantage from DE 198 59 427, caused by metal abrasion in high pressure homogenisators, is disposed by using ceramic for homogenisation in respective devices.

The concentrates according to the invention can be used directly as products for e. g. medical or cosmetic oilbaths, mouth-wash, perfume-oils, beverages or food-additives or can be transferred by dilution in water or other solutions containing water (e. g. juices) to finely dispersed, transparent - opaque o/W emulsions (nanoemulsions) with very small distribution of particlesizes in the two to three digit nm range. Due to the very good solubilization in water these transparent emulsive concentrates can be integrated without problems into cosmetic products (gel, cream, lotions, etc.) pharmaceutical or dietary products.

The production of an emulsive soluble concentrate from lecithins and/or phospholipids, lipids and highly concentrated solutions of polyols or carbohydrates takes place as follows:

- The proportion lecithin/phospholipid to fatlike substance should be chosen in such a way that a transparent concentrate results allowing dilution in water without problems and
- the share of water of the polyol or carbohydrate solution should be chosen in such a way that not only a transparent concentrate results but also - due to the low value of water - a self conserving system of a kind that addition of synthetical or as well natural preservatives can be avoided.
- The process temperature should be adapted to the used lecithins/phospholipids or to the solubilized lipids. Hydrated lecithins/phospholipids need naturally process temperatures from 40 to 80 °C, unsaturated lecithins/phospholipids can be processed at room temperature the lecithins/phospholipids being

integrated preferably into the polar phase, i. e. into the polyol- or carbohydrat solutions.

The present invention is presented by means of preferred examples.

Example 1:

5 g of a fraction of phospholipid from soya with a PC-share of 70% is dispersed by stirring in 75 g of 86% glycerin. 20 g vitamin E-acetat is added and distributed by continuous stirring. Homogenisation of this roughly dispersed inhomogenous mixture by means of a high pressure homogenisator. A transparent emulsive solution with high viscosity results.

Example 2:

5 g of a fraction of phospholipid from soya with a PC-share of 70% is dispersed by stirring in 75 g of a 70% fructose-solution. After addition of 20 g of a medium chained triglyceride and high pressure homogenisation of this mixture results a transparent emulsive solution with honey type viscosity.

## Claims

1. Emulsive soluble concentrates from fatlike substances by means of combinations from phopholipids or lecithins and highly concentrated solutions with water from polyols or carbohydrates with the concentration of the solutions from polyols or carbohydrates being from 30 mass-% to 99 mass-%, the proportion lecithin : lipid being from 1:1 and 1:12 and the concentration of the mixture lecithin/lipid in solution from polyols or carbohydrates being from 10 mass-% to 90 mass-%.

2. Emulsive soluble concentrates according to claim 1, caracterized in that the applied phopholipids or lecithins are either unsaturated, hydrated or hydroxilised, with the lecithins or phospholipids being extracted from soya, rape, fish, milk, or egg and the fractions of lecithin being formed of oil free fractions with shares of phosphatidylcholin from 10 mass-% to 100 mass-%.

3. Emulsive soluble concentrates according to claim 1, caracterized in that lecithins contain at least 50 mass-% of substances not soluble in aceton, overwhelmingly from the group of the polar lipids, e. g. glycerinphosphatids, spingophosphatids, spingoglykolipids, glyceringlycolipids or aminolipids.

4. Emulsive soluble concentrates according to claim 1, caracterized in that multivalent alcohols are preferably used as polyols with chainlengths of $C_3$ -

$C_6$, as for example glycerin, threit, pentits or hexits.

5. Emulsive soluble concentrates according to claim 1, caracterized in that monosaccharids, disaccharids, maltitol and maltodextrins are used as carbohydrates.

6. Emulsive soluble concentrates according to claim 1, caracterized in that no monovalent highly volatile alcohols (VOC) and/or ethoxilised or other synthetic tensids are used.

7. Emulsive soluble concentrates according to claim 1, caracterized in that no preservatives are used.

8. Emulsive soluble concentrates according to claim 1, caracterized in that for production high pressure homogenisators, ultrasound or rotor-stator-mixers are used.

9. Emulsive soluble concentrates according to claim 1, caracterized in that preferably substances are used from the group of the lipids (e. g. fat acids, wax, wax ester, paraffins, fat alcohols, fat aldehyds, glycerids, etc.), Isoprenoids (terpenes and steroids: e. g. A-vitamins, E-vitamins, D-vitamins, K-vitamins, Bisabolol, methol, glucocorticoids, etheric oils, cholesterin, sitosterols, coenzym Q 10, etc.), of the polar lipids (ceramids, sphingolipids, glycolipids, etc.) as well of the oil soluble UV-A and UV-B filter and silicon oils.

10. Application of the emulsive soluble concentrates according to at least one of the precedent claims for cosmetic, pharmaceutical or dietary applications.

11. Application according to claim 9 caracterized by topic, oral or parenteral application.