(54) Title: ESTERS OF CHOLINE AND FATTY ACIDS WITH BACTERICIDE ACTIVITY AND PRODUCTS CONTAINING SUCH ESTERS FOR COSMETIC OR DERMOPHARMACEUTICAL PRODUCTS

\[ \text{[R-C-O-CH}_2\text{-CH}_2\text{-N}^\text{+}-(\text{CH}_3)_3]X^- \]  (1)

action consisting of aliphatic chains \( \text{C}_6\text{-C}_{12} \) taken individually or in mixture; \( X \) is a halogen, a nitrate ion, phosphate, tosylate or methanesulfonate. With respect to conventional emulsifiers, the one according to the invention offers the advantage of being widely dermocompatible and of also offering strong bactericide action, whilst still being without specific components for such a purpose.

(57) Abstract: An emulsifying and/or surfactant substance with bactericide activity for the preparation of emulsions and of tensides for dermo-pharmaceutical and cosmetic use, consisting of choline esters having the general formula (1) where: \( R \) is a function with lipophile
ESTERS OF CHOLINE AND FATTY ACIDS WITH BACTERICIDE ACTIVITY AND PRODUCTS CONTAINING SUCH ESTERS FOR COSMETIC OR DERMOPHARMACEUTICAL PRODUCTS

DESCRIPTION

The present invention concerns a new emulsifying and/or surfactant substance with bactericide activity. The substance is suitable for the preparation of emulsions and of tensides for dermo-pharmaceutical and cosmetic use. The same invention also concerns the emulsions and tensides prepared with this substance and the dermo-pharmaceutical products and cosmetic products obtained with these emulsions and with these tensides. The same invention also concerns other uses of said new substance.

The field of application of the invention is that of emulsions and tensides used in the preparation of dermo-pharmaceutical and cosmetic products, in which the dispersion of the fatty matter in water, or vice-versa, is carried out either through suitable emulsifiers, the most common of which are ethylene oxide derivatives and ionic emulsifiers, or by the addition of surfactants, the most widely used of which are LESs (lauryl ether sulphonates), betaines and sulfosuccinates.

Conventional emulsifiers of the aforementioned type, nevertheless, have the drawback of having an allergenic
action, due to the low skin compatibility of these products, whereas the surfactants present in the tensides have the disadvantage of delipidizing and irritating the skin.

Known conventional emulsions and tensides for dermo-pharmaceutical and cosmetic use must also be integrated with substances with bactericide action. Conventionally, therefore, the preparation of emulsions and tensides foresees the addition, next to the emulsifiers and the surfactants, also of such antimicrobe substances, with a consequent increase in the preparation costs of the relative dermo-pharmaceutical and cosmetic products and, above all, with a negative overlapping effect of the toxicity of the added components. I would remove the part in brackets.

The main purpose of the present invention is that of providing a new emulsifying and/or surfactant substance, suitable for preparing emulsions and tensides for dermo-pharmaceutical and cosmetic use that, unlike known substances of the same type, whilst still offering the desired dispersion and debridement effect, has no secondary action of negative interference with the physiological activities of the skin.

Yet another purpose of the invention is that of offering the emulsifying and/or surfactant substance of the aforementioned type, which also includes within itself a bactericide function, without requiring the addition of specific auxiliary active substances, since the action is already covered by the emulsifier and would thus allow the
preparation of cosmetics "without preservatives"

These and other purposes are accomplished with the emulsifying and/or surfactant substance, the emulsion and the tenside according to claims 1, 5 and 6 respectively. Preferred embodiments of the invention can be seen from the remaining claims.

With respect to conventional emulsions and tensides, those according to the invention offer the advantage of being widely dermocompatible and of also offering strong bactericide action, whilst still being without specific components for such a purpose (I would eliminate the paragraph because we have already said this)

The emulsifying and/or surfactant substance with bactericide activity of the invention consists of choline esters having the general formula:

\[
\text{O}
\]

\[
\| [\text{R-C-O-CH}_2-\text{CH}_2-\text{N}^+-(\text{CH}_3)_3] \text{X}^- (1)
\]

where:

- \( \text{R} \) is a function with lipophile action consisting of aliphatic chains \( C_6-C_{36} \) taken individually or in mixture;
- \( \text{X}^- \) is a halogen, a nitrate ion, phosphate, tosylate or methanesulfonate.

In particular, according to the invention, the bactericide action of the substance of formula (1) derives from the group \(-\text{N}^+-(\text{CH}_3)_3\) of choline. For its part, the lipophile action of group \( \text{R} \) of the same formula (1) gives the substance its
emulsifying and/or surfactant property, a function of the length of the aliphatic chain and of the composition of the mixture.

Amongst the preferred aliphatic chains for the function R, we quote mixtures of fatty acids of natural origin, saturated or unsaturated (from coconut or babassu oil), with chain C_6–C_{16}. Other fatty acids that can be used for the invention are those with chain C_{12}–C_{18} (lauric acid and stearic acid) and of equivalent natural origin.

Cosurfactants that can be foreseen in the substance according to the invention are glycercyl monostearate, cetyl stearyl alcohol, polysorbate 60, sodiumcoboyglutamate.

The synthesis reaction of the emulsifying and surfactant substance of the previous formula (I) can either be direct esterification between natural fatty acids listed earlier and choline (variously salified – scheme I – or else from the natural fatty acids themselves by using a synthesis sequence that allows the choline function to be constructed – scheme II –

\[ \text{R-COOH} + \text{HO-}-(\text{CH}_2)_2-N-(\text{CH}_3)_3^+X^- \rightarrow \text{R-CO-O-}-(\text{CH}_2)_2-N-(\text{CH}_3)_3^+X^- \]

\[ \text{(II)} \]
\[ \text{R-COOH} + \text{HO-}-(\text{CH}_2)_2-N-(\text{CH}_3)_2 \rightarrow \text{R-CO-O-}-(\text{CH}_2)_2-N-(\text{CH}_3)_2 \]
\[ \text{R-CO-O-}-(\text{CH}_2)_2-N-(\text{CH}_3)_2 + \text{CH}_3X \rightarrow \text{R-CO-O-}-(\text{CH}_2)_2-N-(\text{CH}_3)_3^+X^- \]

For illustrating and not limiting purposes, hereafter we shall give some examples of product formulations for dermo-
pharmaceutical and cosmetic use, prepared with the emulsions and tensides according to the invention. The percentages are by weight.

**Cosmetic cream with natural emulsifier**

- Stearyl choline methanesulfonate 6%
- Cetyl stearyl alcohol 3%
- Glyceryl monostearate 2.5%
- Vegetable oil 10%
- Antioxidants 0.05%
- WATER the remainder to 100

**Dermo-pharmaceutical cream with natural emulsifier**

- Stearyl choline methanesulfonate 6%
- Cetyl stearyl alcohol 3%
- Glyceryl monostearate 2.5%
- Vaseline oil 10%
- Antioxidants 0.05%
- WATER the remainder to 100

**Shower cream**

- Cocamidopropylbetaine 3.5%
- Laurylcholine methanesulfonate 5%
- Sodiumlaurylsarcosinate 5%
- Babassu monoethanolamide 1.5%
- Perfume 0.8%
- WATER the remainder to 100
Advantageously, it has been found that the emulsifying and/or surfactant substance with bactericide activity of the present invention has a much wider scope of application, also being able to be used in the industrial field.

In particular, the emulsifying and/or surfactant substance of the present invention can be used in the field of textiles and/or the iron and steel industry and/or the metallurgical industry and/or in the field of detergents in general, to give lubricating and/or wetting activity, as well as bactericide activity.
CLAIMS

1. Emulsifying and/or surfactant substance with at least bactericide activity for the preparation of emulsions and of tensides for dermo-pharmaceutical and cosmetic use, characterised in that it consists of esters of fatty acids of choline, by themselves or in mixture.

2. Substance according to claim 1, characterised in that said esters have the general formula:

\[
\begin{array}{c}
\text{O} \\
\text{[R-C-O-CH}_2\text{-CH}_2\text{-N}^+\text{-}(\text{CH}_3)_3] X^-}
\end{array}
\]  \hspace{1cm} (1)

where:
- \( R \) is a function with lipophile action consisting of aliphatic chains \( C_6-C_{36} \) taken individually or in mixture;
- \( X^- \) is a halogen, a nitrate ion, phosphate, tosylate or methanesulfonate.

3. Substance according to claim 1 or 2, characterised in that \( R \) consists of fatty acids of natural origin, saturated or unsaturated, with chain \( C_6-C_{36} \), by themselves or in mixture.

4. Substance according to claim 3, characterised in that the quoted fatty acids consist of acids from coconut oil, babassu oil, lauric acid, stearic acid, capric, caprylic, capronic, myristic, palmitic and oleic acid.

5. Emulsion for dermo-pharmaceutical and/or cosmetic use, characterised in that it comprises the substance according to claims 1 to 4 that allows the preparation of dermo-pharmaceutical and cosmetic preparations without the need for
the addition of the preservative ingredient.

6. Tenside for dermo-pharmaceutical and/or cosmetic use, characterised in that it comprises the substance according to claims 1 to 4 that allows the preparation of dermo-pharmaceutical and cosmetic preparations without the need for the addition of the preservative ingredient.

7. Tenside according to claim 6, characterised in that it also comprises cosurfactants, by themselves or in mixture.

8. Tenside according to claim 6, characterised in that said surfactants consist of glyceryl monostearate, cetyl stearyl alcohol, polysorbate 60, sodiumcocoyleglutamate.

9. Dermo-pharmaceutical product, characterised in that it is prepared with the surfactant and/or the tenside according to one or more of the previous claims.

10. Dermo-pharmaceutical product according to claim 9, characterised in that it consists of a dermo-pharmaceutical cream made up of:

<table>
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<tr>
<th>Ingredient</th>
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<tr>
<td>Stearyl choline methanesulfonate</td>
<td>6%</td>
</tr>
<tr>
<td>Cetyl stearyl alcohol</td>
<td>3%</td>
</tr>
<tr>
<td>Glyceryl monostearate</td>
<td>2.5%</td>
</tr>
<tr>
<td>Vaseline oil</td>
<td>10%</td>
</tr>
<tr>
<td>Antioxidants</td>
<td>0.05%</td>
</tr>
<tr>
<td>WATER</td>
<td>the remainder to 100</td>
</tr>
</tbody>
</table>

11. Dermo-pharmaceutical product, characterised in that it is prepared with the surfactant and/or the tenside according to one or more of the previous claims.

12. Cosmetic product according to claim 10, characterised in
that it consists of a cosmetic cream made up of:

- Stearyl choline methanesulfonate 6%
- Cetyl stearyl alcohol 3%
- Glyceryl monostearate 2.5%
- Vaseline oil 10%
- Antioxidants 0.05%

WATER the remainder to 100

13. Product according to claims 9 and 11, characterised in that it consists of a shower cream made up of:

- Cocamidopropylbetaine 3.5%
- Laurylcholine methanesulfonate 5%
- Sodiumlaurylsarcosinate 5%
- Babassu monoethanolamide 1.5%
- Perfume 0.8%

WATER the remainder to 100

14. Use of the substance according to one or more of the previous claims, for the preparation of emulsions and tensides with bactericide activity.

15. Use of the substances according to one or more of the previous claims, to also give lubricating and/or wetting activity.

16. Use according to the previous claim in the field of textiles and/or the iron and steel industry and/or the metallurgical industry and/or in the field of detergents in general.
**INTERNATIONAL SEARCH REPORT**

A. CLASSIFICATION OF SUBJECT MATTER

A61K47/18 C11D1/62 C11D1/64 A61L2/00 A61K31/23

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
A61K C11D A61L

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)
EPO-Internal, WPI Data, PAJ, BIOSIS, EMBASE

C. DOCUMENTS CONSIDERED TO BE RELEVANT

<table>
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<td>X</td>
<td>US 5 545 350 A (BAKER ET AL) 13 August 1996 (1996-08-13) column 27 - column 29; examples 5-7</td>
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Further documents are listed in the continuation of box C.

Patent family members are listed in annex.

* Special categories of cited documents:
  * "A" document defining the general state of the art which is not considered to be of particular relevance
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Date of the actual completion of the international search

22 November 2005

Date of mailing of the international search report

02/12/2005

Name and mailing address of the ISA
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| X        | KOMKOV ET AL: "Surface-active quaternary ammonium salts of o-acylcholines"  
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| X        | US 5 536 421 A (HARTMAN ET AL)  
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