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(54) Title: AQUEOUS COMPOSITIONS

(57) Abstract: Aqueous compositions comprising hydrophilic actives and block copolymers comprising at least one block of copolymerized ethylene oxide and at least one block of a polymerized alkylene oxide, the alkylene comprising at least 4 carbon atoms, are described, along with methods of stabilizing hydrophilic actives and methods of increasing compatibility among hydrophilic actives.

AQUEOUS COMPOSITIONS

Field

The present invention relates to aqueous compositions comprising hydrophilic actives.

Background

For ecological and economic reasons, water is often preferred over organic solvents as a liquid diluent for active compounds. Stable aqueous compositions comprising actives are used in many different technology areas, including the pharmaceutical, agricultural, cosmetic, detergent, and paint industries. For producing stable aqueous compositions comprising lipophilic actives, adjuvants, such as surfactants, are added.

In contrast, hydrophilic actives typically are simply dissolved in water. However, this method is sometimes problematic. For example, some aqueous compositions containing actives comprise of a large number of ingredients. If hydrophilic actives show lack of stability, there is a tendency of overdosing them to compensate for the stability loss. In other cases, hydrophilic actives dissolved in aqueous compositions may be released to the environment more quickly than desirable. In either scenario (faster release than desired or overdosing), the active may present a variety of problems, such as skin irritation by actives in personal care compositions. Similarly, undesirable interactions, also known as lack of compatibility, between hydrophilic actives and other components may reduce the efficacy of the active.

Accordingly, it would be desirable to achieve one or more of the following: increased stability of hydrophilic actives in aqueous solutions, reduced impact on substrates to which aqueous compositions comprising the hydrophilic actives are applied, such as skin, controlled release of the hydrophilic actives to the environment, or increased compatibility with other components in aqueous compositions.

Summary

In one embodiment, the present invention provides compositions, comprising more than 50 weight percent water as the liquid diluent, a hydrophilic active, and a block copolymer comprising at least one block of polymerized ethylene oxide and at least one block of a polymerized alkylene oxide, wherein the alkylene comprises at least 4 carbon

atoms.

The present invention also provides processes for preparing the above aqueous compositions, as well as methods of stabilizing hydrophilic actives and methods of increasing compatibility among hydrophilic actives.

Detailed Description

In one embodiment, the present invention provides a composition comprising more than 50 weight percent water as the liquid diluent (*i.e.*, an aqueous composition), a hydrophilic active, and a block copolymer comprising at least one block of polymerized ethylene oxide and at least one block of a polymerized alkylene oxide, wherein the alkylene comprises at least 4 carbon atoms.

The aqueous composition comprises water as the main liquid diluent, i.e., water amounts to more than 50 percent, preferably at least 70 percent, more preferably at least 90 percent of the total weight of the liquid diluent. In one embodiment, the water is present in a range from about 50 to about 99.5 weight percent, preferably from about 60 to about 98 weight percent, and more preferably from about 65 to about 95 weight percent. The aqueous composition can also comprise one or more organic diluents such as ethyl alcohol, isopropyl alcohol, higher alcohols or propylene glycol, but preferably, water is the only liquid diluent.

The term "hydrophilic active" as used herein means that the compound is useful in a given aqueous composition for a given end-use, as will be explained, and is soluble at an amount at which the compound is typically used. Preferably, the active's solubility in water is at least 0.1 grams, preferably at least 0.5 grams, more preferably at least 2 grams, most preferably at least 5 grams, in 100 grams of distilled water at 25 °C and 1 atmosphere. It should be noted that unlike the case with a lipophilic active, the block copolymer is not acting as a solubilizer to increase the amount of hydrophilic active which can be incorporated in the aqueous composition. The hydrophilic active is already soluble in the aqueous composition as described above.

The compositions of the present invention may comprise a wide variety of liquid or solid hydrophilic actives. The hydrophilic actives may be nonionic or ionic. The hydrophilic active may be polymeric, but is preferably monomeric.

In some embodiments, the compositions of the present invention are personal care compositions. Examples of personal care compositions include hair care, skin care, or mouth care compositions, for example shampoos, conditioners, bleaching compositions,

coloring compositions, lotions for skin care, dentifrices, mouth rinses or whitening agents, the hydrophilic actives are, for example, water-soluble anti-inflammatory agents, antibacterial agents, antifungal agents, antiviral agents, anti-seborrhoeic agents, antiacne agents, keratolytic agents, antihistamines, anesthetics, cicatrizing agents, pigmentation modifiers, tanning accelerators, artificial tanning agents, refreshing agents, anti-aging agents, vascular protectors, insect repellants, deodorants, antidandruff agents, agents for preventing hair loss, cleansing agents, fragrances, sunscreens, antioxidants, free-radical scavengers, extracts from plants or algae or man-made components of extracts from plants or algae, water-soluble proteins, protein hydrolyzates, peptides, alpha-hydroxy acids, emollients, moisturizers, such as the sodium salt of pyroglutamic acid, peeling agents, such as glycolic acid, and vitamins. Specific hydrophilic actives which are known compounds of personal care compositions are for example acids, such as salicylic acid, glycolic acid, citric acid, or hyaluronic acid; salts, such as sodium chloride, caffeine derivatives, moisturizers, such as the sodium salt of pyroglutamic acid, glycerol, glycerol derivatives, skin whitening agents, such as dihydroxyacetone, antioxidants such as Vitamin C or sunscreen agents such as benzophenone-4.

In some embodiments, the compositions of the present invention are pharmaceutical compositions. Pharmaceutical compositions include those for therapeutic, diagnostic, or preventive use, such as small molecules, peptides, proteins, antibodies, vitamins, herbals, and mineral supplements. Pharmaceutical compositions include veterinary and medical uses for human beings. The hydrophilic actives include water-soluble therapeutic agents, diagnostic agents, vaccines, vitamins, herbals and mineral supplements or known adjuvants in pharmaceutical compositions. Specific hydrophilic actives which can be included in pharmaceutical compositions are for example vitamins, such as vitamin C.

In some embodiments, the compositions of the present invention are liquid detergent compositions wherein the active is a detergent, fabric softener, soil redeposition agent, or other conventional detergent ingredient.

In some embodiments, the compositions of the present invention are household products such as air fresheners, wipes, or cleaning solutions.

In some embodiments, the compositions of the present invention are agricultural compositions, for example, to allow a controlled release of an agriculturally beneficial hydrophilic active to the environment.

In some embodiments, the compositions of the present invention are pharmaceutical compositions, for example, to protect labile actives.

In some embodiments, the compositions of the present invention are used as an indicator. For example, a hydrophilic dye or pigment active is encapsulated by the block copolymer, and when the temperature of the composition is raised above the stability temperature of the block copolymer, a color change occurs. Alternatively, the incorporated active could be one half of a red-ox pair or a catalyst, such that the composition remains static until heated above a certain temperature, when the active is released.

The amount of the hydrophilic active in the aqueous composition can vary in a wide range and mainly depends on the desired end-use of the aqueous composition and can be chosen independently of the block copolymer. The hydrophilic active is included in the aqueous composition at an amount that is not higher than its solubility limit in the absence of the block copolymer.

The block copolymer comprises at least one block of polymerized ethylene oxide ("EO") and at least one block of a polymerized alkylene oxide, wherein the alkylene comprises at least 4 carbon atoms, preferably 4 to 10 carbon atoms.

Preferred alkylene oxides of at least 4 carbon atoms are 1,2-butylene oxide, 1,2-pentylene oxide, 1,2-hexylene oxide, cyclohexylene oxide, or styrene oxide. The most preferred alkylene oxide of at least 4 carbon atoms is 1,2-butylene oxide, which is designated hereafter as "butylene oxide" or "BO."

The block copolymer is preferably produced by anionic polymerization.

Preferably the block copolymer comprises one or two blocks of polymerized ethylene oxide and one or two blocks of a polymerized alkylene oxide of at least 4 carbon atoms. Tri-block polymers of EO-BO-EO are contemplated, provided that the BO block is less than 6 units long. It is beneficial that the EO blocks be terminated with a hydroxyl unit. Particularly, diblock copolymers are preferred.

In a preferred embodiment, the block copolymer comprises at least one block of ethylene oxide and at least one block of butylene oxide. Thereof, block copolymers comprising 10 to 12 units of polymerized ethylene oxide and 10 to 12 units of polymerized butylene oxide are particularly preferred.

The weight average molecular weight of the polymerized ethylene oxide block generally is from about 100 to about 2200, preferably from about 100 to about 970, more preferably from about 200 to about 900, and most preferably from about 500 to about 800.

The block of a polymerized alkylene oxide comprising at least 4 carbon atoms generally has a weight average molecular weight of from about 300 to about 3600, preferably from about 300 to about 1600, more preferably from about 500 to about 1500,

and most preferably from about 700 to about 1300.

The total weight average molecular weight of the block copolymer is preferably less than 5800, more preferably less than 2400, most preferably less than 2000.

Block copolymers comprising at least one block of polymerized ethylene oxide and at least one block of a polymerized alkylene oxide comprising at least 4 carbon atoms and methods of producing them are known in the art. For example, U.S. Patent No. 5,587,143, the entirety of which is incorporated herein by reference, discloses butylene oxide-ethylene oxide block copolymers. Likewise, J. Keith Harris et al., *"Spontaneous Generation of Multilamellar Vescicles from Ethylene Oxide/Butylene Oxide Diblock Copolymers"*, Langmuir **2002**, 18, 5337-5342, the entirety of which is incorporated herein by reference, discusses the behavior of ethylene oxide/butylene oxide diblock copolymers in aqueous solutions.

The aqueous composition of the present invention preferably comprises from about 0.1 to about 20 weight percent, more preferably from about 0.5 to about 5 weight percent of the block copolymer, based on the total weight of the composition. The weight ratio between the encapsulated hydrophilic active and the block copolymer is preferably from about 0.1 to about 1000 : 1, more preferably from about 1 to about 100 : 1.

It has been found that the resulting aqueous composition is generally stable over a period of at least 1 week, in most cases even over a period of at least 1 month, and, in the preferred embodiments of the present invention, even over a period of at least 3 months.

While not wishing to be bound by theory, it is contemplated that the block copolymer is useful for encapsulating the hydrophilic active, thus rendering the encapsulated hydrophilic active more stable. It is believed that unilamellar or multi-lamellar vesicles are formed by the block copolymer. These substantially stable vesicles, composed predominantly, by mass, of the block copolymer, self-assemble in water or aqueous solutions. If the aqueous composition comprises an excess of block copolymer, generally from 30 to 95 percent, then typically from 40 to 50 percent of the amount of the hydrophilic active that is present in the aqueous composition is encapsulated. Encapsulation has one or more of the following advantages: increased stability of the hydrophilic active in the aqueous solution, increased compatibility of the hydrophilic active with other components in the aqueous composition, reduced impact on substrates to which the aqueous composition comprising the hydrophilic active is applied, such as skin, and/or controlled release of the hydrophilic active to the environment.

The capsules comprising a hydrophilic active encapsulated in the above-described

block copolymer generally have a diameter of from about 0.05 to about 50 micrometers. The particle size of the capsules can be influenced by ultrasonic treatment or other known procedures if desirable.

The present invention contemplates additional components to the compositions. For example, encapsulation efficiency can be further improved by adding additional water-soluble ingredients to the external aqueous phase of the aqueous composition after encapsulation of the hydrophilic active in the block copolymer. For example, it has been found that adding a propylene glycol to the aqueous composition improves the encapsulation efficiency. Adding mineral oil also provides improved stability of vesicles and improved encapsulation efficiency.

Similarly, depending on the intended use, the composition of the present invention may comprise a variety of other components known in the art.

In one embodiment, a preferred application of the present invention is the stabilization of water-soluble compounds in aqueous formulations, for example stabilization against oxidation. For example, it has been found that vitamin C can be stabilized in aqueous personal care compositions by encapsulating it in the above-described block copolymer. It has also been found that dihydroxyacetone, a skin whitening agent which is used in personal care compositions, can be stabilized in aqueous compositions by encapsulating it in the above-described block copolymer.

In another embodiment, the present invention improves the compatibility of two compounds in an aqueous formulation, of which at least one is a hydrophilic active. The compatibility of the two compounds can be improved by encapsulating the hydrophilic active in the above-described block copolymer. For example, CARBOPOL™ polymers are cross-linked polymers of acrylic acid which are commonly used as thickeners for lotions. It is well known that their thickening property will be drastically reduced when a salt, such as sodium-2-pyrrolidone carboxylate, is introduced into the lotion. Sodium-2-pyrrolidone carboxylate is a commonly used moisturizer for hair and skin care products. By encapsulating the sodium-2-pyrrolidone carboxylate in the above-described block copolymer, the viscosity of the lotion can be maintained to a substantial extent, as will be shown below.

Aqueous compositions of the present invention can be produced in a process which comprises the step of blending a hydrophilic active with an above-mentioned block copolymer in an aqueous diluent. All blending types are contemplated, but gentle agitation is generally sufficient to generate closed structures of the above-mentioned block

copolymer which encapsulate a hydrophilic active. The blending temperature can vary over a wide range, including room temperature for convenience.

Examples

The following examples are for illustrative purposes only and are not intended to limit the scope of the present invention. All percentages are by weight unless otherwise specified.

Example 1

Encapsulation of a water soluble compound in solution can be determined by the following protocol. A water-soluble fluorescent dye Eosin Y is dissolved in distilled water to prepare a 0.035 weight percent solution. About 10 g of this solution is added to 0.2 g of a diblock copolymer of about 11 units of polymerized ethylene oxide and about 11 units of polymerized butylene oxide, designated as $EO_{11}BO_{11}$. The solution and $EO_{11}BO_{11}$ block copolymer are agitated.

Examination by plane-polymerized light can detect the formation of multi-lamellar vesicles, which in this protocol would encapsulate a portion of the dye solution. To remove the residual dye in the aqueous phase, the dispersion is mixed with cationic exchange resin. Reexamination of the sample using plane-polymerized light will confirm that the multi-lamellar vesicles are still intact. Finally the multi-lamellar vesicles are disintegrated using tetrahydrofuran to form a clear solution with a definite pink cast confirming that the now released dye was present in the multi-lamellar vesicles during the exchange resin step.

Example 2

Encapsulation of a water soluble compound in a lotion can be determined by the following protocol.

A lotion formulation is provided comprising:

100 ppm of vitamin C encapsulated in 1 weight percent of block copolymer $EO_{11}BO_{11}$;

0.5 weight percent of the emulsifier GLUCAMATE™ SS methyl glucoside derivative (CFTA/INCI designation methyl glucose sesquistearate);

1.5 weight percent of the emulsifier GLUCAMATE™ SSE-20 methyl glucoside derivative (CFTA/INCI designation PEG-20 methyl glucose sesquistearate);

4 weight percent of mineral oil;

0.2 weight percent of the thickening agent Carbomer 940, which is commercially available from Noveon under the trademark CARBOPOL™ 940;

0.3 weight percent of triethanolamine; and

the remainder being water.

Using a cross-polarized microscope, if a Maltese Cross pattern is observed, the formation of vesicles by the block copolymer is indicated.

Substantially following the above protocol, a Maltese Cross pattern was observed.

Example 3

Encapsulation of yet another water soluble compound in a solution can be determined by the following protocol. Dihydroxyacetone (also known as DHA) is primarily used as an ingredient in sunless tanning products. Reaction of L-lysine with dihydroxyacetone results in a dark brownish color. This color change is used as an indicator in the protocol.

An aqueous composition comprising 0.2 weight percent dihydroxyacetone is prepared. 1 weight percent, based on water, of $EO_{11}BO_{11}$ block copolymer is added and the composition is shaken. The composition is filtered through a MWCO dialysis bag (Spectrum Laboratory, Rancho Dominguez, CA) to remove any non-encapsulated dihydroxyacetone by filtration.

24 weight percent of L-lysine is added to a first sample of the filtered composition, based on the total weight of the sample. If the dihydroxyacetone is encapsulated in the block copolymer, no appreciable color change to brown will occur.

9 weight percent of L-lysine and 11 weight percent of ethanol are added to a second sample of the filtered composition, based on the total weight of the sample. The ethanol has the effect that the $EO_{11}BO_{11}$ block copolymer vesicles are destroyed. Appearance of a brownish color shows that dihydroxyacetone had been encapsulated in the $EO_{11}BO_{11}$ block copolymer vesicles and is now released upon destruction of the vesicles.

Substantially following the above protocol, it was observed that dihydroxyacetone is encapsulated in block copolymers comprising a block of polymerized ethylene oxide and a block of polymerized butylene oxide. 2 hours after addition of L-lysine to the first filtered composition, the composition remained colorless. 2 hours after addition of L-lysine to the second filtered composition, the composition developed a brownish color which shows that dihydroxyacetone had been encapsulated in the $EO_{11}BO_{11}$ block copolymer vesicles.

Example 4

Encapsulation efficiency in solution can be determined by the following protocol. Aqueous compositions comprising LOWACENE Red-80 dye encapsulated in $EO_{11}BO_{11}$ vesicles are prepared by blending water with 50 ppm of Red-80 dye and 1 weight percent of $EO_{11}BO_{11}$, based on the weight of water. LOWACENE Red-80 dye is an organic water-soluble salt. Degree of encapsulation can be measured as a function of electro-conductivity in the solution. The electro-conductivity of the LOWACENE Red-80 dye is calibrated to render a quantitative value correlating percent of dye encapsulated and conductivity. When the LOWACENE Red-80 dye is encapsulated in block copolymer vesicles, the conductivity will decrease. From the reduction in conductivity measurement, the encapsulation efficiency of block copolymer vesicles can be calculated.

Substantially following the above protocol, the following results were obtained and are reported in TABLE 1.

TABLE 1

Sample	**Ingredients of composition, in addition to water**	**Encapsulation Efficiency (%)**
1	50 ppm LOWACENE Red-80 dye	0
2	50 ppm LOWACENE Red-80 dye and 1 weight % of $EO_{11}BO_{11}$	37
3	50 ppm LOWACENE Red-80 dye and 1 weight % of $EO_{11}BO_{11}$ followed by 0.5 percent of propylene glycol	70
4	50 ppm LOWACENE Red-80 dye and 1 weight % of $EO_{11}BO_{11}$ followed by 0.5 percent of mineral oil	65

For Sample 2, the encapsulation efficiency was 37 percent, *i.e.*, 37 percent of the total amount of LOWACENE Red-80 dye in the aqueous composition was encapsulated. The encapsulation efficiency increased to 70 percent by adding 0.5 percent of propylene glycol to the aqueous composition comprising Red-80 dye encapsulated in $EO_{11}BO_{11}$ in Sample 3. Similarly, the encapsulation efficiency increased to 65 percent by adding 0.5 percent of mineral oil to the aqueous composition comprising Red-80 dye encapsulated in $EO_{11}BO_{11}$.

Example 5

Oxidation of a water soluble compound in solution can be determined by the following protocol. Three aqueous compositions comprising 100 ppm of L-ascorbic acid

(vitamin C) are prepared. To prepare the first composition, 100 ppm of vitamin C is dissolved in water. To prepare the second composition, 1 weight percent of $EO_{11}BO_{11}$ block copolymer based on the weight of water is agitated in water to form vesicles. After vesicle formation, 100 ppm of vitamin C is added to the composition, thus, the vitamin C is not encapsulated in the $EO_{11}BO_{11}$ block copolymer. To prepare the third composition, 100 ppm of vitamin C is dissolved in water, 1 weight percent of $EO_{11}BO_{11}$ block copolymer based on the weight of water is added, and the composition is agitated to form $EO_{11}BO_{11}$ block copolymer vesicles encapsulating vitamin C. The three compositions are placed into an oven at 50°C for one month to determine the resistance of the vitamin C against oxidation. If vitamin C is oxidized, dehydroxyascorbic acid is formed which absorbs UV light at 350 nm. Vitamin C itself does not absorb UV light at 350 nm. Based on the degree of UV light absorption, the percentage of oxidized vitamin C can be determined.

Substantially following the above protocol, the following results were obtained and are reported in TABLE 2.

TABLE 2

Sample	**Ingredients of composition, in addition to water**	**% oxidized vitamin C**
5	100 ppm vitamin C	65
6	100 ppm vitamin C and 1 wt.% $EO_{11}BO_{11}$ block copolymer, not encapsulated	43
7	100 ppm vitamin C encapsulated with 1 wt.% $EO_{11}BO_{11}$ block copolymer	19

The percentages of oxidized vitamin C, based on the total weight of vitamin C, for each of the three compositions show that encapsulated vitamin C, designated Sample 7, experienced significantly less oxidation than the other samples listed in Table 2.

Example 6

Salt induced loss of viscosity of a water soluble compound in a cross-linked thickener in water can be determined by the following protocol. Three compositions: 1) 0. 5 wt. % CARBOPOL™ 2020 neutralized and the remainder water, 2) 0. 5 wt. % CARBOPOL ™ 2020 neutralized, 0.1 wt.% Sodium PCA, and the remainder water, and 3) 0. 5 wt. % CARBOPOL ™ 2020 neutralized with 0.1 wt.% Sodium PCA and 1 wt.% $EO_{11}BO_{11}$ block copolymer, and the remainder water, are created. All percentages are

based on the weight of water. The viscosity of each composition is measured at a temperature of 24°C using a Brookfield LV viscometer.

CARBOPOL™ polymers are cross-linked polymers of acrylic acid which are commonly used as thickeners for lotions. It is well known that the thickening property will drastically reduce when a salt is introduced into the lotion formulation. Sodium-2-pyrrolidone carboxylate (Sodium PCA) salt is a commonly used moisturizer for hair and skin care products.

Substantially following the above protocol, the following results were obtained and are reported in TABLE 3.

TABLE 3

Sample	**Ingredients of composition, in addition to water**	**Viscosity (mPa.s)**
8	0. 5 wt. % CARBOPOL™ 2020 neutralized	39151
9	0. 5 wt. % CARBOPOL™ 2020 neutralized and 0.1 wt.% Sodium PCA	14328
10	0. 5 wt. % CARBOPOL™ 2020 neutralized, 0.1 wt.% Sodium PCA and 1 wt.% $EO_{11}BO_{11}$ block copolymer	37650

As shown in TABLE 3, by encapsulating the sodium-2-pyrrolidone carboxylate in the block copolymer $EO_{11}BO_{11}$, the viscosity of the formulation designated sample 10 can be maintained to a substantial degree as compared to the salt free sample 8.

Example 7

The skin irritation of an aqueous composition comprising 5 weight percent of glycolic acid in the absence or presence of 1 weight percent of the block copolymer $EO_{11}BO_{11}$ can be determined by the following protocol.

The solution is applied onto the dorsal part of the forearm of 10 panelists, spread evenly in a 3 inch area and left on the arm for about 10 minutes. On one arm an aqueous solution A) comprising 5 weight percent of glycolic acid is applied, on the other arm an aqueous solution B) comprising 5 weight percent of glycolic acid and 1 weight percent of the block copolymer $EO_{11}BO_{11}$ is applied, without disclosing the composition of the solutions to the panelists. Then the panelists are asked to identify which arm feels more irritated, by asking which arm feels less burning sensation.

Substantially following the above protocol, the following results were obtained. All panelists indicated that the arm to which solution B) has been applied felt less burning sensation. Thus, encapsulation of 5 weight percent of glycolic acid appears to lessen any skin irritation effects.

It is understood that the present invention is not limited to the embodiments specifically disclosed and exemplified herein. Various modifications of the invention will be apparent to those skilled in the art. Such changes and modifications may be made without departing from the scope of the appended claims.

Moreover, each recited range includes all combinations and subcombinations of ranges, as well as specific numerals contained therein. Additionally, the disclosures of each patent, patent application, and publication cited or described in this document are hereby incorporated herein by reference, in their entireties.

Claims:

1. A composition, comprising:
more than 50 weight percent water as the liquid diluent,
a hydrophilic active, and
a block copolymer comprising at least one block of polymerized ethylene oxide and at least one block of a polymerized alkylene oxide, wherein the alkylene comprises at least 4 carbon atoms.

2. The composition of claim 1, wherein at least one block of the polymerized alkylene oxide is polymerized butylene oxide.

3. The composition of claim 1, wherein the block copolymer comprises 10 to 12 units of polymerized ethylene oxide and 10 to 12 units of polymerized butylene oxide.

4. The composition of claim 1, further comprising at least one of a propylene glycol or a mineral oil added after the active and block copolymer have been combined.

5. The composition of claim 1, wherein the hydrophilic active is a component having activity as a personal care agent, a pharmaceutical agent, a detergent-related agent, a cleaning agent, an agricultural agent, an indicator, or a catalyst.

6. The composition of claim 1, wherein the hydrophilic active is a vitamin, a salt, or an acid.

7. The composition of claim 1, wherein the solubility of the hydrophilic active in water is at least 0.1 grams in 100 grams of distilled water at 25 °C and 1 atmosphere.

8. The composition of claim 1, wherein the solubility of the hydrophilic active in water is at least 2 grams in 100 grams of distilled water at 25 °C and 1 atmosphere.

9. The composition of claim 1, wherein the weight ratio between the hydrophilic active and the block copolymer is from about 0.1 to about 1000 : 1.

10. The composition of claim 1, wherein the weight ratio between the hydrophilic active

and the block copolymer is from about 1 to about 100 : 1.

11. The composition of claim 1, wherein the block copolymer comprises from about 0.1 to about 20 weight percent by weight of the composition.

12. The composition of claim 1, wherein the block copolymer comprises from about 0.5 to about 5 weight percent of the block copolymer, based on the total weight of the composition.

13. The composition of claim 1, wherein the weight average molecular weight of the block copolymer is less than 2000.

14. The composition of claim 1, wherein the weight average molecular weight of the block of polymerized ethylene oxide is from 200 to 900.

15. The composition of claim 1, wherein the water is substantially the only liquid diluent in the composition.

16. The composition of claim 1, wherein the water is present in a range from about 50 to about 99.5 weight percent.

17. A process for preparing the aqueous composition of claim 1, comprising:
blending the hydrophilic active with the block copolymer in an aqueous diluent.

18. A method for stabilizing a hydrophilic active in an aqueous composition comprising:
blending the hydrophilic active with a block copolymer comprising at least one block of polymerized ethylene oxide and at least one block of a polymerized alkylene oxide, wherein the alkylene comprises at least 4 carbon atoms, in an aqueous diluent, thereby encapsulating a percentage of the hydrophilic active in block copolymer.

19. A method for improving the compatibility of two components of an aqueous composition, wherein at least one of the components is a hydrophilic active, comprising:
blending the hydrophilic active with a block copolymer comprising at least one block of polymerized ethylene oxide and at least one block of a polymerized alkylene oxide,

wherein the alkylene comprises at least 4 carbon atoms, in an aqueous diluent, thereby encapsulating a percentage of the hydrophilic active in block copolymer.

INTERNATIONAL SEARCH REPORT

International application No
PCT/US2007/076292

A. CLASSIFICATION OF SUBJECT MATTER
INV. C08L71/00

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)
C08L

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

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category*	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
P,X	WO 2007/045612 A (DEGUSSA GMBH [DE]; WENNING ANDREAS [DE]; LOEST DIETMAR [DE]) 26 April 2007 (2007-04-26) claims 1-26; examples 1-5	1-19
X	US 2003/073760 A1 (GOPALKRISHNAN SRIDHAR [US] ET AL) 17 April 2003 (2003-04-17) claims 1-11; examples 1,2	1-19
X	DE 199 49 091 A1 (BASF AG [DE]) 26 April 2001 (2001-04-26) claims 1-16; examples 1-6	1-19

☐ Further documents are listed in the continuation of Box C.

☒ See patent family annex.

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Information on patent family members

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Patent document cited in search report	Publication date	Patent family member(s)	Publication date
WO 2007045612 A	26-04-2007	CN 101024744 A	29-08-2007
		DE 102005050268 A1	26-04-2007
US 2003073760 A1	17-04-2003	CA 2397129 A1	16-02-2003
DE 19949091 A1	26-04-2001	AT 242284 T	15-06-2003
		AU 7524400 A	23-04-2001
		BR 0014700 A	18-06-2002
		CA 2387152 A1	19-04-2001
		CN 1379792 A	13-11-2002
		CZ 20021307 A3	13-11-2002
		WO 0127185 A2	19-04-2001
		EP 1228117 A2	07-08-2002
		ES 2200939 T3	16-03-2004
		HU 0203076 A2	28-12-2002
		JP 2003511532 T	25-03-2003
		PL 355494 A1	04-05-2004
		RU 2277545 C2	10-06-2006
		US 6753402 B1	22-06-2004