



Office de la Propriété
Intellectuelle
du Canada

Un organisme
d'Industrie Canada

Canadian
Intellectual Property
Office

An agency of
Industry Canada

CA 2367394 A1 2000/09/21

(21) 2 367 394

(12) DEMANDE DE BREVET CANADIEN
CANADIAN PATENT APPLICATION

(13) A1

(86) Date de dépôt PCT/PCT Filing Date: 2000/03/09
(87) Date publication PCT/PCT Publication Date: 2000/09/21
(85) Entrée phase nationale/National Entry: 2001/09/12
(86) N° demande PCT/PCT Application No.: EP 2000/002040
(87) N° publication PCT/PCT Publication No.: 2000/054744
(30) Priorité/Priority: 1999/03/13 (199 11 262.2) DE

(51) Cl.Int.⁷/Int.Cl.⁷ A61K 7/48, A61K 9/70
(71) Demandeur/Applicant:
SCS SKIN CARE SYSTEMS GMBH, DE
(72) Inventeur/Inventor:
ROREGER, MICHAEL, DE
(74) Agent: FETHERSTONHAUGH & CO.

(54) Titre : DISPOSITIF POUR DELIVRER DES PRINCIPES ACTIFS COSMETIQUES
(54) Title: COSMETIC ACTIVE SUBSTANCE DELIVERY MEANS

(57) Abrégé/Abstract:

The invention relates to a dressing comprised of a support layer, a protective layer and of a pressure-sensitive adhesive layer for the controlled release of cosmetic active substances onto the skin. Said dressing is comprised of; 20-60 wt.% of at least one substance which provides adhesive properties to the layer; 4-40 wt.% of at least one structural polymer which provides inner cohesion and strength to the layer; 1-20 wt.% of at least one substance which enables an at least partial dissolution of a hydrophilic and/or lipophilic cosmetic active substance in an adhesive layer; 1-20 wt.% of at least one substance that promotes the absorption of a hydrophilic and/or lipophilic cosmetic active substance into the skin; and comprises 0.1 to 35 wt.% of at least one cosmetic active substance or of the preparation of at least one cosmetic active substance. The invention also relates the use of the dressing for moisturizing skin, for preventing the formation of wrinkles, for treating symptoms associated with aging of the skin, for treating pimples, for bleaching skin, for tightening skin or for regenerating and revitalizing skin.

(57) Abstract

The invention relates to a dressing comprised of a support layer, a protective layer and of a pressure-sensitive adhesive layer for the controlled release of cosmetic active substances onto the skin. Said dressing is comprised of; 20-60 wt.% of at least one substance which provides adhesive properties to the layer; 4-40 wt.% of at least one structural polymer which provides inner cohesion and strength to the layer; 1-20 wt.% of at least one substance which enables an at least partial dissolution of a hydrophilic and/or lipophilic cosmetic active substance in an adhesive layer; 1-20 wt.% of at least one substance that promotes the absorption of a hydrophilic and/or lipophilic cosmetic active substance into the skin; and comprises 0.1 to 35 wt.% of at least one cosmetic active substance or of the preparation of at least one cosmetic active substance. The invention also relates the use of the dressing for moisturizing skin, for preventing the formation of wrinkles, for treating symptoms associated with aging of the skin, for treating pimples, for bleaching skin, for tightening skin or for regenerating and revitalizing skin.

Cosmetic active substance delivery means**Description**

5 In medicine and pharmacy, active substance patches (transdermal therapeutic systems, TTS) have been used for more than 20 years to treat, in particular, chronic illnesses in humans. With patches of this kind, such as coronary patches or hormone patches, for example, the active substance enters the human body via the skin. The active substance is released long-
10 lastingly and uniformly from matrix layers or reservoir elements in the patch, which are generally combined with backing layers and protective layers.

For cosmetic applications as well there are now active substance patches,
15 especially for skincare, which, however, do not deliver the active substances through the skin to the circulation but merely into the skin, to epidermal and subcutaneous tissues.

As with pharmaceutical applications, patches of this kind are used when
20 the treatment of the skin necessitates a uniform supply of active substance over a long period of application and when such a supply of active substance cannot be achieved with conventional preparations requiring multiple application at short intervals, such as ointments, creams or lotions.

25 For instance, US-A-5,723,138 describes an adhesive cosmetic product for the treatment of wrinkles and crowsfeet which comprises within an adhesive layer an active substance mixture comprising vitamin E, vitamin A, and aloe vera extract. EP-A-764 441 gives a very general description of a patch for controlled release of cosmetic active substances which
30 comprises a hydrophobic reservoir in which active substance particles are dispersed. A similar product principle forms the basis for US-A-5,785,978, which describes a method of treating wrinkles by applying a patch which comprises powdered or granulated vitamin C with or without other active substances and auxiliaries.

35

The last-mentioned example in particular makes the disadvantages of such patches more than clear. From pharmaceutical active substance patches, the skilled worker is aware that active substances are capable of diffusion,

- 2 -

and able to penetrate the skin, only in dissolved or solubilized form. Powders and granules are not in a position to do this.

Transdermal therapeutic systems therefore generally include auxiliaries
5 which at least partially dissolve the active substance for release, in order to allow the penetration of active substance into the skin and its distribution in cutaneous tissues to take place at all. In general, use is also made of additional auxiliaries whose purpose is to promote precisely this process, namely absorption.

10 For cosmetic patches, WO-A-97/48387 represents a step in this direction. It describes a means, in the form of a patch, for topical administration of an antiacne formulation; said formulation includes at least two different active substances and may also comprise solubilizers for said active substances.
15 GB-A-2 265 086, on the other hand, describes a patch for the percutaneous administration of one or more active substances having tyrosinase-inhibiting activity, for the purpose of lightening the skin. Said patch may further comprise auxiliaries such as stabilizers, solubilizers, skin relief agents, and penetration enhancers.

20 For reasons of drug law, pharmaceutical active substance patches (TTS) generally contain only a single active substance. The amount of active substance to be delivered, and so too the amount of solubilizing and absorption-promoting auxiliaries, amounts to just a few milligrams in the
25 majority of products on the market.

The abovementioned specifications on the other hand describe patches in which at least two different active substances are incorporated, in some cases at relatively high concentrations, into an adhesive layer. Therefore,
30 in order to be able to deliver these active substances long-lastingly and uniformly, in order to obtain a prolonged action within the skin, there is a need for at least two solubilizers and, possibly, two different penetration enhancers as well, in the required concentrations.

35 This is precisely where the problems and disadvantages of prior art cosmetic active substance patches lie. Solubilizers and penetration enhancers are mostly liquid substances which not only promote active substance transportation but also all have the adverse feature that, owing to their physical properties, they render adhesive layers very soft.

If an actual attempt is made to incorporate 4 different solubilizing and absorption-promoting liquids in sufficient concentration into, for example, an acrylate adhesive layer, as described in the cited specifications, said layer becomes so soft that it forms strings and on removal from the skin 5 leaves behind residues which then have to be washed off using organic solvents.

With prior art means, therefore, it is not possible to formulate useable active 10 substance patches with which the amount of appropriate auxiliaries and active substances necessary for cosmetic activity can be incorporated directly into one or more adhesive layers. It is therefore an object of the present invention to find formulations, for adhesive layers of patches, which are able to undertake the absorption and controlled delivery of one or more active substances together with the appropriate auxiliaries at high 15 concentration and in at least partially dissolved form without at the same time having the disadvantages of the prior art products.

This solution has been found, surprisingly, in a patch comprising backing layer, protective layer and pressure-sensitive adhesive layer for the 20 controlled delivery of cosmetic active substances to the skin, wherein said pressure-sensitive adhesive layer comprises

- a) 20-60% by weight of at least one substance which gives the layer adhesive properties and belongs to the group of polyacrylates, polymethacrylates, acrylate/methacrylate copolymers and/or ethylene-vinyl acetate copolymers;
- b) 4-40% by weight of at least one structural polymer which gives the layer internal cohesion and strength and belongs to the group of polyacrylates, polymethacrylates, acrylate/methacrylate copolymers, polyvinylpyrrolidones, or cellulose derivatives;
- c) 1-20% by weight of at least one substance which permits the at least 35 partial dissolution of hydrophilic and/or lipophilic cosmetic active substance in an adhesive layer of the composition according to a and b and which belongs to the group of monohydric and polyhydric alcohols and derivatives thereof and/or vegetable fats and oils;

d) 1-20% by weight of at least one substance which promotes the absorption of hydrophilic and/or lipophilic cosmetic active substance into the skin and belongs to the group of fatty acids having a chain length from C₈ to C₁₈ and esters thereof; and

5

e) 0.1-35% by weight, preferably 0.2-30% by weight, in particular 0.3-25% by weight, of at least one cosmetic active substance or the preparation of at least one cosmetic active substance.

10 For the purposes of this invention, pressure-sensitive adhesive layers consist of pressure-sensitive adhesives with which adhesive layers can be reliably bonded to surfaces such as, for example, the human skin at room temperature and with little pressure and which have an internal cohesion which allows the adhesive layer and thus the patch to be removed without 15 residue from the application surface by means of simple peeling.

With cosmetic patches in which cosmetic active substances are delivered in a controlled manner from pressure-sensitive adhesive layers to the skin, the composition of the adhesive layer is of critical importance for the 20 processes of release of cosmetic active substance and its penetration into the individual strata of the skin.

One of the principal functions of the human skin is its role as a permeability barrier, this property being closely connected with the composition of the 25 lipid bilayer. This bilayer is impermeable to ions and the majority of polar substances. Indeed, for permeation, a substance must first lose its hydrate shell, then be dissolved in the hydrocarbon of the lipid bilayer and diffuse through said bilayer to the other side, where it redissolves, or is at least solubilized, in water.

30

The spectrum of substances having the physicochemical properties required for permeation is extremely limited. With substances whose properties tend to make them unsuitable for penetration into the skin, therefore, use is made of certain auxiliaries or complex auxiliary systems 35 (e.g., liposomes, nanosomes, and the like) which are intended to transport the substance in question through the barrier layers. A fundamental requirement for the function of a transport system of this kind, however, is that the active substance or substances is or are first released from the

application vehicle (ointment, cream, or patch) and delivered to the skin at the vehicle/skin interface.

This, however, is only possible when the active substance or substances is
5 or are present in the vehicle in a diffusible form; that is, dissolved or solubilized. The auxiliary system for the transportation of active substance through the skin must therefore in general be combined with an auxiliary system for the transportation of active substances within the application vehicle and for the release of active substance.

10

Which of the known and dermatologically proven auxiliaries are suitable for transport systems of this kind depends in each individual case on the properties of the respective active substance, especially its solubility. Independently of this, however, these auxiliaries can themselves be
15 assigned on the basis of their physicochemical properties to certain groups of substances of which, in turn, as mentioned at the outset, a common feature is that they have viscosity-reducing and plasticizing properties. This, indeed, on the one hand is prerequisite for solubilization in the vehicle and for transportation through biological membranes of the skin, but on the
20 other hand also results, with increasing concentration of such auxiliaries, in an increasing liquefaction of the respective application vehicle.

The principal problem in formulating a functional adhesive layer for patches intended for the delivery of cosmetic active substances was therefore to
25 combine dissolution or solubilization and transport systems for active substances with adhesive auxiliaries in such a way that properties and functional capacity of the adhesive layer are not durably impaired as a result of viscosity reduction.

30 It has not been possible to find a universal solution to this problem. A stable and functional adhesive layer is obtained only when certain classes of solvent or solubilizer substances in the form of a mixture with a certain class of transport substances are combined with selected pressure-sensitive adhesives and strengthened for internal cohesion by means of
35 additional structure-forming agents. For instance, the desired result cannot be achieved using, for example, the widespread pressure-sensitive adhesives from the group of natural and synthetic rubbers and their derivatives.

The pressure-sensitive adhesive layer therefore contains 20-60% by weight, preferably 25-55% by weight, in particular 30-50% by weight, of at least one substance which gives the layer adhesive properties and belongs to the group of polyacrylates, polymethacrylates, acrylate/methacrylate 5 copolymers and/or ethylene-vinyl acetate copolymers.

Polyacrylates, polymethacrylates and copolymers thereof belong preferably to the group of alkyl ester polymers, such as butylacrylate, isobutyl 10 acrylate, hexyl acrylate, octyl acrylate, 2-ethylhexyl acrylate, isoctyl acrylate, methyl methacrylate, ethyl methacrylate, butyl methacrylate, isobutyl methacrylate, 2-ethylhexyl methacrylate or isoctyl methacrylate, for example.

The pressure-sensitive adhesive layer further includes 4-40% by weight, 15 preferably 6-35% by weight, in particular 8-30% by weight, of at least one structural polymer with gives the layer internal cohesion and strength and belongs to the group of polyacrylates, polymethacrylates, acrylate/methacrylate copolymers, polyvinylpyrrolidones, and cellulose derivatives. A particularly preferred structural polymer from the group of 20 polymethacrylates is poly(butyl methacrylate-methyl methacrylate).

Examples of suitable cellulose derivatives are methylcellulose, ethylcellulose, hydroxypropylcellulose, hydroxyethylcellulose, hydroxypropylmethylcellulose, sodium carboxymethylcellulose, cellulose acetate 25 phthalate, hydroxypropylmethylcellulose phthalate, ethylcarboxyethylcellulose, cellulose acetate succinate, and ethylcellulose succinate.

The pressure-sensitive adhesive layer further contains 1-20% by weight, 30 preferably 2-18% by weight, in particular 3-15% by weight, of at least one substance which permits the at least partial dissolution of hydrophilic and/or lipophilic cosmetic active substance in said pressure-sensitive adhesive layer and which belongs to the group of monohydric and polyhydric alcohols and derivatives thereof and/or vegetable fats and oils.

35 Examples of suitable monohydric and polyhydric alcohols and their derivatives are ethanol, propanol, isopropanol, butanol, octanol, decanol, dodecanol, cetyl alcohol, propylene glycol, 1,3-butylene glycol, glycerol, polyethylene glycol, polypropylene glycol, or polyethylene glycol-polypropylene glycol block polymers. Vegetable fats and oils suitable for

solubilizing cosmetic active substance can be, for example, almond oil, groundnut oil, soybean oil, linseed oil, jojoba oil, avocado oil, olive oil, castor oil, palm kernel oil, rapeseed oil, sesame oil, apricot oil, peach kernel oil, wheatgerm oil, corn germ oil, poppy oil, safflower oil, sunflower oil, or cottonseed oil.

The pressure-sensitive adhesive layer further contains 1-20% by weight, preferably 2-18% by weight, in particular 3-15% by weight, of at least one substance which promotes the absorption of hydrophilic and/or lipophilic cosmetic active substance into the skin (absorption promoters, enhancers) and belongs to the group of fatty acids having a chain length of C₈-C₁₈ and their esters.

Suitable fatty acids can be saturated fatty acids, such as caprylic acid, capric acid, lauric acid, myristic acid, palmitic acid, and stearic acid, for example, or unsaturated fatty acids such as oleic acid, linoleic acid or linolenic acid. Suitable esters from the large group of the C₈-C₁₈ fatty acid esters are preferably isopropyl myristate, ethyl oleate, methyl laurate, polyethylene glycol monolaurate, glycerol monolaurate, glycerol 20 monocaprylate, and propylene glycol dicaprylate. The last-mentioned esters of caprylic acid are particularly suitable for enhancing the absorption of water-soluble active substances.

The backing layer of the patch can be permeable or occlusive and can, for example, comprise a polymer film, a paper, or a woven or nonwoven fabric.

Examples of suitable materials for the flexible backing layer are polyesters, polyamide, polyethylene, polypropylene, polyurethane, polyvinyl chloride, both as so-called solo films and as sandwich films in combinations of films comprising two or more of these polymers. The films may further have been coated with aluminum by vapor deposition and/or laminated with an aluminum foil.

Examples of suitable materials for the removable protective layer are polyesters, polyethylene, and polypropylene, and also papers which are coated with these materials and, if desired, coated with aluminum by vapor deposition and/or laminated with an aluminum foil. In addition, the films, foils and/or papers are coated with silicone in order to give them the redetachable properties.

The cosmetic active substances present in the pressure-sensitive adhesive layer serve preferably

- for skin moisturizing, such as lactic acid, glycolic acid, aspartic acid, pyrrolidonecarboxylic acid, urea, glycine, serine, and extracts of aloe-vera or algae, for example;
- for treating wrinkles, such as hyaluronic acid, chitosan, collagen or ascorbic acid, for example;
- for treating skin aging phenomena, such as vitamin A and E, for example;
- 10 • for treating spots, such as salicylic acid, sulfur or benzoyl peroxide, for example;
- for skin lightening, such as hydroquinone or kojic acid, for example;
- for skin firming, such as extracts from Gingko biloba, oats, Centella asiatica or Echinacea purpurea;
- 15 • for regenerating and revitalizing the skin, such as vitamins, ceramides, glycoproteins or squalenes, for example;
- for soothing the skin and inhibiting inflammation, such as extracts from Hypericum perforatum or Matricaria chamomilla, for example.

20 The active substances can be incorporated in the adhesive layer on their own or in a mixture, or else can be incorporated in the form of ready-to-use preparations, preferably solutions, extracts, lotions, ointments, creams, or gels.

25 The cosmetic active substances present in the pressure-sensitive adhesive layer serve primarily for skin moisturizing, for treating wrinkles, for treating skin aging phenomena, for treating spots, for skin lightening, for skin firming, or for regenerating and revitalizing the skin.

30 For the controlled establishment of particular release properties or else particular properties of the patch itself, such as, for example, the strength or duration of adhesion to the skin, the pressure-sensitive adhesive layer can comprise further auxiliaries such as viscosity-increasing substances, anti-irritants and skin relief agents, humectants, plasticizers, preservatives, 35 disinfectants, pH regulators, antioxidants, fragrances, colorants, fillers, or tackifier resins.

The viscosity-increasing substances include preferably gelatins, plant polysaccharides such as alginates, pectins, carrageenans or xanthan,

cellulose derivatives such as methylcellulose, hydroxypropycellulose, hydroxyethylcellulose, hydroxypropylmethylcellulose or sodium carboxymethylcellulose, starch and starch derivatives, galactomannan and galactomannan derivatives, polyvinyl alcohol, vinylpyrrolidone-vinyl acetate 5 copolymers, polyethylene glycols, and polypropylene glycols.

Examples of anti-irritants and skin relief agents are rutine, squalene, camomile extract, bisabolol, chamazulene, guaiazulene, farnesol, cytosterine, cytosterol glycoside, glycyrrhetic acid, and esters thereof.

10 Examples of humectants which can be present in the adhesive layer are glycerol, propylene glycol, sorbitol, mannitol, polyethylene glycol, or polypropylene glycol.

15 Plasticizers which may be present in the pressure-sensitive adhesive layer are citric esters such as triethyl citrate or acetal triethyl citrate, tartaric esters such as dibutyl tartrate, glycerol esters, such as glyceryl diacetate or glyceryl triacetate, phthalic esters such as dibutyl phthalate or diethyl phthalate, and/or hydrophilic surfactants, preferably hydrophilic nonionic 20 surfactants, such as, for example, partial fatty acid esters of sugars, polyethylene glycol fatty acid esters, polyethylene glycol fatty alcohol ethers, or polyethylene glycol sorbitan fatty acid esters.

25 Examples of preservatives which can be used are p-Cl-m-cresol, phenylethyl alcohol, phenoxyethyl alcohol, chlorobutanol, methyl 4-hydroxybenzoate, propyl 4-hydroxybenzoate, benzalkonium chloride, cetylpyridinium chloride, chlorhexidine diacetate or chlorhexidine gluconate, ethanol, or propylene glycol.

30 Examples of disinfectants which can be used are halogens such as povidone-iodine, halogen compounds such as sodium hypochlorite or tosyl chloride sodium, oxidizing agents such as hydrogen peroxide or potassium permanganate, arylmercury compounds such as phenylmercuriborate or merbromin, alkylmercury compounds such as thiomersal, organotin 35 compounds such as tri-n-butyltin benzoate, silver protein compounds such as silver protein acetylannate, alcohols such as ethanol, n-propanol or isopropanol, phenols such as thymol, o-phenylphenol, 2-benzyl-4-chlorophenol, hexachlorophene or hexylresorcinol, or organic nitrogen

compounds such as 8-hydroxyquinoline, chlorquinaldol, clioquinol, ethacridine, hexetidine, chlorhexidine or ambazole.

5 Glycerol buffers, citrate buffers, borate buffers or citric acid-phosphate buffers, for example, can be used as pH regulators.

As antioxidants it is possible to use, for example, ascorbic acid, ascorbyl palmitate, tocopherol acetate, propyl gallate, butylated hydroxyanisole or butylated hydroxytoluene.

10 Examples of fillers which can be used are microcrystalline cellulose, aluminum oxide, zinc oxide, titanium oxide, talc, silicone dioxide, magnesium silicate, magnesium aluminum silicate, kaolin, hydrophobic starch, calcium stearate, or calcium phosphate.

15 Examples of resins which can be used are epoxy resins, melamine resins, phenol-formaldehyde resins and resorcinol-formaldehyde resins, it also being possible to employ, inter alia, the following modified resins: hydrogenated rosin, polymerized rosin, dimerized resin acids, 20 disproportionated rosin, methyl esters of rosin, glycerol esters of hydrogenated rosin, methyl esters of hydrogenated rosin, pentyl esters, triethylene glycol esters of hydrogenated rosin, hydroabietyl alcohol and its derivatives, glycerol esters, di-triol esters and pentyl esters of resin acids, pentyl esters of polymerized rosin, pentyl esters of dimerized rosin, glycerol 25 esters of dimerized rosin, esters of maleic-acid-modified or phenol-modified rosin, aromatic and aliphatic hydrocarbon resins, hydrogenated resins, polyterpene resins, and modified terpene resins.

30 The pressure-sensitive adhesive layer of the patch of the invention is preferably a single-layer formulation, but can also be constructed in a plurality of layers at least one of which contains cosmetic active substance.

35 Multilayer construction can be necessary, for example, if in order to obtain a defined release profile with sustained release of cosmetic active substance over a prolonged period layers containing different active substance concentrations are joined together by known processes to form an assembly. For the same reason, an adhesive layer containing active substance can be combined with one which is free from active substance, which then acts as a control element for the release. In the case where

different active substances are to be released differently, as well, a multilayer construction of the adhesive layer of the patch of the invention is appropriate.

5 For preparation of the pressure-sensitive adhesive layer, the adhesive composition required for the purpose can be formulated as an organic solution, as an aqueous dispersion or, as shown inter alia by the examples, in the case of temperature-insensitive active substances, as a melt which is free from solvent and from dispersion medium. The adhesive compositions
10 can be processed by techniques known to the skilled worker, by extrusion, pouring, spraying, printing, roller application or blade application, from solution, dispersion or melt, onto an appropriate substrate, preferably the protective layer of the patch of the invention. After drying or cooling, the resulting pressure-sensitive adhesive layer is combined preferably direct
15 with the backing layer of the patch.

Possible formulations and processes for preparing the patch of the invention for controlled delivery of cosmetic active substance to the skin in accordance with the features of the main claim are elucidated below by
20 way of example, without thereby restricting the invention.

Example 1

31.5 g of the structural polymer poly(butyl methacrylate-methyl
25 methacrylate) are dissolved in 44.5 g of ethyl acetate. The solution is stirred into 111 g of a 50% strength solution of the adhesive polymer polyethylhexyl acrylate in ethyl acetate. Into the solution of structural polymer and adhesive polymer there are stirred, in succession, 1 g of the structural polymer polyvinylpyrrolidone, 2 g of propylene glycol dicaprylate
30 (absorption promoter for hydrophilic cosmetic active substance), 2 g of glycerol and 3 g of propylene glycol, and also 2.5 g of aloe vera extract and 2.5 g of algae extract.

The homogeneous composition is coated by roller application with a weight
35 per unit area of 200 g/m² onto a siliconized polyester film and dried in a circulating-air drying tunnel at a temperature of 60°C. After drying, a polyethylene backing film is laminated onto the dried, pressure-sensitive adhesive layer.

Banana-shaped patches are punched from the laminate. Stuck below the eyes overnight, the patches release continuously, over at least 10 hours, the hydrophilic active substances for reducing the depth of skin wrinkles.

5 Example 2

31 g of the structural polymer poly(butyl methacrylate-methyl methacrylate) are dissolved in 47 g of ethyl acetate. The solution is stirred into 106 g of a 10 50% strength solution of the adhesive polymer polyethylhexyl acrylate in ethyl acetate. Into the solution of structural polymer and adhesive polymer there are stirred, in succession, 3 g of the structural polymer ethylcellulose, 5 g of soybean oil, 2 g of oleic acid, 2 g of isopropyl myristate, 2 g of retinyl palmitate, and 2 g of α -tocopherol acetate.

15 The homogeneous composition is coated by roller application with a weight per unit area of 200 g/m² onto a siliconized polyester film and dried in a circulating-air drying tunnel at a temperature of 60°C. After drying, a polyurethane backing film is laminated onto the dried, pressure-sensitive adhesive layer.

20

Patches in shapes for specific parts of the face, such as the forehead region or chin region, for example, are punched from the laminate. Applied overnight, the patches release continuously, over at least 10 hours, the lipophilic active substances for treating skin aging phenomena.

25

Example 3

Together with 15 g of a methyl ester of partially hydrogenated rosin and 35 g of hydrogenated rosin, 25 g of an ethylene-vinyl acetate copolymer 30 having a vinyl acetate content of 28% and 10 g of an ethylene-vinyl acetate copolymer having a vinyl acetate content of 40% are melted at 120°C to give a clear melt which is then cooled to 90°C. In succession, 2 g of ethylcellulose, 2.5 g of propylene glycol, 2 g of glycerol, 1 g of polyethoxylated hydrogenated castor oil, 2 g of propylene glycol 35 monolaurate, 2 g of glyceryl monocaprylate, 1 g of lactic acid, 0.5 g of urea, 1 g of ginkgo extract, and 1 g of oats extract are slowly stirred into the melt until uniformly distributed. The homogeneous composition is coated by blade application with a weight per unit area of 250 g/m² onto a siliconized polyester film. After the pressure-sensitive adhesive layer has been

obtained, a polyethylene backing film is laminated on, and patches of the desired surface area are punched from the laminate.

After bonding to the skin, the adhesive layer provides for continuous 5 release of the active substances, which have a skin-moisturizing and skin-firming action.

Example 4

10 Together with 50 g of a hydroabietyl alcohol and 8 g of a pentaerythritol ester of partially hydrogenated rosin, 23 g of an ethylene-vinyl acetate copolymer having a vinyl acetate content of 28% are melted at 120°C to give a clear melt which is then cooled to 90°C. In succession, 3 g of ethylcellulose, 3 g of sesame oil, 2 g of dodecanol, 2 g of camomile extract, 15 1 g of St. John's wort extract, and 0.2 g of rutine are slowly stirred in the melt until uniformly distributed.

The homogeneous composition is coated by blade application with a weight per unit area of 250 g/m² onto a siliconized polyester film. After the 20 pressure-sensitive adhesive layer has been cooled, a polyethylene backing film is laminated on, and patches of the desired surface area are punched from the laminate.

The patches are bonded to stressed areas of the skin and the adhesive 25 layer continuously releases the skin-relieving, antiinflammatory and revitalizing active substances.

Example 5

30 29 g of the structural polymer poly(butyl methacrylate-methyl methacrylate) are dissolved in 51.89 g of ethyl acetate. The solution is stirred into 111.7 g of a 50% strength solution of the adhesive polymer polyhexyl acrylate in ethyl acetate. Into the solution of structural polymer and adhesive polymer there are stirred, in succession, 1 g of salicylic acid, 6 g of glycerol 35 (absorption promoter for hydrophilic cosmetic active substance), 1.5 g of sage extract, 1.3 g of witch hazel extract, 1.2 g of comfrey extract, 1.1 g of ivy extract, 1 g of camomile extract, and 0.9 g of aloe extract.

- 14 -

The homogeneous composition is coated by roller application with a weight per unit area of 200 g/m² onto a siliconized polyester film and dried in a circulating-air drying tunnel at a temperature of 60°C. After drying, a polyurethane backing film is laminated onto the dried, pressure-sensitive 5 adhesive layer. Small round patches are punched from the laminate.

Stuck on spots or blackheads overnight, the patches release continuously, over at least 10 hours, the cleansing, antibacterial and skin-relieving active substances.

10

Example 6

30 g of the structural polymer poly(butyl methacrylate-methyl methacrylate) are dissolved in 54.05 g of ethyl acetate. The solution is stirred into 15 110.78 g of a 50% strength solution of the adhesive polymer polyhexyl acrylate in ethyl acetate. Into the solution of structural polymer and adhesive polymer there are stirred, in succession, 5 g of water, 6 g of glycerol (absorption promoter for hydrophilic cosmetic active substances), 1 g of magnesium ascorbyl phosphate, 0.5 g of kojic acid, and 0.2 g of 20 arbutin.

The homogeneous composition is coated by roller application with a weight per unit area of 200 g/m² onto a siliconized polyester film and dried in a circulating-air drying tunnel at a temperature of 60°C. After drying, a polyurethane backing film is laminated onto the dried, pressure-sensitive 25 adhesive layer. Small round patches are punched from the laminate.

Stuck on pigment spots or skin discolorations overnight, the patches release continuously, over at least 10 hours, the skin-bleaching active 30 substances.

What is claimed is:

- 5 1. A patch comprising backing layer, protective layer and pressure-sensitive adhesive layer for the controlled delivery of cosmetic active substances to the skin, wherein said pressure-sensitive adhesive layer comprises
 - 10 a) 20-60% by weight of at least one substance which gives the layer adhesive properties and belongs to the group of polyacrylates, polymethacrylates, acrylate/methacrylate copolymers and/or ethylene-vinyl acetate copolymers;
 - 15 b) 4-40% by weight of at least one structural polymer which gives the layer internal cohesion and strength and belongs to the group of polyacrylates, polymethacrylates, acrylate/methacrylate copolymers, polyvinylpyrrolidones, or cellulose derivatives;
 - 20 c) 1-20% by weight of at least one substance which permits the at least partial dissolution of hydrophilic and/or lipophilic cosmetic active substance in an adhesive layer of the composition according to a and b and which belongs to the group of monohydric and polyhydric alcohols and derivatives thereof and/or vegetable fats and oils;
 - 25 d) 1-20% by weight of at least one substance which promotes the absorption of hydrophilic and/or lipophilic cosmetic active substance into the skin and belongs to the group of fatty acids having a chain length from C₈ to C₁₈ and esters thereof; and
 - 30 e) 0.1-35% by weight of at least one cosmetic active substance or the preparation of at least one cosmetic active substance.
- 35 2. The patch as claimed in claim 1, wherein the cosmetic active substances present in said pressure-sensitive adhesive layer serve primarily for skin moisturizing, for treating wrinkles, for treating skin aging phenomena, for treating spots, for skin lightening, for skin firming or for regenerating and revitalizing the skin.

3. The patch as claimed in claim 1 or 2, wherein said pressure-sensitive adhesive layer comprises the cosmetic active substance preparation which is preferably a solution, extract, lotion, ointment, cream, or gel.
4. The patch as claimed in one or more of claims 1 to 3, wherein said pressure-sensitive adhesive layer comprises further auxiliaries such as viscosity-increasing substances, antiirritants and skin relief agents, humectants, plasticizers, preservatives, disinfectants, pH regulators, antioxidants, fragrances, colorants, fillers, or tackifier resins.
5. The patch as claimed in one or more of claims 1 to 4, wherein said pressure-sensitive adhesive layer is a laminate of two or more layers at least one of which contains cosmetic active substance.
6. The patch as claimed in one or more of claims 1 to 5, wherein said pressure-sensitive adhesive layer is prepared from a solution, dispersion or melt by extrusion, pouring, spraying, printing, blade application or roller application.
7. The patch as claimed in one or more of claims 1 to 6, wherein said structural polymer is poly(butyl methacrylate-methyl methacrylate).

Fetherstonhaugh & Co.
Ottawa, Canada
Patent Agents