COMPOSITIONS FOR THE TREATMENT OF LICE

Field of the Invention

The present invention relates to compositions for treating lice infestations.

Background to the Invention

Infestation of the human body by lice is a prevalent social and health problem in many countries. There are three anatomically distinct types of lice that infest humans, namely head lice, body lice and crab lice.

Head lice are small hard-shelled obligate ectoparasites that spend their entire life on the human scalp and feed exclusively on human blood. A female louse has a life span of 4 weeks, during which time it lays 50-100 eggs. Eggs are attached firmly to the hair shaft using chitin secreted by the female. The eggs hatch after 6-9 days, and the nymph emerges leaving behind the non-viable shell or "nit". Following three cycles of moulting, the nymph develops into an adult louse capable of reproducing.

Head lice infestations are most common in children aged 4-11, and are more prevalent in females than in males. Lice are spread by direct contact with an infested person. The presence of head lice can be signalled by itching caused by an allergic reaction to louse saliva. There may also be visual evidence of the presence of lice, eggs or nits.

The objective when treating head lice infestations must be to free the host of eggs, nymphs, lice and nits, for the continued presence of the latter can only serve to confuse later diagnosis. It is preferable that the treatment be easy to carry out, inexpensive, and associated with minimal side-effects.

Conventional head lice management usually involves the use of neurotoxic insecticide lotions in combination with wet combing. Combing, using a fine-toothed plastic comb for the detection and removal of adult lice and a metal comb for eggs and nits, is viewed as a safe method of elimination but is a laborious process and a heavy burden on parents. Commonly used insecticidal treatments, or pediculicides, are generally pyrethroid-based (e.g. Full Marks®), malathion-based (e.g. Derbac-M®) or carbaryl-based (e.g. Carylderm®). Pyrethroids, such as permethrin and phenothrin, are synthetic axionic poisons which cause nervous hyper-excitability leading to death. Malathion is an organophosphate parasympathomimetic which binds irreversibly to

cholinesterase. Carbaryl (1-naphthyl methylcarbamate) is also a cholinesterase inhibitor.

However, there is evidence that these current chemical treatments fail to resolve the pathology of lice infestations and are significantly disadvantageous because they are associated with side-effects such as scalp irritation and are highly toxic if ingested orally. Carbaryl is also potentially carcinogenic. Furthermore, there is also evidence of lice populations developing resistance to many of the commonly used pediculicides and concern about the safety of neurotoxin-based treatments, particularly when used to treat very young subjects.

Alternative treatments containing essential oils, herbal extracts or homeopathic tinctures are also available, although there is no scientific evidence to demonstrate their efficacy. Dimeticone-based products kill lice by inhibiting their ability to excrete surplus water. They are not traditional insecticides and have a good safety profile and are therefore recommended for pregnant or breastfeeding women. However, dimeticone is not thought to be effective in removing eggs from the host.

Therefore, there is a need for the development of new compositions which enable the safe and effective treatment of head lice and avoid the problems of induced resistance.

Summary of the Invention

The present invention relates to compositions suitable for use in the treatment of lice infestations. The present invention is also directed to methods of administering a composition such that lice, eggs and nits are eradicated from the host.

According to a first aspect of the invention, a composition comprises glycerine, petrolatum and VP-hexadecene copolymer.

According to a second aspect of the invention, such a composition is used in therapy, particularly for the topical treatment of ectoparasitosis.

According to a third aspect of invention, a method of treating ectoparasitosis comprises contacting the infested area of the subject with the composition of the invention.

Detailed Description of the Invention

The present invention provides a composition that is useful in the topical treatment of ectoparasitosis, and in particular the treatment of pediculosis.

The term "ectoparasite" is defined herein as a parasite that lives on the external surface of a host. The term "ectoparasitosis" refers to an infestation of ectoparasites.

As used herein, the term "pediculosis" refers to a lice infestation. The three genera of lice which affect humans are *Pediculosis humanus* capitis (head lice), *Pediculosis humanus corporis* (body lice) and *Pthirus pubis* (crab lice).

The composition of the present invention is intended for use in the treatment of mammalian ectoparasitosis. In a preferred embodiment, the ectoparasitosis to be treated by use of the composition is pediculosis. More preferably, the pediculosis is a human lice infestation, and most preferably a head lice infestation.

As used herein, the term "pediculicide" refers to a pharmaceutical composition for the treatment of pediculosis.

As used herein, the terms "subject" and "host" are used interchangeably and refer to a mammal including a non-primate (e.g. a cow, pig, horse, cat, dog, rat and mouse) and a primate (e.g. a monkey and a human), and preferably a human.

The composition of the invention is a liquid formulation comprising glycerine, petrolatum and vinylpyrrolidine (VP)/hexadecene copolymer.

As used herein, the term "glycerine" is intended to encompass all terms used to describe the compound propane-1,2,3-triol which has the chemical formula $HOCH_2CH(OH)CH_2OH$.

As used herein, the term "petrolatum" refers to pharmaceutical-grade or cosmetic-grade petrolatum and includes all synonyms including petroleum jelly and paraffin jelly. Petrolatum is a colourless to yellowish-white non-polar hydrocarbon mixture obtained by fractional distillation of petroleum and is commercially available under the brand name Vaseline®.

As used herein, the term "VP/hexadecene copolymer" refers to the coplymer of vinylpyrrolidine and long chain α-olefins. VP/hexadecene copolymer

is a pale yellow viscous liquid commonly added to cosmetic products for its wear-resistance and moisture barrier-forming properties and is available commercially as Antaron®V-216 or Ganex®V-216.

In a preferred embodiment the composition of the invention also comprises either, or both, pectin and xanthan gum.

As used herein, the term 'pectin' refers to a heteropolysaccharide derived from the cell wall of higher terrestrial plants that is commonly used as a gelling agent, a thickening agent and a stabilizer in food. The characteristic structure is a linear homogalacturonan with substituted regions of (1-2)-linked L-rhamnose. Isolated pectin is a white to light-brown powder and has a molecular weight of typically 60-130 000 g/mol, varying with origin and extraction conditions.

As used herein, the term 'xanthan gum' refers to a polysaccharide produced during the fermentation of glucose or sucrose by the *Xanthomonas campestris* bacterium. Xanthan gum is useful industrially since a very small quantity of gum (in the order of 0.5-1%) is capable of producing a large increase in the viscosity of a liquid.

Typically, the composition comprises 0.1-5% w/w glycerine, 0.1-5% w/w petrolatum, 0.1-5% w/w VP-hexadecene polymer, 0.05-2 % w/w pectin and 0.05-2 % w/w xanthan gum.

In a further preferred embodiment, the composition also comprises a surfactant, preferably an anionic surfactant. Suitable cosmetic-grade surfactants are known in the art and include sodium dodecasulphate (SDS), ammonium lauryl sulphate, sodium laureth sulphate, soaps and fatty acid salts.

In a preferred embodiment, the pediculicide of the invention is a liquid formulation, preferably an aqueous lotion.

Ingredients of the formulation include, but are not limited to, water, cosmetic-grade emollients such as cetearyl alcohol, emulsifiers such as steareth-21, anti-static agents such as behentrimonium chloride, humectants such as propylene glycol, sorbitol, and glyceryl stearate citrate , moisturisers such as propylene glycol, preservatives such as parabens and phenoxyethanol and fragrant esters. The formulation may optionally further comprise cosmetic-grade dyes and colour agents. Table 1 provides a non-limiting example of the composition according to the invention.

Table 1:

	% w/w composition
Aqua	68.80
C12-15 alkyl benzoate	16.00
Myristyl alcohol	2.85
Cetearyl alcohol	2.50
Behentrimonium chloride	1.80
Glycerin	1.50
Glyceryl strearate citrate	1.35
Petrolatum	1.00
VP/hexadecene copolymer	1.00
Steareth-21	1.00
Pectin	0.60
Phenoxyethanol	0.50
Xanthan gum	0.40
Propylene glycol	0.25
Benzyl alcohol	0.20
Methylparaben	0.10
Ethylparaben	0.10
Propylparaben	0.05
	100.00

According to a further embodiment of the invention, the pediculicide is applied to the dry hair of an infested subject in a quantity sufficient to cover the entire area. It is preferable for the hair to then be wrapped in a plastic wrap or 'cling film'. The composition adheres to the hair shaft, forming a continuous impermeable film which prevents the lice and eggs from obtaining oxygen, thereby causing suffocation and death.

In a preferred embodiment, the composition is left on the hair for a length of time sufficient to kill the lice and eggs by suffocation action. In a preferred embodiment, the composition is left on the hair for between 40 to 80 minutes,

more preferably for 60 minutes, and then removed by rinsing with water. The presence of an anionic surfactant facilitates removal of the solution. Dead lice and eggs can be removed by wet combing the hair using a fine-toothed comb (teeth 0.2 to 0.3mm apart).

In order to ensure effective removal of all lice and nits, subjects should preferably be treated twice a day for up to three days. In a more preferred embodiment, the treatment is repeated after fifteen days to ensure eradication of any lice or eggs that may have persisted following the first treatment. Since the pediculicide of the invention employs a physical rather than biochemical mode of action, lice populations are not able to develop resistance and therefore the efficacy of the treatment will not decline over time.

The present invention will now be exemplified by the following non-limiting example:

Example

The following efficacy study was performed on 13 subjects suffering from pediculosis. 11 of the subjects were female and 2 were male, and all were between the ages of 8 and 40 years old. In all subjects lice were found close to the scalp and itching was reported.

Two versions of the pediculicide composition of the invention were prepared, one having a creamy consistency and one having a fluid consistency. The creamy version of the pediculicide was tested on 5 subjects and the fluid version was tested on 8 subjects. The components of the composition is as set out in Table 1.

In each case, the pediculicide was applied to the entire crop of dry hair, which was then wrapped in cling film and left for approximately 2 hours. The product was then removed by normal shampooing and then the hair was combed with a fine toothed comb. This process was repeated after one week and all subjects were inspected for the presence of lice one month after the first application.

The results of the study are summarised in Table 2:

Table 2:

Subject (Year of birth/sex)	Product consistency	Initial observations	Result after 1 week	Result after 1 month	Comments
1996 / F	Cream	Many lice removed when combing	Some lice remain 15cm from scalp. Itching stopped	All lice removed	No problems reported
1999 / F	Cream	Loss of one louse when combing	Some lice remain 15cm from scalp. Itching stopped	All lice removed	Complained of bad smell of product
1999 / F	Cream	Many lice removed when combing	Some lice remain 20cm from scalp. Itching stopped	All lice removed	No problems reported
1994 / M	Cream	No loss of lice	Some lice remain distant from scalp.	All lice removed	No problems reported
1999 / F	Cream	No loss of lice	Some lice remain distant from scalp.	All lice removed	No problems reported
1995 / F	Fluid	Many lice removed when combing	Some lice remain distant from scalp. Itching stopped	All lice removed	Product trickled when applied
1996 / F	Fluid	No loss of lice	All lice removed	All lice removed	No problems reported
1996 / F	Fluid	Many lice removed when combing	Some lice remain distant from scalp.	All lice removed	No problems reported
1968 / F	Fluid	No loss of lice	Some lice remain distant from scalp.	All lice removed	No problems reported
1966 / F	Fluid	Loss of two lice when combing	Some lice remain distant from scalp.	All lice removed	No problems reported
1999 / F	Fluid	Loss of one louse when combing	Some lice remain distant from scalp.	All lice removed	No problems reported
1999 / F	Fluid	Loss of two lice when combing	All lice removed	All lice removed	No problems reported
1999 / M	Fluid	No loss of lice	All lice removed	All lice removed	No problems reported

These results show that the removal of lice immediately following the first application of the composition was seen in 8 subjects. After one week, only empty shells and dry nits were observed, and these were away from the scalp in all subjects. Inspection one month after the first application showed that all subjects were negative for the presence of lice or nits. One the whole, the composition proved satisfactory to all, without any manifestation of intolerance, itching, irritation or burning sensation at the time of application.

Claims

1. A composition comprising glycerine, petrolatum and VP/hexadecene copolymer.

2. A composition according to claim 1, further comprising pectin.

3. A composition according to claim 1 or claim 2, further comprising xanthan gum.

4. A composition according to any preceding claim, further comprising a surfactant.

5. A composition according to claim 4, wherein the surfactant is an anionic surfactant.

6. A composition according to any preceding claim, comprising a concentration of 0.1-5% w/ w glycerine, 0.1-5% w/ w petrolatum, 0.1-5% w/ w VP/hexadecene copolymer, 0.05-2% w/w pectin and 0.05-2% w/w xanthan gum.

7. A pediculicide comprising a composition according to any preceding claim.

8. A pediculicide according to claim 7, wherein the composition is a liquid formulation.

9. A pediculicide according to claim 8, wherein the formulation is an aqueous lotion.

10. A composition according to any of claims 1 to 6, for use in therapy.

11. A composition according to claim 10, wherein the therapy is topical treatment of ectoparasitosis in mammals.

12. A composition according to claim 11, wherein the ectoparasitosis is in a human subject.

13. A composition according to claim 12, wherein the ectoparasitosis is pediculosis.

14. A composition according to claim 13, wherein the pediculosis is head lice.

15. A method of treating ectoparasitosis, comprising contacting the infested area of a subject with the composition according to any of claims 1 to 6.

16. A method according to claim 15, wherein the ectoparasitosis is pediculosis.

17. A method according to claim 16, wherein the pediculosis is head lice.

18. A method according to claim 17, wherein the hair is dry at the time of contact.

19. A method according to claim 18, wherein the composition is in contact with the hair for a length of time sufficient to kill the lice by suffocation.

20. A method according to claim 19, wherein the composition is in contact with the hair for 40 to 80 minutes per treatment.

21. A method according to claim 20, wherein treatment is repeated twice a day for up to three days.