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(54) Titre : MOUSSE A BASE DE MINOXIDIL
(54) Title: MINOXIDIL FOAM FORMULATION

(57) Abrégé/Abstract:
A pharmaceutical dosage form comprises an active ingredient selected from the group consisting of:- minoxidil, minoxidil sulphate, other soluble minoxidil salts and mixtures thereof; a surfactant; water; and optional further excipients; the formulation being adapted to form a foam when administered by spraying.
ABSTRACT

A pharmaceutical dosage form comprises an active ingredient selected from the group consisting of: - minoxidil, minoxidil sulphate, other soluble minoxidil salts and mixtures thereof; a surfactant; water; and optional further excipients; the formulation being adapted to form a foam when administered by spraying.
MINOXIDIL FOAM FORMULATION

This invention relates to a formulation of the pharmaceutical substance minoxidil. Minoxidil is used for treatment of hereditary hair loss. Previously known formulations comprise a lotion applied using a dropper or by a roller. Minoxidil is sparingly soluble in water and current products comprise propylene glycol, ethanol and water. Such formulations are awkward to administer and thorough coverage of the area to be treated can be difficult.

According to a first aspect of the present invention a pharmaceutical dosage form comprises an active ingredient selected from the group consisting of:- minoxidil, minoxidil sulphate, other soluble minoxidil salts and mixtures thereof; a surfactant; water; and optional further excipients; the formulation being adapted to form a foam when administered by spraying.

Percentages and amounts referred to in the specification are by weight unless stated otherwise. Percentages are selected to total 100%

Dosage forms in accordance with this invention confer several advantages. The absence of ethanol and aerosol propellents reduces the risk of flammability. Ethanol can be an irritant to a user's skin. The absence of halocarbon aerosol propellents is beneficial to the environment.

Preferably the amount of active ingredient is about 2-5%

Preferably the amount of surfactant is about 2-10% Any combination of anionic, cationic, non-ionic or amphoteric surfactants and non-ionic block copolymers may be used.

Preferably ethoxylated glycerides, ethoxylated sorbitan esters, polyethoxylated and hydrogenated caster oil, nonionic block copolymers and amphotericics may be used.
Especially preferred surfactants may be selected from:-
PEG 40 hydrogenated caster oil
Polysorbate 20
Cocamidopropyl betaine
Glyceryl cocoate
PEG 6 caprylic/capric glycerides
Poloxamer F 68

Preferred formulations in which the active is minoxidil base include propylene glycol. An amount of about 50 - 80% is preferred. Propylene glycol is not essential when the active minoxidil sulphate or other salt.

Preferred formulations include about 20-30% water.

Formulations in accordance with this invention produce a quick breaking foam when sprayed through a fine mesh or nozzle. This allows the foam to be rubbed in easily. The concentration of the active is selected to allow the dose to be administered in a volume of about 0.2-1.0, preferably 0.3-0.6, more preferably about 0.45cm³ of the formulation solution.

Preferred formulations include buffers, pH stabilisers, emollients, skin conditioning agents and other excipients known to those skilled in the art.

According to the second aspect of the second invention, there is provided a spray apparatus comprising a reservoir, metered dose valve and a dosage form in accordance with the first aspect of the present invention.

The invention is further described by means of example, but not in any limitative sense.
Example 1

A formulation containing minoxidil base was prepared using the following ingredients:

<table>
<thead>
<tr>
<th>Ingredient</th>
<th>% w/v</th>
</tr>
</thead>
<tbody>
<tr>
<td>Minoxidil</td>
<td>2.0</td>
</tr>
<tr>
<td>Propylene Glycol</td>
<td>70.0</td>
</tr>
<tr>
<td>Preservative</td>
<td>qs</td>
</tr>
<tr>
<td>Cocamidopropyl betaine</td>
<td>4.0 as 50% solution</td>
</tr>
<tr>
<td>Water</td>
<td>to 100ml</td>
</tr>
</tbody>
</table>

Example 2

A formulation containing minoxidil sulphate was prepared using the following ingredients:

<table>
<thead>
<tr>
<th>Ingredient</th>
<th>%w/v</th>
</tr>
</thead>
<tbody>
<tr>
<td>Minoxidil sulphate</td>
<td>2.766 equal to 2.0% as base</td>
</tr>
<tr>
<td>Preservative</td>
<td>qs</td>
</tr>
<tr>
<td>Cocamidopropyl betaine</td>
<td>2.0 as 50% solution</td>
</tr>
<tr>
<td>Water</td>
<td>to 100ml</td>
</tr>
</tbody>
</table>

Example 3

Test Method For Evaluation of Foam Quality

Equipment

- Analytical top pan balance – five place with top opening.
- Clean 20ml syringe.
- Clamp and clamp stand.
- 2 clean beakers – one of which must be at least 150ml volume.
- Stopwatch.
Method

1. Position the clamp stand adjacent to the balance.
2. Open the balance top and position the syringe in the clamp directly over the balance pan.
3. Place a clean empty beaker on the balance pan and either tare the beaker, or record the weight.
4. Take the clean 150ml beaker and pump at least 100ml of foam into it.
5. Immediately draw up the foam into the syringe, remove the plunger so that the barrel is full.
6. Immediately place the syringe I the clamp over the tared beaker.
7. Start the stop watch and record the foam/solution that drips from the syringe every minute for a minimum of five minutes.

The weights were plotted against time to give a comparison of foam qualities. The lower the solution weights were indicative of firmer foams.

The foam quality was evaluated gravimetrically and it was found that the cocamidopropyl betaine, polysorbate 20 and glyceryl cocoate produced firmer longer lasting foams. The PEG 6 caprylic/capric glycerides, poloxamer F68 and PEG 40 hydrogenated castor oil produced quick breaking foams.

The results are shown in Figure 1.

The product was found to be comparable with the current market products.
CLAIMS:

1. A foamable composition comprising:
   - 2-5% minoxidil base;
   - 2-10% surfactant;
   - 50-80% propylene glycol; and
   - water;

   wherein said composition is free of ethanol and aerosol propellant.

2. The composition of claim 1 wherein said surfactant comprises an anionic, cationic, non-ionic or amphoteric surfactant or a non ionic block copolymer, or a mixture thereof.

3. The composition of claim 1 wherein said surfactant comprises an ethoxylated glyceride, an ethoxylated sorbitan ester, a polyethoxylated or hydrogenated castor oil, PEG hydrogenated caster oil, Polysorbate 20, cocamidopropyl betaine, glyceryl cocoate, a PEG 6 caprylic/capric glyceride or poloxamer F68, or a mixture thereof.

4. The composition of any one of claims 1-3 further comprising one or more of a buffer, a pH stabilizer, an emollient, or a skin conditioning agent.

5. The composition of any one of claims 1-4 comprising 20-30% of said water.

6. A device for preparing a minoxidil-containing foam, comprising a reservoir, a metered dose valve, and a nozzle, wherein said reservoir contains the composition of any one of claims 1-5.

7. The device of claim 6 wherein said nozzle comprises a mesh.

8. A method of preparing a minoxidil-containing foam, comprising providing the composition of any one of claims 1-5, and spraying said composition through a mesh or nozzle.
Application number/numéro de demande: 2484184

Figures: 1

Pages: ____________________________

Drawing

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