Kollicoat® Protect

Protective coating providing a moisture barrier and taste masking
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1. Introduction

1.1 General
Kollicoat Protect is a coating based on Kollicoat IR (polyvinyl alcohol-polyethylene glycol graft copolymer) that is very readily soluble in water. It is used primarily as a protective coating in the manufacture of film coatings that dissolve in the gastric juices (instant-release coatings). The protection may consist in a barrier against water vapor, for taste masking formulations, or prevention of incompatibilities between ingredients.

1.2 Structural formula
The recipe is based mainly on the highly flexible film former Kollicoat IR, which has the following structure:

![Structural formula diagram]

Composition
- Polyvinyl alcohol-polyethylene glycol graft copolymer: 55-65%
- Polyvinyl alcohol: 35-45%
- Silicon dioxide: 0.1-0.3%

1.3 Physical form
Kollicoat Protect is a white to off-white, free-flowing powder.

2. Specifications and properties

2.1 Chemical nature
Owing to the special spray-drying process for Kollicoat Protect, the polymers are embedded in one another to such an extent that they cannot separate. The powder has good flowability and dissolves rapidly in water.

2.2 Physicochemical properties
The aqueous solution has a relatively low viscosity and can be readily prepared.

Film formation
The aqueous solution is poured on to a glass plate. The water evaporates, leaving a flexible film.

Specification

Analytical procedures (non compendial methods) are supplied upon request.

Regulatory status
Kollicoat IR (film forming polymer in Kollicoat Protect) has been globally approved in medicinal products in all relevant regions, including Europe, Japan and the US.

A draft Ph.Eur. monograph with the title "Macrogol Poly(vinylalcohol) Grafted Copolymer" is published in PharmEuropa 20/3.
2.3 Properties of aqueous solutions

Viscosity of aqueous Kollicoat Protect solutions as a function of polymer concentration (at 23°C)

Viscosity of a Kollicoat Protect solution as a function of temperature

Viscosity of various Kollicoat Protect spray suspensions (20% w/w, 25°C)

Surface tension
The surface tension of a 15% Kollicoat Protect solution is very low (42.3 mN/m). Good wetting and spreadability are therefore achieved even on lipophilic surfaces.
2.4 Film properties

Kollicoat Protect forms transparent, highly flexible films that dissolve very rapidly in water. Kollicoat Protect films are not tacky and can be readily printed.

Elongation at break of various moisture-barrier films (23°C, 58% r. h.)

<table>
<thead>
<tr>
<th>Elongation at break [%]</th>
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Adhesiveness
Kollicoat Protect film coatings adhere extremely well to tablet surfaces of varying lipophilic character.

Coating engravings
The very low viscosity and excellent wetting and spreading properties ensure that even fine engravings are uniformly coated and no bridging occurs.

3. Application and processing

3.1 Applications

Kollicoat Protect can be used in all applications where a readily soluble, flexible coating is required.

- Instant-release coating
  - Protection against moisture
  - Taste masking
  - As a subcoating
  - Improves appearance, makes tablet easier to swallow, gives distinctive coloring, protects active ingredients (prevents interaction)
- Binder
  - As a binder

The special advantages of Kollicoat Protect are high flexibility, low viscosity and rapid manufacture of coating suspensions.

The high flexibility of the films ensures that they do not crack on the tablets.

Kollicoat Protect can be combined with water-soluble dyes, lakes or iron oxides to obtain a particular shade. Water-soluble dyes and dispersible color concentrates are especially easy to use.

Combining Kollicoat Protect with pigments such as talc, titanium dioxide, kaolin or color pigments improves protection of the tablet against moisture, because it lengthens the diffusion path.
3.2 Processing notes

Because of the high flexibility of Kollicoat Protect films, it is not necessary to add a plasticizer.

Foam may form when Kollicoat Protect is incorporated into water, to an extent that depends on the mixing conditions. Foam formation can be minimized by adding 0.1% Simethicon 30% emulsion or 0.75% Labrasol (supplied by Gattefosse).

A spray solution is conveniently prepared as follows:

a.) Spray solution with water-soluble dye:
   Stir the Kollicoat Protect and water-soluble dye into water and dissolve. The mixer speed should be adjusted so that little or no foam is produced. After stirring for 30 min, the spray solution is ready for further processing.

b.) Spray suspension containing pigments and/or lakes:

Film-forming solution
Stir Kollicoat Protect into the specified quantity of water and dissolve.

Pigment suspension
Stir the insoluble components, such as talc, titanium dioxide, kaolin, lakes or color pigments, into the appropriate quantity of water and homogenize with a high-shear mixer, e.g. Ultra-Turrax.

Spray suspension
Stir the pigment suspension into the film-forming solution.

The coating can be applied on all the usual coaters, e.g. horizontal drum coaters, fluidized bed coaters, immersion sword coaters and coating pans, under the usual conditions for aqueous solutions.

The following conditions have produced good results in numerous trials:
- Inlet air temperature: 50-80°C
- Outlet air temperature: 30-50°C
- Atomizing pressure: 3-5 bar
- Temperature of spray suspension: 20-70°C

Cleaning
The product can very easily be cleaned off equipment with warm or cold water.
4. Typical recipes

4.1 Aspirin moisture-protected film-coated tablets

**Formulations No. P054/01**

<table>
<thead>
<tr>
<th>Composition of tablets</th>
<th>100 mg acetylsalicylic acid, 148.5 mg Ludipress® LCE, 50 mg Avicel® PH 102, 1.5 mg magnesium stearate</th>
</tr>
</thead>
</table>

**Composition of spray solution**

- The formulation is designed for 6 kg tablets (tablet weight 300 mg, diameter 9 mm)

<table>
<thead>
<tr>
<th>Weight [g]</th>
<th>Proportion [%]</th>
</tr>
</thead>
<tbody>
<tr>
<td>Spray suspension</td>
<td>Kollicoat Protect 125.40 12</td>
</tr>
</tbody>
</table>

**Machine parameters**

- Coating machine: Accela-Cota drum coater (24 inch)
- Batch size: 6 kg
- Inlet air temperature: 60°C
- Outlet air temperature: 36°C
- Product temperature: 35°C
- Inlet air flow: 210 m³/h
- Outlet air flow: 410 m³/h
- Atomizing pressure: 2 bar
- Forming air pressure: 1.4 bar
- Number of spray nozzles: 1
- Spraying rate: 30 g/min
- Spraying time: 35 min
- Final drying: 60°C/4 min
- Quantity applied: 5 mg/cm² solids

**Tablet properties**

<table>
<thead>
<tr>
<th>Core</th>
<th>Film-coated tablet</th>
</tr>
</thead>
<tbody>
<tr>
<td>Appearance</td>
<td>white</td>
</tr>
<tr>
<td>Hardness</td>
<td>67 N</td>
</tr>
<tr>
<td>Friability</td>
<td>0%</td>
</tr>
<tr>
<td>Disintegration time</td>
<td>3:17 [min:s]</td>
</tr>
</tbody>
</table>
### Formulations No. P054/02

#### Composition of tablets

103.1 mg Vitamin C 97, 180.0 mg Ludipress, 14.4 mg Kollidon® VA 64, 5.0 mg Kollidon CL, 2.5 mg magnesium stearate

#### Composition of spray suspension

The formulation is designed for 1 kg tablets (tablet weight 300 mg, diameter 8.5 mm)

<table>
<thead>
<tr>
<th>Weight [g]</th>
<th>Proportion [%]</th>
</tr>
</thead>
<tbody>
<tr>
<td>Kollicoat Protect</td>
<td>16.8</td>
</tr>
<tr>
<td>Water</td>
<td>82.6</td>
</tr>
</tbody>
</table>

#### Polymer suspension

| Talc | 7.0 | 5.0 |
| Titanium dioxide | 4.2 | 3.0 |
| Sicovit Yellow 10 | 1.4 | 1.0 |
| Water | 28.0 | 20.0 |

#### Pigment suspension

| 140.0 | 100.0 |

#### Machine parameters

- Coating machine: Hi-Coater (Freund Industrial Co.)
- Batch size: 1 kg
- Inlet air temperature: 54-57°C
- Outlet air temperature: 34-35°C
- Atomizing pressure: 1.5 bar
- Number of spray nozzles: 1
- Spraying rate: 5.2-5.4 g/min
- Spraying time: 29 min
- Final drying: 8 min (outlet air temp. 34-40°C)
- Quantity applied: 3.15%

#### Tablet properties

<table>
<thead>
<tr>
<th>Core</th>
<th>Film-coated tablet</th>
</tr>
</thead>
<tbody>
<tr>
<td>Appearance</td>
<td>white</td>
</tr>
<tr>
<td>Hardness</td>
<td>150 N</td>
</tr>
<tr>
<td>Friability</td>
<td>0%</td>
</tr>
<tr>
<td>Disintegration time</td>
<td>5:18 [min:s]</td>
</tr>
</tbody>
</table>
5. Storage conditions

No specific temperature (ambient/room temperature).

6. Stability

At least 36 months in the original sealed containers.

7. Toxicology

A complete toxicological characterization of Kollicoat Protect for application as a pharmaceutical adjuvant has been carried out.
A summary of the available data is available on request under Secrecy Agreement.

8. PBG-No.

10581610

9. PRD-No.

30235579

10. Packaging

120-l plastic drum with PE liner, 20 kg capacity.

11. Note

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