

Technical Information

June 2008
Supersedes issue dated July 2007

EMP 040903e-04/Page 1 of 12

® = Registered trademark of BASF SE

Kollicoat® Protect

Protective coating providing a moisture barrier and taste masking




The Chemical Company

Pharma
Ingredients
& Services



Contents

	Page
1. Introduction	4
1.1 General	4
1.2 Structural formula	4
1.3 Physical form	4
2. Specification and properties	4
2.1 Chemical nature	4
2.2 Physicochemical properties	4
2.3 Properties of aqueous solutions	5
2.4 Film properties	6
3. Application and processing	6
3.1 Applications	6
3.2 Processing notes	7
4. Typical recipes	8
4.1 Aspirin moisture-protected film-coated tablets	8
4.2 Vitamin C moisture-protected film-coated tablets	9
5. Storage conditions	10
6. Stability	10
7. Toxicology	10
8. PBG-No.	10
9. PRD-No.	10
10. Packaging	10
11. Note	10

1. Introduction

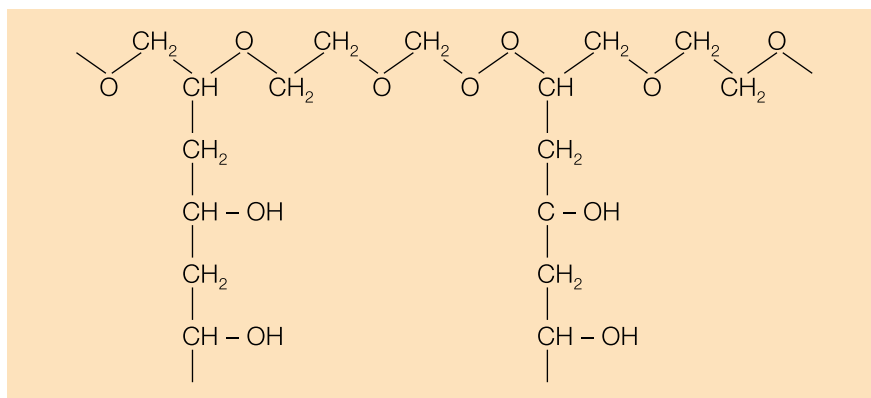
1.1 General

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:



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

See separate document: "Standard Specification (not for regulatory purposes)" available via BASF's WorldAccount: <https://worldaccount.basf.com> (registered access).

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

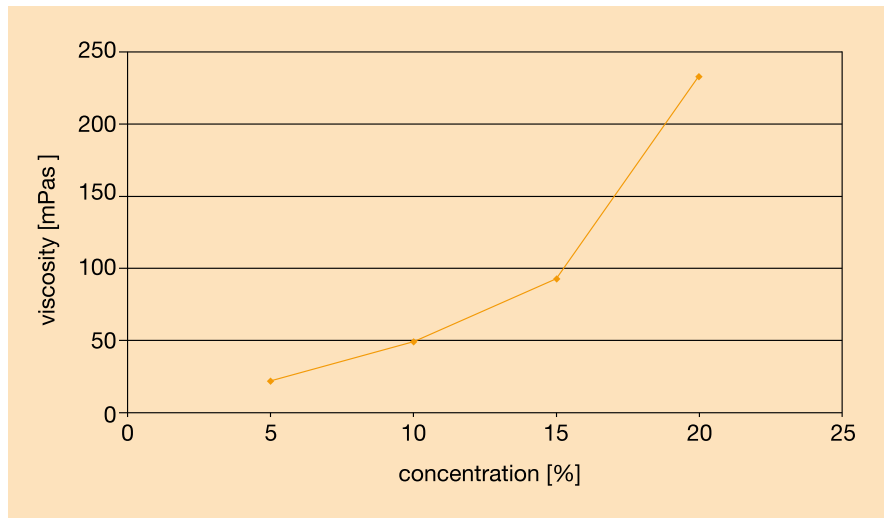
Regulatory status

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.

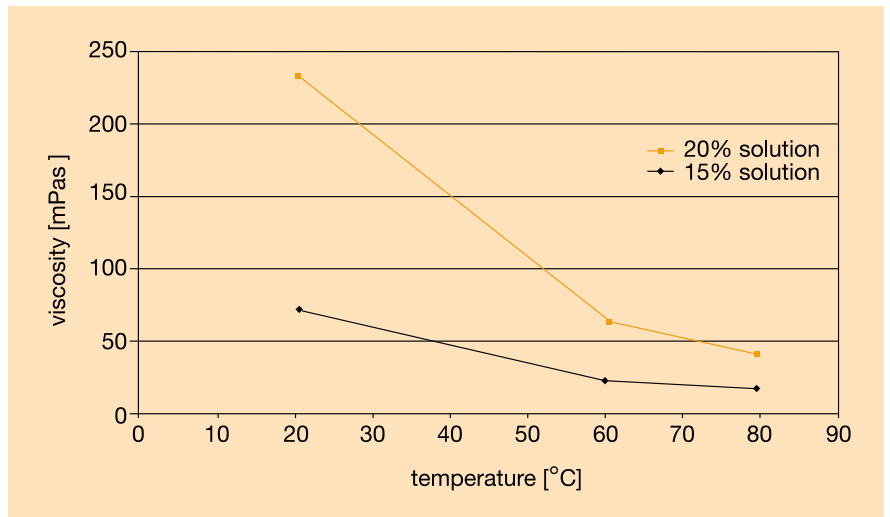
A draft Ph.Eur. monograph with the title "Macrogol Poly(vinylalcohol) Grafted Copolymer" is published in PharmEuropa 20/3.

2.3 Properties of aqueous solutions

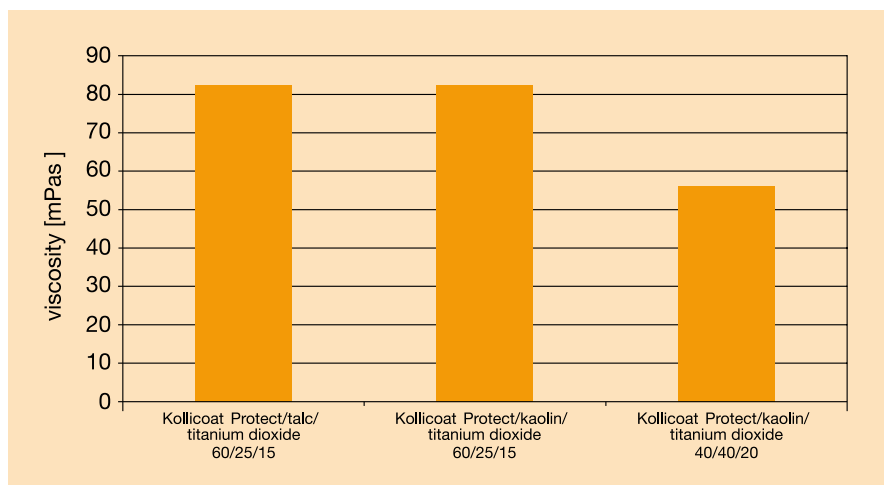
Viscosity of aqueous Kollicoat Protect solutions as a function of polymer concentration (at 23°C)



Viscosity of a Kollicoat Protect solution as a function of temperature



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



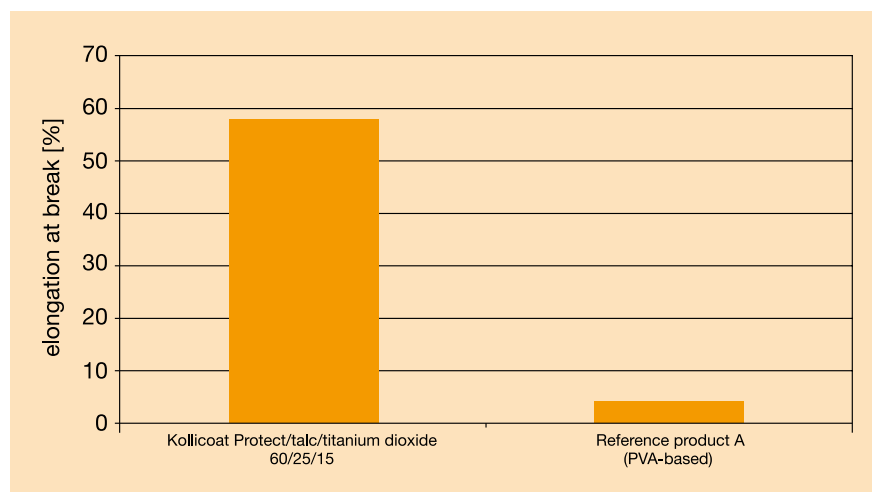
Surface tension

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.)



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

Composition of tablets	100 mg acetylsalicylic acid, 148.5 mg Ludipress® LCE, 50 mg Avicel® PH 102, 1.5 mg magnesium stearate	
Composition of spray solution	The formulation is designed for 6 kg tablets (tablet weight 300 mg, diameter 9 mm)	
	Weight [g]	Proportion [%]
Spray suspension		
Kollicoat Protect	125.40	12
Talc	52.25	5
Titanium dioxide	31.35	3
Water	836.00	80
	1045.00	100
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 m ³ /h
	Outlet air flow	410 m ³ /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/cm ² solids
Tablet properties	Core	Film-coated tablet
Appearance	white	white
Hardness	67 N	79 N
Friability	0%	0%
Disintegration time	3:17 [min:s]	3:58 [min:s]

4.2 Vitamin C moisture-protected film-coated tablets

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)	
	Weight [g]	Proportion [%]
Polymer suspension		
Kollicoat Protect	16.8	12.0
Water	82.6	59.0
Pigment suspension		
Talc	7.0	5.0
Titanium dioxide	4.2	3.0
Sicovit Yellow 10	1.4	1.0
Water	28.0	20.0
	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		
	Core	Film-coated tablet
Appearance	white	yellow
Hardness	150 N	181 N
Friability	0%	0%
Disintegration time	5:18 [min:s]	5:45 [min:s]

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

This document, or any answers or information provided herein by BASF, does not constitute a legally binding obligation of BASF. While the descriptions, designs, data and information contained herein are presented in good faith and believed to be accurate, it is provided for your guidance only. Because many factors may affect processing or application/use, we recommend that you make tests to determine the suitability of a product for your particular purpose prior to use. It does not relieve our customers from the obligation to perform a full inspection of the products upon delivery or any other obligation. NO WARRANTIES OF ANY KIND, EITHER EXPRESS OR IMPLIED, INCLUDING WARRANTIES OF MERCHANTABILITY OR FITNESS FOR A PARTICULAR PURPOSE, ARE MADE REGARDING PRODUCTS DESCRIBED OR DESIGNS, DATA OR INFORMATION SET FORTH, OR THAT THE PRODUCTS, DESIGNS, DATA OR INFORMATION MAY BE USED WITHOUT INFRINGING THE INTELLECTUAL PROPERTY RIGHTS OF OTHERS. IN NO CASE SHALL THE DESCRIPTIONS, INFORMATION, DATA OR DESIGNS PROVIDED BE CONSIDERED A PART OF OUR TERMS AND CONDITIONS OF SALE.

June 2008

