

Technical Information

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Kollicoat® IR

® = Registered trademark of BASF group

Polyvinyl alcohol-polyethylene glycol graft copolymer for instant-release coatings and quick-dissolving formulations



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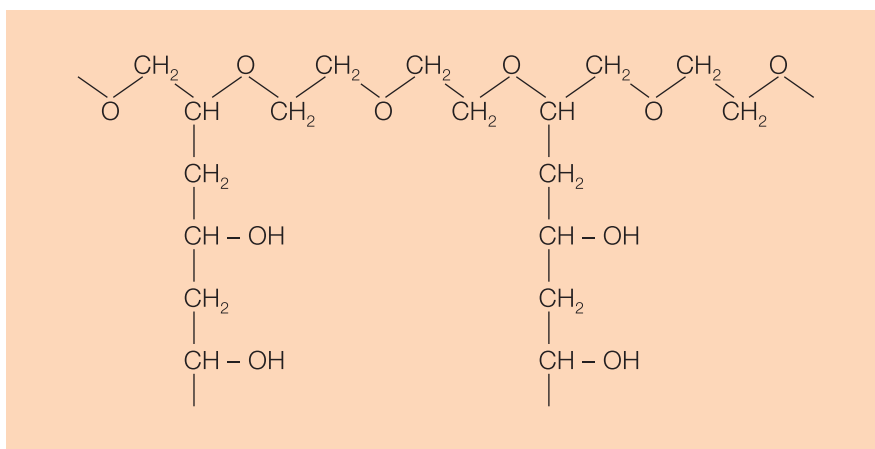
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1. Introduction

1.1 General

Kollicoat IR is a polyvinyl alcohol-polyethylene glycol graft copolymer that is freely soluble in water. It is used mainly for the production of instant-release coatings for tablets.

1.2 Structural formula



1.3 Physical form

Kollicoat IR is a white to faintly yellow free-flowing powder.

2. Specification and properties

2.1 Chemical nature

The polymer consists of 75% polyvinyl alcohol units and 25% polyethylene glycol units. The product also contains approx. 0.3% colloidal silica to improve its flow properties.

2.2 Physicochemical properties

As a result of its structure, the polymer dissolves very readily in acidic, neutral and alkaline aqueous media. Such aqueous solutions have a comparatively low viscosity.

Molecular weight

Approx. 45,000 Daltons

Solubility

As a result of its structure, the polymer dissolves very readily in acidic, neutral and alkaline aqueous media. Such aqueous solutions have a comparatively low viscosity. Solutions of Kollicoat IR with concentrations of up to 40% can be prepared in water and aqueous systems, e.g. weak acids or alkalis. Solutions of up to 25% can be prepared in a 1:1 ethanol-water mixture. Due to colloidal silica, aqueous solutions of Kollicoat IR are slightly turbid. Its solubility in non-polar solvents is low, and it can only be dispersed in these..

Film formation

An aqueous solution of Kollicoat IR is cast on a smooth surface. When the water has evaporated, a clear colourless flexible film remains (see 2.4, Film properties).

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 has been globally approved in medicinal products in all relevant regions, including Europe, Japan and the US.

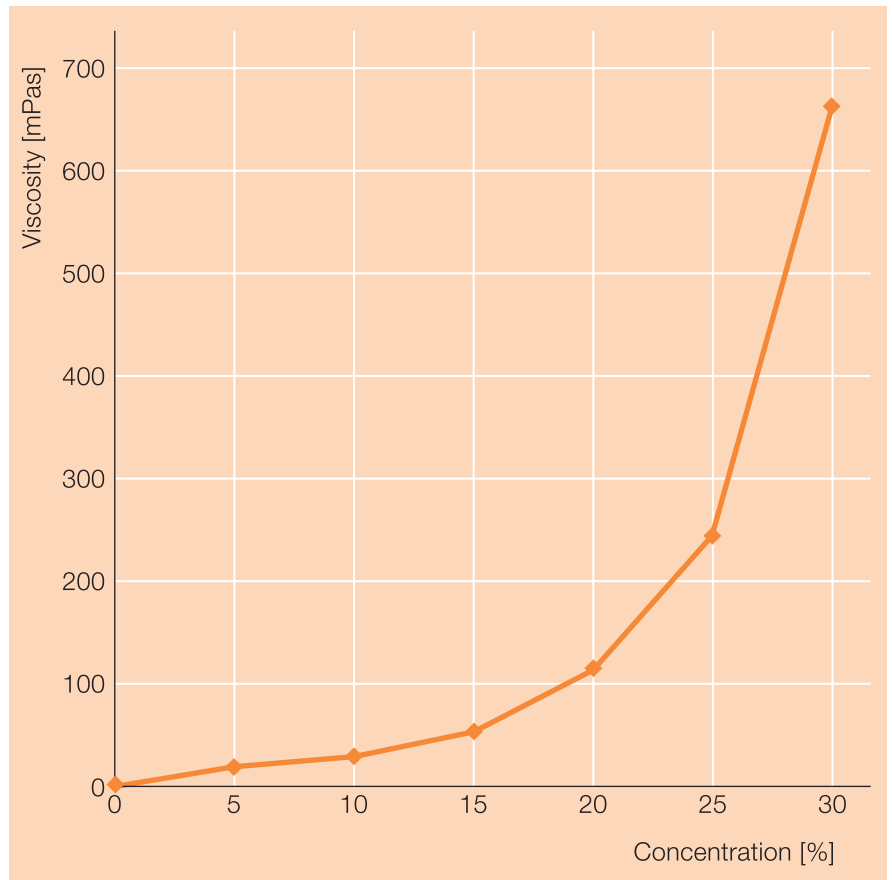
A Ph. Eur. monograph with the title "Macrogol Poly(vinylalcohol) Grafted Copolymer" is included in Ph. Eur. 6.7.

A draft USP/NF monograph entitled "Ethylene Glycol and Vinyl Alcohol Graft Copolymer" is published in Pharmacopeial Forum 35(2). The new NF monograph will be included in USP 33, Supplement 1

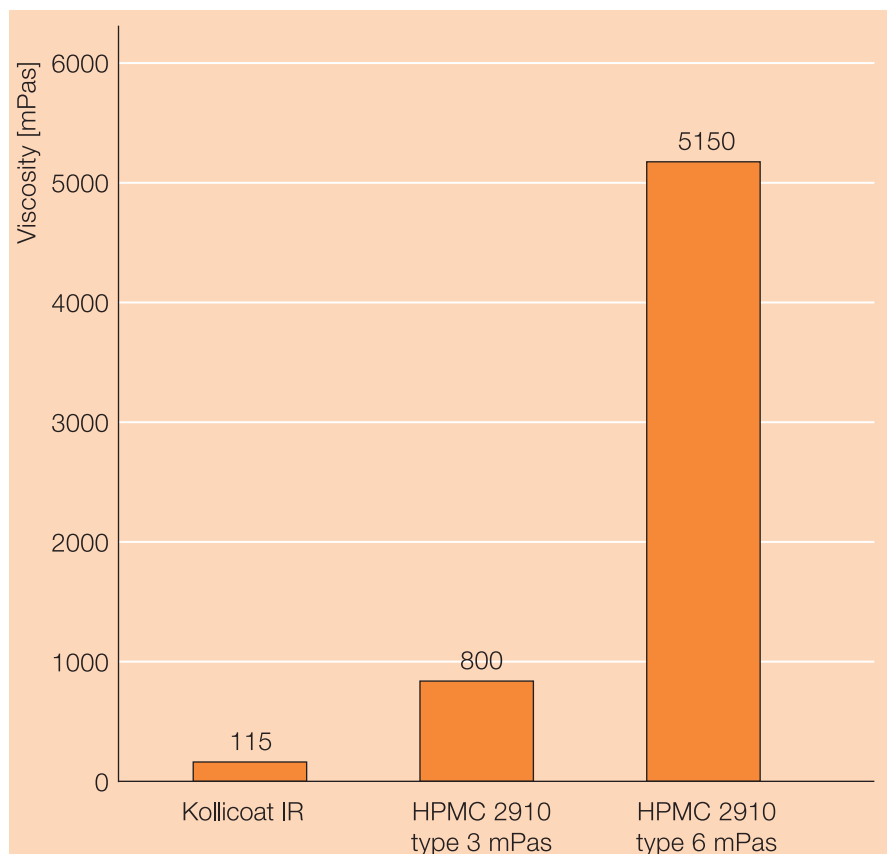
2.3 Properties of aqueous solutions

Viscosity

Though the viscosity of aqueous solutions of Kollicoat IR increases with the polymer concentration, it remains much lower than that of equivalent solutions of, for instance, cellulose derivatives.



Viscosity of aqueous Kollicoat IR solutions as a function of polymer concentration



Viscosity of polymer solutions (20% w/w)

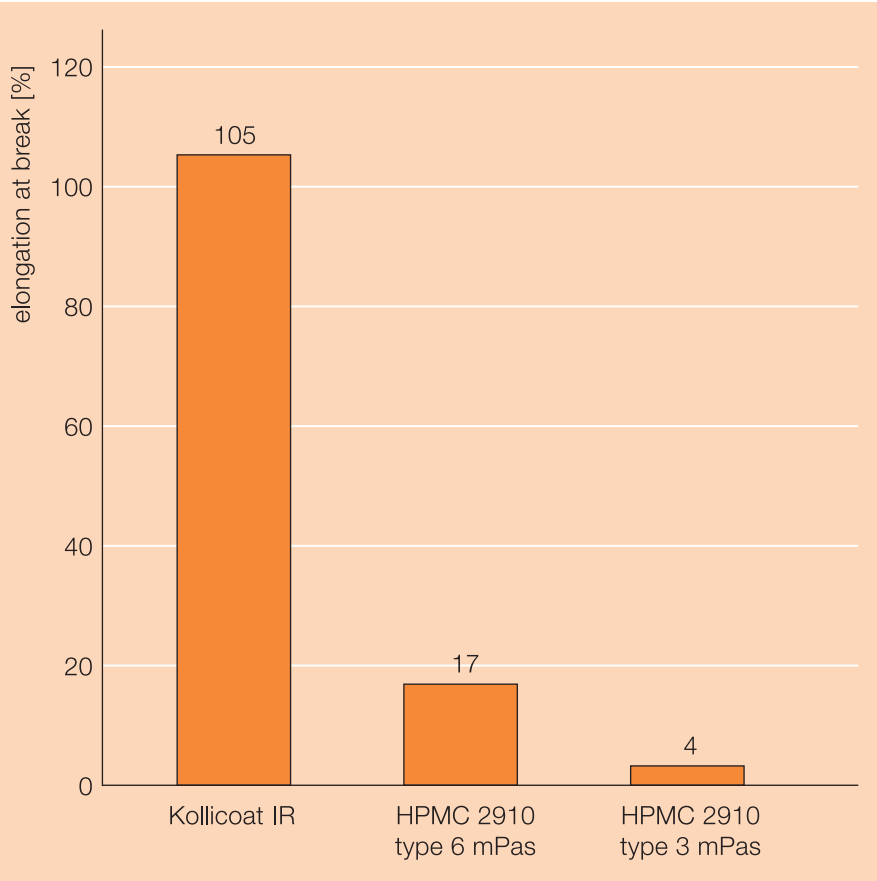
Surface activity

Kollicoat IR reduces the surface tension of water. This makes aqueous solutions easy to spray, and the spray droplets exhibit good wetting behaviour on the tablet surface.

2.4 Film properties

Kollicoat IR forms clear colourless films that are enormously flexible and dissolve very rapidly in water. Kollicoat IR films are not tacky, have a high pigment binding capacity and can readily be printed.

Kollicoat IR has a much higher elongation at break value than cellulose derivatives.



Elongation at break of various instant release polymers (54% r. h.)

3. Application and processing

3.1 Applications

Kollicoat IR can be used for all applications for which a water-soluble flexible polymer is required.

- **Instant release coating**
 - Protects against unpleasant taste or odour, improves appearance, makes tablets easier to swallow, gives a distinctive appearance, protects sensitive active ingredients.
- **Pore former in sustained-release coatings**
 - To control drug release rate
- **Binder**
 - For very rapidly dispersible/soluble granules or tablets
- **Film former in sprays and transdermal therapeutic systems**
 - Extremely flexible appearance on the skin
- **Suspension and emulsion stabiliser**
- **Protective colloid**

The special advantages of Kollicoat IR lie in its enormous flexibility, low viscosity and rapid rate of dissolution.

Kollicoat IR solutions for spraying onto tablets can be applied with a high solids content, which greatly reduces the coating time and minimises costs.

3.2 Processing notes

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

A spray suspension is best prepared as follows:

Dissolve Kollicoat IR in water and stir in the previously homogenised pigment suspension. The other water-soluble ingredients can be dissolved together with the Kollicoat IR. The speed of the stirrer should be set such that little or no foam is produced.

As spray suspensions of Kollicoat IR have a lower viscosity than those of other instant release polymers, they can have a much higher concentration. This greatly shortens the spraying and processing time in the manufacture of film-coated tablets. Polymer concentrations of 15 – 25% can be used, giving a total solids concentration of 20 – 35%, depending on the quantity of pigments.

Since Kollicoat IR has surfactant properties and can act as a protective colloid, it prevents the aggregation of the pigment particles and ensures that the pigment is evenly distributed over the tablet core.

The great elasticity of Kollicoat IR ensures that it does not crack on the tablets when they are exposed to different humidity conditions in storage, even when the cores contain high amounts of disintegrants or powerful swelling agents such as HPMC, xanthan or alginate which are frequently used in sustained release tablets.

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

The following conditions have been used successfully in numerous trials:

Inlet air temperature:	60 – 80 °C
Outlet air temperature:	30 – 50 °C
Atomizing pressure:	3 – 6 bar

The product can very easily be cleaned off equipment with warm or cold water.

4. Typical formulations

4.1 Propranolol instant-release film-coated tablets

Composition of the tablets

40 mg propranolol HCl; 97.5 mg Ludipress®; 12.5 mg Kollidon® VA 64; 97.5 mg Avicel PH 102; 2.5 mg magnesium stearate

Composition of the spray suspension

The formulation is designed for 250 kg of tablets (tablet weight 250 mg; diameter 9 mm)

	Weight [g]	Proportion [%]
Polymer solution		
Kollicoat IR	6.080	16.0
Water	24.320	64.0
Pigment suspension		
Talc	1.710	4.5
Titanium dioxide	1.140	3.0
Sicovit® Red 30	570	1.5
Water	4.180	11.0
	38.000	100.0

Preparation of the spray suspension

Polymer solution:

Stir the Kollicoat IR into the specified quantity of water until it has dissolved.

Pigment suspension:

Vigorously stir talc, Sicovit Red 30 and titanium dioxide into the specified quantity of water and homogenise in a corundum disk mill.

Spray suspension:

Stir the pigment suspension into the polymer solution. To avoid sedimentation during the spraying process, the mixture must be continuously stirred.

Additional gloss coating

If a certain appearance of the tablet is needed 5 wt% polyethylene glycol 6000 should be dissolved in water and sprayed after the spray suspension. The achieved weight gain should be between 0.3 – 0.6 mg/cm².

Machine parameters

Coating machine	Driacoater type 900, perforated drum coater
Batch size	250 kg
Inlet air temperature	70 °C
Outlet air temperature	48 °C
Product temperature	50 °C
Air flow	4400 m ³ /h
Atomizing pressure	6 bar
Number of spray nozzles	6
Spraying rate	700 g/min
Spraying time	55 min
Final drying	60 °C/5 min
Quantity applied	3.8%

Tablet properties

	Core	Film-coated tablet
Appearance	White	Red
Hardness	93 N	109 N
Friability	0%	0%
Disintegration time	5:53 [min:s]	5:47 [min:s]
Drug release	10 min: 49% 20 min: 98%	10 min: 54% 20 min: 99%

4.2 Caffeine instant-release tablets**Composition of the tablets**

50 mg caffeine; 229 mg Ludipress; 10 mg Kollidon CL;
40 mg Avicel PH 101; 1 mg magnesium stearate

Composition of the spray solution

The formulation is designed for 5 kg of tablets (weight 330 mg; diameter 9 mm)

	Weight [g]	Proportion [%]
Polymer solution		
Kollicoat IR	100.0	19.2
Water	264.0	50.8
Pigment suspension		
Talc	37.4	7.2
Titanium dioxide	18.6	3.6
Water	10.0	19.2
	430.0	100

Preparation of the spray suspension

Polymer solution:

Stir the Kollicoat IR into the specified quantity of water until it has dissolved.

Pigment suspension:

Vigorously stir talc and titanium dioxide into the specified quantity of water and homogenise in a co-rundum disk mill.

Spray suspension:

Stir the pigment suspension into the polymer solution. To avoid sedimentation during the spraying process, the mixture must be continuously stirred.

Additional gloss coating

If a certain appearance of the tablet is needed 5 wt% polyethylene glycol 6000 should be dissolved in water and sprayed after the spray suspension. The achieved weight gain should be between 0.3 – 0.6 mg/cm².

Machine parameters	
Coater	Accela-Cota 240“, perforated drum coater
Batch size	5 kg
Inlet air temperature	60 °C
Outlet air temperature	39 °C
Product temperature	35 °C
Air flow	180 m ³ /h
Atomizing pressure	3 bar
Number of spray nozzles	1
Spraying rate	30 g/min
Spraying time	18 min
Final drying	60 °C/4 min
Quantity applied	3 mg/cm ² polymer

Tablet properties

	Core	Film-coated tablet
Appearance	White	White
Hardness	116 N	119 N
Friability	0%	0%
Disintegration time	0 : 58 [min : s]	0 : 51 [min : s]
Drug release	10 min: 93% 20 min: 92%	10 min: 92% 20 min: 98%

4.3 Acetaminophen instant granules

Composition of the powder mixture 49% acetaminophen, fine powder; 49% sorbitol; 2% aspartame; 0,06% aroma

Composition of the binder solution The formulation is designed for 1 kg of powder mixture

	Weight [g]	Proportion [%]
Granulation solution		
Kollicoat IR	27.0	15.0
Water	153.0	85.0
	180.0	100.0

Preparation of the binder solution Stir the Kollicoat IR into the specified quantity of water until it has dissolved.

Manufacture of the granules

Mix the components of the powder mixture for 10 min (Stephan mixer Type UMC 5 Electronic).

Apply the Kollicoat IR solution in a fine spray, keeping the mixture in motion. Force the moist mass first through a 3 mm sieve, then a 1 mm sieve.

Dry the moist granules and force them through a 1 mm sieve.

Properties of the granules

The granules dissolve in water within 1 min.

- | | |
|------------------------------|--|
| 5. Storage conditions | Below 25 °C |
| 6. Stability | At least 2 years in the original sealed containers at room temperature. |
| 7. Toxicology | A toxicological summary is available on request under Secrecy Agreement. |
| 8. PRD-No. | 30132288 |
| 9. Packaging | 20 kg plastic drum |

Note

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