
Technical Information

Kollicoat® Smartseal 30 D

February 2019 | Supersedes issue dated June 2011 | Last change WF-No. DAWF-2019-0217

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1. Introduction

Kollicoat® Smartseal 30 D is a low viscous aqueous dispersion of a film forming polymer for taste masking and moisture barrier applications. It provides effective protection from unpleasant taste and humidity while ensuring quick release of active ingredients in the stomach.

Kollicoat® Smartseal 30 D can be employed in aqueous film coating processes.

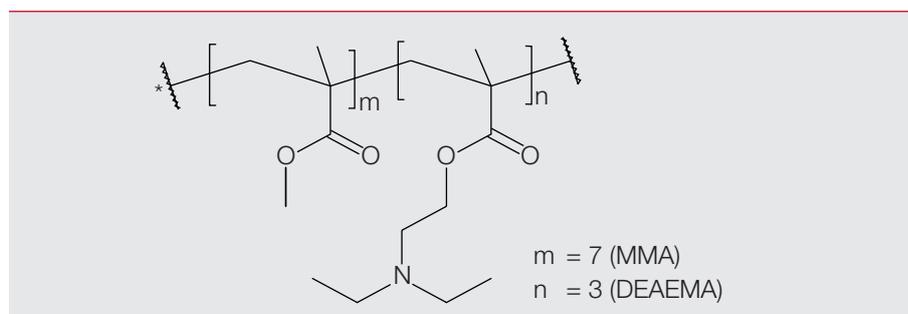
A spray dried powder grade of the same polymer is available as Kollicoat® Smartseal 100 P. Kollicoat® Smartseal 100 P has a separate Technical Information Sheet which can be found on BASF WorldAccount.

2. Technical properties

Description

Kollicoat® Smartseal 30 D is an aqueous dispersion of a methyl methacrylate (MMA) and diethylaminoethyl methacrylate (DEAEMA) copolymer. The molar ratio of the monomers MMA and DEAEMA in the copolymer is 7:3. The dispersion is stabilized with approx. 0.6% macrogol cetostearyl ether and 0.8% sodium lauryl sulfate and has a solid content of approx. 30%. The polymer dispersion is a milky white to yellowish liquid with a pleasant characteristic odor.

Structural formula



Trivial names

Methyl methacrylate and diethylaminoethyl methacrylate copolymer 7:3 dispersion.

CAS number

27027-16-3

Molecular weight

The weight average molecular weight (M_w) of the polymer is approx. 200 000 Dalton (method: size exclusion chromatography (SEC) coupled with light scattering).

Glas Transition Temperature (T_g)

Approx. 63 °C
(method: differential scanning calorimetry (DSC))

The polymer of Kollicoat® Smartseal 30 D is brittle. Therefore, a plasticizer is needed.

Minimum film forming temperature (MFFT)

Approx. 57 °C
(method: heating block system)

The polymer of Kollicoat® Smartseal 30 D is very lipophilic. This means that water cannot act as a plasticizer during film coating and a plasticizer is needed for lowering the MFFT.

Particle size

The mean particle size of the polymer droplets in the dispersion is approx. 150 nm (method: light scattering).

Solubility

The Kollicoat® Smartseal 30 D polymer is insoluble in water at neutral and basic pH values. Below pH < 5.5 it becomes water soluble.

The Kollicoat® Smartseal 30 D dispersion is miscible with water while retaining its milky white appearance and low viscosity. When water-miscible organic solvents are added, the polymer precipitates first, but re-dissolves after continuous stirring.

3. Functionality

Taste Masking

The premise for an effective protection from unpleasant taste is the insolubility of the film coating polymer in the saliva (pH 6.8 – 7.2). Polymer films obtained with Kollicoat® Smartseal 30 D can be formulated in a way that they are insoluble in neutral or basic media for more than 2 hours. In acidic media with pH ≤ 5.5 the polymer dissolves due to protonation of the amino functional groups.

This pH-dependent solubility ensures an effective protection in saliva and a quick release of active ingredients in the stomach. A coating level of 2 – 6 mg/cm² is recommended for taste masking applications on tablets.

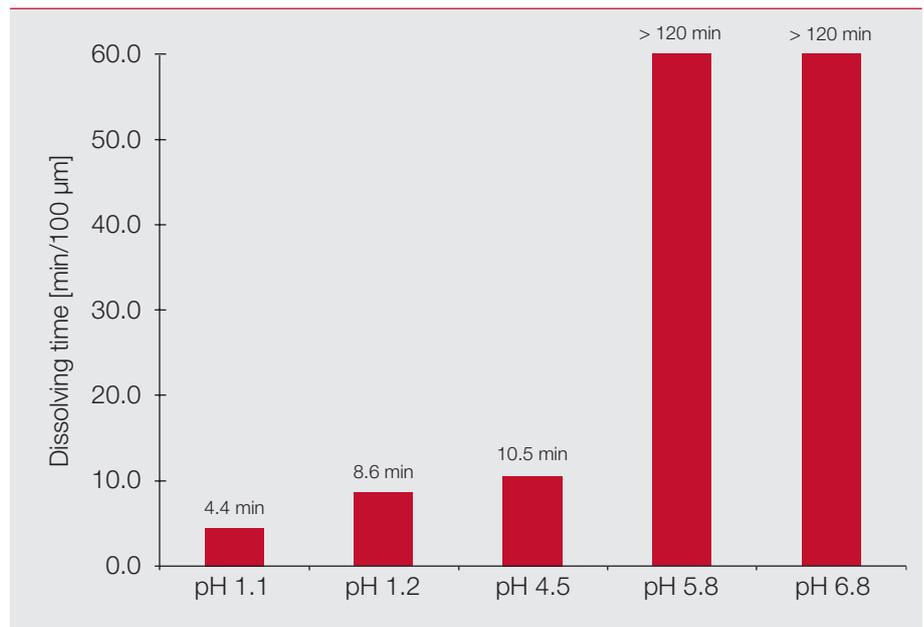


Figure 1: Dissolving time of 100 µm isolated Kollicoat® Smartseal films at different pH values.

Moisture Protection

Film coatings with low water vapor permeability can delay the moisture uptake of sensitive dosage forms. As the copolymer of Kollicoat® Smartseal 30 D is very lipophilic, water vapor permeation is low and polymer films provide an effective moisture barrier functionality. A coating level of 5 – 20 mg/cm² is recommended for moisture barrier applications on tablets.

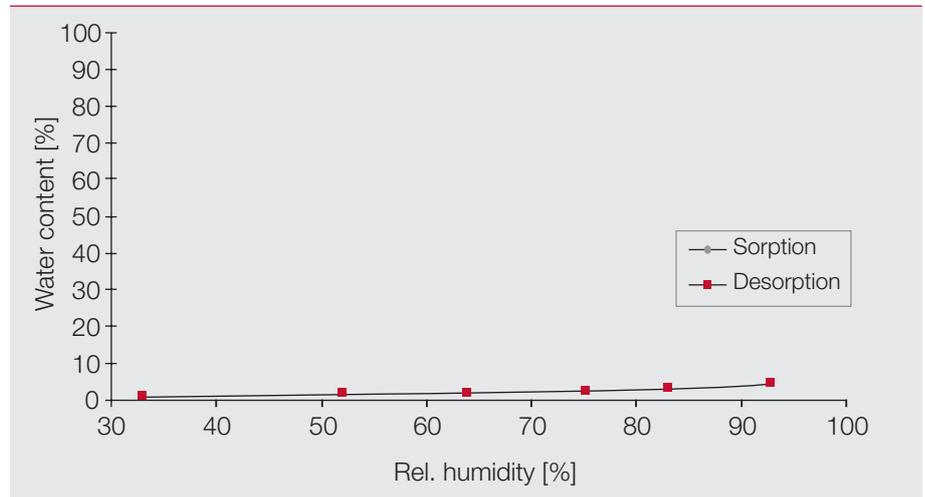


Figure 2: Sorption isotherm of 100 µm isolated polymer films obtained from Kollicoat® Smartseal 30 D.

The moisture barrier properties of isolated films obtained from Kollicoat® Smartseal 30 D can be optimized by employing lipophilic plasticizers (such as acetyl tributyl citrate) or by the addition of talcum. Figure 3 shows the water vapor permeability of isolated polymer films that contain different amounts of talcum (w/w based on the polymer).

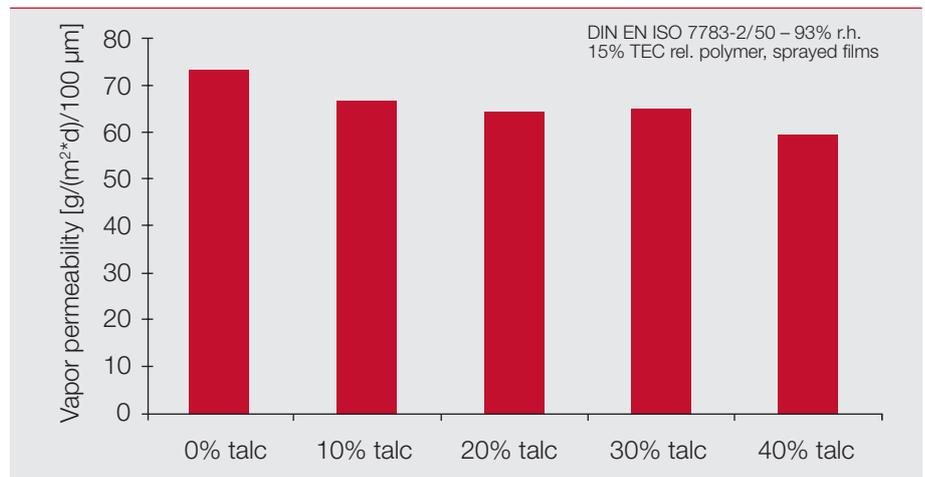


Figure 3: Impact of talcum on the water vapor permeability of 100 µm isolated polymer films obtained from Kollicoat® Smartseal 30 D.

4. Application and Processing **General handling recommendations**

Kollicoat® Smartseal 30 D is a polymer/ latex dispersion. Polymer dispersions give irreversible coagulation when exposed to harsh conditions. Therefore, freezing (temperatures < 5 °C) and ultraturrax treatment need to be prevented. Moreover, ingredients like plasticizers or pigments shall be added to dispersions carefully or in a diluted manner.

Kollicoat® Smartseal 30 D contains a basic copolymer. Therefore, acidic ingredients need to be added with care as they may impact the functionality of the polymer.

Selection of a plasticizer

Kollicoat® Smartseal 30 D needs a plasticizer for two reasons:

- The dried polymer is brittle. Dried polymer films need a plasticizer for preventing crackings and for retaining film functionality.
- The minimum film forming temperature MFFT is very high (~ 57 °C). Therefore, a plasticizer is needed to reduce the MFFT to temperature regions where film coating experiments are typically carried out. This is necessary as the polymer is very lipophilic and dispersion water has no plasticizing effect.

Recommended plasticizer concentration (w/w based on the polymer):
13 – 15%

The following plasticizers are suitable for Kollicoat® Smartseal 30 D:

- Acetyltributyl citrate (ATBC)**
- Triethyl citrate (TEC)*
- Dibutyl sebacate (DBS)**
- Suitable but without history of use in human oral dosage forms: tributyl citrate (TBC) and acetyltriethyl citrate (ATEC)*

* When using TEC or ATEC it is important that film coating dispersions are freshly prepared prior to use. Reason is that both plasticizers have shown to hydrolyse into acids and thus impact functionality when dispersions are stored overnight.

** When using ATBC or DBS the use of 2% docusate sodium is recommended to facilitate plasticizer incorporation.

The addition of plasticizers leads to a strong decline of the minimum film forming temperature.

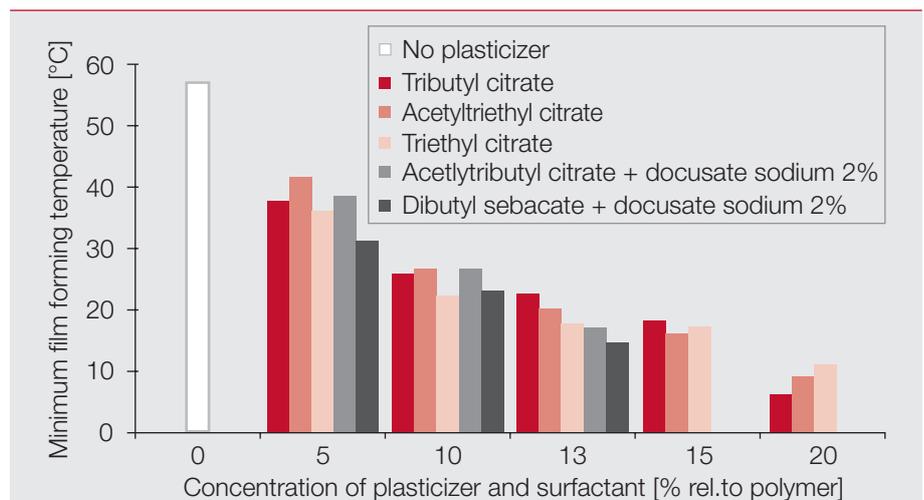


Figure 4: Impact of plasticizers on the MFFT.

Plasticizers have an impact on the mechanical properties of the films. Figure 5 shows that the recommended plasticizer concentration of 13 – 15% (w/w based on the polymer) increases the elongation at break to approximately 100%.

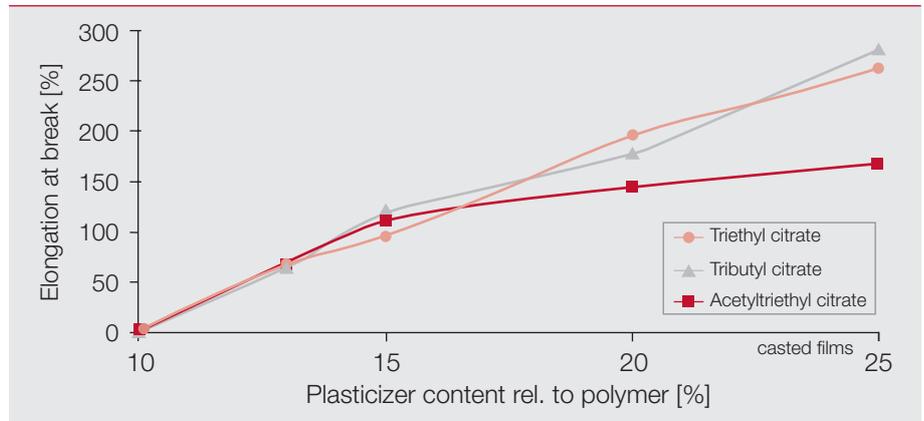


Figure 5: Impact of plasticizers on the mechanical properties of isolated polymer films of Kollicoat® Smartseal

Addition of an antioxidant

Kollicoat® Smartseal 30 D based films always require an antioxidant to stabilize the aminoester moiety of the polymer. Without plasticizer, yellowing and a delayed dissolution may occur.

The recommendation is to use 1.0 – 2.5% of the lipophilic antioxidant butylated hydroxytoluene (BHT) based on polymer weight.

Alternatively, hydrophilic antioxidants like sodium carbonate can be used.

Curing

Coatings obtained from Kollicoat® Smartseal 30 D always need curing. This is necessary for assuring proper film formation and stable dissolution profiles that do not change over time.

Recommended conditions: 2 hours at 60 °C.

Curing for longer time allows to reduce temperatures.

Anti-tacking agents

An anti-tacking agent is recommended to prevent sticking. It is recommended to add talcum as anti-tacking agent to the coating suspension in amounts between 4 and 8% relative to the polymer.

Alternatively, coated dosage forms can be blended directly after the coating process by addition of micro talcum.

Preparation of the coating suspension

Step 1: Dissolving of the lipophilic antioxidant.

Dissolve the lipophilic antioxidant (BHT) in the plasticizer. Elevated temperatures of approx. 50 °C can speed up this process.

Step 2: Dispersion of pigments.

Disperse the pigments into water with a high shear mixer for approx. 10 min. (Hydrophilic antioxidants, such as sodium carbonate are added at this stage).

Step 3: Combination of ingredients to give final coating suspension.

Dilute Kollicoat® Smartseal 30 D with water. Then add the plasticizer-antioxidant solution and the pigment dispersion to the diluted Kollicoat® Smartseal 30 D. Stir for 2 hours and then pass through a 200 µm sieve.

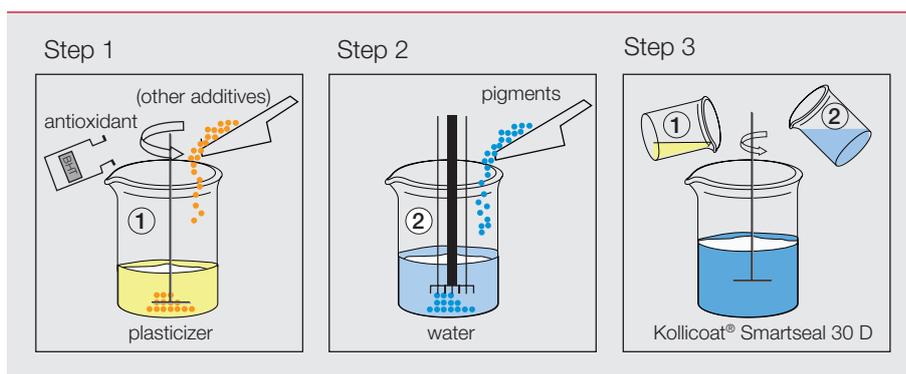


Figure 6: Preparation of the coating suspension

Cleaning recommendations

As the polymer is readily soluble below pH 5.5, weak acids are suitable for cleaning off residues of Kollicoat® Smartseal 30 D based formulations from coating equipment. Recommended are e.g. aqueous solutions of acetic acid, formic acid or citric acid, or commercially available cleaning agents.

5. Example application

Caffeine tablets

Cores

round, convex Ø 9 mm, 350 mg, composition: caffeine 15.5%, Ludipress® LCE 74.0%, Kollidon® VA 64 fine 5.0%, Kollidon® CL-F 5.0%, magnesium stearate 0.5%.

Composition of spray suspension

Ingredients	Content [%]
Kollicoat® Smartseal 30 D	34.6
Acetyltributyl citrate (ATBC)	1.4 (13% rel. to polymer)
Butylated hydroxytoluene (BHT)	0.3 (2.5% rel. to polymer)
Talcum	8.0 (40.0% rel. to polymer)
Water	55.8
Total	100
Solid content in the spray suspension	20
Polymer content in the dried film	52.0

Process parameters

Parameter	Value
Machine	Manesty Accela Cota XL Lab01
Batch size	5 kg
Inlet air temperature	55 °C
Product temperature	37 – 39 °C
Nozzle diameter	1.2 mm
Spraying rate	20 – 23 g/min
Spray pressure	1 bar
Atomized air	1.2 bar
Inlet air	350 l/s
Drum speed	10 Upm
Final drying	~ 45 °C product temperature
Curing	2 h @ 60 °C
Coating level	3 mg/cm ²

Theophylline granules**Substrate**

Theophylline granules Ø 0.2 – 0.7 mm.

Composition of spray suspension

Ingredients	Content [%]
Kollicoat® Smartseal 30 D	33.33
Tributyl citrate (TBC)	1.5 (15% rel to polymer)
Butylated hydroxytoluene (BHT)	0.1 (1% rel. to polymer)
Talc	8.00
Colorant	0.40
Water	56.67
Total	100
Solids content in the spray suspension	20.00
Polymer content in the dried film	50.00

Process parameters

Machine	Ventilus 1 with IPC1 (Innojet)
Inlet air temperature	55 – 65 °C
Inlet air volume	45 m ³ /h
Batch size	0.25 kg
Outlet air temperature	30 – 34 °C
Spraying rate	5 – 10 g/min
Nozzle diameter	IRN2-V 1.0 mm
Spray pressure	0.8 bar
Blending	0.2% colloidal silica for 10 min in a Turbula blender
Coating level (taste masking)	8 – 28% weight gain

Taste masking can be achieved with 18% weight gain.

Example granules: Theophylline (0.2 – 0.7mm)

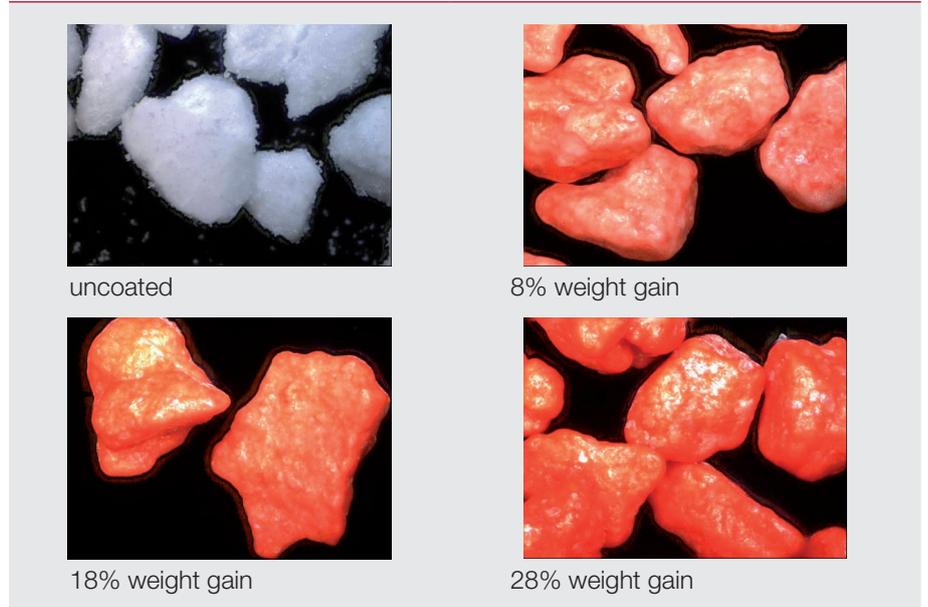


Figure 7: Microscopic pictures of coated and uncoated theophylline granules.

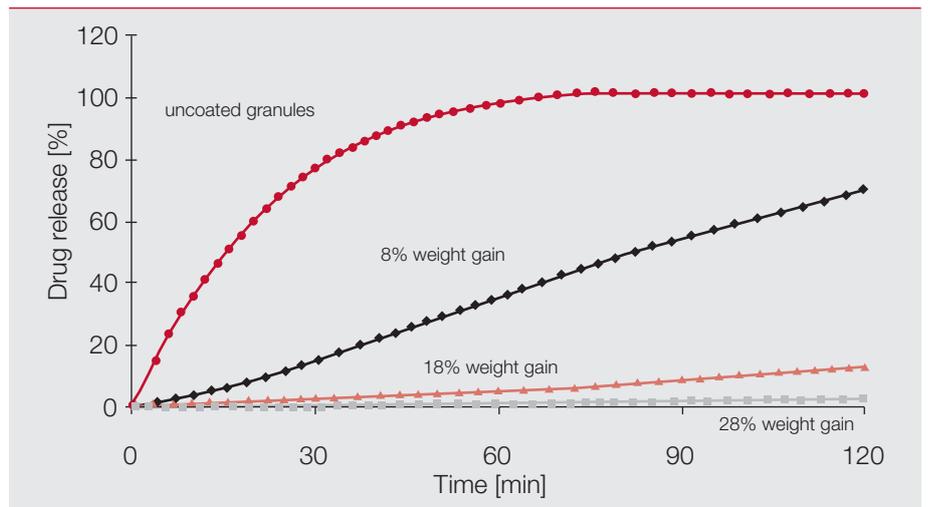


Figure 8 a: Theophylline granules: Dissolution at pH 6.8.

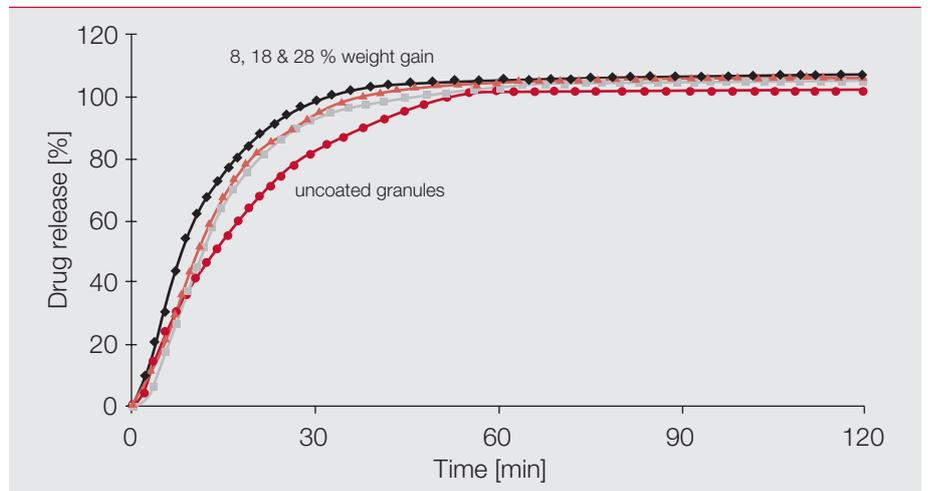


Figure 8 b: Theophylline granules: Dissolution at pH 1.2.

Moisture protection (Sorbitol tablets)

Sorbitol tablets are highly hygroscopic and were therefore chosen as model to evaluate moisture barrier functionality of the coating.

Composition of tablets

Cores: Sorbitol (Neosorb® P 60 W): 49.75%, Ludipress® 49.75%, Magnesium stearate: 0.5%, round, convex, Ø 9 mm; Hardness: 110 N

Composition of spray suspension

Ingredients	Content [%]
Kollicoat® Smartseal 30 D	34.66
Tributyl citrate (TBC)	1.35 (13% rel to polymer)
Butylated hydroxytoluene (BHT)	0.26 (2.5% rel. to polymer)
Talc	8.00
Water	56.67
Total	100
Solids content in the spray suspension	20.0
Polymer content in the dried film	52.0

Process parameters

Machine	Perforated pan coater Glatt GC 300
Inlet air temperature	70 °C
Batch size	1.5 kg
Product temperature	40 °C
Spraying rate	11 g/min
Nozzle diameter	1.2 mm
Spray pressure	2.0 bar
Final drying	40 °C, 15 min (product temperature)
Coating level	up to 20 mg/cm ²

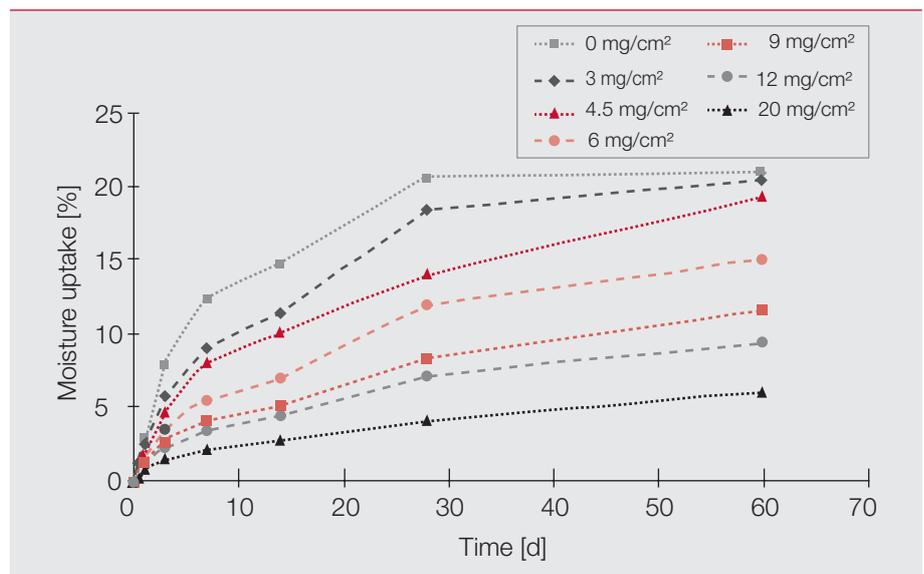


Figure 9: Moisture uptake of sorbitol tablets during storage at 30 °C and 70% relative humidity.

Kollicoat® Smartseal 30 D based formulations can significantly reduce moisture uptake during storage. The barrier effect increases with higher coating levels.

Caffeine granules

Substrate

caffeine granules 0.2/0.5 µm

Composition of spray suspension

Ingredients	Content [%]
Kollicoat® Smartseal 30 D	40.6
Acetyltriethyl citrate (ATEC)	1.5 (12.5% rel. to polymer)
Butylated hydroxytoluene (BHT)	0.3 (2.5% rel. to polymer)
Talc	6.0
Water	51.6
Total	100
Solid content in the spray suspension	20
Polymer content in the dried film	52.0

Process parameters

Parameter	Value
Machine	Glatt GPCG 3.1
Spray set-up	Bottom spray, 25 cm Wurster 7" column
Batch size	1.3 kg
Inlet air temperature	55 °C
Product temperature	31 – 34 °C
Nozzle diameter	1.0 mm
Spray rate	15 – 18 g/min
Spray pressure	1.5 bar
Inlet air	88 – 100 m³/h
Final drying	~ 45 °C product temperature
Curing	2 h @ 60 °C
Weight gain	15, 20, 25%

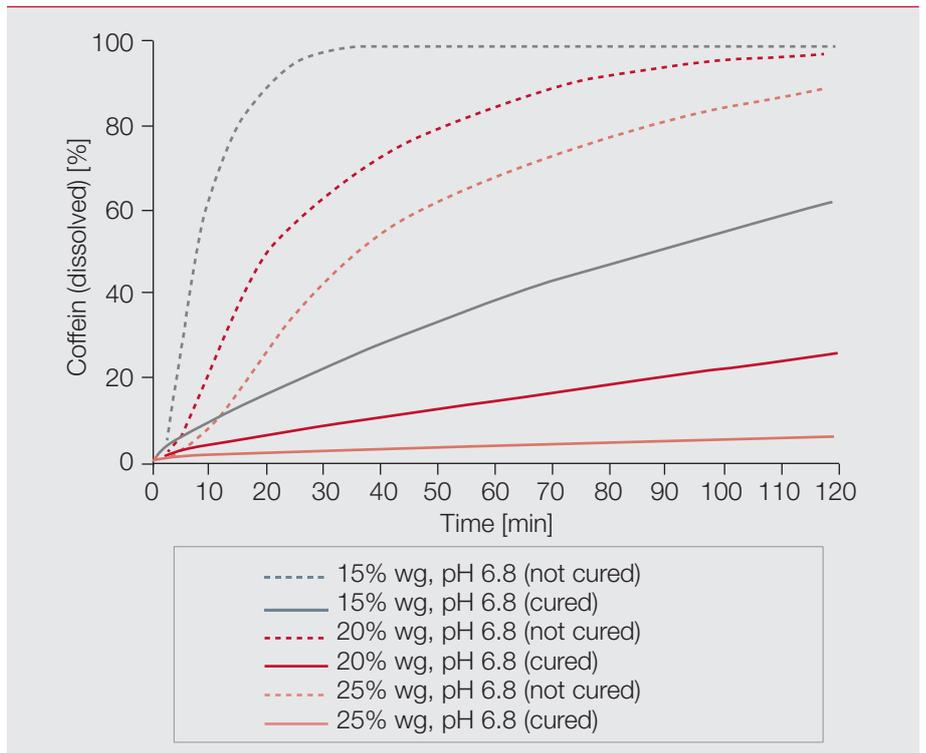


Figure 10: Dissolution of caffeine granules with different weight gains with and without curing.

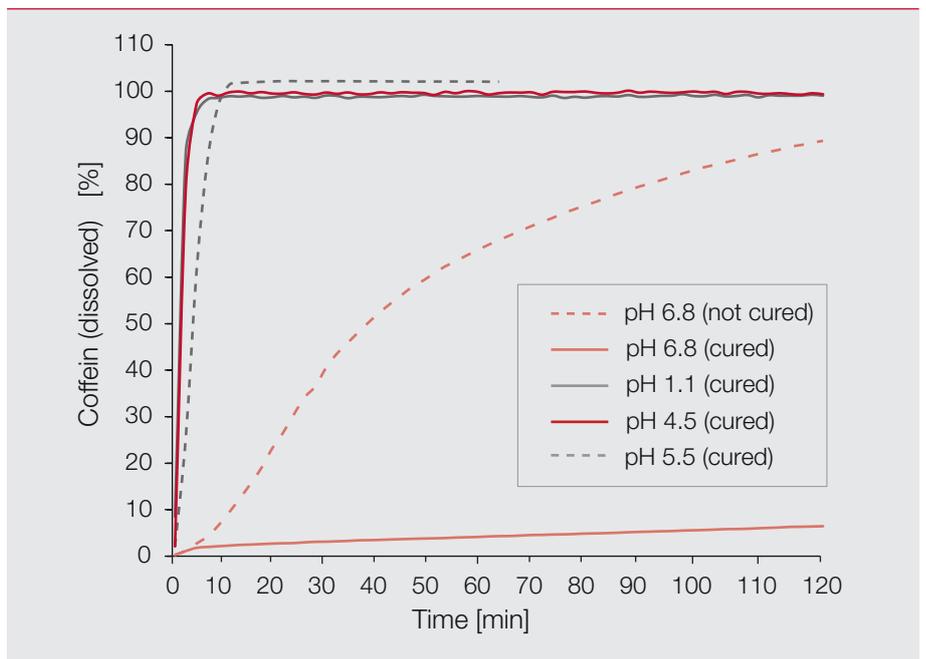


Figure 11: Dissolution of caffeine granules with 25% weight gain at different pH values.

6. Handling & Safety

Please refer to the individual material safety data sheet (MSDS) for instructions on safe and proper handling and disposal. Material safety data sheets are sent with every consignment. In addition they are available on BASF WorldAccount* or from your local BASF sales representative.

7. Product Specification

The current version of the product specification is available on BASF WorldAccount* or from your local BASF sales representative.

8. Regulatory & Quality

Please refer to the individual document quality & regulatory product information (QRPI) which is available on BASF WorldAccount* and from your local sales representative. **The QRPI covers all relevant information including retest dates, and storage conditions.**

9. Toxicology

The safety of the polymer in Kollicoat® Smartseal 30 D as pharmaceutical excipient in film coating of solid oral dosage forms is supported by a comprehensive non-clinical study. A summary of the study is available on BASF WorldAccount* or from your local sales representative. A detailed report can be shared as part of a non-disclosure agreement.

* <https://worldaccount.basf.com>

10. PRD and Article numbers

PRD-No.*	Product name	Article numbers	Packaging
30492630	Kollicoat® Smartseal 30 D	50138477	25 kg PE jerrican

* BASF's commercial product number.

11. Publications

Publications including scientific posters are available on <http://pharmaceutical.basf.com/en.html>

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February 2019