

# A new excipient for effective taste masking at low coating levels

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## Purpose

### Taste masking – a formulation challenge

- With the increasing popularity of orally dispersible tablets and the need to develop pediatric medicines, taste masking has gained particular importance in formulation development.

### Kollocoat® Smartseal 100 P

- Diethylaminoethyl methacrylate and methyl methacrylate copolymer is an innovative polymer that has been available as aqueous dispersion since 2011 (Kollocoat® Smartseal 30 D).
- Now, a dry powder of this polymer is presented: Kollocoat Smartseal® 100 P can be dissolved in organic solvents (e.g. acetone) or can be re-constituted as an aqueous coating dispersion.

## Methods

### Product composition

- The polymer powder is manufactured by gentle spray drying of Kollocoat® Smartseal 30 D.
- It comprises 95.5% polymer, approx. 2% macrogol cetostearyl ether and approx. 2.5% sodium lauryl sulfate.

### Reconstitution of an aqueous dispersion

- Partial neutralization of the amino functional groups is necessary. Divalent carboxylic acids, such as succinic acid are particularly suitable.

## Methods

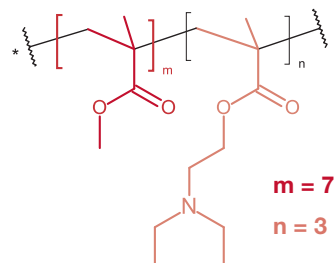
### Experiments

- Coating: Manesty XL Lab 01 (5 kg); 1.2 mm nozzle; pressure 1.2 bar; spray rate: 20 g/min; inlet air: 350 m<sup>3</sup>/h; inlet air temperature: 55°C; product temperature: 37–39°C.
- Dissolution: USP Type II; paddle speed: 50 rpm; 37°C in 900 mL phosphate buffer pH 6.8 or 0.08N HCl.
- Particle size: Zetasizer Nano S (Malvern Pananalytical GmbH); 5 mL dispersion in water.
- Minimum film forming temperature: Determined with a Kofler Hot Bench (Wagner & Munz).

## Results

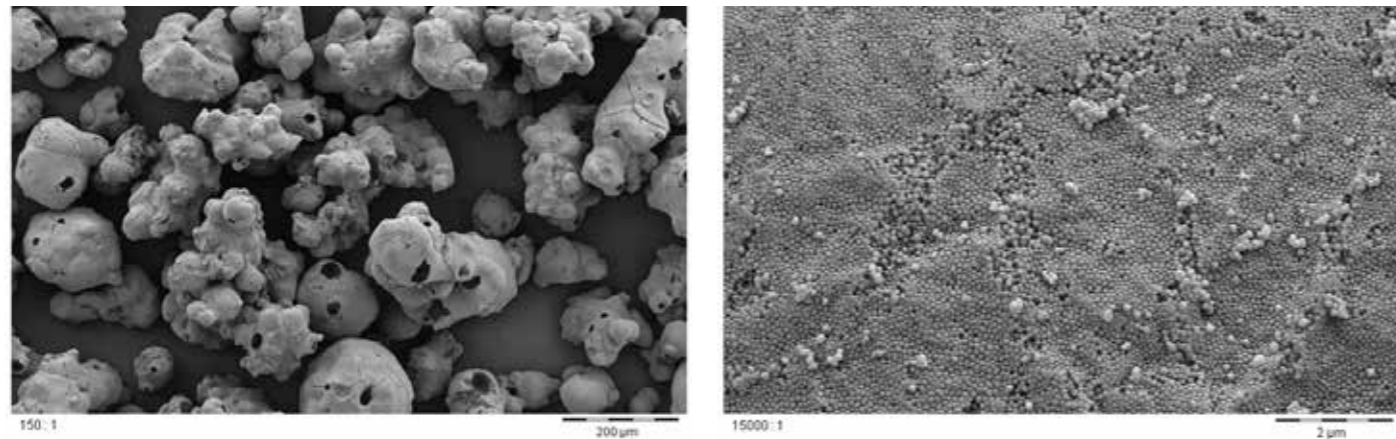
### Chemical structure

- DEAEMA – MMA copolymer (3:7).
- Insoluble at neutral pH values but soluble at pH values below 5.
- Suitable for taste masking and moisture protection.



**Appearance**

- Individual polymer (latex) particles remained intact and were distinguishable in the spray dried product.



**Core**

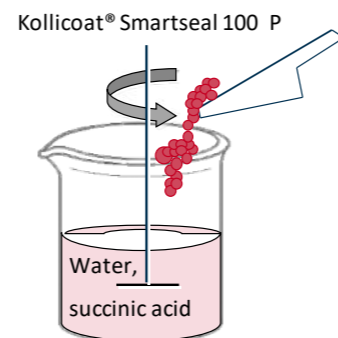
Ingredient	Content
Caffeine	15.5%
Ludipress® LCE	74.0%
Kollidon® VA 64	5.0%
Kollidon® CL-F	5.0%
Mg stearate	0.5%
<b>Total:</b>	<b>100%</b>
Tablet weight	330 mg
Tablet size	9 mm
Tablet hardness	125 N

**Coating suspension**

Ingredient	Content
Kollocoat® Smartseal 100 P	20%
Succinic acid	0.4%
Butylated hydroxytoluene	0.5%
Acetyl tributyl citrate	3%
Talc (varying amounts)	4, 6 or 8%
Water	ad 100%
<b>Total:</b>	<b>100%</b>
Solids content	20%
Curing (if applied)	2 hrs 60°C

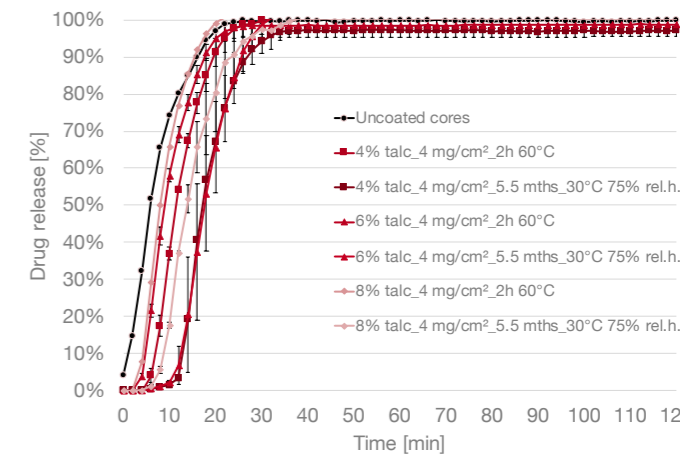
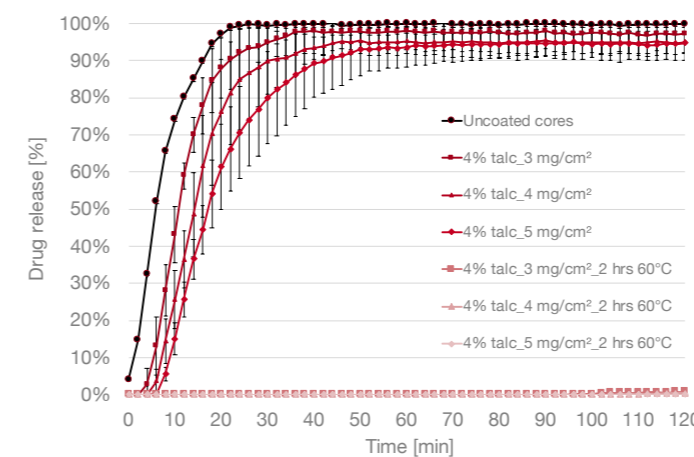
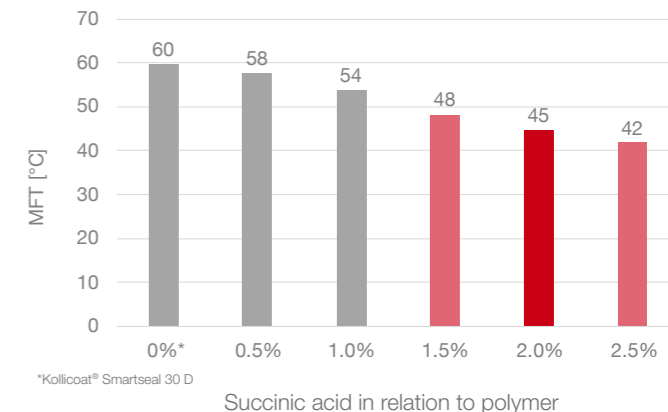
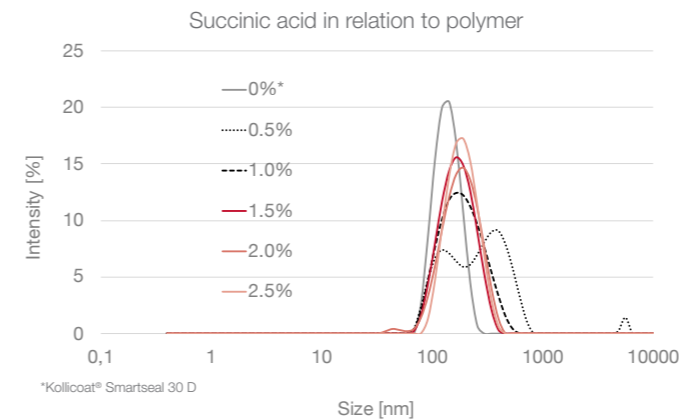
**Reconstitution of an aqueous dispersion**

- Dissolve succinic acid in water.
- Slowly add Kollocoat® Smartseal 100 P.
- Gently stir for 10 – 15 minutes until the powder is immersed.
- Proceed as recommended for Kollocoat® Smartseal 30 D to add plasticizer and antioxidant.
- Approx. 2% succinic acid (based on polymer) is recommended to achieve optimal results.



**Impact of partial neutralization on particle size and MFT**

- Particles swell by partial neutralization by approx. ~40 nm. The minimum film forming temperature (MFT) is reduced.



- Curing increased resistance in phosphate buffer pH 6.8. Taste masking effect was obtained by coating levels of 3 mg/cm².

- Caffeine was released quickly and completely in 0.08N HCl; also after 5.5 months storage at 30°C/75% relative humidity.

**Conclusions**

- Kollocoat® Smartseal, a new protective film forming polymer has similar taste masking functionality in both forms, as aqueous dispersion and as dry powder.
- The dry powder can be partially neutralized and reconstituted to an aqueous dispersion or be dissolved in organic solvents.
- Aqueous based formulation examples demonstrated that a taste masking effect can be achieved with thin coating levels.
- The addition of pigments is recommended to reduce tackiness of the partially neutralized polymer films.

**References**

- Kolter, K. et al: Effective taste masking based on the new coating dispersion Kollocoat® Smartseal 30 D. 38th Annual Meeting and Exposition of the Controlled Release Society. National Harbour, USA, 2011.

GENPMD560: Published at the AAPS Annual Meeting & Exposition, November 4–6th, 2018, Washington, USA.

# Kollicoat® Smartseal 30 D

# Kollicoat® Smartseal 100 P

BASF's functional methyl methacrylate (MMA) and diethylaminoethyl methacrylate (DEAEMA) co-polymer

- ✓ Smart protection from unpleasant taste
- ✓ Effective sealing against moisture
- ✓ Fast release of active ingredients
- ✓ Optimal coating polymer for ODT formulations, pellets and particles

## Kollicoat® Smartseal 30 D

- ✓ Aqueous dispersion for easy and economical film coating

## Kollicoat® Smartseal 100 P

- ✓ Spray dried powder of Kollicoat® Smartseal 30 D

Extensive regulatory, toxicological, and application data available to support new product development.

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