A new excipient for effective taste masking at low coating levels

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Purpose

Taste masking

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

Kollicoat® Smartseal

Diethylaminoethyl methacrylate and methyl methacrylate co-polymer is an innovative polymer that has been available as an aqueous dispersion since 2011 (Kollicoat® Smartseal 30 D).

The dry powder version is available as Kollicoat® Smartseal 100 P. It can be dissolved in organic solvents (e.g. acetone) or can be re-constituted as an aqueous coating dispersion when partially neutralized with acid.

Methods

Product composition

Kollicoat® Smartseal comprises 95.5% polymer, approx. 2% macrogol cetostearyl ether and approx. 2.5% sodium lauryl sulfate.

Kollicoat® Smartseal 100 P is manufactured by gentle spray drying of Kollicoat® Smartseal 30 D.

Reconstitution of an aqueous dispersion

Partial neutralization of the amino functional groups is necessary for the Kollicoat® Smartseal 100 P. Divalent carboxylic acids, such as succinic acid are 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 m³/h; inlet air tem-perature: 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.

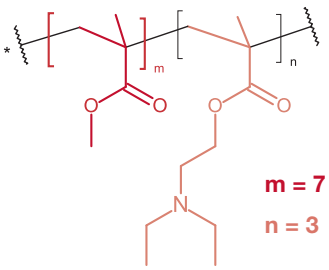
Minimum film-forming temperature: Determined with a Kofler Hot Bench (Wagner & Munz).

Results

Chemical structure

Kollicoat® Smartseal: DEAEMA – MMA copolymer (3:7): Insoluble at neutral and basic pH values but soluble at pH values below 5.

Suitable for taste masking and moisture protection.



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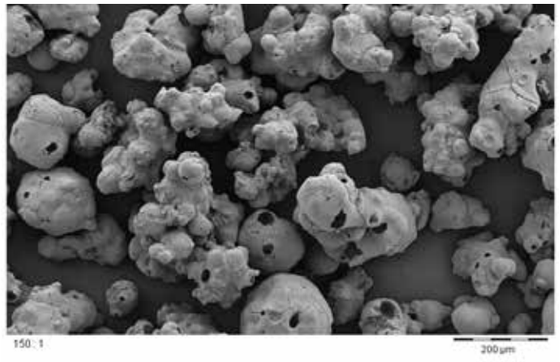
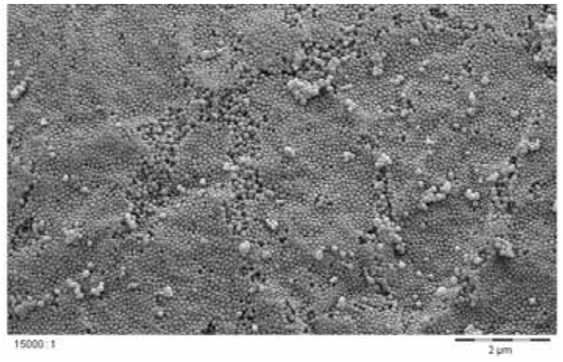
We create chemistry

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%
Total:	100%
Tablet weight	330 mg
Tablet size	9 mm
Tablet hardness	125 N

Coating suspension

Ingredient	Content
Kollicoat® 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%
Total:	100%
Solids content	20%
Curing (if applied)	2 hrs 60°C

Reconstitution of an aqueous dispersion

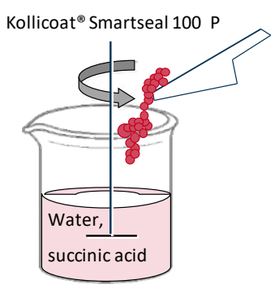
Dissolve succinic acid in water. Approx. 2% succinic acid (based on polymer weight) is recommended to achieve optimal results.

Slowly add Kollicoat® Smartseal 100 P.

Gently stir for 10 – 15 minutes until the powder is incorporated.

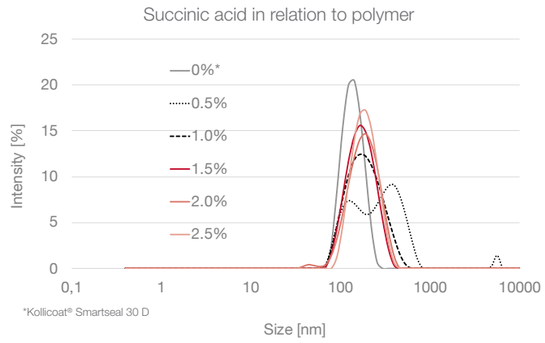
Proceed as recommended for Kollicoat® Smartseal 30 D: add the plasticizer, antioxidant and talc[1].

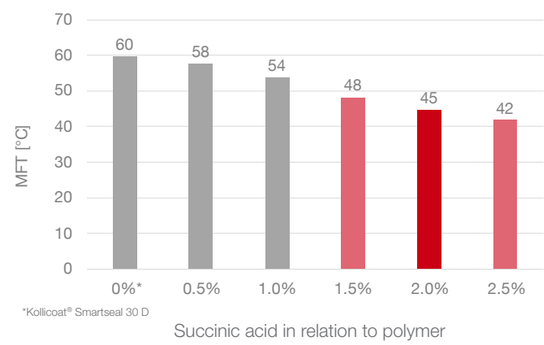
[1] Talc is not necessary for the coating process but is later needed to minimize particles agglomeration. Blend or spray talc to the substrate or coat them with an after-coat film are alternatives.

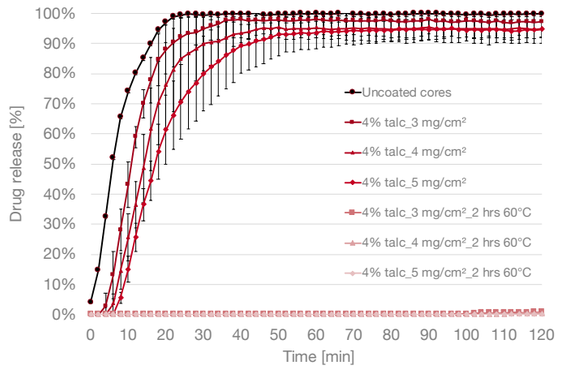


Impact of partial neutralization on particle size and MFT

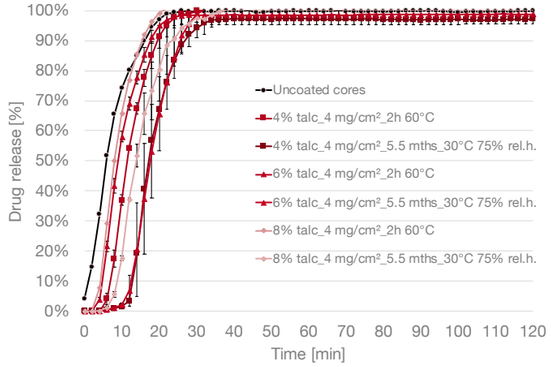
With the addition of succinic acid, the basic amino functional groups protonate; the polymer particles swell by this partial neutralization by approx. ~40 nm due to polymer chain relaxation. In addition, the increase in succinic acid concentration reduces the minimum film-forming temperature (MFT) because of the increased polymer chain mobility.







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



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

Conclusions

Kollicoat® Smartseal, a 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 low coating levels.

References

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

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Kollicoat® Smartseal 30 D
Kollicoat® Smartseal 100 P

BASF's functional methyl methacrylate (MMA) and diethylaminoethyl methacrylate (DEAEMA) copolymer

- ✓ 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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