How to enhance your tablet coating formulation development by using a particle engineered polyvinyl alcohol

T. Kipping[1], N. Di Gallo, A. G. Elia, A. N. Knuettel, A. Marx, S. Sternkopf, F. Bauer

Purpose

Polyvinyl alcohol (PVA) is a well-established polymer for film coating. PVA is a synthetic, biocompatible and toxicologically well characterized polymer. It is frequently used in pre-mixed coating formulations.

Fixed combinations with functional ingredients are well established. One hurdle during preparation of PVA based coating solutions is the high temperature which is required to dissolve the polymer in water. The new particle optimized PVA is designed to overcome this challenge and allow rapid preparation times.

The high purity of the material assures a homogenous surface finishing and combined with an optimized surface roughness.

Defining a toolbox of relevant plasticizers in combination with PVA is an important step to optimize individual formulation development. Properties of the polymeric films can be influenced and finetuned according to targeted requirements.

Objectives

The aim was to define a formulation approach to individually adjust the film properties of the respective coating formulations by the addition of different plasticizers. A dedicated laser scanning microscopy method was to be established to evaluate the surface roughness of the tablets. A defined raster was projected on the tablet surface to obtain reproducible results (see Figure 1).

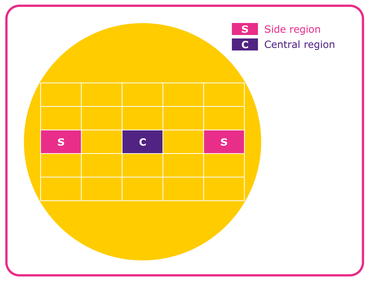


Figure 1: Schematic view of the dedicated raster projected on the tablet surface to evaluate dedicated tablet regions.

Methods

Tablet cores were manufactured using a rotary tablet press (Korsch PH230/14) at 76 Upm; compression force: 20 kN; height: 5 mm; tablet diameter: 11 mm; targeted tablet weight: 500 mg. A simplified core formulation was used: 98,5% mannitol + 1,5% magnesium stearate. Coating was performed using a rotating drum coater type LDCS from Vector Freund Corporation.

Different grades of polyethylene glycol (PEG) varying in their mean molecular weight were used as plasticizers in concentrations of 35% in the coating formulation. The amount of PVA was kept constant at 45%. The formulation strategy is presented in Figure 2. The targeted weight gain was set to 3%. A dedicated laser scanning microscopy method (LSM) was established to evaluate the surface structure of the various film coatings using a Keyence VKX210 laser microscope. The experimental setup is presented in table 1.

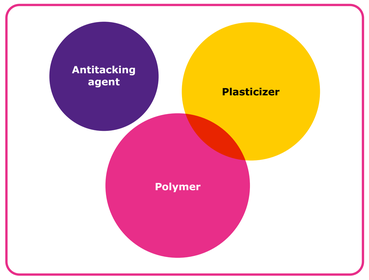
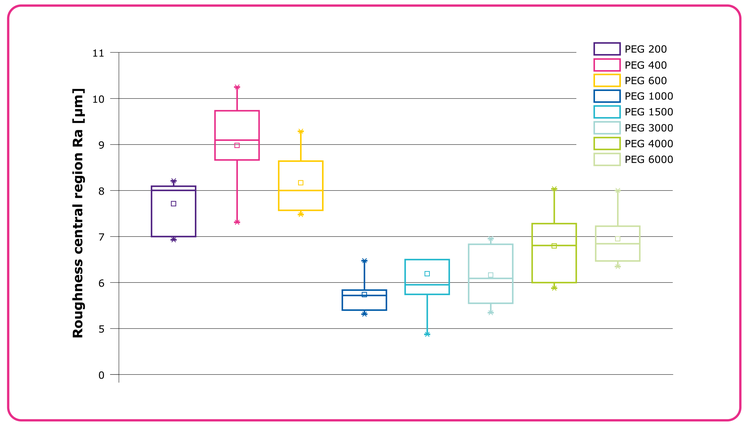


Figure 2: Strategy for formulation development with PVA.

Batch number	Formula 1 FC-002-18 Amount [%]	Formula 2 FC-007-18 Amount [%]	Formula 3 FC-010-18 Amount [%]	Formula 4 FC-004-18 Amount [%]	Formula 5 FC-009-18 Amount [%]	Formula 6 FC-003-18 Amount [%]	Formula 7 FC-005-18 Amount [%]	Formula 8 FC-006-18 Amount [%]
Parteck® COAT	45.00	45.00	45.00	45.00	45.00	45.00	45.00	45.00
PEG 200	35.00							
PEG 400		35.00						
PEG 600			35.00					
PEG 1000				35.00				
PEG 1500					35.00			
PEG 3000						35.00		
PEG 4000							35.00	
PEG 6000								35.00
Parteck® LUB Talc	20.00	20.00	20.00	20.00	20.00	20.00	20.00	20.00
Sum	**100.00**	**100.00**	**100.00**	**100.00**	**100.00**	**100.00**	**100.00**	**100.00**

Table 1: Overview of aqueous based coating formulations. Solid content of the spraying liquid: 9%, coating weight gain: 3%.



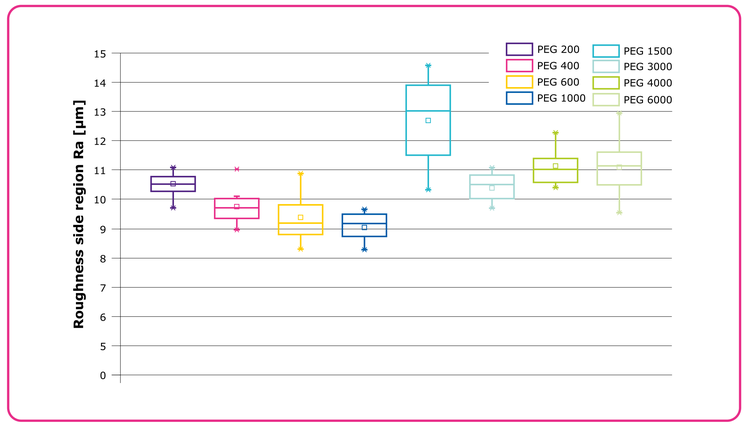


Figure 3: Comparison of the roughness of the coated tablets using different PEG grades as plasticizers (above: Central regions (n=6), below: Side regions (n=12).

Results

For all formulations it was shown that PEG is a very good plasticizer for PVA. The variation of the PEG grade influences the surface roughness of the coated tablets (Figure 3). A sweet spot for surface finishing can be identified in the lower molecular weight range. Especially PEG 1000 and PEG 1500 are very suitable plasticizers for creating homogenous surfaces. An optimal range for coating applications can be defined depending on the targeted surface roughness of the final coated tablets.

Conclusions

The particle optimized PVA provides allows rapid preparation times during creation of the coating liquid. Due to its high purity it provides an outstanding surface finishing ad demonstrated via surface roughness measurements.

In order to develop enhanced coating formulations the addition of plasticizers and anti-tacking agents is required. A great variety of formulation options can be realized and individually adapted to the respective development requirements

It could be demonstrated that the molecular weight of the PEG grade influences the surface finishing of the coated tablets. Identifying the optimal plasticizer is an important factor for ensuring the performance of the film coating

Leveraging a functional toolbox with varying PEG grades can be an interesting opportunity to speed up the development process and easily fine-tune the surface roughness of the finished tablets.

References

1. Muppalaneni S, Omidian H. Polyvinyl alcohol in medicine and pharmacy: a perspective. J Dev Drugs. 2013;2(3):1-5.

[1] MilliporeSigma: thomas.kipping@milliporesigma.com

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