Comparing Different Moisture Protective Instant Release Coatings for Solid Oral Dosage Forms

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Introduction

Drug stability and shelf life are main targets of current pharmaceutical development as many active ingredients are highly moisture sensitive. Instead of using impermeable and expensive packaging materials it appears advisable to test moisture protective instant release film coatings.

Objective

Moisture protective coatings are already well established. For instant release coatings, formulations based on polyvinyl alcohol (PVA), hydroxypropyl methylcellulose (HPMC) and Kollicoat® Protect are widely used.

As the water vapour transmission rate (WVTR) is not the only vital parameter to consider, this paper intends to compare the processing of moisture protective coatings based on the mentioned polymers.

Experimental

- **Materials:**
Table 1 shows the formulations tested, whereas Kollicoat® Protect is a combination of Kollicoat® IR : polyvinyl alcohol in the ratio 6:4.

- **Equipment:**
Viscosity measurement: RheoVisco 1, ThermoScientific (Germany);
film caster: Coatmaster, Erichsen Testing Equipment (Germany);
transmission tester: Permatran, Mocon (USA);
texture analyser: TA-XT2i HR, Stable Micro Systems (UK);
drum coater: AccelaCota 24", Manesty (UK)

- **Methods:**
The parameters of comparison were the following:
  - viscosity
  - elongation at break
  - water vapour transmission rate
  - coating parameters

Formulations Tested

Kollicoat® Protect	PVA	HPMC
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Talc	Lecithin	MCC
Titanium dioxide	Talc	Stearic acid
Iron oxide (red)	TiO_2	TiO_2
	Xanthan gum	Aluminium lake

Table 1

Results

Viscosity
The formulation based on Kollicoat® Protect has a very low viscosity. The same also applies to PVA. Both formulations allowed high solid contents in the film coating dispersion.

In contrast, the HPMC based formulation offered poor flow properties. Very high viscosities were already obtained with moderate solid matter contents (Figure 1).

Dynamic Viscosity at 25°C as Function of Solid Matter Content of the Spray Dispersion

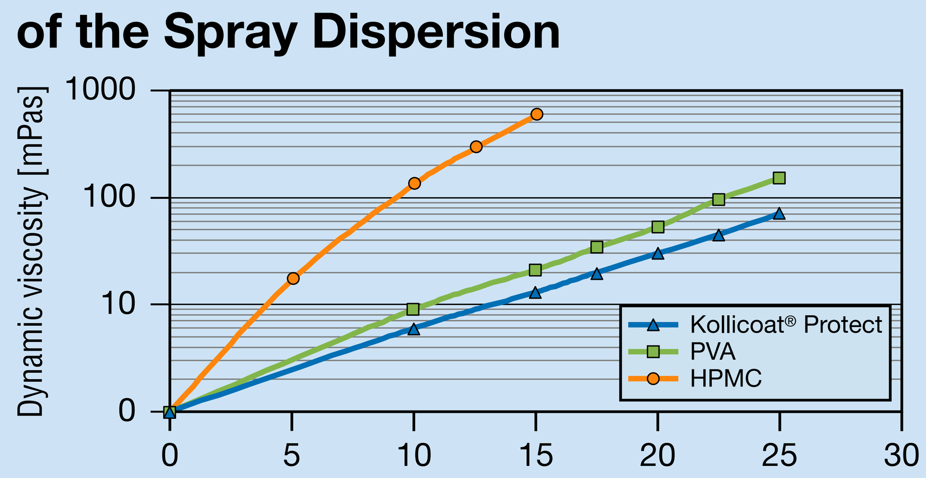

Figure 1

Elongation at Break
As the WVTR is directly related to the amount of insoluble pigments in the formulation, the elasticity of the polymers is crucial [2].

In formulations with increasing ratios of talc, HPMC as a brittle film former showed a very low pigment loading capacity. Kollicoat® Protect and to a lesser degree PVA were able to incorporate high amounts of talc before getting brittle (Figure 2).

Elongation at Break as Function of the Talc Content

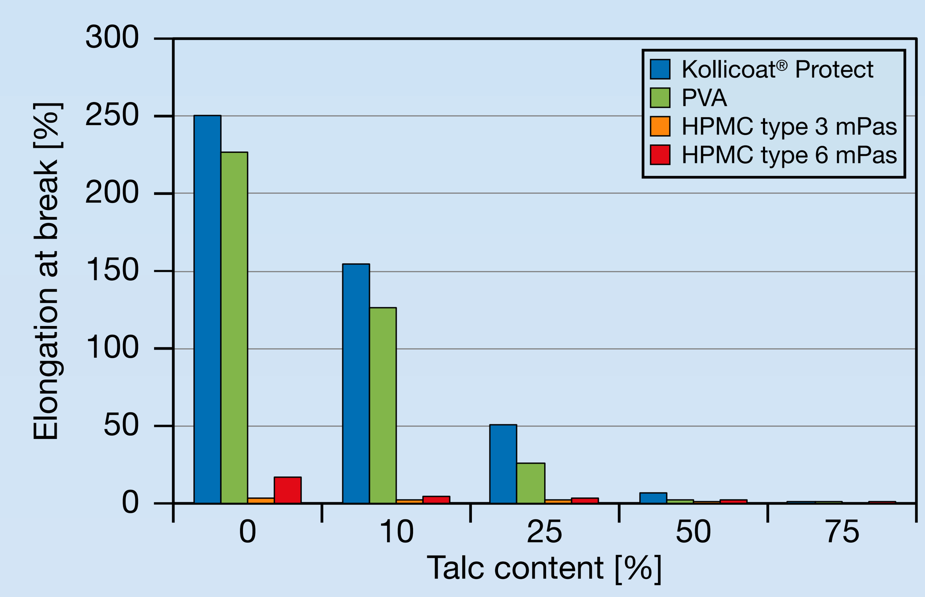

Figure 2

Water Vapour Transmission Rate (WVTR)
To determine the effectiveness of the protective coating the WVTR [1] was compared to the permeability of a classical HPMC based coating. It was found that the addition of stearic acid improves the barrier function of the HPMC film significantly. However, compared to the other formulations the WVTR is still very high (Figure 3).

The four climatic conditions according to the ICH guidelines were chosen, to test their influence on the WVTR. To appraise the barrier function, the reduction in WVTR compared to a classical HPMC based coating was plotted (Figure 4).

Although the same film forming polymer was used, the protective coating based on HPMC was found to have a higher WVTR at temperatures below 40°C. In contrast, the formulations based on Kollicoat® Protect and PVA offered a reduction in transmission of about 90%.

WVTR of Different Film Coating Formulations (23°C/85% r.h.)

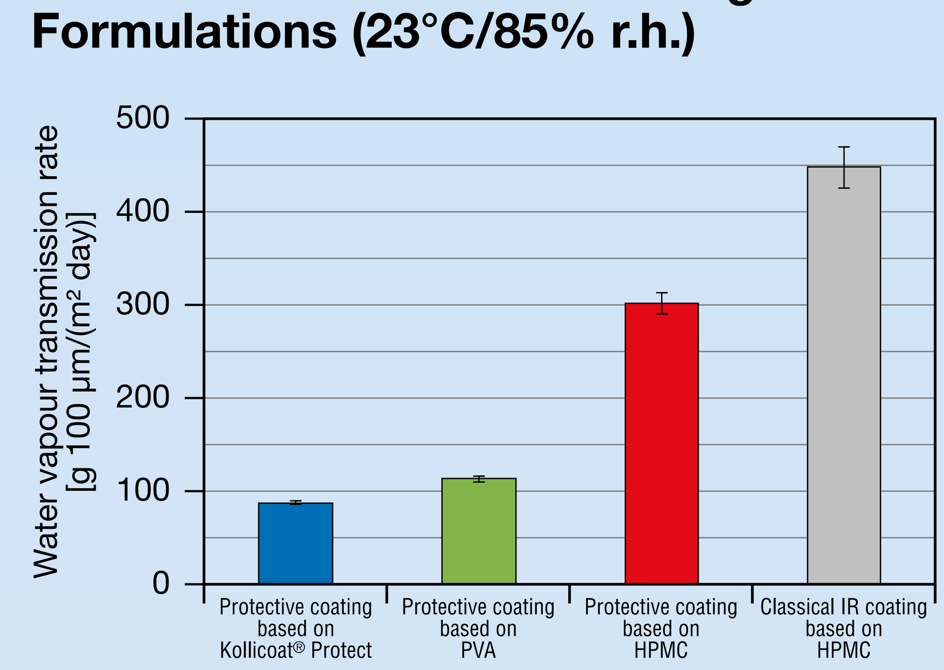

Figure 3

WVTR relative to a Classical HPMC Coating at different climates

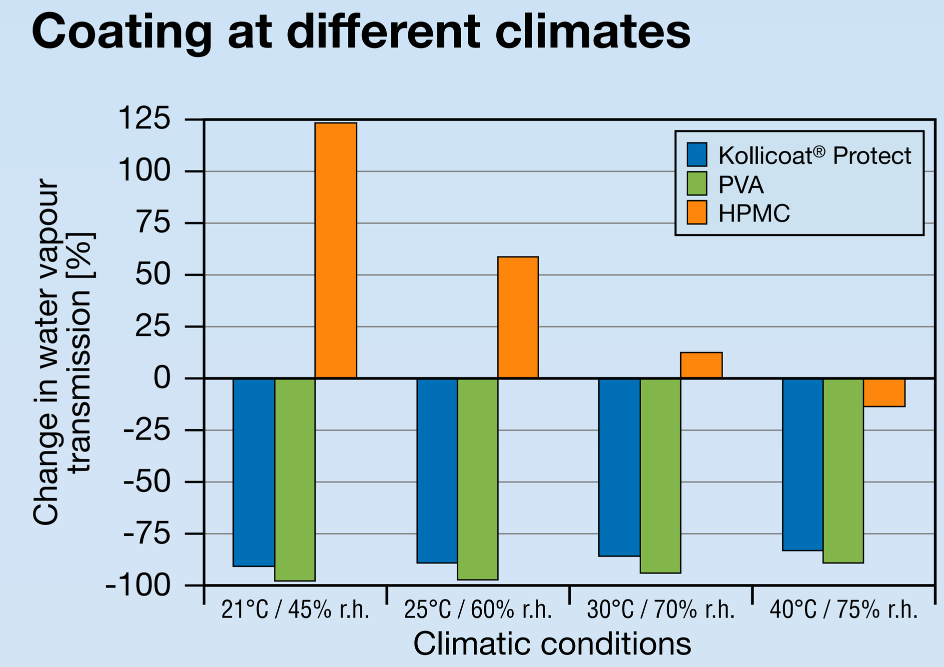

Figure 4

Coating Properties
The coating properties were appraised using the Process-Parameter-Chart [3]. HPMC is a brittle film former. The addition of stearic acid as barrier enhancer increased the brittleness of this polymer. Therefore, the coating range is very narrow (Figure 7). Furthermore, due to the high viscosity the process time is very long.

In contrast, the formulations based on Kollicoat® Protect (Figure 5) and PVA (Figure 6) offered a wide range of applicable parameters and very short process times.

Process-Parameter-Chart of the Kollicoat® Protect Based Protective Coating

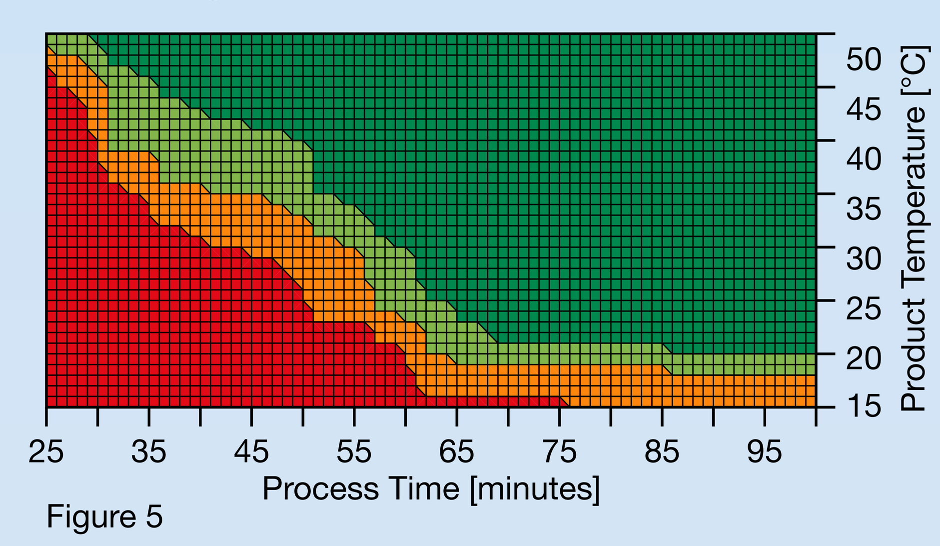

Figure 5

Process-Parameter-Chart of the PVA Based Protective Coating

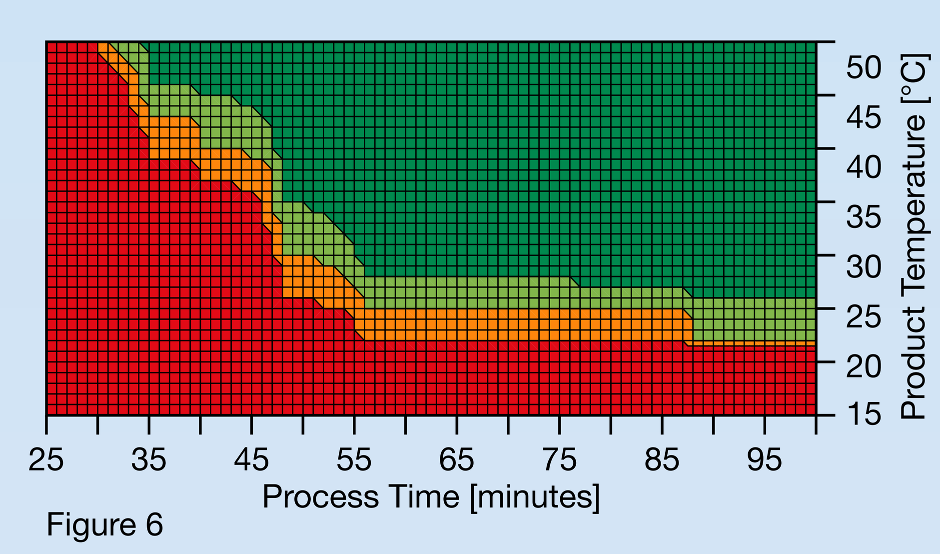

Figure 6

Process-Parameter-Chart of the HPMC Based Protective Coating

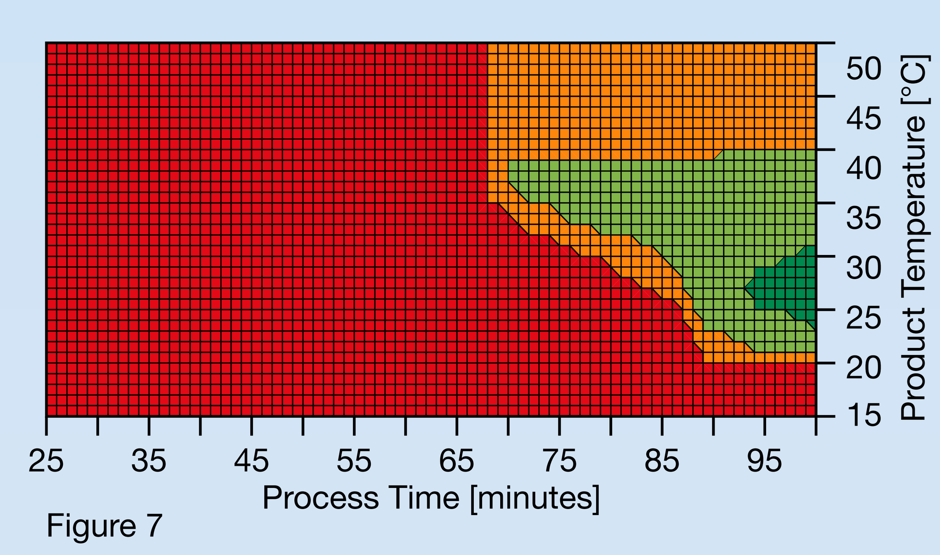

Figure 7

Conclusions

- **Kollicoat® Protect offers the highest pigment binding capacity.**
- **The formulation containing Kollicoat® Protect shows the highest barrier function.**
- **The Kollicoat® Protect and PVA based formulations show the highest barrier function regardless of the climatic conditions.**
- **Due to their low viscosity, formulations based on Kollicoat® Protect and PVA can be used with high solid contents in short and simple coating processes.**

References

[1] ASTM F-1249
[2] T. Cech, K. Kolter; Developing an Instant Release Moisture Protective Coating Formulation based on Kollicoat® Protect as Film Forming Polymer; PBP World Meeting 2008
[3] T. Cech, K. Kolter; Comparison of the Coating Properties of Instant Release Film Coating Materials Using a Newly Developed Test Method – the Process-Parameter-Chart, PSWC 2007

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