Enhancing the Moisture and Oxygen Protective Properties of an Instant Release Film-Coating Formulation

T. Agnese[1], T. Cech[1], V. Geiselhart[2]

[1] European Pharma Application Lab, BASF SE, Ludwigshafen, Germany | E-mail: thorsten.cech@basf.com
[2] BASF SE, Technical Service Pharma Ingredients Europe, Ludwigshafen, Germany

BASF The Chemical Company

Introduction

Applying a protective coating is an appropriate measure to prevent solid dosage forms from taking up humidity or oxygen. Instead of using impermeable and expensive packaging materials, it is advisable to test protective instant release film-coatings.

To enhance the protective properties of a coat several excipients could be added to the formulation [1, 2]. This work was conducted to investigate the impact of copovidon on the protective properties of an instant release (IR) coat.

Experimental Methods

Materials

As film forming polymer, Kollicoat® Protect (Kollicoat® IR: polyvinyl alcohol 6:4; BASF SE, Germany) was chosen.

As additives, copovidone (Kollidon® VA64), iron oxide red (Sicovit® Red 30), SDS (Texapon® K 12 P PH) (all BASF SE, Germany) and talc (Merck, Germany) were used.

Equipment

Film caster: Coatmaster, Erichsen Testing Equipment (Germany); knife with different die gaps (150–500µm); film thickness: MiniTest 600B, ElektroPhysik (Germany); transmission tester: Permatran, Mocon (USA).

Methods

For the determination of the water vapour transmission rate (WVTR) and the oxygen gas transmission rate (OGTR), isolated films of comparable thicknesses of 70–75 µm were prepared using a Coatmaster™. To prevent sedimentation during the drying phase, the dispersions were cast in three to four thin layers (one on top of the other) – depending on the pigment content and the film density.

The resulting isolated films were tested according to ASTM [3, 4].

Formulations

Various formulations according to Table 1 were tested.

Table 1: Formulations tested, amount of excipient in the formulation indicated in [%]

	Kollicoat® Protect	Kollidon® VA64	Talc	Iron oxide	SDS
Formulation 01	50.00	-	45.0	5.0	-
Formulation 02	45.00	5.00	45.0	5.0	-
Formulation 03	37.50	12.50	45.0	5.0	-
Formulation 04	25.00	25.00	45.0	5.0	-
Formulation 05	25.00	-	67.0	8.0	-
Formulation 06	18.75	6.25	67.0	8.0	-
Formulation 07	18.75	6.25	65.0	8.0	2.0
Formulation 08	40.00	-	54.0	6.0	-
Formulation 09	30.00	10.00	54.0	6.0	-
Formulation 10	30.00	10.00	52.0	6.0	2.0
Formulation 11	50.00	-	45.0	5.0	-
Formulation 12	37.50	12.50	45.0	5.0	-
Formulation 13	37.50	12.50	43.0	5.0	2.0
Formulation 14	60.00	-	36.0	4.0	-
Formulation 15	45.00	15.00	36.0	4.0	-
Formulation 16	45.00	15.00	34.0	4.0	2.0
Formulation 17	75.00	-	23.0	2.0	-
Formulation 18	56.25	18.75	23.0	2.0	-
Formulation 19	56.25	18.75	21.0	2.0	2.0

Results and Discussion

In a first set of experiments the general impact of copovidon on the transmission rates was investigated. Regarding WVTR, it was found that a copovidone concentration of 12.5 % enhanced the protective property markedly (Figure 1). However, OGTR could not be reduced with these formulations (Figure 2). In contrary, with an increasing amount of copovidone being present in the coat, the OGTR was dramatically increased. This suggests that the protective properties against oxygen cannot be enhanced when copovidon is added to the formulation. Therefore, all further tests were focused on WVTR.

Earlier investigations showed that there is a strong relationship between pigment content in the coat and WVTR (Figure 3) [1]. This effect was investigated in a second set of experiment, whereas the ratio of Kollicoat® Protect and copovidon (3:1) was kept constant.

No improvement regarding WVTR could be achieved for coats containing a pigment concentration of 75 % (#06, Figure 4). Yet, a decisive reduction of WVTR could be found for formulations holding lower amounts of pigments (#09, #12, #15).

In previous investigations, SDS turned out to enhance the protective properties as well [1]. In a third set of experiments, this was tested also.

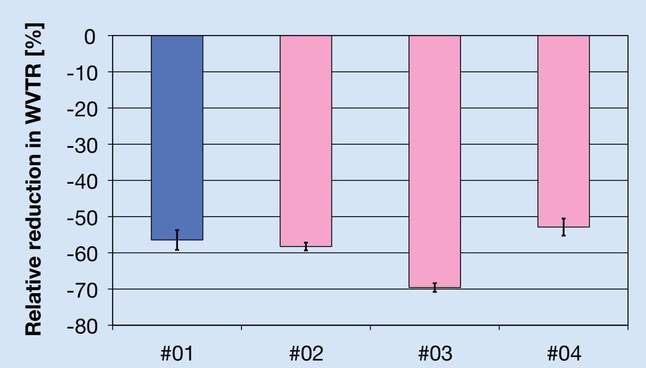

Figure 1: Relative reduction in WVTR compared to the pure polymer as function of copovidone content in the formulation

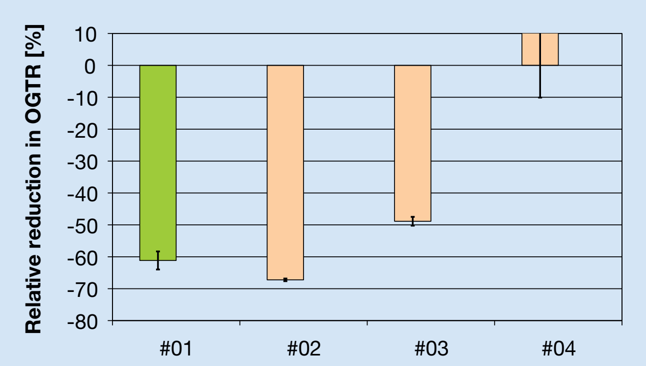

Figure 2: Relative reduction in OGTR compared to the pure polymer as function of copovidone content in the formulation

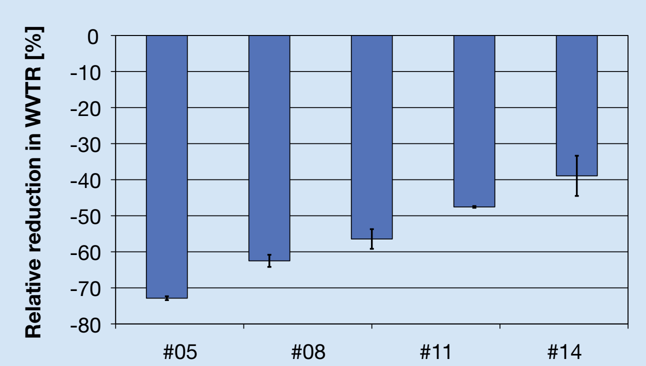

Figure 3: Relative reduction in WVTR of a standard formulation compared to the pure polymer as function of pigment load

The effect on coats containing 75 % of pigments was poor (#07, Figure 5). But the impact on all other formulation was tremendous. Finally, all coats (#10, #13, #16) offered the same and very high moisture protection.

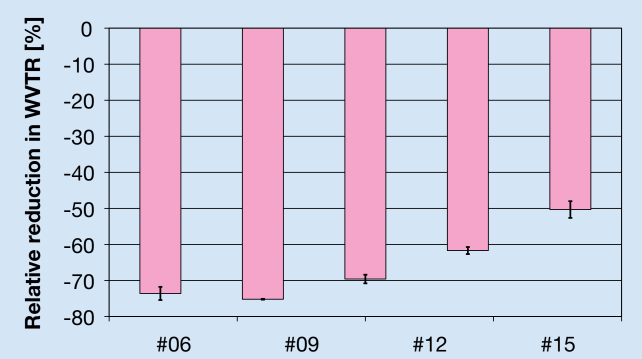

Figure 4: Relative reduction in WVTR of a formulation containing copovidon compared to the pure polymer as function of pigment load

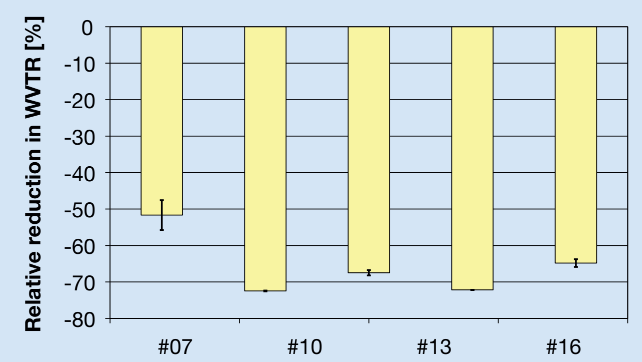

Figure 5: Relative reduction in WVTR of a formulation containing copovidon and SDS compared to the pure polymer as function of pigment load

Conclusion

Copovidon was distinctively influencing the WVTR through an isolated film. It can be concluded that a ratio of 3:1 (Kollicoat® Protect: copovidon) is distinctively improving the moisture protective properties of the coat.
This protective property was further enhanced by the addition of SDS. As soon as this excipient was added, the functionality did not depend on the pigment load any more.
The reduction of pigments is very beneficial for the film characteristics, as herewith the elasticity of the film is markedly increased.

References

[1] Agnese, T.; Cech, T.; Kolter, K.; Developing an instant release moisture protective coating formulation based on Kollicoat® Protect as film forming polymer; 6th PBP World Meeting, April 7–10, 2008; Barcelona, Spain

[2] Agnese, T.; Cech, T.; Geiselhart, V.; Investigating the influence of pigments and additives on oxygen gas transmission rate trough an instant release coat; 7th PBP World Meeting; 2010; Valetta, Malta

[3] ASTM F 1249; Standard test method for water vapour transmission rate through plastic film

[4] ASTM D 3985; Standard test method for oxygen gas transmission rate through plastic film and sheeting using a coulometric sensor

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