Characterization of a Novel Film Coating System Based on a PVA Copolymer with Excellent Productivity and Barrier Performance

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Poster Reprint
Opadry® 300

Purpose

High productivity immediate release film coatings with enhanced moisture and oxygen barrier functionality, and no impact on drug release compared to uncoated cores, are increasingly required. The aim of this study was to characterize Opadry® 300, optimized performance film coating, a fully formulated system containing a novel copolymer based on polyvinyl alcohol, methyl methacrylate and acrylic acid that offers a desirable combination of all these attributes.

Methods

The fully formulated Opadry 300 film coating system comprises PVA copolymer with triethyl citrate as a plasticizer, and additionally includes detackifiers, surfactant and pigment. This system was tested using the methodology described below.

Aqueous dispersion viscosity, pH and coating assessments to determine maximum fluid delivery rate (MFDR) with dispersions up to 25% solids were performed. The MFDR is defined as the maximum grams/minute of coating dispersion that can be sprayed onto the tablet bed during the coating process (under typical process conditions), without causing the tablets to stick to the coating pan or each other (agglomeration).

The MFDR was determined using a 1.5 kg charge of standard convex placebo tablets (10 mm diameter), which were coated in a fully-perforated, 15" side-vented pan containing one spray gun. The coating process parameters used to prepare the coated tablets are shown in **Table 1**.

Table 1. Coating Process Parameters

Coating Process Parameters	Value
Inlet Air Temperature (°C)	65
Tablet Bed Temperature (°C)	45
Airflow (m^3/hr)	250
Atomizing Air Pressure (bar)	1.5
Pan Speed (rpm)	22
Spray Gun-to-Bed Distance (cm)	10
Fan Air (bar)	1.5

Disintegration testing of acetaminophen (APAP) caplets, coated with a 3% weight gain of the Opadry 300 coating system in pH 1.2 acid, pH 4.5 and pH 6.8 buffers, was conducted to confirm the immediate release character of the coating.

Water vapor transmission rate (WVTR) was determined on cast films (thickness 100 ± 10 microns) using a VTI WPA-100 unit fitted with a 6.39 cm^2 test cell. The test film was first dried to an equilibrium dry state at 25°C with a dry N_2 purge gas flowing at a rate of 200 cm^3/min for 30 minutes. Moisture vapor was then introduced into the purge gas to develop relative humidity of 80% on one side of the test film. The moisture content on the opposite side of the test film was monitored, and the equilibrium rate of moisture transmission through the film was determined over a period of time. The test period was completed either when the measured transmission rate deviated by less than 0.02 g/water/day/m^2 for a period of 5 minutes or the total time allowed for the test was elapsed (typically up to 4 hours), whichever occurred first.

Oxygen transmission rate (OTR) was determined on cast films (thickness 100 ± 10 microns) using a MOCON OXTRAN 2/21 unit fitted with a 50cm^2 test cell. The test film was exposed to high purity oxygen with a relative humidity of 60% on one side and nitrogen gas with a relative humidity of 60% on the other side. The oxygen content on the

nitrogen gas side of the test film was monitored, and the equilibrium rate of oxygen transmission through the film was determined over a period of time. The test period was completed when the OTR deviated by less than 1% for a period of 24 hours or the total time allowed for the test elapsed (typically 100 hours), whichever occurred first.

Dissolution testing of the APAP 500 mg caplets (LNK International Inc.) was conducted according to USP recommended guidelines (**Table 2**). Both uncoated and coated caplets with a 3% WG of the novel film coating system were tested following 3 and 6 months storage at 40°C/75% RH, and 3, 6 and 12 months storage at 30°C/65% RH.

Table 2. FDA Recommended Dissolution Methods[1]

Active	USP Apparatus	Speed (RPM)	Medium	Volume (mL)
Acetaminophen	II (paddle)	50	Phosphate buffer, pH 5.8	900

Results

The dispersion viscosity and pH of the Opadry 300 coating composition was determined at solids levels up to 25%, as shown in **Figure 1**. The dispersion viscosity is well below the commonly accepted viscosity threshold of about 400 cP, indicating that this coating system is capable of application at solids in excess of 25%.

Figure 1. Dispersion Viscosity and pH vs % Solids for the Opadry 300 Film Coating

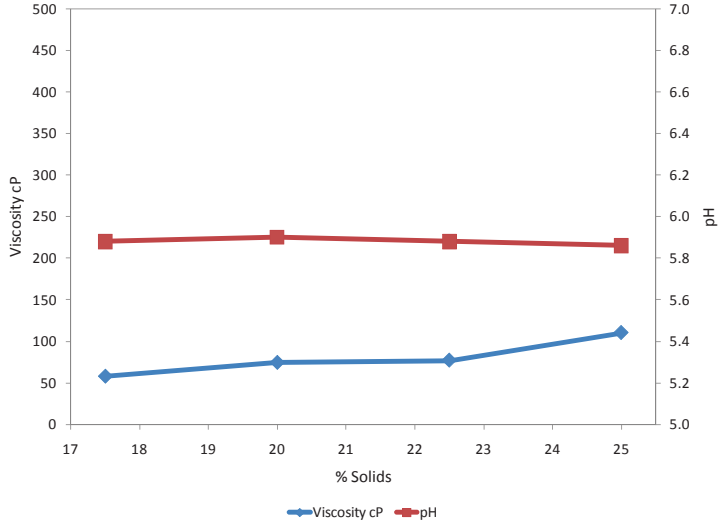


Figure 2. Average Disintegration Time for APAP Caplets Coated with 3% WG of the Opadry 300 Film Coating Formulation in pH 1.2 Acid, pH 4.5 and pH 6.8 Buffers

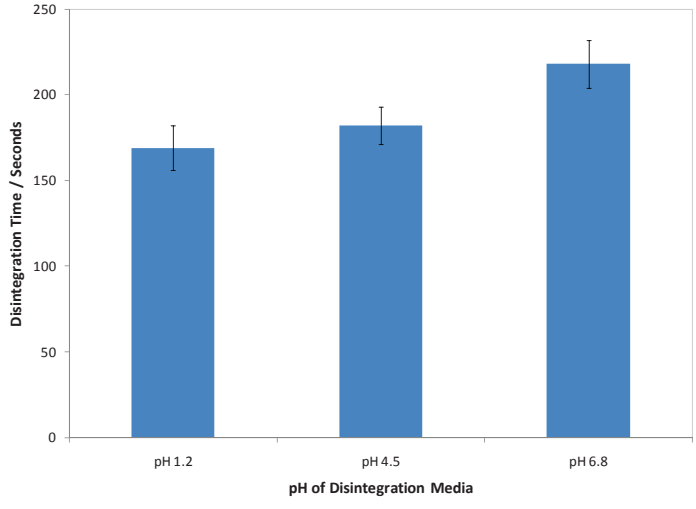


Figure 3. Water Vapor Transmission Rate Trace for the Opadry 300 Film Coating

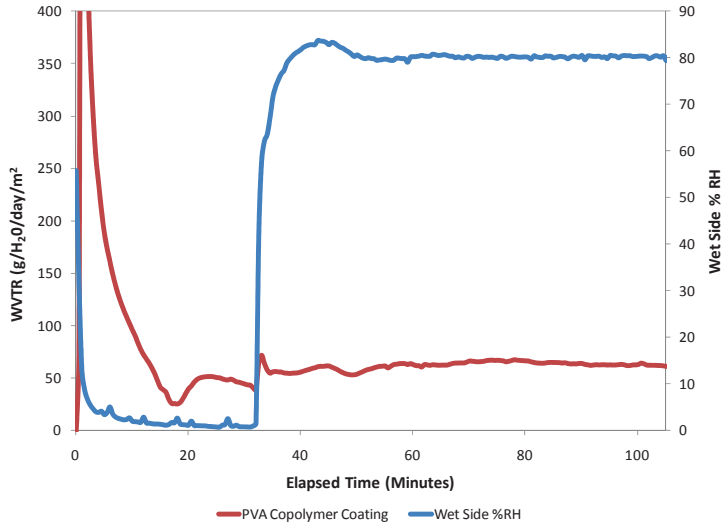


Table 3. WVTR Comparison of the Opadry 300 Film Coating Formulation to Existing Commercial Products (n=2)

Formulation	Water Vapor Transmission Rate $g/H_2O/day/m^2$
Opadry® 300	62 ± 16
Opadry® amb	108 ± 16
Opadry® 200	140 ± 16
Opadry® II, PVA-based	250 ± 31
Opadry®	500 ± 31

The OTR of cast films was determined to be 8.1 $cm^3/m^2/day$ which compares favorably with existing commercial film coating formulations as shown in **Table 4**.

Table 4. OTR Comparison of the Opadry 300 Film Coating Formulation to Existing Commercial Products (n=2)

Formulation	Oxygen Transmission Rate $cm^3/m^2/day$
Opadry®	420 ± 6.5
Opadry® II, PVA-based	26 ± 11
Opadry® 300	8.1 ± 0.2
Opadry® 200	5.3 ± 1.1
Opadry® amb	3.4 ± 0.5

Dissolution performance of APAP tablets coated with the Opadry 300 film coating met the USP release guidelines and were similar to the corresponding uncoated tablets at every time point following storage stability testing (**Figures 4 & 5**).

Figure 4. Dissolution Results Following Storage Stability for Coated and Uncoated Acetaminophen Tablets (30°C / 65% RH)

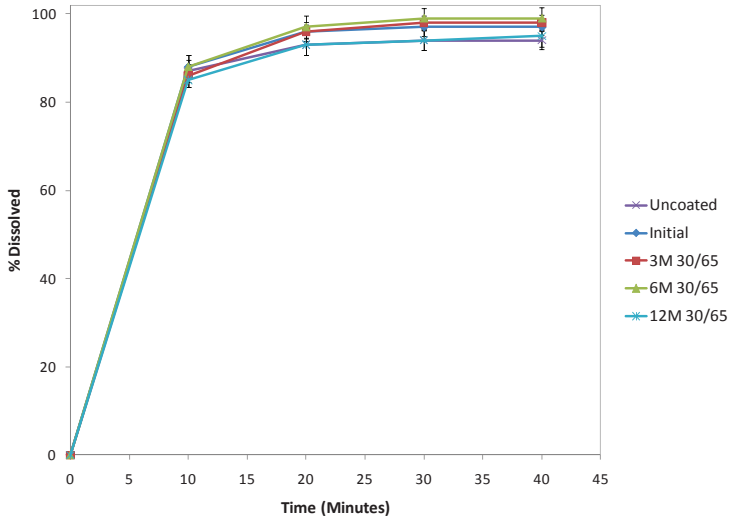
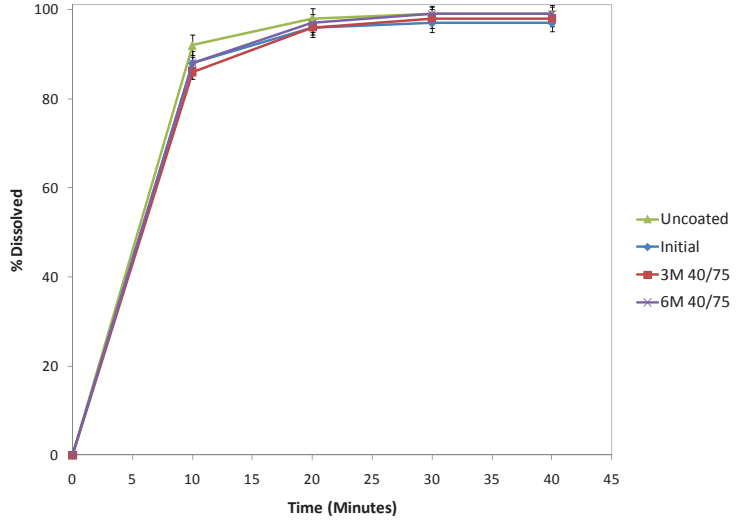


Figure 5. Dissolution Results Following Accelerated Storage Stability for Coated and Uncoated Acetaminophen Tablets (40°C / 75% RH)



Conclusions

Opadry 300, a novel immediate release film coating system, containing a new PVA copolymer, provided a desirable combination of high coating productivity, excellent moisture and oxygen barrier performance and equivalent drug release vs. uncoated tablet cores following accelerated storage conditions.

References

1. US Department of Health and Human Services. FDA US Food and Drug Administration. Dissolution Methods Web site. http://www.accessdata.fda.gov/ scripts/cder/dissolution/index.cfm. Accessed August 12, 2011.

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