Application of Slippery Film Coating for Easy Swallowing of Solid Dosage Forms

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Purpose

The US FDA and EMA recently issued industry guidance focused on reducing risk associated with medication errors and improving patient compliance. Recommendations are that varying color, shape, and size between dose strengths of a solid oral medication are useful tools to improve differentiation and minimize potential for errors. Additionally, visual differentiation of immediate and modified release dosage forms of the same drug is essential to ensure overall patient safety. Understanding the marketed product landscape for targeted therapeutic categories can help formulators better design a dosage form that is memorable and patient centric. Addition of a film coating on tablets is also clearly recommended in the guidance to improve patient compliance by enhancing the patient's ability to swallow tablets[1-3]. In this study a developmental film coating system has been evaluated to demonstrate wet slip behavior as an indication to provide improved swallowability.

Methods

The developmental film coating and commercially available Opadry® systems based on hypromellose or polyvinyl alcohol (PVA) (Colorcon, Inc. PA, USA) were coated onto 10 mm round biconvex and flat-faced placebo tablets in a fully perforated 12" pan (Labcoat I, O'Hara Technologies Inc., Ontario, CA). In addition, a clear top-coat of the developmental system was applied (up to 3% weight gain) onto the pigmented coated tablets. The gloss of the pigment coated and clear coated tablets were measured using a Surface Analysis System (Model 805A, Tricor Systems Inc. IL, USA).

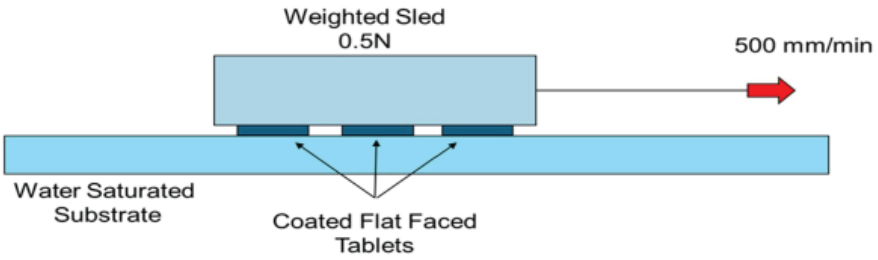
Table 1: Coating Conditions for Developmental and Opadry Film Coating Systems

	Pigmented			Clear
Parameter	Developmental	Opadry Hypromellose-based	Opadry PVA-based	Developmental
Solids content (%w/w)	20	15	20	8
Batch size (kg)	1			1
Spray rate (g/min)	8			8
Bed temperature (°C)	40			40
Airflow rate (m^3/hr) / (cfm)	212 / 125			212 / 125
Pan speed (rpm)	18			18
Atomizing air pressure (bar) /(psi)	1.4 / 20			1.4 / 20
Pattern air pressure (bar) / (psi)	1.4 / 20			1.4 / 20

Wet slip behavior characterization

An in-house method was developed to determine the wet slip behavior of the coated tablets. Three tablets weighted with a 0.5N normal force were pulled across a water saturated substrate at 500 mm/min (Figure 1) using an Instron tensile tester (Model 5542, Instron, MA, USA). The force profile required to drag the tablets was used to determine the static and dynamic friction coefficients. The static friction coefficient is the ratio between the force required to initiate tablet movement and the normal force, while the dynamic friction coefficient is the ratio between the average force during tablet movement and the normal force. The mean and standard deviation of the static and dynamic friction values are reported (n=5).

Figure 1: Schematic of Slip Testing Setup



Results

Coated Tablet Appearance

Tablets coated with the hypromellose-based, PVA-based and developmental pigmented film coating systems had surface gloss ranging between 65 – 80 GU (Figure 2). Application of a 1% weight gain of the developmental clear top-coat significantly improved the appearance of the coated tablets and increased the gloss to ≥115 GU, regardless of which pigmented coating was used. The gloss enhancement conferred by the clear coating was confirmed by the tablet images shown in Figure 3, which indicates that the tablets have a more elegant appearance with the clear coating. It has previously been shown that high gloss tablets are preferred and perceived as easier to swallow by patients, potentially improving patient compliance and medication adherence.[4]

Figure 2: Surface Gloss of Coated Tablets with and without Developmental Clear Top-Coat

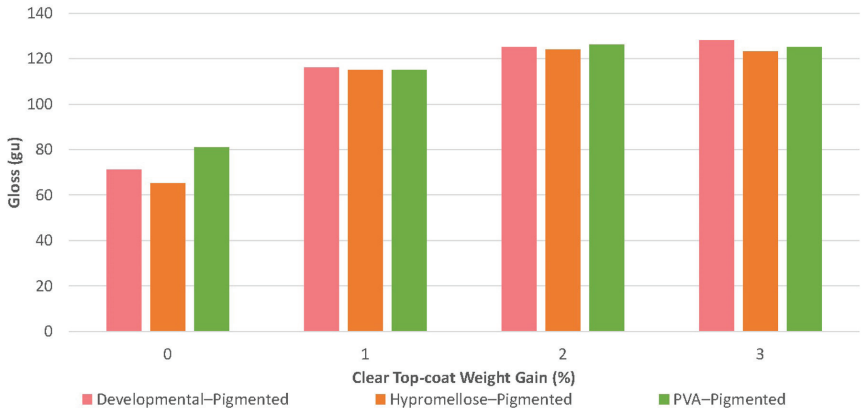
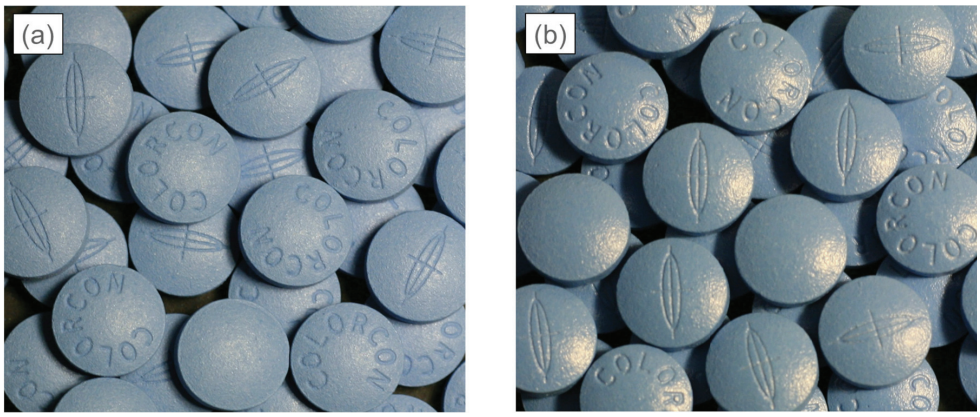


Figure 3: Developmental Pigmented Film Coated Tablets (a) with and (b) without Developmental Clear Top-Coat



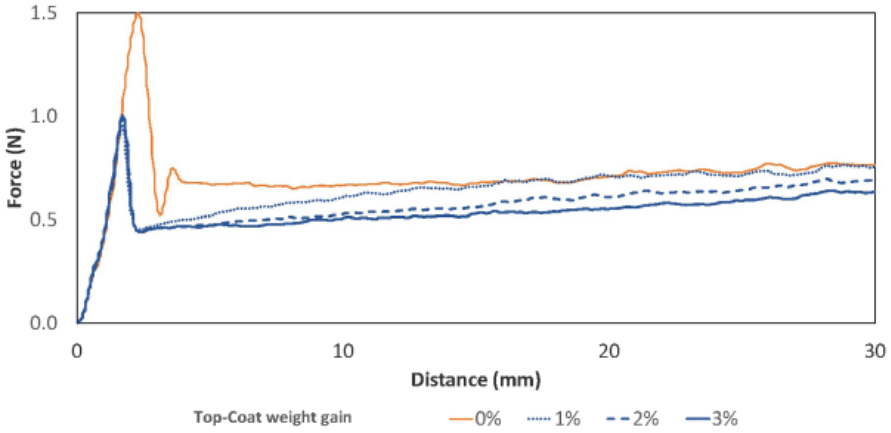
Wet Slip Test Force Profile

Typical force profiles for tablets coated with hypromellose-based, PVA-based and developmental pigmented film coating with and without the developmental clear film coating top-coat are shown in Figure 4a-c. The hypromellose and PVA coated tablets, without top-coat, showed a large spike of force required to initiate movement, indicating strong adhesion to the wetted surface. This was followed by a high dragging resistance force while moving at constant velocity. In contrast, the developmental pigmented system had a much lower initial and dragging force profile to move the coated tablet, suggesting enhanced slipperiness.

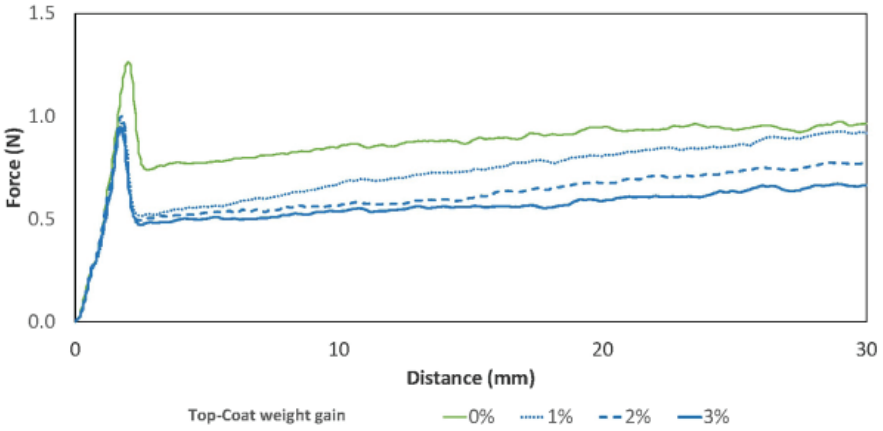
The additional application of the clear developmental film coating significantly lowered the force profile to drag the tablets, suggesting it imparts enhanced slip when wet. All weight gains of the clear developmental film coating significantly reduced the initial spike in force. The resistance force was initially reduced with a 1% weight gain. However, its influence began to decrease as the film coating dissolved. Increasing the coating to 2 or 3% continued to enhance slip throughout the duration of the test. The initial spike and resistance force of the developmental pigmented film coating was not significantly impacted by the presence of the developmental clear film coating system.

Figure 4: Force Profile of Dragging (a) Opadry - Hypromellose, (b) Opadry - PVA, and (c) Developmental Pigmented Coated Tablets with the Developmental Clear Top-Coat at 0-3% Weight Gain (n=5)

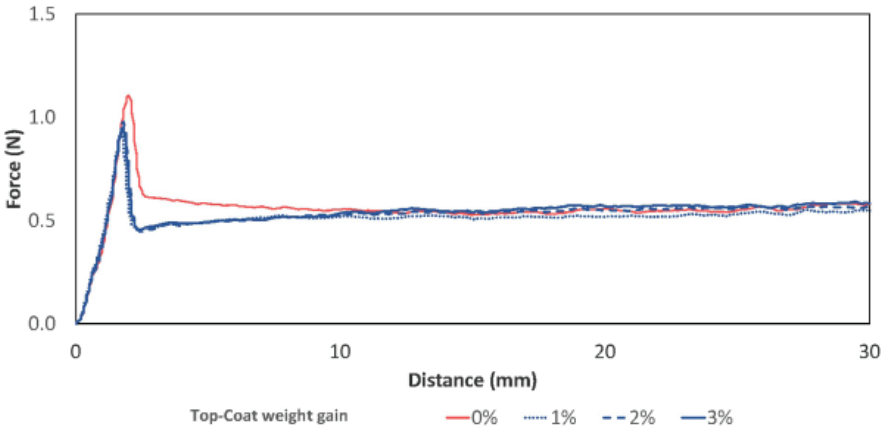
(a) Opadry - Hypromellose



(b) Opadry - PVA



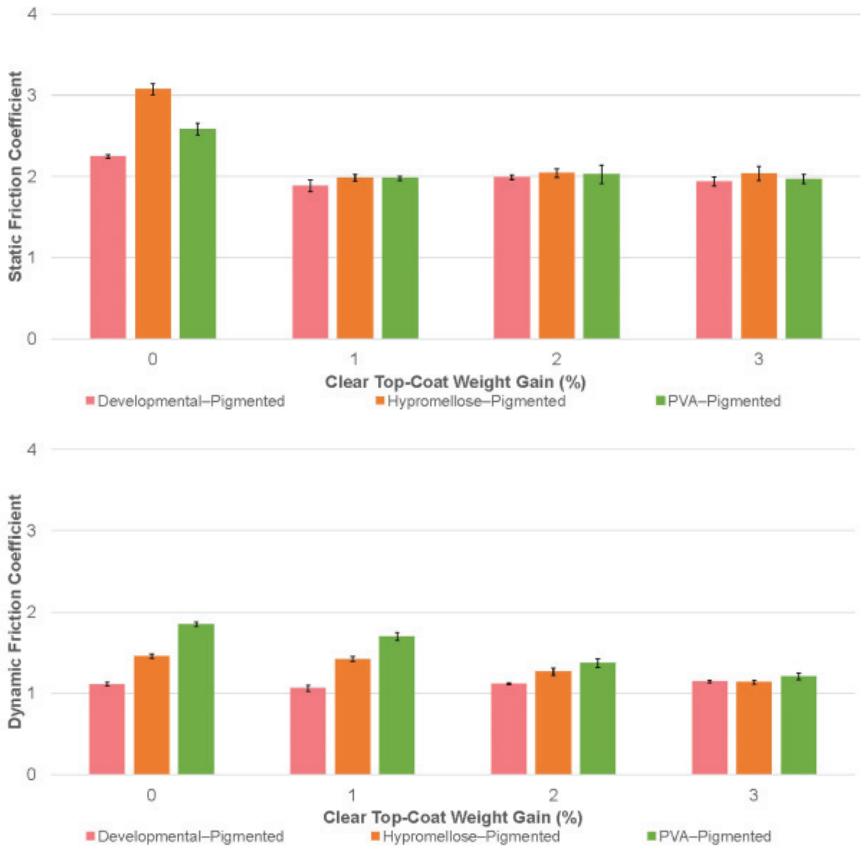
(c) Developmental Pigmented



Static and Dynamic Friction

The static and dynamic friction coefficients of the pigmented film coatings, with and without the developmental clear top-coat, are shown in Figure 5. The developmental pigmented film coating resulted in static and dynamic friction coeffi cients of 2.25 ± 0.02 and 1.11 ± 0.02, which are significantly lower than for hypromellose (3.07 ± 0.07 and 1.46 ± 0.03) and PVA-based coatings (2.58 ± 0.07 and 1.85 ± 0.03), suggesting enhanced wet slip behavior. Applying a 2-3% weight gain of the clear top-coat over hypromellose and PVA-based coatings was sufficient to decrease the static and dynamic friction coefficients by up to 33%, and provided comparable slip behavior to the developmental pigmented system, regardless of which pigmented coating was used.

Figure 5: (a) Static and (b) Dynamic Friction Coefficients for the Developmental Pigmented, Hypromellose and PVA-based Film Coatings with and without the Developmental Clear Top-coat (n=5)



Conclusions

The clear and pigmented developmental film coatings demonstrated exceptional wet slip behavior. Application of the developmental clear coating imparted excellent wet slip behavior to both the hypromellose and PVA-based coated tablets, while also improving the glossy appearance. Enhancing slip provides a way to improve tablet swallowability and enhance patient compliance.

References

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4. Yoder S., Rajabi J., Miller C., Hansell J., Oza K. "Physical Appearance Preferences for Oral Solids Dosage Formulations," AAPS Poster 2014.

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