



Enhanced Aesthetic and Functional Stability of Opaglos[®] 2 High Gloss Film Coating System vs. Sugar Coating on Ibuprofen

Rita M. Steffenino and Charles Vesey; Colorcon, West Point, PA, USA

Objectives

- To compare the effect of temperature and humidity on the appearance, disintegration and in-vitro dissolution of 200 mg ibuprofen tablets coated by two different methods.
- To outline the advantages of Opaglos 2 high gloss film coating system over sugar-coating for this application.

Introduction

Numerous studies have been conducted to identify the variability in in-vitro release characteristics of commercially available ibuprofen tablets. Some studies focus on the effects of humidity (Romero et. al. 1988), others on the effect of temperature (Pandit et. al. 1989), and still others on the effect of various packaging configurations (Saville, 2001). This study focuses on the benefit of film coating with Opaglos 2 high gloss film coating system over traditional sugar coating in maintaining consistent in-vitro dissolution profiles regardless of temperature, humidity, or packaging.

Methodology

200 mg ibuprofen tablets, subjected to two different coating processes, were packaged in HDPE bottles, with desiccant and cotton, foil induction-sealed and exposed, for a period of 6 months, to two different storage conditions (25 °C/60% RH, and 40 °C/75% RH). Tablets were analyzed monthly for general appearance (color stability, blocking, stickiness, odor), water disintegration, U.S.P <711> dissolution and gloss evaluation (using TRICOR Systems, Inc. Model 801A Gloss/Surface Analyzer). Uncoated tablets were evaluated as a control.

Sugar (SCIB) and Opaglos 2 (O2IB) coated tablets were also placed in open dish and exposed to the same temperature conditions as the packaged study for a period of 4 weeks. These tablets were tested weekly for dissolution only. The results of these studies are presented here.

Coating Procedures

Sugar-Coating Ladle Process

- 12" conventional pan
- **1 kg** 200 mg ibuprofen tablets
- Bed Temp: 35 °C; pan speed 16-20 rpm
- Seal-coating (shellac-based);
Grossing (sugar/TiO₂)
- Color (sugar/TiO₂/RIO); Polishing (IPA/waxes)
- Actual weight gain (wg_A) = 69%; RSD = 1.09%
- **Coating Time = 6.25 hours**

Film Coating with Opaglos 2

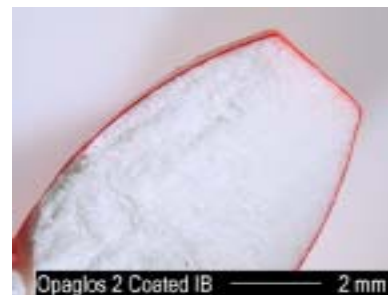
- 30" O'Hara Labcoat II side-vented coating pan
- **38 kg** 200 mg ibuprofen tablets
- Bed temp: 42 °C; pan speed 9 - 10 rpm
- 2 Schlick spray guns / 1.2 mm fluid nozzles /
4.2 mm air caps / ~ 80 g/min FDR
- Opaglos 2 97W15284 (red)
- 7.5% solids / 3.7% (wg_A) RSD = 0.53%
- **Coating Time = 3 hours**

These pictures illustrate a cross section of both the sugar-coated (Fig. 1) and the Opaglos 2 coated tablets (Fig. 2) at the beginning of the study. Note the differences in coating thickness between these processes.

Fig. 1



Fig. 2



Analytical Methods

U.S.P. Dissolution <711>

- Medium: pH 7.2 phosphate buffer, 900 ml
- Apparatus II: 50 rpm
- Specification: $Q = 80 \pm 5\%$ in 60 minutes

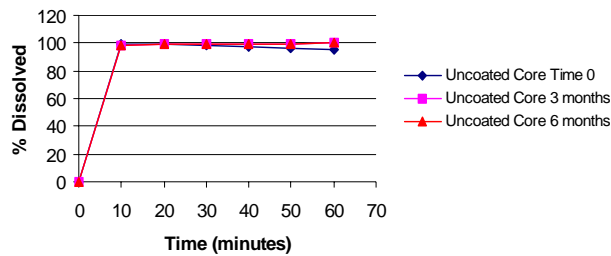
Disintegration <701>

- Basket-rack assembly containing 6 open-ended tubes with wire mesh undersurface
- 1000 ml beaker containing 900 mls of water
- Temperature of water maintained at $37 \text{ }^\circ\text{C} \pm 2 \text{ }^\circ\text{C}$

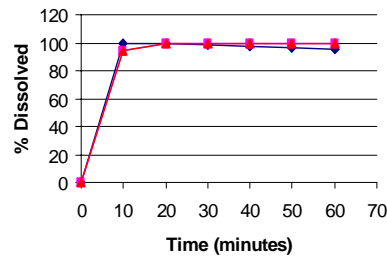
Dissolution - HDPE Bottles

Uncoated

U.S.P. Dissolution for Ibuprofen stored at 25 °C / 60% RH

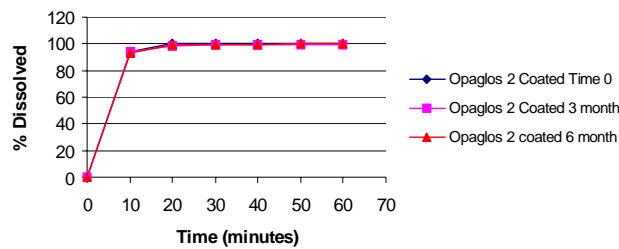


U.S.P. Dissolution for Ibuprofen stored at 40 °C / 75% RH

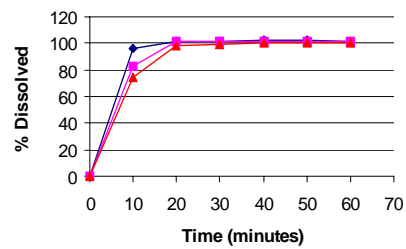


Opaglos 2

U.S.P. Dissolution for Ibuprofen stored at 25 °C / 60% RH

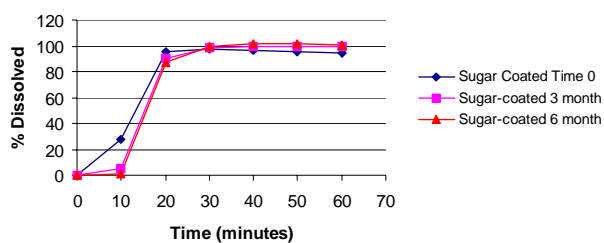


U.S.P. Dissolution for Ibuprofen stored at 40 °C / 75% RH

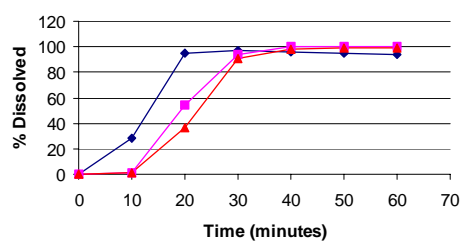


Sugar-Coating

U.S.P. Dissolution for Ibuprofen stored at 25 °C / 60% RH

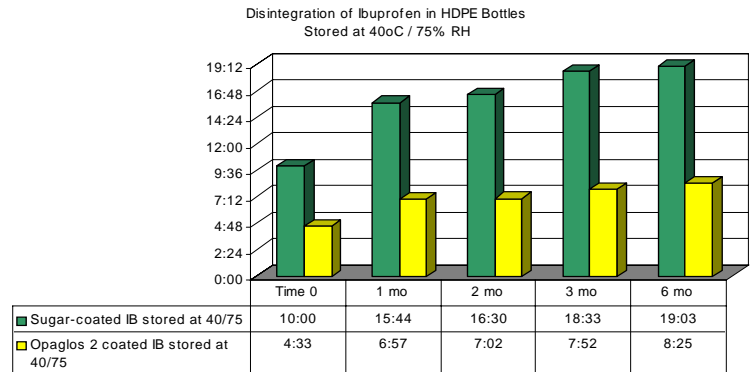
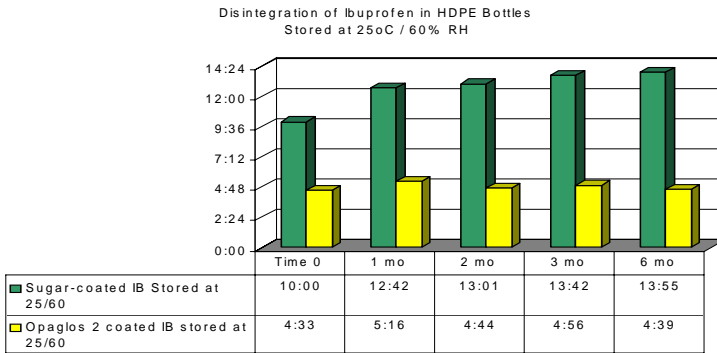


U.S.P. Dissolution for Ibuprofen stored at 40 °C / 75% RH



Disintegration

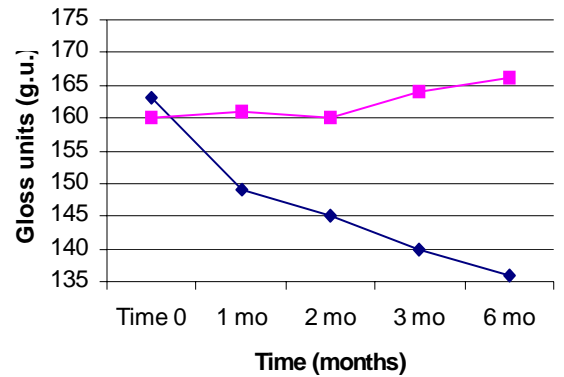
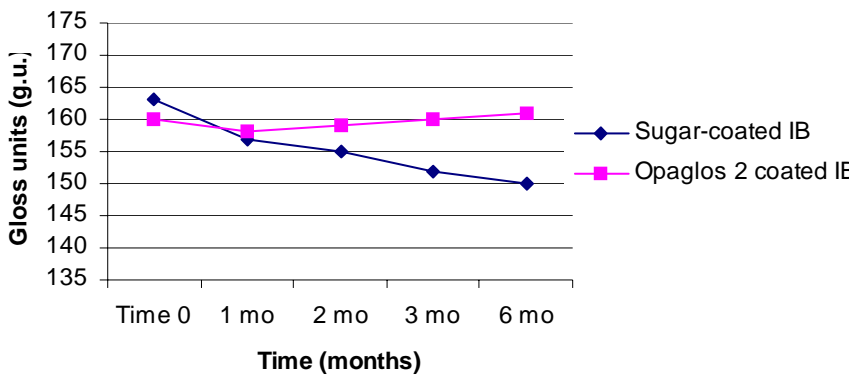
Sugar-coating vs. Opaglos 2



Initial Disintegration time for SCIB is more than double that of O2IB due to weight gain disparity.

Gloss Evaluation - HDPE Bottles

Sugar-Coating vs. Opaglos 2

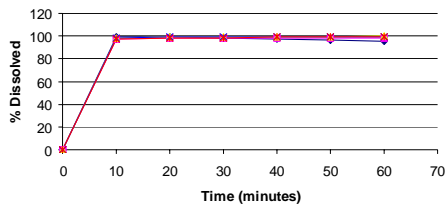


Opaglos 2 retains gloss characteristics of dosage form.

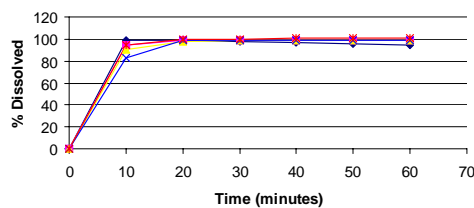
Dissolution -Open Dish

Uncoated

U.S.P. Dissolution for Ibuprofen stored at 25 °C / 60% RH

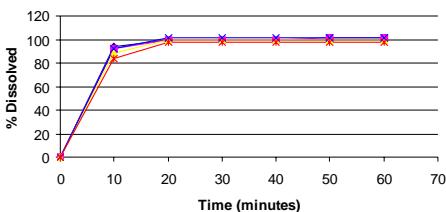


U.S.P. Dissolution for Ibuprofen stored at 40 °C / 75% RH

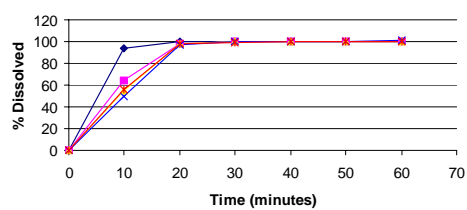


Opaglos 2

U.S.P. Dissolution for Ibuprofen stored at 25 °C / 60% RH



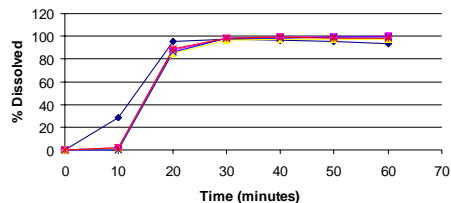
U.S.P. Dissolution for Ibuprofen stored at 40 °C / 75% RH



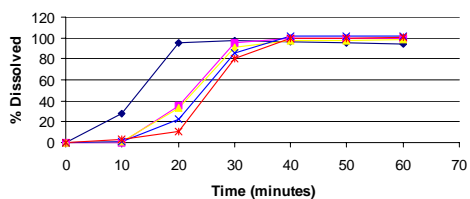
Time 0 — 1 week — 2 week — 3 week — 4 week —

Sugar-Coating

U.S.P. Dissolution for Ibuprofen stored at 25 °C / 60% RH



U.S.P. Dissolution for Ibuprofen stored at 40 °C / 75% RH



Time 0 — 1 week — 2 week — 3 week — 4 week

Discussion

Although the aesthetics and gloss levels of all coated samples were equivalent at the start of the studies, the dissolution profiles for the sugar coated tablets did not mirror those for the uncoated tablets. At T-0, the sugar coated IB released only 28% drug at 10 minutes whereas the Opaglos 2 coated IB and uncoated IB released 94% and 98% drug, respectively. By the end of the 6 month study, sugar-coated tablets stored at 40 °C/75%RH had deteriorated to less than 40% released after 20 minutes versus 98% release at 20 minutes for Opaglos 2. Disintegration times for sugar-coated IB were more than double those for Opaglos 2 coated IB.

Similarly, gloss and aesthetic quality of the sugar-coated tablets, especially stored at accelerated conditions, declined over time; whereas, Opaglos 2 coated tablets maintained their initial elegant appearance.

Open dish tablets exposed to the same temperature/humidity conditions for 4 weeks show similar trends as tablets packaged in HDPE bottles for 6 months, but at a more advanced rate. The gradual slowing of drug release for the sugar coated IB suggests that over time, drug release will continue to decline.

Conclusions

Opaglos 2 High Gloss Film Coating preserves the aesthetic quality and in-vitro dissolution of ibuprofen tablets better than sugar-coating, even when tablets are exposed to elevated temperature and humidity conditions, when packaged or unpackaged.

Film coating with Opaglos 2 (average time 3 hours) provides 52% time savings over the traditional sugar coating process, requires less coating application, and imparts a similar or more elegant appearance to the dosage form.

References

- Pandit, J.K, Pal, R. N., Mishra, B., 1989. *Effect of formulation variables and storage conditions on the release rate of ibuprofen solid dosage forms*. East Pharm. 32 (Nov.), 133 - 137.
- Romero, A.J., Grady, L.T., Rhodes, C.T., 1988. *Dissolution testing of ibuprofen tablets*. Drug Dev. Ind. Pharm. 14 (11), 1549 - 1588.
- Saville, D. J., 2001. *Influence of storage on in-vitro release of ibuprofen from sugar-coated tablets*. Intl. J. Pharm. 224, 39 - 49.

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