Poster Reprint

American Association of Pharmaceutical Scientists

November, 2002

Physical Properties and Stability of Opaglos® 2, a Pigmentable, High Gloss Film Coating System

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Objectives

- To characterize the physical properties of Opaglos® 2, a fully formulated, pigmented, high gloss, aqueous film coating system.
- To investigate the stability of coated acetaminophen and ibuprofen tablets stored at both ambient and accelerated conditions.

Methodology

One of the requirements of a film coating is that it should provide physical protection to the dosage form. This depends, to a large extent, on the coating's mechanical characteristics. The coating must remain intact, be durable and resistant to chipping and cracking during handling and storage. The film coat may also be required to act as a permeability barrier to gases and vapors, notably water vapor and, in some cases, atmospheric oxygen. It is for these reasons that the physical properties of Opaglos 2, a pigmentable, high gloss film coating system, have been investigated.

Properties investigated include tensile strength (σ), Young's Modulus (ε), water and oxygen permeability of free films, and adhesion of the film coating to its core. The rheological properties of the film coating dispersion were also examined. Stability of coated acetaminophen and ibuprofen tablets stored at both ambient and accelerated conditions are also investigated.

Free film preparation was made by casting films from a dispersion using a BYK-Gardner casting knife to apply a uniform layer of solution of known initial thickness. Free films were cast on either glass or Teflon coated surface from which the dried film could be easily removed for analysis. Cast films were allowed to equilibrate for twenty-four hours in a controlled environment at 25 °C and 50% relative humidity, prior to testing.

The tensile strength and modulus of elasticity of cast films was determined using an Instron Mini 44 material analyzer.

The measure of the elastic modulus (ε) was taken as the slope of the initial linear portion of the stress (σ) versus strain (ε) curve where deformation in this region obeys Hooke's law.

Adhesion of the polymer film coatings on tablet surfaces was also determined using an Instron Mini 44 material analyzer to pull the coating from the tablet core and measuring the forces needed to do so in order to quantify the adhesion.

Water vapor transmission rates (WVTR) were determined according to ASTM D1653-93 Test Method A (Dry Cup Method) and calculated as follows:

$$WVTR = (G/t)/A = \text{grams } m^{-2}\ 24^{-h}$$

where G is the weight change in grams (g), t is the time during which G occurred in hours (h), and A is the test area in meters (m).

Oxygen transmission rates were obtained using an OXTRAN 2/20 (Mocon Inc. Minneapolis, Minnesota) system utilizing a coulometric sensor to detect oxygen transmission through the free films.

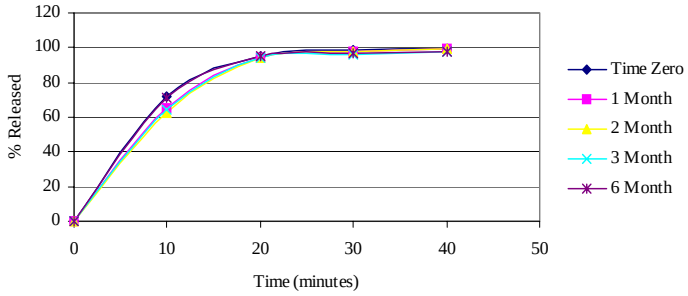
Dispersion rheology was examined using a stress controlled rheometer SR-200 by Rheometrics.

Coated tablet stability was assessed using USP dissolution criteria. Both acetaminophen and ibuprofen tablets were coated in a 30" fully perforated, side-vented coating pan to a 3% theoretical weight gain. Coated tablets were then packaged in high-density polyethylene (HDPE) bottles containing cotton and desiccant and heat-sealed. Bottled tablets were stored at both ambient and accelerated conditions for six months. Dissolution profiles of coated tablets were compared using time for percent dissolved data (T_Q).

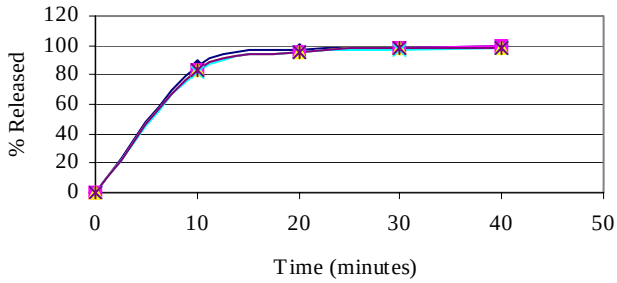
Results

Opaglos 2 Dissolution

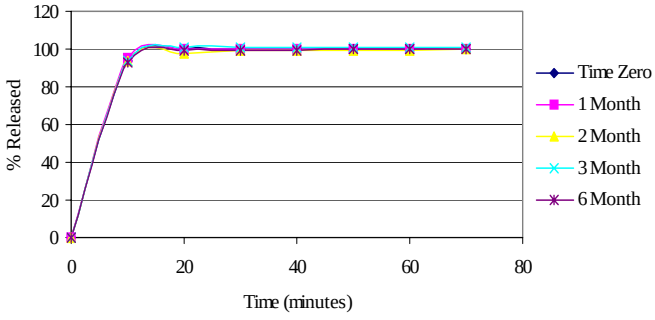
Opaglos 2 Stability Dissolution Data
Acetaminophen 500 mg 25 °C / 60% RH



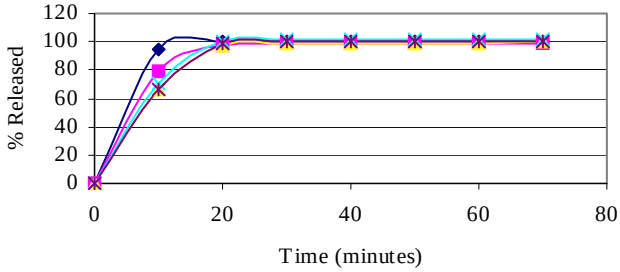
Opaglos 2 Stability Dissolution Data
Acetaminophen 500 mg 40 °C / 75% RH



Opaglos 2 Stability Dissolution Data
Ibuprofen 200 mg 25 °C / 60% RH



Opaglos 2 Stability Dissolution Data
Ibuprofen 200 mg 40 °C / 75

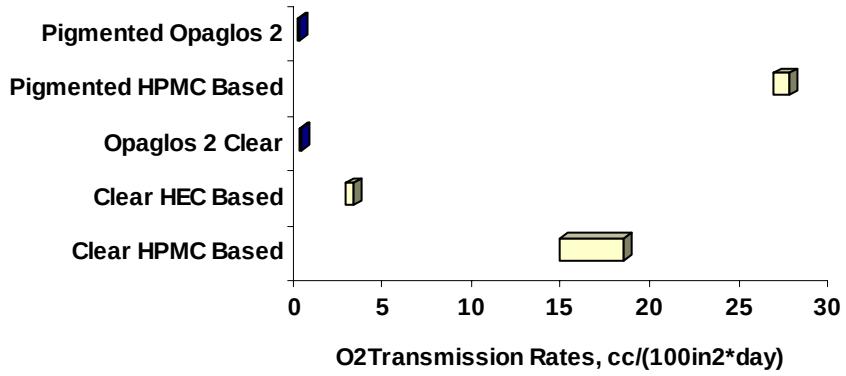


T_Q is defined as the time required for "Q" percent of drug to dissolve. Values for "Q" used here correspond to those found in the USP monographs for acetaminophen and ibuprofen. The T_Q values for acetaminophen and ibuprofen are 80% dissolved in 30 minutes and 80% dissolved in 60 minutes respectively.

For acetaminophen the T_Q values where found to be @ 15 and 10 minutes for tablets stored at 25 °C/60% RH and 40 °C/75% RH respectively.

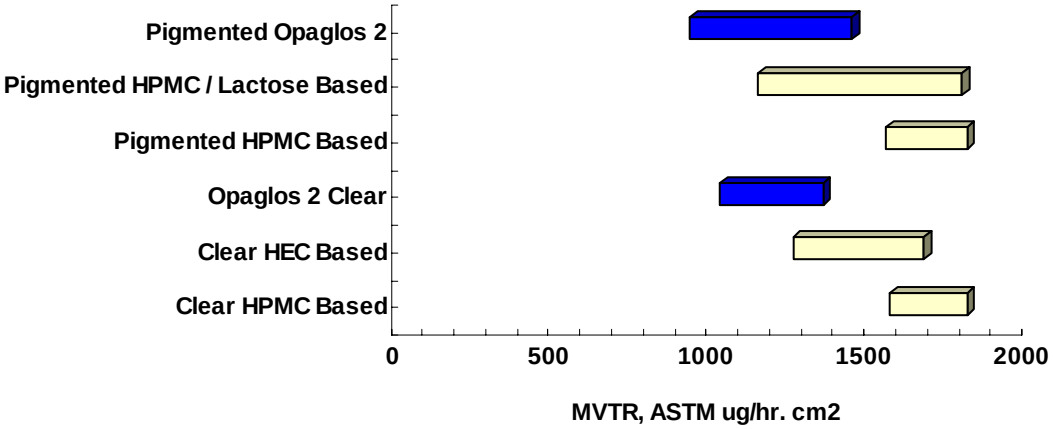
For ibuprofen tablets the T_Q values where @ 10 and 15 minutes for tablets stored at 25 °C/60% RH and 40 °C/75% RH respectively.

Oxygen Transmission Rates



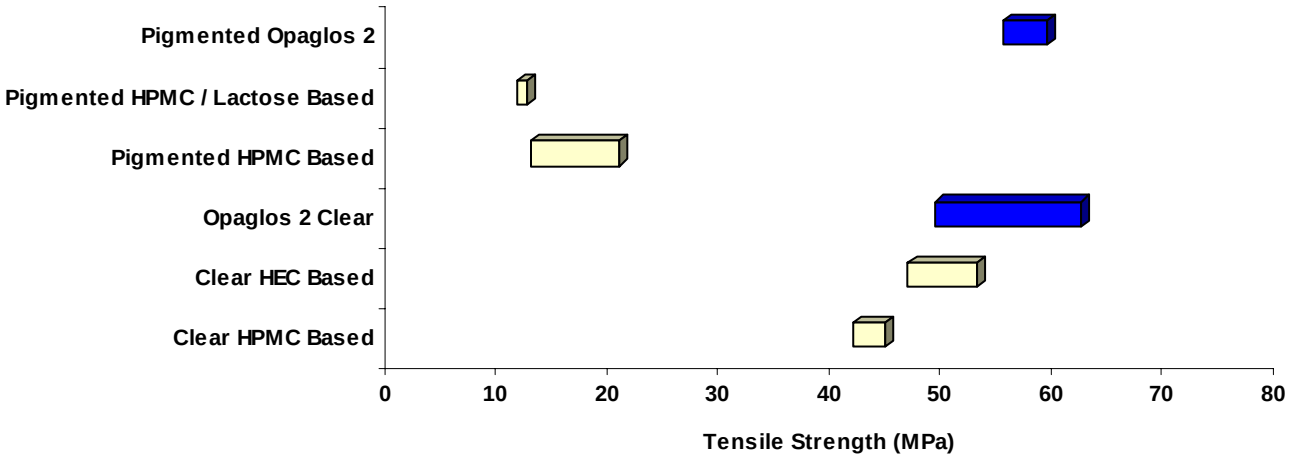
Both Opaglos 2 clear and Opaglos 2 pigmented exhibit excellent protection to oxygen permeability. This is a articularly important property when formulating with an active drug that can undergo oxidation in the presence of environmental oxygen.

Moisture Vapor Transmission Rates (90% Confidence)



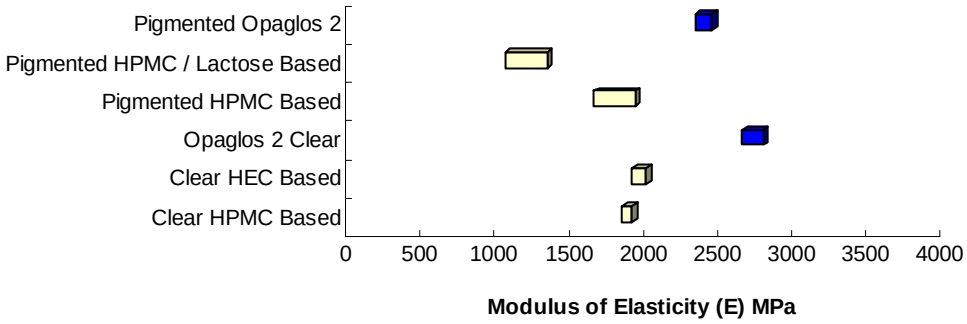
From the MVTR data, it can be seen that the Opaglos 2 film will provide a higher level of protection to moisture permeation than that of an HPMC film.

Tensile Strength (σ) MPa (90% Confidence)



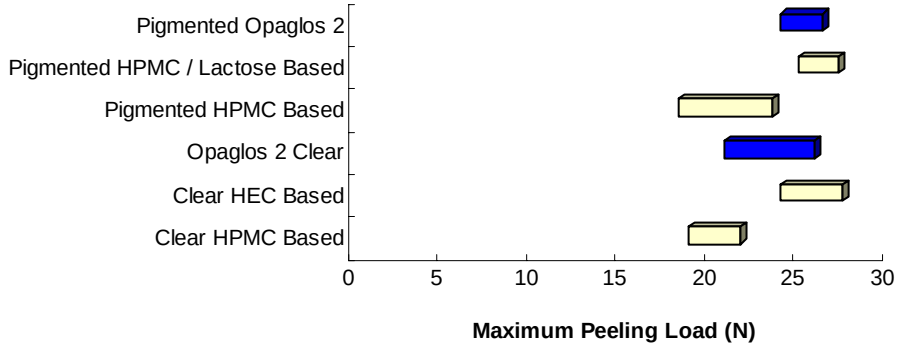
As measured at break, Opaglos 2 shows superior tensile strength than commonly used HPMC and other cellulosic- based film coatings.

Modulus of Elasticity (ε) MPa (90% Confidence)



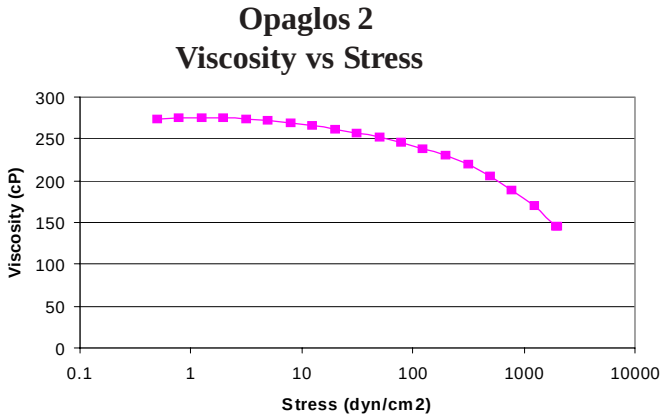
The modulus of elasticity is a measure of the stiffness and rigidity of the free film. The higher the modulus the more brittle a film. Modulus of elasticity data illustrates a comparable modulus to that of commonly used HPMC films.

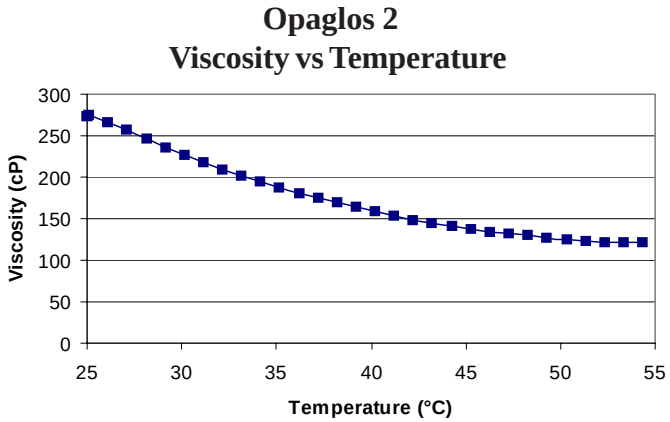
Film Adhesion Values (90% Confidence)



When coated on flat-faced placebos and tested for adhesive properties, Opaglos 2 exhibits adhesive values comparable to that of HPMC-based film coatings.

Opaglos 2 Rheology





Rheology data illustrates that dispersions of Opaglos 2 behave as non-Newtonian fluids that have lower viscosity at higher shear rates.

Additionally, Opaglos 2 dispersion viscosity is higher at lower temperatures and decreases as temperature increases.

Conclusions

In all areas of mechanical performance, Opaglos 2 free films were found to be equivalent or superior in performance to that of comparable aqueous-soluble cellulosic films.

Rheological properties of Opaglos 2 dispersions illustrate non-Newtonian properties, similar to traditionally employed cellulosic polymers.

Dissolution profiles for Opaglos 2 on both acetaminophen and ibuprofen at both ambient and accelerated conditions illustrate stability of Opaglos 2 coated formulations.

Overall, Opaglos 2 combines the necessary physical properties needed in a pharmaceutical film coating along with an elegant, high gloss finish.