POSTER SESSION

How to improve the storage stability of aqueous controlled release film coatings

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HOW TO IMPROVE THE STORAGE STABILITY OF AQUEOUS CONTROLLED RELEASE FILM COATINGS

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Introduction
The use of aqueous polymer dispersions instead of organic polymer solutions for film coating offers several advantages, including avoidance of the environmental toxicity and explosion hazards associated with organic solvents, and reduced processing times due to higher polymer contents in the coating formulations.

However, one of the major challenges when using aqueous polymer dispersions for controlled release film coatings is to provide long term stability: If the films are not completely formed, the permeability of the coatings can significantly decrease during long term storage, due to further gradual coalescence of the polymer particles. This results in decreasing drug release rates.

Objectives
• To render film coatings prepared from aqueous ethylcellulose dispersions stable by adding small amounts of a second, compatible compound.
• To monitor drug release from theophylline-loaded pellets coated with the new dispersions before and after 3 and 6 months open storage under ambient and stress conditions.

Methods
Theophylline beads were coated in a fluidized bed coater with aqueous ethylcellulose dispersion (Aquacoat® ECD), plasticized with 25% TEC and containing different amounts of poly(vinylalcohol)-poly(ethylene glycol)-graft copolymer (PVA-PEG-graft copolymer). The pellets were cured for 1 or 2 d at 60°C, or for 1 or 2 d at 60°C & 75% relative humidity (RH) (followed by 1 d at 60°C for drying). Drug release was measured in 0.1 M HCl and phosphate buffer pH 7.4 using the USP paddle apparatus before and after 3 and 6 months open storage under ambient and stress (40°C/75% RH) conditions.

Results and Discussion

Figure 1: Compatibility of Aquacoat® ECD and PVA-PEG-graft copolymer: Optical microscopy picture of an 90:10 blend after 24 h stirring at room temperature.

Figure 2: Drug release before (dotted curves) and after 3 and 6 months open storage (solid curves, open and filled symbols) under ambient conditions from theophylline-loaded beads coated with Aquacoat® ECD: PVA-PEG-graft copolymer in: (a) 0.1 M HCl, and (b) phosphate buffer pH 7.4. The PVA-PEG-graft copolymer content is indicated in the figures (coating level = 20%, curing conditions = 1 d 60°C).

Figure 3: Drug release before (dotted curves) and after 3 and 6 months open storage (solid curves, open and filled symbols) under stress conditions (40°C/75% RH) from theophylline-loaded beads coated with Aquacoat® ECD: PVA-PEG-graft copolymer in: (a) 0.1 M HCl, and (b) phosphate buffer pH 7.4. The PVA-PEG-graft copolymer content is indicated in the figures (coating level = 20%, curing conditions = 1 d 60°C).

Figure 4: Effects of the curing conditions (indicated in the figures) on theophylline release from pellets coated with 85:15 Aquacoat® ECD: PVA-PEG-graft copolymer before (dotted curves) and after 6 months open storage (solid curves): (a) under ambient conditions, in 0.1 M HCl, (b) under ambient conditions, in phosphate buffer pH 7.4, (c) under stress conditions, in 0.1 M HCl.

Conclusions
Importantly, the addition of only small amounts of poly(vinyl alcohol)-poly(ethylene glycol)-graft copolymer to Aquacoat® ECD provides stable drug release patterns during open long term storage at ambient as well as stress conditions, irrespective of the type of release medium, coating level, polymer blend ratio, and curing conditions.

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