



## Review

## Stability of aqueous polymeric controlled release film coatings

J. Siepmann<sup>a,b,\*</sup>, F. Siepmann<sup>a,b</sup><sup>a</sup> University of Lille, College of Pharmacy, 3 Rue du Prof. Laguesse, 59006 Lille, France<sup>b</sup> INSERM U 1008, Controlled Drug Delivery Systems and Biomaterials, 3 Rue du Prof. Laguesse, 59006 Lille, France

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## ABSTRACT

Aqueous polymeric film coatings provide a great potential to accurately control the release rate of a drug from a pharmaceutical dosage form, while avoiding the various disadvantages associated with the use of organic solvents. However, long term instability of drug release, due to imperfect film formation during coating and curing, can be a serious concern. If the coalescence of the particles continues during storage, the film permeability can decrease, slowing down drug release. Different strategies can be used to effectively avoid this phenomenon, including optimized curing conditions, the addition of appropriate additives and the use of specific packaging materials. This article gives an overview on the current state of the art in this field. Various practical examples are described, covering different types of polymer coatings and drugs. The aims are: (i) to provide a better understanding of the release patterns and potential changes thereof, and (ii) to help identifying strategies allowing for improved long term stability for specific types of polymer coatings.

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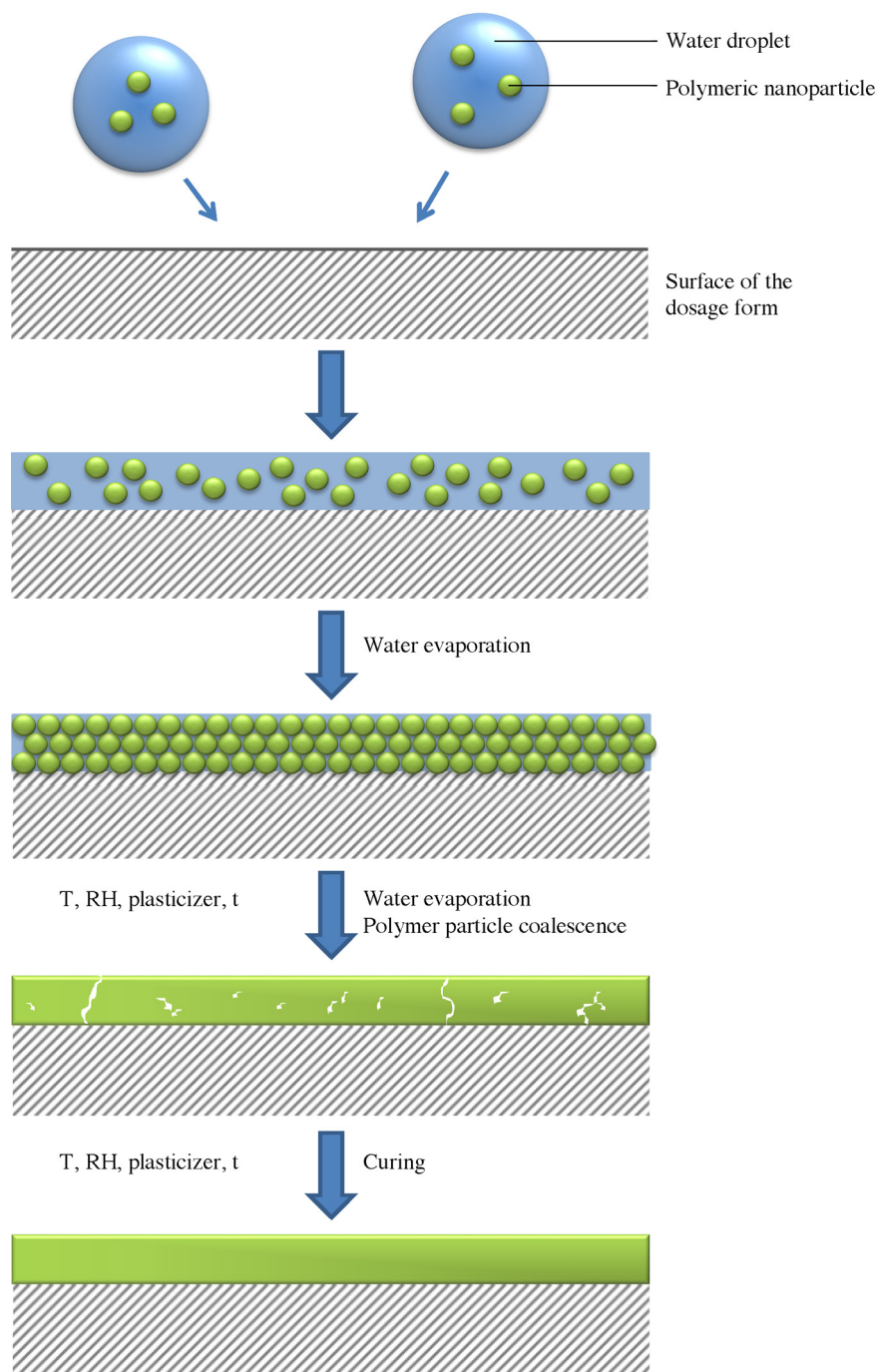
## 1. Introduction

Polymeric controlled release film coatings offer a great potential to accurately control drug release from pharmaceutical dosage forms. Numerous drug products are available on the market, which are using macromolecular networks as barriers for drug release. Often, drug diffusion through the intact polymeric film coating and/or through water filled cracks play(s) a major role for the control of the resulting release kinetics. An excellent recent review article provides a comprehensive overview on the current state of the art in this field and explains the underlying mass transport mechanisms and the mathematical modeling thereof (Kaunisto et al., 2011).

This article refers to *water-insoluble* polymeric film coatings used for controlled drug delivery. The films can either be applied from organic polymer solutions, or from aqueous polymer dispersions (Ghebre-Sellassie, 1994; Lecomte et al., 2004; McGinity and Felton, 2008). The major advantages of using aqueous polymer dispersions include: (i) the avoidance of toxic organic solvents, which can be harmful for the patient (residuals in the dosage forms) and for the environment (pollution, hence, costly solvent recovery is mandatory), (ii) the reduction of the risk of explosion hazards during production, and (iii) the possibility to use higher solids contents in the coating formulations (due to lower viscosities and reduced sticking tendencies), resulting in reduced processing times. However, a potential crucial drawback of using aqueous polymer dispersions is long term instability of drug release. This can be attributed to the underlying film formation mechanism, which is fundamentally different from that of films prepared from organic polymer solutions. In the following, only the most important features of the film formation from aqueous polymer dispersions are

\* Corresponding author at: University of Lille, College of Pharmacy, Rue du Prof. Laguesse, 59006 Lille, France. Tel.: +33 3 20964708; fax: +33 3 20964942.

E-mail address: [juergen.siepmann@univ-lille2.fr](mailto:juergen.siepmann@univ-lille2.fr) (J. Siepmann).



**Fig. 1.** Schematic presentation of the film formation mechanism from aqueous polymer dispersions used for the preparation of controlled release film coatings. The different steps are discussed in the text.

addressed. For a more detailed description, the reader is referred to a recent comprehensive review article on this topic, also covering film formation from organic polymer solutions (Felton, 2013).

Fig. 1 schematically illustrates the most important steps involved in the formation of controlled release film coatings from aqueous polymer dispersions. At the top, water droplets are shown, which are created at the spraying nozzle and accelerated toward the surface of the dosage form to be coated (e.g., pellet, tablet or capsule). The water droplets contain very small polymer particles, which are often in the nanometer size range. Once the water droplets hit the substrate's surface, they fuse together and form a continuous aqueous film, in which the polymer particles are dispersed. Upon water evaporation (the temperature during coating

is generally increased and the air flow rate elevated), the polymer particles approach each other until a dense packing is achieved. Then, under appropriate conditions (especially temperature, relative humidity and in the presence of an appropriate plasticizer in sufficient quantity) the polymer particles fuse together (coalesce). One of the major driving forces for this process is capillary force: simplified, the disappearance of the water between the nanoparticles creates a pressure, which drives the particles together (if the particles would not deform and fill the voids, a vacuum would be created). If the mobility of the macromolecules is sufficient, this will lead to permanent polymer particle deformation and polymer–polymer chain inter-diffusion between neighboring particles. In the ideal case, a continuous, intensely entangled polymeric

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