NOTE

Solvent Effect on Viscosities of Aqueous Coacervated Systems of Acrylate Methacrylate Copolymer

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INTRODUCTION

Organic coating systems of water-insoluble polymers are expensive, which has led to a search for cheaper aqueous coating systems of the acrylate methacrylates. These are water-insoluble copolymers that have applications in the film coating of drug particles for controlled release.[1,2] Aqueous dispersions of these polymers can be formed by a coacervation technique whereby excess water (i.e., nonsolvent for the polymer) is added to an ethanol solution of the polymer to form a latex dispersion of submicroscopic particle size.[2–4]

This communication reports that the viscosity of the polymer dispersion depends on the proportion of solvent (i.e., the ethanol) to nonsolvent (i.e. water) in the coacervated system. These differences in turn affect the cohesive strength of applied films as reflected by changes in the disintegration time of coated tablets.

EXPERIMENTAL

Materials

An acrylate methacrylate copolymer (Eudragit RL 100, Rohm Pharma, Darmstadt) was the test polymer. It contains a small proportion of quaternary ammonium (cationic) groups in its chemical structure. The cationic groups confer a hydrophilic character. Films derived from this polymer are water insoluble but they swell to disintegrate in water on prolonged exposure. Ethanol (i.e., absolute alcohol BP) was used as the solvent during coacervation, and commercial aspirin tablets (BP) were used as the substrates in the film coating.

Coacervation Technique

A sample of the polymer (5 g) was dissolved overnight in varying volumes of ethanol (see Table I). Water was added to 100 mL with vigorous shaking to form a latex dispersion. As the proportion of ethanol increased, that of the water decreased (Table I). Polymer particles in the preparation containing ≥10% (v/v) alcohol were submicroscopic, while those containing ≤7.5% (v/v) of ethanol formed gels during coacervation and therefore were not suitable for coating applications.

Determination of Viscosities of Dispersions

The viscosity was determined with a U-tube (Ostwald) viscometer (Gallenkamp Griffen Technical, model B/SU, size A) at room temperature (28°C). The viscosity, n, relates directly to the time (s) taken for a sample volume of the fluid to flow through the capillary of the viscometer, which is shown in eq. (1)[5]:

$$V/t = \frac{\pi P r^4}{8nl} \tag{1}$$

where V is the volume of fluid flowing through the capillary in time t and P is the pressure difference across the capillary. Because the test was of comparative value, only the time of flow (s) was taken as the index of viscosity.

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Table I Composition of Coacervated Systems

Solvent (% v/v)										
Ethanol	5	7.5	10	12.5	15	17.15	20	30	40	60
Nonsolvent (% v/v)										
Water	95	92.5	90	87.5	85	82.5	80	70	60	40

The polymer content was constant at 5% (w/v).

Film Coating Technique

An organic precoat (about 10 μm) was first applied by casting an ethanol solution (5% w/v, 5 mL) on 30 tablets while rotating in a laboratory coating pan (Manesty, 1509681). The drying air temperature was 60°C. The organic precoat was intended to prevent aqueous penetration into the core during aqueous coating; otherwise, the tablets were readily wetted and crumbled easily in the coating pan.

The aqueous coat was applied in 3 aliquots of 5 mL each with a 10-min drying interval between each application; drying was continued for a further 30 min after the last application. The total film coat (aqueous plus precoat) was about 83 μm, which was determined by measuring the thicknesses of coated and uncoated tablets (10 each) with a digital micrometer; half of the difference gave the film coat thickness. The aqueous dispersions containing 10–20% ethanol were used in the coating procedure.

Determination of Tablet Disintegration Time as Index of Film Strength

The tensile strengths of coated films are measured by the indentation technique.[6] However, facilities for such measurements were not immediately available; therefore, we took the ratio of the disintegration time of coated tablets, DT^1, to uncoated tablets DT (i.e., DT^1/DT) as the index of film cohesive strength. The basis for the determination is that a less cohesive film will rupture more easily and allow disintegration of the tablet core.

The disintegration times of the coated and uncoated tablets were determined by the British Pharmacopoeia method.[7]

RESULTS AND DISCUSSION

The results (Fig. 1) showed that as the ethanol concentration increased, the viscosities of the dispersions decreased to a minimum at an ethanol concentration of 20%, followed by an increase as the ethanol concentration exceeded 20–100%. The reason for this minimum may be that above this point most of the polymer molecules are solvated. This solvation of the polymer promotes the extent of polymer–solvent interaction, thus accounting for the increase in viscosity. For instance, organic solutions of the polymer are more viscous than the corresponding aqueous dispersion.[4] Below this point (i.e., 20% v/v) the increasing amounts of the nonsolvent (i.e., water) probably caused flocculation of the polymer particles to a polymer network, hence the increase in viscosity as the ethanol content decreased from 20 to 10%. Below 10% the dispersions formed an interconnected gel structure indicating strong and extensive polymer–polymer cohesion at this low ethanol level.

Film coatings with high strength are expected to give longer core disintegration times, because of the need for the film to rupture before the core can disintegrate. In the coacervated systems, dispersions with ethanol content below and above 20% formed films of higher cohesive strengths as reflected by the higher disintegration times of the cores. These films were derived from dispersions of higher viscosities. Therefore, there was a positive correlation between the viscosity of the dispersions and the cohesiveness of films derived from them (Fig. 1).

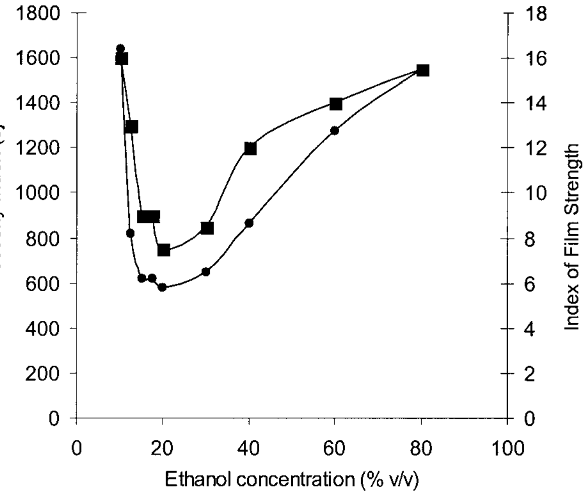

Figure 1 The effect of solvent (ethanol) content on the viscosities and film strengths of the polymer dispersions: (◆) film strength and (●) viscosity index (s).

CONCLUSION

The study showed that the viscosities of the coacervated systems studied depended on the proportion of solvent to nonsolvent in the system. We identified 20% ethanol as the critical ethanol concentration for coacervation in order to obtain polymer dispersions that are suitable for coating applications. Above and below 20% ethanol the dispersions are too viscous for spray coating applications. Moreover, film coatings derived from such viscous dispersions may also prolong the disintegration of coated tablets. This latter finding may be exploited in certain situations in delayed release drug delivery systems.

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