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Effect of side groups on the properties of cationic polyaspartamides

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ABSTRACT

Polyaspartamides with dialkylaminoalkyl and short chain alkyl side groups were synthesized and characterized in order to prepare polymer films. Their structure was confirmed by nuclear magnetic resonance (^{1}H NMR) and Fourier transform infrared (FTIR) spectroscopy and their thermal decomposition temperature (T_d) was determined by thermogravimetric analysis. The composition of the polymers was adjusted to obtain polyaspartamides with glass transition temperatures (T_g) at around room temperature and the relationship between the structure and the properties was examined. The dissolution profile of polymer films made of polyaspartamides was measured with the help of fluorescent marking to show that dissolution rate of the films depends on the pH and can be controlled by the composition of the polymers.

1. Introduction

A large amount of drug molecules have unpleasant taste which makes their oral administration uncomfortable [1,2]. The taste masking of pharmaceuticals used in the GI tract can be achieved with entero-soluble coatings, which have poor or moderate solubility in the mouth, but they are highly soluble at the pH of the stomach [2,3]. Polymers with tertiary amine side groups are used as starting materials for the coatings, because these side groups provide low solubility at neutral and slightly alkaline pH (pH_{saliva} ~ 7, [4]), but very high solubility in acidic media ($pH_{stomach}$ ~ 1.2). Furthermore, the tertiary amine side groups act as internal plasticizers resulting in T_g values below the room temperature which provides large deformability for the film coatings prepared from these polymers [5,6].

The most commonly used polymers in entero-soluble film coatings for taste masking are derivatives of poly(acrylic acid) and poly (methacrylic acid) with dialkylaminoalkyl side groups (cationic polyacrylates), e.g. dimethylaminoethyl(meth)acrylate (Eudragit® E, Eudragit® E PO) [6–8] and diethyaminoethyl(meth)acrylate (Kollicoat® Smartseal 30 D) [2,9]. Solubility, rate of dissolution, decomposition- and glass transition temperature can be controlled by the copolymerization of properly chosen (meth)acrylic esters [5,10]. However, acrylate copolymers have several disadvantages, such as moderate adjustment of their physico-chemical properties, and relatively complex synthesis of the monomers and/or the polymers often requiring toxic additives [10,11]. Additionally, polyacrylates are not biodegradable in general [10].

These drawbacks can be overcome by the application of polyaspartamides which can be synthesized with large structural variety under mild reaction conditions because their precursor polymer, polysuccinimide (PSI) exhibits high reactivity towards primary amines [12–15]. Moreover, due to their protein like structure, these polymers are expected to be biocompatible [16] and

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