Nanofibrous polyaspartamide matrices

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Pharmaceutical industry shows a high interest in sublingual delivery, because this route of administration provides much faster absorption and higher bioavailability of several drug molecules compared to gastrointestinal delivery. Electrospun polymer nanofibers have attracted particular attention in sublingual drug formulation due to their unique morphological properties ensuring rapid drug release. In addition, contrary to other oral drug formulations such as suspensions or sprays, predetermined amount of the active molecule can be administrated into the body by these drug delivery systems [1]. Several nanofibrous matrices have been reported for pharmaceutical uses, but these polymers are often non-biodegradable (e.g. poly(ethylene oxide)), their chemical structure and related properties can hardly be modified (e.g. poly(vinyl alcohol)) or in many cases electrospinning of the polymers requires harmful solvents (such as trifluoro-acetic acid, chloroform, etc.). These drawbacks might be overcome by the application of polyaspartamides which can be synthesized with high chemical versatility under mild reaction conditions, and due to the protein like structure, they are presumably biocompatible and biodegradable [2].

Our goal was to prepare polyaspartamide based nanofibrous matrices providing rapid sublingual release of the encapsulated drug. Polysuccinimide was reacted with dialkylaminoalkylamines and alkylamines resulting in cationic polyaspartamides. 1H NMR and FTIR data confirmed the structure of the polymers. Nanowebs with narrow fiber diameter distribution were successfully fabricated from the polymers using ethanol as solvent. Both the starting polymer and the fibrous matrices showed thermal stability up to ~200°C. Glass transition temperature (around 40°C) of the nanofiber matrices depended on their morphology, especially on the fiber diameter. Drug release and disintegration of the nanowebs were characterized simultaneously by using a fluorescently marked polymer. Rapid liberation of the encapsulated active molecule, Vitamin B was observed at the pH of the oral cavity (pH = 6.8). In the light of these results it can be concluded that nanofibrous matrices based on cationic polyaspartamides can be applied as a starting material of sublingual drug delivery formulation.

Acknowledgements This research was supported by the New Széchenyi Plan (TÁMOP-4.2.1/B-09/1-2010-0002). We are thankful to Timur Abdullin (Institute of Fundamental Medicine and Biology, Kazan State University) for the scanning electron microscope images.