

STUDIES ON BIODEGRADABLE SILICA FIBERS CONTAINING DEXMEDETOMIDINE

Teresa Czuryzkiewicz^a, Jarno Ahvenlammi^b, Mika Lindén^a

^a Department of Physical Chemistry, Åbo Akademi University, Porthaninkatu 3-5, FIN-20500 Turku, Finland

^b Institute of Fiber, Textile and Clothing Science, Tampere University of Technology, PO Box 589, FIN-33101 Tampere, Finland

The incorporation of biologically active agents into porous materials that degrade in the human body gives a new alternative for site specific drug delivery. From matrix systems the drug is shown to release controllably as the non-toxic silica material degrades.¹ The sol-gel technique is very useful for preparing homogenous and high-purity silica materials. Another benefit of this technique is the possibility of morphological control of the material. In this project sol-gel processed biodegradable silica fibres containing an active agent was processed for controlled drug delivery.

The fibers were spun from TEOS-derived silica sols at pH = 1 and pH = 3, respectively. 1 or 4 weight-% of dexmedetomidine HCl was added to the sol before the dry spinning of the fibers. The fibers degrade in simulated body fluids.² TGA, Raman spectroscopy and SEM was used to determine the physicochemical properties of the fibers. Some ethanol extraction tests were performed in order to find out how much dexmedetomidine is released without degradation of the fibers.

The general trend is that fibers spun at a later stage of the spinning process have a greater mass loss in the TG than fibers spun at an earlier stage. Preliminary TG-MS runs indicate that the broad peak observed in the differential diagrams at 70-150°C refers to the evaporation of ethanol and water. The amine compound is burnt at 110-130°C. The fibers spun at pH= 1 show a steep peak at 255-290°C which is attributed to the removal of unreacted etoxygroups. This pronounced mass-loss was not observed for fibers spun at pH=3. Since the chemical composition of both fibers is almost identical, we believe that this peak can be taken as a measure for fiber porosity. Ethanol extraction of the pH=3 fibers showed that the release of dexmedetomidine is some percentage of the total amount encapsulated. The ethanol extracted pH=3 fibers showed the same steep peak in the differential diagram as for untreated pH=1 fibers, which could be due to a greater porosity after the ethanol extraction or, more probably, due to re-esterification of silanol groups during the extraction.

The SEM images showed that the fibers seem to aggregate more if spun at low viscosities than at higher viscosities. The surface area to mass ratio is therefore different for the fibers and could to some extent influence the dissolution of the drug. The Raman spectroscopy results did not indicate in any strong chemical bonding between silica and dexmedetomidine. According to adsorption tests performed with a commercial silica powder, dexmedetomidine adsorbs very poorly to the silica and preferentially by physisorption³. Dexmedetomidine is therefore most probably encapsulated in pores of the fiber.

References:

- ¹ Manja Ahola, Pirjo Korteso, Ilkka Kangasniemi, Juha Kiesvaara, Antti Yli-Urpo, Silica xerogel carrier material for controlled release of toremifene citrate, *International Journal of Pharmaceutics* 195 (2000) 219-227
- ² Mika Jokinen, Timo Peltola, Sinikka Veittola, Hanna Rahiala, Jarl B. Rosenholm, Adjustable biodegradation for ceramic fibres derived from silica sols. *Journal of the European Ceramic Society*, 0 (2000) 1-10
- ³ Teresa Czuryzkiewicz, Diploma Thesis, Department of Physical Chemistry, Åbo Akademi University, Finland, 2000