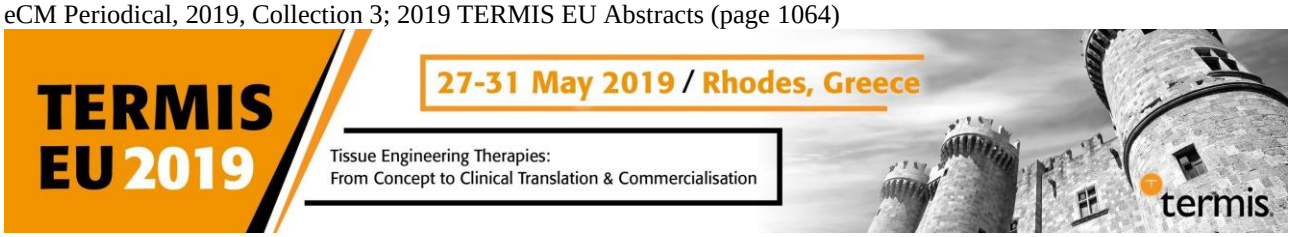

Mucoadhesive nanofibers for brinzolamide delivery

O. Cegielska[1], P. Sajkiewicz[1]
Presenting Author: Olga Cegielska, ocegiels@ippt.pan.pl
[1]Laboratory of Polymers and Biomaterials, Institute of Fundamental Technological Research Polish Academy of Sciences, Warsaw, Poland

INTRODUCTION: Nanofibrous polymeric materials are well-known for providing local, sustained and prolonged delivery of therapeutic agents. Therefore, compared to conventional therapies, they prolong the therapeutic effect, reduce side effects and increase the drug compliance [1]. In ophthalmic applications they constitute a very promising and yet not deeply studied structure [2]. Nanofibers made of hydroxypropyl cellulose (HPC) with β-cyclodextrin (β-CD) complexes with anti-glaucoma hydrophobic active ingredient brinzolamide were formed using the electrospinning technique. They will be stabilized on the cornea by HPC's mucoadhesive properties [3]. β-CD/brinzolamide complexes should be released from them as a whole, freeing the drug only in contact with the hydrophobic cornea. Here we present basic physicochemical properties of these nanofibers.

METHODS: Formation of β-CD/brinzolamide complexes was done and the complexation effectiveness was determined in a form of apparent stability constant (KS) and complexation efficiency (CE). Solutions of HPC, β-CD and brinzolamide in hexafluoroisopropanol (HFIP) of 3-5% w/w were electrospun. The process parameters were optimized. Morphology of the electrospun nanofibers was observed using scanning electron microscope (JEOL JSM-6010PLUS/LV). Wettability tests were carried out using Dataphysics OCA 15 goniometer. Water solubility test was performed. Photographs were made and videos were recorded, and weight loss over time was measured.

RESULTS & DISCUSSION: Complexation of β-CD with brinzolamide was effective. In all of the three-component nonwovens, nanofibers were randomly oriented and showed adequate morphology. Small beads on thin nanofibers and local thickening were present in some of the nonwovens. The nonwovens were hydrophilic, what should enhance their mucoadhesion. Weight loss studies have shown the possibility of progressive biodegradation of the carrier in the tear film environment.

CONCLUSIONS: Obtained data are highly favorable and show a great potential of HPC/β-CD/brinzolamide nanofibers in ophthalmic applications. Approaches that were made will serve as a base for further research on brinzolamide release from the obtained nanofibers. Fiber modification is planned by replacing HPC with HPC modified by thiolation. Research will be complemented by mucoadhesion studies.

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