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Polymeric nanoparticles for antifungal ocular therapy

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Introduction and Objectives: Voriconazole (VOR) is a triazole antifungal derived from fluconazole is very active against various fungi including those resistant to fluconazole.¹ Treatment of ocular fungal infections remains problematic because of the relatively short list of available therapeutic agents.² Limited ocular bioavailability of active agents due to the characteristic properties of the eye also limits the efficacy of the treatment. Therefore main approaches for the enhancement of ocular bioavailability of the formulations applied are enhancement of the residence time of the active material at the site of action or enhancement of the ocular penetration of the primary penetration site.

Materials and Methods: Voriconazole was kindly gifted by Deva Holding (Çerkezköy, Tekirdağ), Eudragit® RS 100 was purchased from Röhm Pharma Polymers (Darmstadt, Germany) and methanol was from Merck (Darmstadt, Germany). All other chemicals used were analytical grade.

Formulation of Polymeric Nanoparticles : Spray drying method (Büchi B-190, BÜCHI Labortechnik AG, Switzerland) was used for the preparation of polymer based nanoparticles.⁴ Compositions of the selected formulations were given in Table 1.

s Code	PS (nm)	PDI	Zeta (mV)	VOR Amount (%)
EVOR-PL	136.1±10.6	0.6±0.2	18.2±0.3	-
s EVOR-1	138.3±1.3	0.5±0.5	23.2±0.4	4.9
EVOR-4	177.8±4.6	0.5±0.8	18.4±0.5	8.9

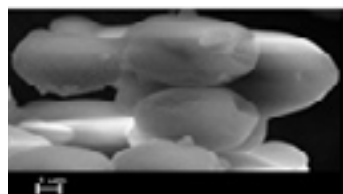


Figure 1. SEM image of polymeric spheres

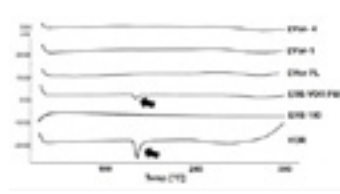


Figure 2. DSC analyses of the formulations prepared

Characterization Studies of Polymeric Nanoparticles: SEM, particle size, polydispersity index, zeta potential analyses were performed. In order to evaluate changes of the polymeric structure DSC analyses were also performed. A validated HPLC method was used for the determination of incorporated PTX.

Results and Discussion: Morphological analyses showed that particles are round in shape. Particle size, polydispersity index, zeta potential analyses with incorporated VOR amount of the formulations. Analyses results revealed that the particle sizes were in the nanometer range with homogenous size distribution with no changes in the polymeric structure.

Conclusion: As a conclusion VOR incorporated polymeric nanoparticles were successfully formulated by spray drying method aiming efficient treatment of ocular fungal infections. *In vitro* and *in vivo* efficacy of the formulations will be studied as the second part of the study.

Biography

Dr. Ebru Başaran has completed her PhD study with the thesis entitled "Formulation and *In Vitro* - *In Vivo* Evaluation of Cyclosporine A Incorporated Solid Lipid Nanoparticles, Microemulsion and Polymeric Nanoparticles Aiming Ocular Application" at the Anadolu University Faculty of Pharmacy at Pharmaceutical Technology Department in 2007. The doctorate study was awarded by NAGAI Foundation as the "Best Research Work" at FAPA meeting in 2008. She focused on formulation and characterization of nano-microparticulate delivery systems for ocular application. Currently Dr. Başaran is working as an Assoc. Prof. at the Anadolu University Faculty of Pharmacy Department of Pharmaceutical Technology since 2017.

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