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(54) Title of the invention : TPGS-CHITOSAN CONJUGATED MUCOADHESIVE MICELLES OF BRINZOLAMIDE FOR GLAUCOMA THERAPY

<p>(51) International classification :A61K 090000, A61K 091070, A61K 315420, A61K 473200, A61P 270600</p> <p>(86) International Application No :NA</p> <p>Filing Date :NA</p> <p>(87) International Publication No : NA</p> <p>(61) Patent of Addition to Application Number :NA</p> <p>Filing Date :NA</p> <p>(62) Divisional to Application Number :NA</p> <p>Filing Date :NA</p>	<p>(71)Name of Applicant :</p> <p><b>1)Dr. P. Mohan</b> Address of Applicant :Professor, Department of Pharmaceutics, Vellalar College of Pharmacy, Erode, 638012, Tamil Nadu, India Erode --</p> <p>-----</p> <p><b>2)Dr. K. Kesavan</b> <b>3)Dr. Nivedita Gautam</b> <b>4)Dr. Sanjay Kumar Bharti</b> <b>5)Mrs. J. Rajeswari</b></p> <p>Name of Applicant : NA Address of Applicant : NA</p> <p>(72)Name of Inventor :</p> <p><b>1)Dr. P. Mohan</b> Address of Applicant :Professor, Department of Pharmaceutics, Vellalar College of Pharmacy, Erode, 638012, Tamil Nadu, India Erode -----</p> <p>-----</p> <p><b>2)Dr. K. Kesavan</b> Address of Applicant :Assistant Professor, Department of Pharmacy, Guru GhasidasVishwavidyalaya (A Central University), Bilaspur – 495009, Chhattisgarh, India Bilaspur -----</p> <p><b>3)Dr. Nivedita Gautam</b> Address of Applicant :Assistant Professor, Department of Pharmacy, J. K. College of Pharmacy, Bilaspur – 495001, Chhattisgarh, India Bilaspur ----</p> <p>-----</p> <p><b>4)Dr. Sanjay Kumar Bharti</b> Address of Applicant :Assistant Professor, Department of Pharmacy, Guru GhasidasVishwavidyalaya (A Central University), Bilaspur – 495009, Chhattisgarh, India Bilaspur -----</p> <p><b>5)Mrs. J. Rajeswari</b> Address of Applicant :Assistant Professor, Department of Pharmacy, Guru GhasidasVishwavidyalaya (A Central University), Bilaspur – 495009, Chhattisgarh, India Bilaspur -----</p>
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## (57) Abstract :

Micelle is a promising avenue for ophthalmic drug development technology and an especially suitable choice for hydrophobic drugs. The aim of this investigation was to synthesize Brinzolamide (BRZ) loaded D-alpha-tocopherol polyethylene glycol succinate (TPGS) - Chitosan conjugated micelles (BTCM) prepared by solvent evaporation method and to investigate their intraocular pressure (IOP) reducing efficacy for the management of glaucoma. The formulated BTCM was evaluated with various parameters such as size, zeta potential, morphology, and percentage drug entrapment efficiency, drug loading capacity, and mucoadhesion strength, in-vitro and ex-vivo release. In-vivo anti-glaucoma efficacy study was conducted by glucocorticoid-induced glaucoma rabbit eye model and evaluated with the Marketed formulation (MF). The optimized formula showed a micelle size of  $74.32 \pm 1.46$  nm, and suitable physicochemical properties and in-vitro release mechanism were observed in that biphasic erosion-diffusion pattern of BRZ releases up to 8 h. The Ex-vivo corneal penetration of BRZ from BTCM showed a higher permeability rate than MF. Additionally, BTCM showed sustained release of BRZ, better corneal tissue compatibility, and improved anti-glaucoma potential, as compared to MF. The results concluded that BTCM is the suitable option for anti-glaucoma therapy because of its capability to improve ocular mucoadhesion of loaded BRZ via the interaction with the mucous membrane of the corneal surface.

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