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Optimization of Brinzolamide Loaded Microemulsion using Formulation by Design Approach: Characterization and In-vitro Evaluation

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Abstract:
Background: The clinical application of Brinzolamide, a drug used in the treatment of glaucoma is limited due its poor aqueous solubility. Microemulsion based ocular delivery can be an effective means to improve its solubility and in turn the bioavailability.
Objective: The main objective of the present work was optimization and characterization of Brinzolamide loaded microemulsion for the treatment of glaucoma.
Method: The solubility of Brinzolamide in various oils and surfactants was checked in order to identify components of microemulsion. Pseudo-ternary phase diagram using Prosim software was plotted to identify microemulsion existence area. D-optimal mixture design was used for optimization of microemulsion. The optimized formulation consisted of Isopropyl myristate, Tween-80 and Transcutol-P as surfactant and co-surfactant respectively, and water. The chosen critical responses were droplet size, zeta potential, nepheloturbidimetric unit, and viscosity.
Results: The selected optimal composition shows favorable features, such as droplet size (41.69 nm), Zeta potential (-9.496 mV), Viscosity (170.8 cps), Transparency (1.483 NTU) and pH (7.646) that are suitable for ocular delivery. Moreover, a prolonged drug release (78.08 % within 7 hour) was found in in-vitro experiments. By and large the formulation was found to be safe and nonirritant as proven by the ocular irritation study.
Conclusion: Our study illustrated potential of Brinzolamide loaded microemulsion for ocular delivery for the treatment of glaucoma.

Keywords:
Brinzolamide, microemulsion, glaucoma, D-optimal mixture design, viscosity, transparency.

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