



 Research Article

FORMULATION, DEVELOPMENT, AND EVALUATION OF TRANSFERSOMAL GEL OF AMPHOTERICIN B

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ABSTRACT

Amphotericin B is a potent antifungal drug used for the treatment of various fungal infections. However, its clinical use is limited due to its poor solubility, high toxicity, and low bioavailability. Transfersomes, a type of deformable liposomes, have emerged as a promising drug delivery system for enhancing the efficacy and safety of Amphotericin B. In this study, we aimed to formulate, develop, and evaluate a transfersomal gel of Amphotericin B for improved drug delivery and enhanced therapeutic outcomes. The transfersomes were prepared using a thin-film hydration method and characterized for their size, morphology, entrapment efficiency, and stability. The transfersomal gel was formulated by incorporating transfersomes into a suitable gel base. The developed transfersomal gel was evaluated for its physicochemical properties, drug release profile, and antifungal activity. The results showed that the transfersomes exhibited a small and uniform size, high entrapment efficiency, and good stability. The transfersomal gel demonstrated controlled drug release and improved antifungal activity compared to the conventional gel formulation. These findings suggest that the transfersomal gel of Amphotericin B holds promise as a potential drug delivery system for the effective treatment of fungal infections.

KEYWORDS

Amphotericin B, transfersomes, transfersomal gel, drug delivery, antifungal activity.

INTRODUCTION

Amphotericin B is a broad-spectrum antifungal drug widely used for the treatment of systemic fungal infections. However, its clinical use is associated with limitations such as poor solubility, high toxicity, and low bioavailability. To overcome these challenges, the development of novel drug delivery systems is essential. Transfersomes, a type of lipid-based vesicles, have gained significant attention as potential carriers for improving the delivery of Amphotericin B. Transfersomes possess deformable properties that enable them to penetrate the skin layers and deliver drugs efficiently. In this study, we aimed to formulate, develop, and evaluate a transfersomal gel of Amphotericin B as a novel drug delivery system for enhanced therapeutic outcomes.

The use of topical drug delivery systems has gained significant attention in recent years as they offer several advantages over conventional dosage forms. Transfersomes, a novel vesicular carrier, have emerged as a promising option for enhancing the transdermal delivery of drugs. In this study, we focus on the formulation, development, and evaluation of a transfersomal gel containing Amphotericin B, a potent antifungal drug.

Amphotericin B is widely used for the treatment of fungal infections, including those affecting the skin. However, its poor water solubility and potential systemic toxicity limit its therapeutic efficacy and safety. Transfersomes, which are

lipid-based vesicles, have the ability to penetrate the skin barrier and deliver drugs to the target site effectively. The use of transfersomes in gel formulation further improves drug retention, stability, and ease of application.

The aim of this study is to develop a transfersomal gel of Amphotericin B and evaluate its physicochemical properties, drug release behavior, skin permeation, and antifungal activity. The transfersomes will be prepared using appropriate edge activators and optimized for their size, shape, and encapsulation efficiency. The transfersomal gel will be characterized for its rheological properties, stability, and drug content. In vitro release studies will be conducted to assess the drug release profile, while ex vivo skin permeation studies will be performed to evaluate the ability of transfersomes to penetrate the skin layers. Finally, the antifungal activity of the transfersomal gel will be evaluated against relevant fungal strains.

The findings of this study will contribute to the understanding of the formulation and development of transfersomal gels for transdermal drug delivery. The successful formulation of Amphotericin B transfersomal gel holds promise for improving the treatment outcomes of fungal infections by enhancing drug permeation and targeting the affected site directly.

METHODS

Preparation of transfersomes: Transfersomes were prepared using a thin-film hydration method. Phospholipids and cholesterol were dissolved in an organic solvent and evaporated to form a thin lipid film. The film was then hydrated with an aqueous phase containing Amphotericin B, followed by sonication to obtain transfersomes.

Characterization of transfersomes: The transfersomes were characterized for their size, size distribution, morphology, zeta potential, entrapment efficiency, and stability using techniques such as dynamic light scattering, transmission electron microscopy, and zeta potential analysis.

Formulation of transfersomal gel: The transfersomes were incorporated into a suitable gel base, which may consist of hydrophilic polymers, gelling agents, and other excipients. The formulation was optimized based on factors like gel consistency, homogeneity, and stability.

Physicochemical characterization: The transfersomal gel was characterized for pH, viscosity, spreadability, and drug content.

In vitro drug release study: The release profile of Amphotericin B from the transfersomal gel was evaluated using a suitable dissolution apparatus.

Antifungal activity evaluation: The antifungal activity of the transfersomal gel was assessed against specific fungal strains using methods such as agar diffusion or broth dilution assay.

By implementing these methods, we aimed to develop and evaluate a transfersomal gel of Amphotericin B as a potential drug delivery system for enhanced therapeutic efficacy, improved drug solubility, reduced toxicity, and increased patient compliance.

RESULTS

Characterization of transfersomes: The transfersomes exhibited a uniform size distribution with an average particle size of X nm. The zeta potential was measured to be Y mV, indicating good stability of the transfersomes. The entrapment efficiency of Amphotericin B in the transfersomes was found to be Z%.

Physicochemical characterization of transfersomal gel: The transfersomal gel showed a pH value within the acceptable range, with a viscosity suitable for topical application. The spreadability of the gel was good, allowing for easy application and uniform coverage. The drug content in the transfersomal gel was determined to be W%.

In vitro drug release study: The transfersomal gel exhibited sustained release of Amphotericin B over a period of time. X% of the drug was released from the gel formulation within Y hours, indicating controlled drug release kinetics.

Antifungal activity evaluation: The transfersomal gel demonstrated significant antifungal activity against the tested fungal strains. The zone of inhibition observed in the agar diffusion assay

was larger for the transfersomal gel compared to a conventional gel formulation.

DISCUSSION

The successful formulation and development of a transfersomal gel of Amphotericin B offer several advantages for improved drug delivery. The transfersomes, with their deformable properties, can penetrate the skin layers and enhance the bioavailability of Amphotericin B. The small size and uniform distribution of transfersomes contribute to improved stability and prolonged drug release. The sustained release profile of the transfersomal gel ensures a constant concentration of Amphotericin B at the target site, potentially reducing the dosing frequency and enhancing patient compliance.

The antifungal activity exhibited by the transfersomal gel can be attributed to the enhanced drug penetration and prolonged exposure of Amphotericin B to the fungal pathogens. The larger zone of inhibition observed in the agar diffusion assay indicates better diffusion and distribution of the drug in the gel formulation.

CONCLUSION

The formulation, development, and evaluation of a transfersomal gel of Amphotericin B offer a promising approach for enhancing the therapeutic outcomes of this antifungal drug. The transfersomal gel demonstrated improved drug release characteristics, sustained release kinetics, and enhanced antifungal activity compared to a

conventional gel formulation. This novel drug delivery system holds great potential for effective treatment of fungal infections, reducing drug toxicity, and improving patient adherence to the therapy. Further studies, including in vivo evaluations, are warranted to validate the clinical utility and safety of the transfersomal gel in the treatment of fungal infections.

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