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Review Paper

Formulation And Evaluation of a Itraconazole Nanosponges

Navale Gaurav, Pawar Yash, Choure Siddhi, Gugale Vaishnavi

Samarth institute of pharmacy, Belhe.

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ABSTRACT

Itraconazole (ITZ), an antifungal drug, faces challenges related to poor water solubility, leading to low bioavailability. This study aimed to formulate and evaluate ITZ-loaded nanosponges to enhance its solubility and therapeutic efficacy. Nanosponges were prepared using the emulsion solvent diffusion method, employing different ratios of drug, polymer (e.g., ethylcellulose), and porogen (e.g., polyvinyl alcohol). The prepared nanosponges were characterized for particle size, entrapment efficiency, drug loading, in vitro drug release, and Smorphology. The optimized formulation exhibited a particle size in the nanometer range, high entrapment efficiency, and sustained drug release. In vitro studies demonstrated improved dissolution of ITZ from the nanosponges compared to the pure drug. The results suggest that ITZ-loaded nanosponges could be a promising approach to improve the solubility, dissolution, and bioavailability of ITZ, potentially leading to enhanced antifungal activity. Itraconazole, a broad-spectrum antifungal agent, exhibits poor water solubility and limited oral bioavailability, posing significant challenges in achieving effective therapeutic concentrations. To overcome these limitations, the present study focuses on the formulation and evaluation of itraconazole-loaded Various formulations were developed and optimized based on particle size, drug entrapment efficiency, and in vitro drug release. nanosponges aimed at enhancing solubility, controlled drug release, and improved bioavailability.

INTRODUCTION

Itraconazole is a widely used antifungal agent effective against a broad range of fungal infections. However, its clinical application is limited due to poor aqueous solubility and low oral bioavailability. To address these challenges, advanced drug delivery systems such as nanosponges have emerged as promising carriers. Nanosponges are porous, nanosized structures capable of encapsulating poorly water-soluble drugs, enhancing their solubility, stability, and providing sustained release. Formulating itraconazole into nanosponges offers a potential

***Corresponding Author:** Navale Gaurav
Address: *Samarth institute of pharmacy, Belhe*.
Email ✉: siddhichoure259@gmail.com
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strategy to improve its dissolution profile and therapeutic efficacy. Itraconazole (ITZ) is a broad-spectrum antifungal drug widely used to treat various fungal infections. However, its clinical efficacy is often limited by its poor aqueous solubility, resulting in low and variable bioavailability.

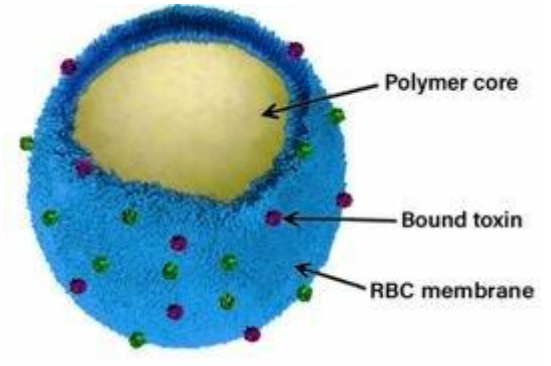

Fig: Structure of nanosponge

This necessitates the development of novel drug delivery systems to enhance the solubility and dissolution rate of ITZ, thereby improving its therapeutic outcomes. Nanosponges, microscopic, colloidal particles with a porous structure, offer a promising approach for drug delivery due to their ability to encapsulate hydrophobic drugs, improve solubility, and control drug release. This study focuses on the formulation and evaluation of ITZ-loaded nanosponges to address the limitations of conventional ITZ formulations.

Formulation:

- **Selection of Drug and Excipients:**

Itraconazole (ITZ): Itraconazole is an antifungal drug. Its selection as the API is based on its therapeutic use.
Polymers: Polymers like ethylcellulose are chosen for their ability to form a matrix. This matrix encapsulates the drug, providing a structure for the nanosponges. The choice of polymer affects drug release and stability.
Solvents: Solvents such as ethanol and dichloromethane are used to dissolve the drug and polymer. The selection depends on the solubility of the drug and polymer.
Cross-linking agents: These agents are added to stabilize the nanosponges' structure.
Surfactants: Surfactants like polyvinyl alcohol (PVA) are included to stabilize the emulsion formed during the preparation. They reduce the surface tension between the aqueous and organic phases.

- **Preparation Method:**

Emulsion Solvent Diffusion Method: This method involves dissolving the drug and polymer in a suitable organic solvent. This solution is then emulsified into an aqueous phase containing a surfactant. The organic solvent is then evaporated, leading to the formation of nanosponges.
Steps: The process includes dissolving the drug and polymer in a solvent, emulsifying this solution in an aqueous phase, and evaporating the solvent to form nanosponges.
Optimization of Formulation:
Drug-to-Polymer Ratio: Varying this ratio is critical because it influences drug loading, release, and the physical properties of the nanosponges.
Surfactant Concentration: Optimizing the surfactant concentration is important for the stability of the emulsion and the final nanosponge formulation.
Stirring Speed and Time: These parameters affect the size and uniformity of the nanosponges.

Evaluation:

Physicochemical Characterization

1. Particle Size and Polydispersity Index (PDI):

Particle Size: This refers to the diameter of the nanoparticles. It's crucial because it affects how the drug is distributed in the body, how well it can

penetrate tissues, and how long it stays in the bloodstream. Dynamic Light Scattering (DLS) is a common technique used to measure this. DLS works by shining a laser beam through a sample and measuring how the light scatters due to the movement of the particles.

Polydispersity Index (PDI): PDI tells you about the size distribution of the nanoparticles in your sample. A PDI of 0 means all the particles are exactly the same size (monodisperse), while a higher PDI indicates a wider range of particle sizes (polydisperse). The PDI affects drug release, stability, and the overall performance of the drug delivery system.

2. Zeta Potential:

Zeta potential measures the surface charge of the nanoparticles. This is super important for understanding the stability of your nanosystem. Nanoparticles with a high zeta potential (either positive or negative) tend to repel each other, preventing aggregation and ensuring the particles stay dispersed in the solution. If the zeta potential is close to zero, the particles may aggregate, which can affect drug release and effectiveness.

3. Morphology:

This refers to the shape and structure of the nanoparticles. Scanning Electron Microscopy (SEM) is a technique used to visualize the morphology. SEM uses a focused beam of electrons to scan the surface of a sample and create a high-resolution image. This allows you to see the shape, size, and surface characteristics of the nanoparticles.

Drug Entrapment Efficiency (EE) and Drug Loading (DL)

1. Drug Entrapment Efficiency (EE):

EE tells you the percentage of the drug that is successfully encapsulated within the nanoparticles. It's calculated by separating the nanoparticles from the surrounding solution (e.g., by centrifugation or filtration) and then quantifying the amount of drug inside the nanoparticles. A high EE means that a larger amount of the drug has been successfully loaded into the nanoparticles, which is generally desirable.

2. Drug Loading (DL):

DL refers to the amount of drug loaded per unit mass of the nanoparticles. It's usually expressed as a percentage. A higher DL means that more drug is loaded into the nanoparticles, which can potentially lead to a higher therapeutic effect.

In vitro Drug Release:

This involves studying how the drug is released from the nanoparticles over time under controlled conditions. This usually involves placing the drug-loaded nanoparticles in a release medium (e.g., a buffer solution) and measuring the amount of drug released at specific time intervals. This helps to understand the release kinetics of the drug.

CONCLUSION

The formulation and evaluation of itraconazole-loaded nanosponges aims to create a drug delivery system that enhances the therapeutic efficacy of itraconazole. Through careful selection of materials, optimized formulation methods, and thorough evaluation, these nanosponges can improve drug solubility, bioavailability, and controlled release, potentially leading to more effective antifungal treatments.

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