4th BIOPHARM SCIENTIFIC ANNUAL MEETING
BSAM4, Alger le 06 juin 2024

BSAM4 Biopharm Scientific Annual Meeting

PREPARATION AND CHARACTERIZATION OF LIPIDIC MICROPARTICLES OF CIPROFLOXACIN HYDROCHLORIDE

ABBAS Nacira [1] *, BOUAMEUR Sarah [1], MOUSSAOUI Nassima [1]

[1] Laboratory of Galenic Pharmacy, Faculty of Medicine, Oran -1, Algeria
* E-mail: abbasnacira07@gmail.com

ABSTRACT

Objective: The main purpose of this study was to prepare and investigate in vitro ciprofloxacin hydrochloride (CPX-HCl) loaded lipid microparticles against some selected micro-organisms for improved activity.

Methods: Lipid microparticles were prepared by melt emulsifying method. Compritol ATO 888 was selected as matrix and stabilized by soy lecithin and labrasol (1:1). CPX-HCL microparticles were characterized by particle size, morphology, efficacy efficiency and their antimicrobial efficiency.

Results: The CPX-HCL microparticles analyzed by optical microscopy revealed uniform and spherical morphology of the microparticles, with diameters ranging less than 2 µm. CPX-HCl encapsulation efficiency (EE%) in the microparticles was 98%. This high encapsulation efficiency indicates a favorable interaction between the initial emulsion components and the active pharmaceutical ingredients, thereby promoting its retention within the microparticles. When compared to the standard free CPX-HCl solution, microbiological assays revealed that CPX-HCl encapsulation in microparticles enhanced its antibacterial activity against both *Staphylococcus aureus* and *2*, as evidenced by the inhibition zones.

Conclusion: Given the issue of improving the efficacy of conventional treatment based on CPX-HCL, this study suggests that lipid microparticles may serve as promising carriers in enhancing the management of infections targeting ocular, cutaneous, and respiratory pathways.

Keywords: ciprofloxacin hydrochloride; microparticles; Antimicrobial Activity; controlled release; Compritol.

INTRODUCTION

Ciprofloxacin hydrochloride (CPX-HCl) is a potent antibiotic known for its broad-spectrum activity and minimal side effects. However, its effectiveness is hindered by poor solubility, limited shelf life, and low plasma concentration, leading to bacterial resistance. Lipid-based drug delivery systems, like solid lipid microparticles (SLMs) and microemulsions, offer promising solutions.

Objective

This study focuses on preparing CPX-HCl-loaded lipid microparticles using Compritol ATO 888 to enhance drug delivery by improving encapsulation, achieving controlled release, extending residence time, and enhancing antimicrobial activity *in vitro*.

MATERIALS AND METHODS

1. Preparation of CPX-HCl Microparticles

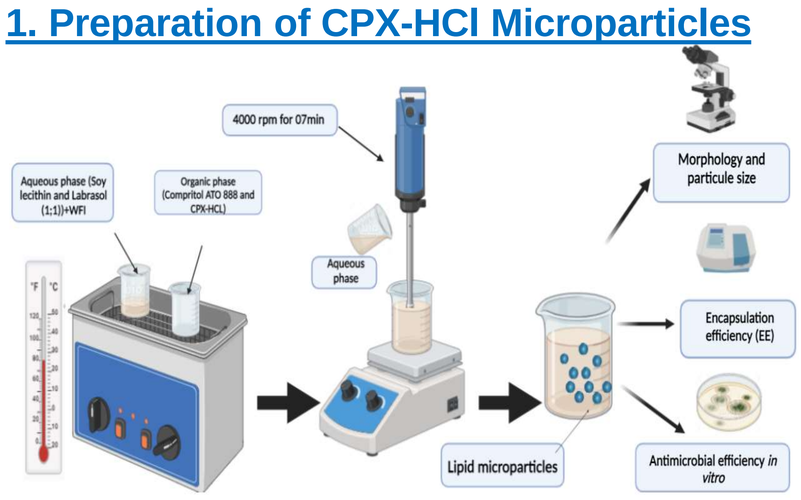

Fig 1. Preparation of CPX-HCL Microparticles by melt emulsifying method (1)

2. Characterization of the Microparticles

2.1. Morphology and particle size characteristics

Morphology and particle size were investigated using an optical microscope equipped with a micrometer scale.

2.2. Encapsulation efficiency (EE%) and loading capacity (LC)

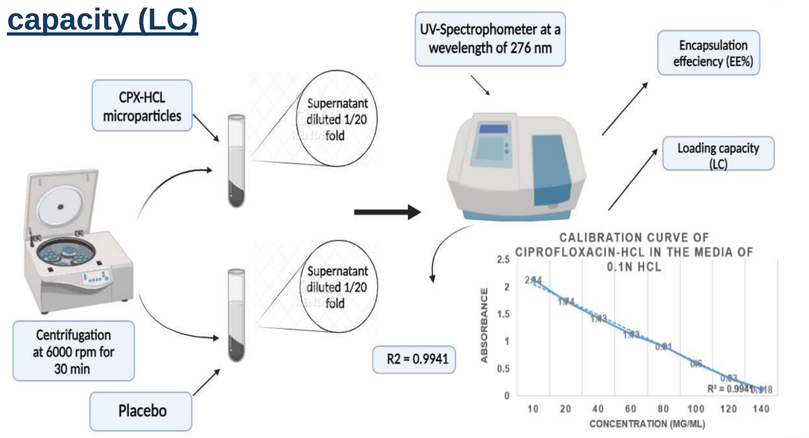

Fig 2.The process of determining encapsulation efficiency (EE) and loading capacity (LC)

2.3. Evaluation of anti-Bacterial Activity of CPX-HCl Microparticles

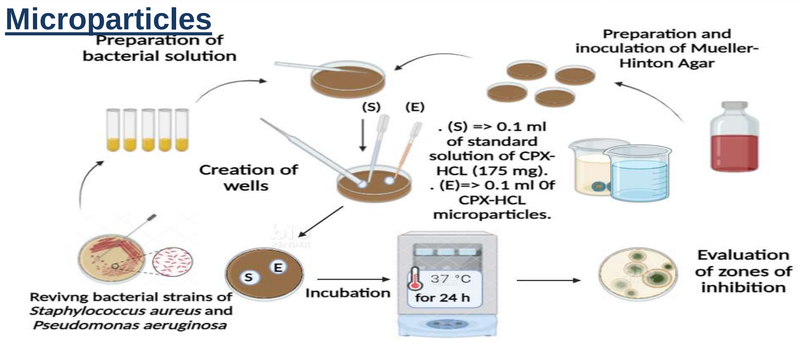

Fig 3.The antimicrobial activity CPX-HCL microparticles using the agar diffusion method(2).

References

1.Audu M, Aminu N, Kenechukwu F, Agboke A, Oluseun A, James oyeniyi Y, et al. Antimicrobial Activity of Ciprofloxacin-Loaded Softisan-154 Lipid Microparticles: Physicochemical Evaluation and In Vitro Activity. Acta Sci Pharm Sci. 2020 Apr 29; 4:29–35.

2.Sumit Durgapal, Sayantan Mukhopadhyay, Laxmi Goswami. Preparation, characterization and evaluation of floating microparticles of ciprofloxacin. Int J Appl Pha 2017; 9(1):1-8.

3.Wolska E, Sznitowska M. Technology of stable, prolonged-release eye-drops containing Cyclosporine A, distributed between lipid matrix and surface of the solid lipid microspheres (SLM). Int J Pharm. 2013 Jan 30;441(1–2):449–57.

4.Sanna V, Kirschvink N, Gustin P, Gavini E, Roland I, Delattre L, et al. Preparation and in vivo toxicity study of solid lipid microparticles as carrier for pulmonary administration. AAPS PharmSciTech. 2004 Jun;5(2):17–23.

RESULTS AND DISCUSSION

1. Morphology and particle size characteristics

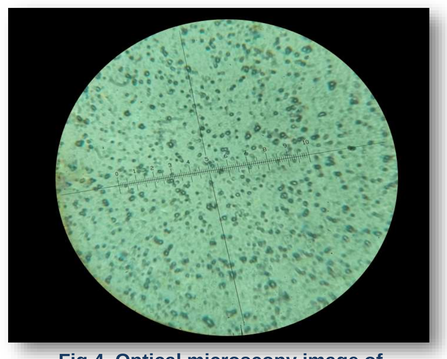

Fig 4. Optical microscopy image of Ciprofloxacin HCl microparticles.

- CPX-HCl microparticles exhibited a consistent and spherical structure (Figure 4).

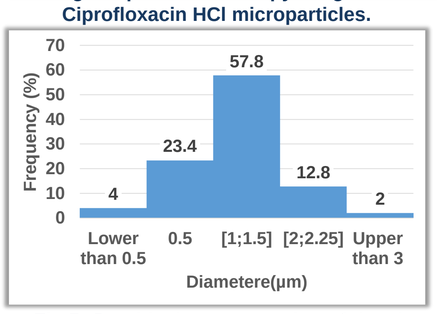

Fig 5. Graphical representation of the size distribution of ciprofloxacin hydrochloride-loaded microparticles..

- The particle size distribution, presented as frequency in number, exhibited a narrow distribution with diameters ranging less than 2µm (Figure 5).

2. Determination of Encapsulation Efficiency

- Encapsulation efficiency (EE) ➡ 98, 34%.
- Loading capacity (LC) ➡ 0.79%.
- This result indicates a favorable interaction between the initial emulsion components and CPX-HCL.
- Studies have demonstrated that EE% largely depends on the lipophilicity of the drug, the type of lipid, and the formulation method adopted (3), also the hydrophobic nature of Compritol ATO 888 encourages drug encapsulation within the core of the microparticles(4).

3. Evaluation of Anti-Bacterial Activity of CP[X-HCl Microparticles

- Preliminary results (right) indicate that CPX-HCL Microparticles showed efficacy against both selected bacterial strains (Fig 6). Within the initial 3 hours of incubation, none of the tests exhibited inhibition, indication the absence of initial drug release from the carrier. However, by the 5 hour, all tests began to demonstrate bacterial inhibition against all microorganisms. After 24 hours of incubation,

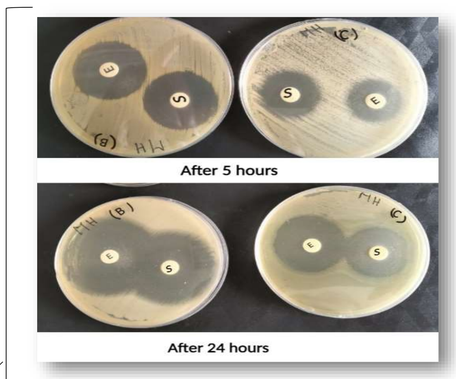

Fig 6. The antibacterial activity of the pure drug (S) and CPX-HCL microparticles (E) using two different bacterial strands (*S.aureus* (C) and *Pseudo.aeruginosa* (B).

Table 1. Antimicrobial susceptibility testing of formulation against *Staphylococcus aureus* and *Pseudomonas aeruginosa*.

	Microorganisms	
	`Staphylococcus aureus	*Pseudomonas aeruginosa*
Time (Hours)	Inhibition Zone (mm)	Inhibition Zone (mm)
03	×	×
05	13	21
24	40	58

X—no inhibition.

- The inhibition zones of CPX-HCL microparticles tripled compared to those observed at the 5 hour. These findings suggest a controlled release of CPX-HCL from the microparticles.

CONCLUSION

Given the challenge of enhancing the efficacy of conventional CPX-HCL-based treatments, This study proposes lipid microparticles as carriers to enhance CPX-HCL based treatments for ocular, cutaneous, and respiratory infections. By incorporating CPX into these microparticles, antimicrobial activity increases significantly compared to traditional tablets. Lipids aid drug penetration, membrane disruption, and controlled release, improve therapeutic outcomes and potentially reduce side effects. This approach offers promise for advancing infection treatments.