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Short communication

In vitro release study of Capsaicin carbopol formulation

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Introduction

Capsaicin is the main capsaicinoid of the *Capsicum* genus and it is responsible for the pungent taste. Most of all, they are used topically as analgesics in anti-inflammatory diseases such as rheumatism, arthritis, and in diabetic neuropathy. Reports state that the Capsicum genus, among other plant genera, is a good source of antimicrobial and antifungal compounds.

Our study focuses on the formulation of topical capsaicin ethanolic extracts in pharmaceutical gels with prolonged effects avoiding its hepatic metabolism and improving bioavailability. Thus, we prepared a pharmaceutical gel based on Carbopol containing capsaicin and evaluated the in vitro release of the active principle. The quantitative determination of capsaicin from extracts and also from Carbopol-based gel formulations were performed by HPLC as described by Abdullah Al Othman Z. et al., with some slight modifications.

Antimicrobial effects against multiple bacterial and fungal strains were evaluated, as well (Goci et al., 2021).

Materials and methods

Capsicum annuum fruits were purchased from the trade of Chieti, Abruzzo, Italy. During this study, it was first stabilized the extraction method from the *Capsicum annuum* fruits with 98% ethanol and then the identification and determination of Capsaicin in this extract was realized by HPLC. The concentration of the capsaicin in the obtained extract was analyzed by using a slightly modified method as described by Abdullah Al Othman Z. et al., 2011.

Formulation with Capsaicin Extract

The carbopol (0.08 g) was finely dispersed in water and continuously stirred at 350 rpm until a homogeneous dispersion was obtained. Then, propylene glycol (0.5 g), sodium Ethylenediaminetetraacetic acid (EDTA) (0.02 g), and the capsaicin extract dissolved in ethanol (2.495 g) were added to the Carbopol mixture. The extract contained a total amount of capsaicin of 2.5 mg. Sodium hydroxide (0.11 g) was added to the dispersion to afford the gel.

In Vitro Release of Capsaicin from Carbopol-Based Formulation

In vitro release studies were performed on an incubated capsaicin-Carbopol formulation using a dialyses membrane method. Experiments were performed at 34 ◦C and under magnetic stirring (250 rpm). To quantify the amount of released capsaicin, at fixed time points, aliquots of 1 mL were withdrawn, from the acceptor compartment, and analyzed by HPLC, using the chromatographic condition previously reported.

The Minimum inhibitory concentrations (MICs) of Capsaicin and Carbopol formulation were determined according to the broth microdilution method of the Clinical and Laboratory Standards Institute, M07-A10.

Susceptibility testing against yeasts was performed according to the CLSI M27-4th ed. and M60 protocols.

Results and discussion

In vitro release studies were performed evaluating the percentage of capsaicin released from the Carbopol-based

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formulation over time (Fig. 1). A plateau region was observed after 40 h. The maximum percentage of capsaicin released was 47%, suggesting that the gel significantly affects the entrapped drug movement causing its retention in its network

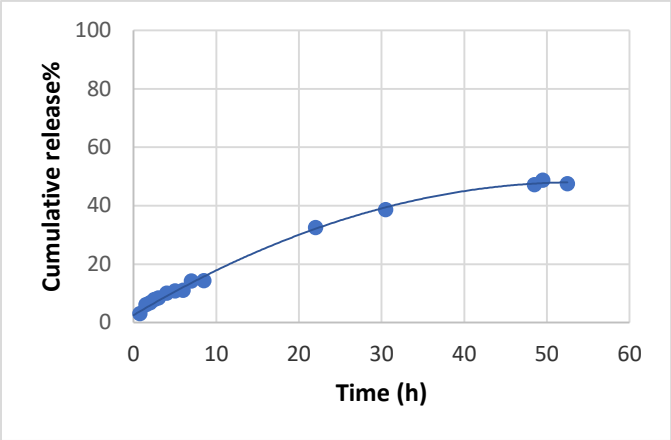

Fig. 1. Capsaicin release from carbopol gel

Finally, antimicrobial properties were conducted with the aim to explore the influence of Carbopol-based formulation on capsaicin antimicrobial effect. As depicted the Carbopol formulation increased the antibacterial and antifungal effect of capsaicin, with a MIC value reduction of at least 50%

Conclusion

The in vitro evaluation of drug release suggests a prolonged release and good bioavailability of this formulation and may have great relevance in the local treatment of inflammatory joint diseases.

From the antimicrobial and antifungal properties assessment, we may conclude that our formulation has good antimicrobial effects against *Escherichia coli* and the same effects between *Bacillus cereus* and *Salmonella typhi* compared to pure capsaicin.

Also, the Carbopol formulation exhibits good antifungal properties from each species of *Candida*, especially from *Candida albicans*. According to these results, we strongly recommend this formulation for dermatological use due to its anti-inflammatory and antimicrobial properties.

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