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Preparation and characterization of nano-formulations for acne treatment

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Preparation and characterization of nano-formulations for acne treatment

Acne vulgaris is a typical dermatological ailment affecting people of both genders in their adolescence, influencing their quality of life, personal satisfaction, confidence and self-esteem. Treatment options range from topical to systemic therapies, however, due to the adverse effects accompanied with the latter, topical therapy is preferable. Due to the vast number of advantages the vesicular systems and nanofibers provide, they are considered the most promising basic delivery platforms for encapsulating different drugs used in treatment of several dermatological diseases.

The purpose of the first chapter of this thesis was to formulate novel anti-oxidant vitamin-C nano-vesicles; called aspasomes for enhancing the topical delivery of the anti-inflammatory quercetin for treatment of acne lesions. Vesicles were prepared by the thin film hydration method using ascorbyl palmitate as the bilayer forming material, cholesterol as the main stabilizing agent, and dicetyl phosphate as the negative charge inducer. Aspasomes were evaluated for their particle size, zeta potential, and entrapment efficiency percentage. Furthermore, a physical stability study was performed by recording changes in their particle size, zeta potential, and entrapment efficiency when stored at a temperature of 2-8°C. Other characterization experiments were DPPH assay to examine the anti-oxidant properties of the aspasomes, skin deposition/permeation, morphology using the transmission electron microscope, and the thermal properties using differential scanning calorimetry. Aspasomes were successfully prepared, displaying particles

in the nanometer range, and were able to entrap quercetin at very high percentages reaching almost 100%. Aspasomes were spherical in shape, and showed high physical stability over a period of three months when stored in the refrigerator. *Ex-vivo* deposition study showed that aspasomal formulations were able to deposit in different skin layers, with very low permeation percent of quercetin.

The second chapter of the thesis was concerned with the preparation of both PVA/aspasomes composite nanofibers and PVA/quercetin/essential oils nanofibers using PVA as a fiber forming polymer. Nanofibers were characterized through visual and morphological examination, skin deposition/permeation, and physical integrity using the water-retention test. Thermal properties of the nanofibers were examined by the differential scanning colorimetry. Lastly, chemical interactions were examined using Fourier transform infrared spectroscopy. The nanofibers were successfully prepared by electrospinning, and they effectively encapsulated quercetin and appeared as thin round rods with a smooth surface arranged in a network shape. *Ex-vivo* deposition study revealed a good skin deposition and very low *in vitro* permeation percent of quercetin. Physical integrity test revealed that nanofibers exhibited high mechanical strength and good physical integrity.

Lastly, in the third chapter, selected quercetin formulae were tested for their safety, microbiological efficacy, and clinical applicability on patients suffering from acne vulgaris. Both aspasomes and nanofibers showed high anti-bacterial activity against *Propionibacterium acne*. Moreover, both formulations were considered highly safe on skin fibroblastic cells, and the clinical trials revealed that both formulations were considered effective in treating acne lesions, specifically the inflammatory ones, showing considerable reduction percentages for inflammatory acne lesions and total acne lesions.

Abstract

The proposed approach of using quercetin loaded aspasomes, and quercetin loaded nanofibers for treatment of different types of acne lesions has shown propitious results and can be relied upon to survive the downsides of the systemic anti-acne marketed products.

Keywords: Acne, aspasomes, nanofibers, quercetin, microbiological efficacy, clinical applicability.