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Review

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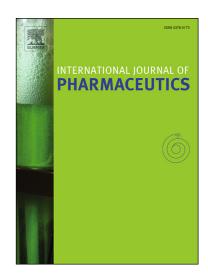
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ACCEPTED MANUSCRIPT

Photostabilization Strategies of Photosensitive Drugs

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ABSTRACT

Purpose: Several active compounds are sensitive to light, especially to the ultraviolet radiation (UV-R) leading to their degradation or modification, with lost or decrease of their biological activity. The aim of this study was to perform a systematic review regarding photostabilization strategies used on health products and perform a critical appraisal of their effectiveness. *Results:* The bibliographic search identified 2261 results and merely 40 studies met the selection criteria. Of these, 85% referred to encapsulation strategies, 10% to antioxidants and 5% to the use of solar filters. Cyclodextrins (CD's) were the most used encapsulation systems (32.5%) followed by liposomes and lipid nanoparticles (each 17.5%), microparticles (15%) and polymeric nanoparticles (10%). The most effective were found to be liposomes and lipid nanoparticles. However, the different methodological conditions used limit the true relevance of this finding. *Conclusions:* A gold standard strategy suitable for all compounds cannot be proposed. Instead, case-by-case evaluation, supported on the photodegradation mechanism is recommended. Systematic studies that compare different photostabilization strategies undertaken with the same irradiation conditions are also needed.

KEYWORDS

Antioxidant • Encapsulation • Photostabilization • Photostability • Radiation • Solar Filter

ABBREVIATIONS

AA, Ascorbic acid; CD, Cyclodextrin; DS, Direct sunlight; EHMC, Ethylhexylmethoxycinnamate; FL, Fluorescent lamp; ICH, International Conference on Harmonization; HPML, High-pressure mercury lamp; NLC, Nanostructured lipid carriers; O/W, Oil-in-water; PBSA, Phenylbenzimidazole; PCL, Poly- -caprolactone; PLGA, Poly-D,L-lactide-co-glycolide; ROS, Reactive oxygen species; SLN, Solid lipid nanoparticle; SSR, Solar simulated radiation; UV, Ultraviolet; UV-A, Ultraviolet A; UV-B, Ultraviolet B; UV-C, Ultraviolet C; UV-R, Ultraviolet Radiation; VIS, Visible; W/O, Water-in-oil.

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1. INTRODUCTION

The efficacy and safety of health products are adversely affected by light exposure through their life cycle. During production, storage, distribution and use by patients, most drug formulations could be exposed to light (Tønnesen 2001). Light can provoke changes in the physicochemical properties of the active compounds as well as on stability of the final product. The active compounds that are affected by light are called light-sensitive or photosensitive. Ultraviolet radiation (UV-R) is the main radiation that induces photochemical transformations, but artificial light sources, such as fluorescent light (FL) may also incite these alterations in photosensitive

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