Development and Characterization of Microwave Irradiated Solid Dispersion Lipid Nanoparticles Containing Topical Gel for Dermatophytosis

Neelam Datt¹, Pankaj Yadav¹², Rajasekhar Reddy Poonuru²
¹Department of Pharmaceutical Sciences, Sam Higginbottom University of Agriculture, Technology, and Sciences.
²Department of Pharmaceutics, St. Peter's Institute of Pharmaceutical Sciences.
Email: pypharm@gmail.com

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Abstract

Background: The current study was designed to evaluate the enhancement of the antifungal activity of microwave irradiated solid dispersion-loaded local griseofulvin micro-gel containing the hydrophilic inactive carrier PEG-6000 formed by microwave irradiation against microbial strain. Microwave irradiated solid dispersion was characterized using Fourier Transform Infrared (FTIR), Scanning Electron Microscope (SEM), and solubility were determined and the results showed that a 1: 4 ratio of drug to polymer gave better solubility. This can be due to the formation of an amorphous drug dispersion. The solid dispersion was then dispersed in gelling agent Carbopol 940 (CP-940) in the optimized concentration of 1.5% w / v. The gel thus formed was then analyzed and found to have all properties within a predetermined range at pH 7.5 and diffusivity of 7.86 gcm / s. In the texture analysis study, the hardness was 102.8 g and the adhesive strength was $100 \pm 0.5 \text{ N}$ / mm². In antifungal activity against microbial strain T. rubrum, the inhibition zone (ZOI) of the microgel and the marketed formulation was observed 25.4 mm and 14.1 mm, respectively when compared with the commercially available formulation. Griseofulvin's microwave irradiated solid dispersion was successfully formulated and showed enhanced solubility with effective antifungal activity.

Keywords: Griseofulvin, Microwave Irradiation, Solid dispersions, Topical gel, PEG 6000, Carbopol – 940.

1. INTRODUCTION

Dermatophytosis, is a superficial fungal infection that affects about a quarter of the world's population once in a lifetime caused by genera viz., Epidermophyton, Microsporum, and Trichophyton. Griseofulvin, a heterocyclic benzofuran obtained from Penicillium griseofulvin is a BCS class II drug that is practically insoluble in water possessing a log P value of 2.17. When administered orally griseofulvin exhibits highly variable bioavailability along with several systemic side effects and the requirement of prolonged usage. [1-2] Developing new dosage forms and engineering new delivery systems are always better solutions than a quest for new molecules. [3] Griseofulvin (GF) has a high melting point range of 218 - 220 0C making it a molecule that requires a high amount of energy to disturb the crystal lattice rendering it soluble. [4] It is one good example of a molecule that possesses the favorable characteristics to be a suitable molecule for peroral administration, but its poor aqueous solubility pushes it into drugs with drugs poor oral bioavailability. [5] Thus, the topical route is newly explored. This has been proved through time with patients treated with topical preparations, as well as gels, which have shown better contact time and penetration across a topical lipophilic layer of skin stratum corneum with the incorporation of penetration enhancers.[6] Solid dispersions of griseofulvin have proved to be a better alternative to the drug itself to overcome the problem of poor aqueous solubility. The traditional way to prepare solid dispersions (SDs) is to integrate, there polymer-carriers used for SD are not shown in uniform heat from a heat source. To overcome this, microwave a novel (MW) melting method is used. Electromagnetic irradiation is used in a 0.3 to 300 GHz infrared MW oven once radio waves equal to the wavelength of 1 mm to 1 m. This process can be used to find out heating quickly and consistently even in low-temperature materials (e.g., polymers), because the distribution of energy is not dependent on heat dissipation. [7] Thus, this novel method of melting by MW was adopted for SD fixes. Moreover, the microwave irradiation (MW) method has recently emerged as an excellent surrogate for methods using a solvent that is proven toxic or expensive. [8-9] In the microwave technique, heat is produced inside the material and then passed to an entire volume with a constant temperature. The proposed system would be likely to overawed the existing limitations and enable effective treatments. Specifically, the solid lipid matrix is more hydrophilic due to the incorporation of