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Research Article

PREPARATION OF URSOLIC ACID-LOADED EUDRAGIT-E NANOCARRIER

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ABSTRACT

Ursolic acid (UA), a triterpenoid could hinder the progression of tumor cells but its potential for clinical utilization is significantly hindered by its poor solubility due to its hydrophobic nature. The present study is aimed to develop Ursolic acid-loaded Eudragit-E nanoparticles (UENPs) using the nanoprecipitation technique. We observed that UENPs possess particle size in the 22 – 39 nm range and an encapsulation efficiency of 60%. Furthermore, Eudragit-E at 8 mg/ml and poloxamer at 0.8% w/w were competent to produce isolated and free-flowing nanoparticles. These findings suggest the utilization of UA-entrapped Eudragit-E nanoparticles as an advanced drug delivery tool to combat cancer.

Keywords: *Anti-cancer activity, Nanoplatforms, Polymeric Particle size, Ursolic acid*

INTRODUCTION

Nanoparticles based colloidal carriers with a size below 200 nm are striking pharmacological vectors for drug delivery as well as cancer therapy. UA exhibits various biological properties such as anti-inflammatory [1], hepatoprotective [2], antioxidant [3], antibacterial, antiviral activity [4], and anti-tumor effects [5]. But, its nonpolar nature and solubility profile limits its clinical application and efficiency [6]. However, modifying the drug release kinetics of UA can render it beneficial in drug delivery applications [7].

Eudragit-E, a Poly (methacrylic acid-co-ethyl acrylate) copolymer is frequently employed as a natural polymer that is used in preparation of sustained-release

formulations. Butylated methacrylate copolymer (E100) is basically a cationic copolymer that could be easily absorbed in the stomach [8]. It has the natural potency to enhance the bioavailability of nonpolar molecules [9]. In the present study, polymeric nano platform of UA was loaded in Eudragit-E polymer which further improves the water solubility as well as the bioavailability of the drug.

MATERIALS AND METHODS

Materials

Eudragit-E was procured from Evonik Rohm GmbH & Co, Germany. Ursolic acid was obtained from Sigma Aldrich, India, and Minimum Essential Eagle Medium, Foetal bovine serum (FBS), penicillin & streptomycin were obtained from Himedia Laboratories Pvt. Ltd. Mumbai, India. All chemicals used in the present research were of analytical reagent grade.

Preparation of UA-loaded Eudragit-E nanoparticles (UENPs)

The UA polymeric nanocapsules were synthesized by the nanoprecipitation approach [18]. 120 mg of UA and 150 mg of Eudragit-E were mixed in 50 ml of methanol. The mixture was added to 250 mL water-based 0.8 % Poloxamer surfactant, and the resulting mixture was kept under magnetic stirring at 1800 rpm for 10 hours. Methanol present in the organic phase was completely evaporated and centrifuged at 6000 rpm at 4°C for 20 minutes. The supernatant was collected and examined by HPLC for the unbound drug.

In vitro release profile of UENPs and their characterization

To study the release profile, the dialysis sac method was utilized. UA (10 mg) entrapped Eudragit-E nanoparticles were kept in a dialysis sac. The morphology of the optimized batch of UENPs was examined by TEM. FTIR analysis of UA, Eudragit-E, and UENPs was analyzed by FTIR.

Results and Discussion

Particle size and Zeta potential

UENPs were analyzed for particle size and zeta potential measurements. The prepared nanoparticles' size ranged from 142 to 216 nm (Fig 2). UENPs had a zeta potential value of +28 mV (Fig 3), representing highly stability of prepared nanoparticles.

In vitro release profile of UENPs

The *in-vitro* drug release data signifies that 86% of the pure UA was released within 3 hours. But a sustained release was observed in UENPs with 39% and 74% UA released after 3 h and 24 h respectively. Overall slow release of UENPs represents a sustained release of UA with the passage of time, due to UA's hydrophobic (nonpolar) nature.

Percentage encapsulation efficiency

The percentage of encapsulation efficiency was 62% respectively for UA.

Morphological characterization of UENPs by TEM

The UENPs were found to be segregated, and spherical with a size range of 12 - 28 nm. A variation was observed in the size of the nanoparticles by PSA and TEM. This is because PSA considers the ionic environment of the particle, whereas TEM computes the particle dimension in the isolated atmosphere.

FTIR Analysis of Drug Samples

FTIR spectroscopy was used to infer UA-Eudragit-E interaction studies and to confirm UA's loading in UENPs. FTIR spectrum of UA shows absorption bands at 3398 cm^{-1} for -OH and 3001 cm^{-1} & 2432 cm^{-1} for the terminal $-CH_3$ groups. Although peak intensity was decreased, bands were not shifted, signifying there is no chemical interaction between UA and Eudragit-E.

CONCLUSION

Nanotechnology has opened a new arena in formulation development to combat

various diseases. The changes in nanoparticle size and drug encapsulation efficiency were affected by changes in polymer concentration. Ursolic acid is a BCS class IV drug, therefore, it is important to develop novel nanoformulation consisting of more powerful excipients in order to enhance its solubility, bioavailability, and therapeutic potential. This, novel polymeric nanocarrier of Ursolic acid-loaded Eudragit-E Nanoparticles might serve as promising candidates to combat various diseases and holds a lot of potential for preclinical and clinical trials in the near future.

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