Green coffee bean extract loaded solid lipid nanoparticles for management of cellulite: optimization and clinical study

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KEYWORDS
Subcutaneous fat, Nano-carrier, Cellulite, Green coffee, Design expert, Geleol

SHORT SUMMARY
Cellulite is a subcutaneous fat layer disorder, largely observed in the gluteal-femoral regions with its ‘orange-peel’ appearance, which is considered as an aesthetically unacceptable cosmetic problem for most post-adolescent women. The use of topical natural extracts has been tremendously becoming the mainstream due to their therapeutic activity and negligible side effects. Although green coffee bean extract (GCBE) had anti-cellulite activity, its low stability as well as being poorly lipid soluble may limit its skin absorption which impeded its utilization. The purpose of the current study is to load GCBE into solid lipid nanoparticles (SLNs) as a prosperous attempt to enhance its skin absorption in topical delivery and consequently enhance its anti-cellulite effect. GCBE-SLNs were optimized using design expert software. Then, the influence of various independent factors including lipid concentration (%), surfactant concentration (%) and co-surfactant amount (mg) was investigated. A clinical trial was conducted to confirm the enhanced effect of GCBE-SLNs in decreasing cellulite. GCBE were successfully prepared using hot homogenization technique. The optimized GCBE-SLNs showed spherical shaped particles with prosperous characteristics. The topical administration of GCBE-SLNs cream significantly enhanced the cellulite grade of patients compared to free GCBE cream. our results suggest the felicitous utilization of GCBE-SLNs as anti-cellulite cream.

EXTENDED ABSTRACT
Cellulite is a condition of multifactorial disorder of subcutaneous fat layer. The appearance of the skin resembles the skin of an orange or mattress due to a change in adipose tissue, microcirculation of blood and lymphatic disorders that caused connective tissue thickened and hardened [1]. Application of herbal remedies worldwide have expanded owing to their therapeutic effect with relatively fewer side effects in comparison to other currently used treatments [2]. Green coffee bean extract (GCBE) has been extensively used in various topical applications due to its high polyphenolic content exhibiting potent antioxidant activity [3]. The purpose of the present study was to investigate the effect of loading green coffee bean extract on solid lipid nanoparticles, on cellulite, weight loss and composition of subcutaneous adipose layer.

Preparation of green coffee bean extract loaded solid lipid nanoparticles

GCBE-SLNs were prepared using hot homogenization technique. The previously heated aqueous phase was poured into the melted lipid phase containing GCBE then stirred using magnetic stirrer for 30 min at 70°C and 1000 rpm. The formed dispersion was sonicated for 10 min using probe sonicator.

Optimization of GCBE-SLNs

Box Behnken Design was used to study the influence(s) of GCBE-SLNs composition on its characteristics, including particle size (nm; Y1),
polydispersity index (PDI) (Y2), ζ-potential (mV; Y3), entrapment efficiency (%) (Y4) and cumulative drug released (%) (Y5). While, the explored independent variables, namely lipid concentration (%; X1), surfactant concentration (%; X2), and Co-SAA amount (mg; X3), were studied at three levels. The optimized formula was then characterized for the same above-mentioned parameters in addition to morphology and ex vivo skin permeation using Franz cells.

Clinical study

Twenty volunteers suffering from different grades of cellulite were divided into two groups. The first group used a cream containing free GCBE. While the second group used GCBE-SLNs cream. Volunteers followed the instruction, which are 2 grams of trial cream applied twice a day after bath regularly at the specific area (abdomen, arms and thigh) with light circular motion massage until the cream is absorbed. The cellulite appearance and the body circumferences (abdominal and thigh) perimeters were photographed.

Results

Fifteen experimental runs, including three center points, were obtained using Design-Expert® software version 11 and were indiscriminately prepared. The influence of independent variables on the measured responses is illustrated in Figure 1. The optimized formula showed prosperous characteristics with spherical shaped particles as illustrated in Figure 2. The optimized GCBE-SLNs was incorporated into cream for further clinical evaluation. The characteristics of cream showed acceptable pH, spreadability and drug content.

All Twenty volunteers reported an improvement in their cellulite after 3 months of treatment. The topical administration of the cream containing GCBE-SLNs had a significant improved effect on the cellulite grade compared to free GCBE cream. The results of before and after the treatment are shown in Figure 3. Results concluded that nano-encapsulation of GCBE in SLNs reduced cellulite and fat deposits.
Figure 3: Cellulite changes on subject in Treatment Group: X: optimized GCBE-SLNs Y: free-GCBE

References

