Characterization, purity assessment, and preparation of liposomal formulation of 2,4,6-trihydroxygeranylacetophenone

ABSTRACT

Purpose: To prepare, characterize, and determine the purity of 2,4,6-trihydroxygeranylacetophenone (tHGA), and also to develop and characterize a liposomal formulation of tHGA to overcome its poor water solubility. Methods: The tHGA was synthesized and then purified in two steps using two types of column chromatography separation techniques. The compound was characterized using different analytical techniques, while the purity of tHGA was determined by quantitative-nuclear magnetic resonance (qNMR). Proliposomes method was developed to produce liposome-encapsulated tHGA which was characterized based on particle size, polydispersity, stability, and encapsulation efficiency. A selective and rapid high-performance liquid chromatography (HPLC) method was developed and validated to quantify tHGA in a liposomal formulation in order to evaluate the encapsulation efficiency. Results: The tHGA was successfully prepared and characterized with 98.4 % purity. A simple and reproducible proliposomes method was successfully developed to produce liposome-encapsulated tHGA. The liposomal formulation exhibited excellent encapsulation efficiency (90.4 %). This formulation also yielded a homogenous liposome population (polydispersity index = 0.39) with a small particle size (250.8 nm). The prepared liposome-encapsulated tHGA was stable at refrigerated temperature (4 ºC) for at least four weeks. The developed HPLC method showed good linearity over the range of 10 to 500 µg/mL with high precision and accuracy. Conclusion: The compound produced has a high purity which can be used as an analytical reference standard. The developed formulation is effective for dissolving and entrapping a high amount of tHGA which helps to overcome its poor solubility.

Keyword: Liposomes, Proliposomes; Trihydroxygeranylacetophenone; tHGA; Spectroscopic characterization; Poor solubility