Optimalisasi formula transfersom luteolin serta uji penetrasi in vitro dan in vivo gel transfersom luteolin = Optimization of luteolin transfersome formula and in vitro and in vivo penetration study of luteolin transfersome gel / Damai Ria Setyawati

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Abstrak

ABSTRAK
Luteolin merupakan kandidat yang poten sebagai alternatif pengobatan penyakit asam urat karena aktivitas antiinflamasi dan penghambatan xantin oksidase. Akan tetapi, kelarutan dan permeabilitas luteolin yang kurang baikmerupakan masalahdalam pengembangan formula. Penelitian ini bertujuan untuk meningkatkan penetrasi luteolin ke dalam kulitmelalui formulasi transfersom luteolin.Luteolin diformulasikan dalam transfersomdan beberapa variasi formula meliputi konsentrasi total lipid (fosfolipid-Tween 80) dan luteolin dioptimalisasi menggunakan response surface methodology. Respon optimalisasiyang diukur adalah ukuran partikel, indeks polidispersitas, potensial zeta dan efisiensi penjerapan. Uji penetrasi in vitro dan in vivo dilakukan menggunakan tikus putih jantan galur Sprague Dawley.Hasil optimalisasi transfersom luteolin mengindikasikan bahwa konsentrasi total lipid 4,88% dan luteolin 0,5% merupakan formula optimal. Gambaran vesikel menggunakan transmission electron microscope (TEM) memperlihatkan partikel sferis dengan beberapa partikel yang beragregasi. Transfersom luteolin formula optimal mempunyai ukuran partikel 257,18±15,20 nm, indeks polidispersitas0,480±0,013, potential zeta -18,67±0,379 mV danefisiensi penjerapan 94,97±0,28%. Penetrasi luteolin secarain vitropada gel transfersom luteolin sebesar 16,49% dengan nilai fluks 126,80±5,09 μg/cm2/jam. Hasil tersebut lebih tinggi dibandingkan dengan gel luteolin yaitu 6,27% dan fluks 24,03±2,32 μg/cm2/jam. Penetrasi in vivomemberikan nilai Cmaksdan AUC0-∞ sebesar 9982,29 ng/mL dan 25329,94 ng.jam/mL pada gel transfersom luteolin dan 2908,34 ng/mL dan 7965,88 ng.jam/mL pada gel luteolin.Dari hasil penelitian dapat disimpulkan bahwa transfersom luteolin mampu meningkatkan penetrasi melalui kulit, baik secara in vitromaupunin vivo.
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ABSTRACT
Luteolin is a potent candidate as an alternative treatment for gout due to its xanthine oxidase inhibition and anti-inflammatory activities. However, its poor solubility and permeability are hampering its formulationand development process. This study aimed to improve skin penetration of luteolin by luteolin transfersome formulation. Luteolin transfersome fistly was prepared, and various formulation variables including total lipid (phospholipid-Tween 80) and luteolin concentration were optimized using response surface methodology. Measured responses of optimization were particle size, polydispersity index, zeta potential and entrapment efficiency. In vitro and in vivo penetration studies were carried out using Sprague Dawley male rats. The results of optimization indicate that 4.88% total lipid and 0.5% luteolin concentration is the optimum formulation. Vesicle image using transmission electron microscope (TEM) revealed spherical particles and occurrence of particle aggregation. The optimumluteolin transfersome had particle size of 257.18±15.20 nm, polidispersity index of 0.480±0.013, zeta potential of -18.67±0.379 mV and entrapment efficiency of 94.97±0.28%. In vitro penetration experiment of luteolin transfersome gel showed that16.49%

of luteolin was penetrated with flux parameter was of 126.80±5.09 μg/cm2/h. It was significantly higher compared to luteolin gel which only6.27%of luteolin was penetrated and flux of 24.03±2.32 μg/cm2/h. Moreover, in vivo penetration study showed that Cmax and AUC0-∞of luteolin transfersome gel were 9982.29ng/Ml and 25329.94 ng.h/mL,respectively, which was higher than those of luteolin gel (2908.34 ng/mL and7965.88 ng.h/mL). It was concluded that luteolin transfersome enhaced in vitro and in vivo penetration of luteolin