Formulasi, Karakterisasi, dan Uji Penetrasi In Vitro dan In Vivo Gel Mengandung Linestrenol = Formulation, Characterization, and In Vitro and In Vivo Penetration Study of Transferosom Gel Containing Lynestrenol.

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Abstrak

ABSTRAK
Linestrenol merupakan derivat hormon progestin yang dapat menekan produksi hormon estrogen dan progesteron sehingga ovulasi tidak terjadi. Akan tetapi bioavaailabilitas linestrenol dalam sediaan oral 65% dengan waktu paruh 5-6 jam, dan efek samping rasa tegang pada payudara. Penelitian ini bertujuan untuk meningkatkan penetrasi subkutan linestrenol dengan formulasi transfersom. Optimalisasi linestrenol dalam transfersom dilakukan dengan variasi lipid surfaktan (fosfolipid-Tween 80) dengan perbandingan 90:10 (F1) dan 80:20 (F2). Karakterisasi transfersom linestrenol meliputi ukuran partikel, indeks polidipersitas, potensial zeta dan efisiensi penjerapan.Hasil optimalisasi terbaik diformulasikan dalam gel untuk uji penetrasi subkutan in vitro dan in vivo.Uji penetrasi subkutan in vitro dilakukan dengan sel difusi Franz dan uji in vivo dilakukan menggunakan tikus putih betina galur Sprague Dawley. Hasil optimalisasi terbaik transfersom yaitu F2 dengan ukuran partikel 73,113 ± 1,340 nm, indeks polidipersitas 0,312 ± 0,03, potensial zeta -32,166 ± 1,64 mV, dan efisiensi penjerapan 89,668 ± 0,602%. Penetrasi subkutan gel transferom linestrenol secara in vitrolebih tinggi dibandingan gel non transfersom dengan nilai fluks40,02 ± 5,236 ng/cm2.. Pada hasil uji in vivo konsentrasi linestrenol dalam plasma dari sediaan gel transfersom linestrenol lebih tinggi dari sediaan gel non transfersom dengan nilaiarea under the curve (AUC) sebesar 24.336 ng/mL jam.Berdasarkan hasil tersebut dapat disimpulkan bahwa formula gel transferosom dapat meningkatkan penetrasi subkutandan ketersediaan hayati linestrenol bila dibandingkan dengan formula gel non transfersom.
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ABSTRACT
Lynestrenol is a progestin hormone derivative that can suppress the production of endogenous estrogen and progesterone hormones (ovaries) so that ovulation does not occur. However, bioavailability of linestrenol in oral preparations 65% with half life of 5-6 hours, and side effects of tension in the breast. This aim of this study was to improvedsubcutaneous penetration of lynestrenol by transferosome formulation. Lynestrenol transferosome was optimalizaed by lipid:surfactant variation 90:10 (F1) and 80:20 (F2). The characterization of lynestrenol transferosome were particle size, polydispersity index, zeta potential, and entrapment efficiency. The best result of optimalization was formulated into gel dosage form for in vitro subcutaneous penetration and in vivo study. In vitro subcutaneous penetration study conducted using cell difussion

Franz and in vivo study conducted using female white rats Sprague Dawley strain. The best optimalization transferosome was F2 with particle size of 73.113 ± 1.340 nm, polydispersity index of 0.312 ± 0.03, zeta potential of -32.166 ± 1.64 mV, and entrapment efficiency of 89.091 ± 0.310 %. Subcutaneous penetration of lynestrenol transferosomal gel in in vitro higher than non transferosomal gel with flux 40.02 ± 5.236 ng/cm2.. The resulf of in vivo study showed that lynestrenol in plasma from lynestrenol transferosomal gel was higher than non transferosomal gel with area under the curve (AUC) 24336ng/mL.hour. It could be concluded that formula transferosomal gel increased subcutaneous penetration and bioavailability of lynestrenol compared with non transferosomal gel.