Studi In Vivo Etosom Andrografolida Sebagai Penghantaran Transdermal Untuk Terapi Artritis Reumatoid = In vivo Study of Andrographolide Ethosomes as Transdermal Delivery For Rheumatoid Arthritis Therapy

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Abstrak

Pendahuluan: Andrografolida, konstituen aktif utama diisolasi dari Andrographis paniculata yang digunakan untuk terapi artritis reumatoid. Namun, senyawa ini memiliki bioavailabilitas oral yang rendah. Masalah ini dapat diatasi dengan memformulasikan andrografolida dalam etosom melalui pemberian transdermal.
Tujuan: Penelitian ini bertujuan untuk mengetahui profil farmakokinetik, bioavailabilitas relatif, dan efektivitas sediaan transdermal etosom andrografolida pada hewan model artritis reumatoid.
Metode: Metode hidrasi lapis tipis digunakan untuk memformulasikan etosom andrografolida. Karakterisasi etosom meliputi ukuran partikel, indeks polidispersitas, potensial zeta, dan efisiensi penjerapan. Pada uji farmakokinetik, digunakan GE, GNE dan SO dosis 50 mg/kgbb kemudian sampel plasma diambil dari sinus retro-orbital dengan 10 titik pengambilan dalam 24 jam. Parameter farmakokinetik dianalisis dengan KCKT fase terbalik. Pada uji aktivitas antiartritis, GE dosis 25, 50, dan 100 mg/kg diberikan secara transdermal pada tikus uji yang diinduksi CFA 0,1 mL. Selama fase induksi dan setelah pemberian obat, manifestasi klinis artritis dipantau menyeluruh.
Hasil: Hasil penelitian didapatkan etosom dengan ukuran partikel 76,35±0,74 nm, zeta potensial -40,17±1,03 mV dan efisiensi penjerapan 97,87±0,23%. Studi farmakokinetik menghasilkan Cmax pada GE, GNE, dan SO berturut-turut adalah 53,07±4,73; 27,34±1,48; dan 11,72±0,74 g/mL, AUC0- masing-masing 152,10±16,53; 77,15±12,28; dan 23,20± 3,46 g.jam/mL. Tmax rute transdermal dicapai jam ke-6 sementara rute oral jam ke-2 setelah pemberian sediaan. Hasil uji aktivitas antiartritis mengungkapkan, GE 50 dan 100 mg/kgbb menunjukkan persentase penghambatan edema hampir serupa dengan metotreksat 0,135 mg, subkutan.
Kesimpulan: Hasil penelitian disimpulkan bahwa GE 50 mg/kgbb menghasilkan peningkatan Cmax, Tmax dan AUC0-. Bioavailabilitas relatif dicapai sebesar 655,60% pada rute transdermal dibandingkan dengan rute oral. Hasil uji aktivitas antiartritis, GE 50 mg/kg secara efektif mengurangi volume edema, diameter kaki, dan skor artritis tikus model yang diinduksi CFA.
.....Intoduction: The main active constituent isolated from Andrographis paniculata, andrographolide, is used to treat rheumatoid arthritis. This compound, however, has a low oral bioavailability. This issue can be solved by incorporating andrographolide into ethosomes for transdermal administration.
Aim: This study was designed to determine the pharmacokinetic profile, relative bioavailability, and efficacy of andrographolide ethosomal transdermal preparations in animal models of rheumatoid arthritis.
Method: Andrographolide was prepared into ethosomal dosage forms before being characterized in terms of particle size, polydispersity index, zeta potential, and entrapment efficiency. In the pharmacokinetic test, plasma sampels were collected from the retro-orbital sinus at 10 collection points over the course of 24 hours using GE, GNE, and SO at a dose of 50 mg/kg each. Reverse-phase HPLC was used to assess pharmacokinetic parameters. In the anti-arthritic activity test, GE doses of 25, 50, and 100 mg/kg were

administered transdermally to rats induced by 0.1 mL CFA. The clinical manifestations of arthritis are closely monitored during the induction phase and after drug administration.
Result: According to the results, the ethosomes with a particle size of 76.35±0.74 nm, a zeta potential of -40.17±1.03 mV, and an entrapment efficiency of 97.87±0.23%. Pharmacokinetic studies revealed that the Cmax in GE, GNE, and SO was 53.07±4.73, 27.34±1.48, and 11.72±0.74 g/mL, and the AUC0- was 152,10±16,53; 77,15±12,28; and 23,20± 3,46 g.jam/mL, respectively. The transdermal route had a Tmax of 6 hours, while the oral route had a Tmax of 2 hours after administration of the preparation. GE 50 and 100 mg/kg inhibited edema with nearly the same percentage as methotrexate 0.135 mg subcutaneously, according to the anti-arthritis activity test.
Conclusion: The researchers concluded that GE 50 mg/kg caused an increase in Cmax, Tmax, and AUC0-. The transdermal route has a relative bioavailability of 655.60% compared to the oral route. The anti-arthritis activity study showed that GE 50 mg/kg effectively reduced edema volume, paw diameter, and arthritis scores in CFA-induced rat models.