

# Studi In Vivo Etosom Andrografolida Sebagai Penghantaran Transdermal Untuk Terapi Arthritis 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 arthritis 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 arthritis 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 antiarthritis, 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 arthritis dipantau menyeluruh.

**Hasil:** Hasil penelitian didapatkan etosom dengan ukuran partikel  $76,35 \pm 0,74$  nm, zeta potensial  $-40,17 \pm 1,03$  mV dan efisiensi penjerapan  $97,87 \pm 0,23\%$ . Studi farmakokinetik menghasilkan  $C_{max}$  pada GE, GNE, dan SO berturut-turut adalah  $53,07 \pm 4,73$ ;  $27,34 \pm 1,48$ ; dan  $11,72 \pm 0,74$  g/mL,  $AUC_{0-}$  masing-masing  $152,10 \pm 16,53$ ;  $77,15 \pm 12,28$ ; dan  $23,20 \pm 3,46$  g.jam/mL.  $T_{max}$  rute transdermal dicapai jam ke-6 sementara rute oral jam ke-2 setelah pemberian sediaan. Hasil uji aktivitas antiarthritis 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  $C_{max}$ ,  $T_{max}$  dan  $AUC_{0-}$ . Bioavailabilitas relatif dicapai sebesar 655,60% pada rute transdermal dibandingkan dengan rute oral. Hasil uji aktivitas antiarthritis, GE 50 mg/kg secara efektif mengurangi volume edema, diameter kaki, dan skor arthritis tikus model yang diinduksi CFA.

.....Introduction: 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 samples 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  $76.35 \pm 0.74$  nm, a zeta potential of  $-40.17 \pm 1.03$  mV, and an entrapment efficiency of  $97.87 \pm 0.23\%$ . Pharmacokinetic studies revealed that the  $C_{max}$  in GE, GNE, and SO was  $53.07 \pm 4.73$ ,  $27.34 \pm 1.48$ , and  $11.72 \pm 0.74$  g/mL, and the  $AUC_{0-}$  was  $152,10 \pm 16,53$ ;  $77,15 \pm 12,28$ ; and  $23,20 \pm 3,46$  g.jam/mL, respectively. The transdermal route had a  $T_{max}$  of 6 hours, while the oral route had a  $T_{max}$  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  $C_{max}$ ,  $T_{max}$ , and  $AUC_{0-}$ . 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.