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Development and Evaluation of Felodipine Sustained-Release Tablets

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***SUMMMARY*. Felodipine has excellent anti-hypertensive effect. However, felodipine possessed obvious peak and valley phenomenon in blood after oral administration, which can cause severe target organ damage. In order to solve this problem, our research developed felodipine sustained-release prescription by wet granulation method. The excipients (hydoxypropyl methylcellulose, lactose and hydroxy-propyl cellulose) of prescription and the content of wetting agent (90% v/v ethanol) were investigated. In addition, we investigated the release curve *in vitro* and pharmacokinetics in Beagle dogs of the optimized felodipine sustained-release tablets. The results showed that the best optimal prescription was HPMC (30 mg), lactose (180 mg), HPC (20 mg) and 90% v/v ethanol (38-40 mL). The felodipine sustained-release tablets had smooth surface, bright color, homogeneous hardness and weight, and excellent cumulative release behavior (103.35 ± 2.32%) in simulated intestinal fluid after 24 h. Pharmacokinetics showed that home-made felodipine sustained-release tablets had similar release behavior with Plendil and significantly prolonged action time of felodipine compared with Plendil. Therefore, these findings demonstrated that home-made felodipine sustained-release tablets were promising sustained drug delivery system.**

RESUMEN. Felodipina tiene un excelente efecto antihipertensivo. Sin embargo, felodipina posee un fenómeno de pico y valle en la sangre después de la administración oral, que puede causar daño grave a órganos diana. Para resolver este problema, nuestra investigación desarrolló la prescripción de liberación sostenida de felodipina por el método de granulación húmeda. Se investigaron los excipientes (hidroxipropilmetilcelulosa, lactosa e hidroxipropilcelulosa) y el contenido de agente humectante (etanol al 90% v/v). Además, investigamos la curva de liberación *in vitro* y la farmacocinética en perros Beagle de las tabletas de liberación sostenida de felodipina optimizadas. Los resultados mostraron que la prescripción óptima era HPMC (30 mg), lactosa (180 mg), HPC (20 mg) y etanol al 90% v/v (38-40 mL). Los comprimidos de liberación sostenida de felodipina tenían superficie lisa, color brillante, dureza y peso homogéneos y un excelente comportamiento de liberación acumulativa (103,35 ± 2,32%) en el fluido intestinal simulado después de 24 h. La farmacocinética mostró que los comprimidos de liberación sostenida de felodipina fabricados tenían un comportamiento de liberación similar con Plendil y un tiempo de acción significativamente prolongado de felodipina en comparación con Plendil. Por lo tanto, estos hallazgos demostraron que los comprimidos de liberación sostenida de felodipina fabricados eran prometedores sistemas de administración sostenida de fármacos.

KEY WORDS: evaluation, felodipine, sustained-release.

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