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Effects of Astragaloside IV on the Pharmacokinetics of Moxifloxacin in Rats

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***SUMMARY. Radix astragali* and moxifloxacin are always used together for active tuberculosis in China clinics. This study investigates the effects of astragaloside IV (AS-IV, the main components of *Radix astragali*) on the pharmacokinetics of moxifloxacin in rats. The pharmacokinetics of orally administered moxifloxacin (40 mg/kg) with or without AS-IV pretreatment (100 mg/kg/day for 7 days) was investigated. The plasma concentration of moxifloxacin was determined using LC-MS method, and the pharmacokinetics profiles were calculated and compared. Caco-2 cell transwell model was also used to investigate the effects of AS-IV on the transport pf moxifloxacin. The results showed that when the rats were pretreated with AS-IV, the maximum plasma concentration (C_{max}) of moxifloxacin decreased from 4.53 to 3.47 μg/mL ($P < 0.05$), and the area under the concentration-time curve from zero to infinity ($AUC_{0\text{-}inf}$) also decreased from 21.17 to 16.06 mg·h/L ($P < 0.05$). The Caco-2 cell transwell experiments indicated that AS-IV could increase the efflux ratio of moxifloxacin from 2.54 to 3.58 through inducing the activity of *P-gp*. In conclusion, these results indicated that AS-IV could affect the pharmacokinetics of moxifloxacin, possibly by decreasing the systemic exposure of moxifloxacin by inducing the activity of *P-gp*.**

RESUMEN. Radix astragali y moxifloxacina siempre se usan juntas para la tuberculosis activa en las clínicas de China. Este estudio investiga los efectos del astragalósido IV (AS-IV, componente principal de *Radix astragali*) en la farmacocinética de moxifloxacina en ratas. Se investigó la farmacocinética de moxifloxacina administrada por vía oral (40 mg/kg) con o sin pretratamiento conAS-IV (100 mg/kg/día durante 7 días). La concentración plasmática de moxifloxacina se determinó utilizando el método LC-MS, y los perfiles farmacocinéticos se calcularon y compararon. El modelo de transwell de células Caco-2 también se utilizó para investigar los efectos de AS-IV en el transporte de moxifloxacina. Los resultados mostraron que cuando las ratas fueron tratadas previamente con AS-IV, la concentración plasmática máxima (C_{max}) de moxifloxacina disminuyó de 4.53 a 3.47 μg/mL ($P < 0.05$), y el área bajo la curva de concentración-tiempo de cero a infinito ($AUC_{0\text{-}inf}$) también disminuyó de 21.17 a 16.06 mg h/L ($P < 0.05$). Los experimentos de transwell de células Caco-2 indicaron que AS-IV podría aumentar la proporción de flujo de salida de moxifloxacina de 2.54 a 3.58 a través de la inducción de la actividad de *P-gp*. En conclusión, estos resultados indicaron que la AS-IV podría afectar la farmacocinética de moxifloxacina, posiblemente al disminuir la exposición sistémica de moxifloxacina al inducir la actividad de la *P-gp*.

KEY WORDS: astragaloside IV, drug-drug interaction, moxifloxacin, *P-gp*: Caco-2 cell.

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