中文摘要

金線連是一台灣蘭科植物,據文獻報導它具有保肝、降血壓、降血脂、降血糖、抗發炎、抗氧化、抗腫瘤和增強免疫力等活性。為進行其藥物動力學及生體可用率研究,選取最具有藥理活性的天麻素、天麻? 元和尿嘧啶為指標成分。

在本研究中開發出一簡單、靈敏含 UV 確認可同時分析三種成分的血清濃度 HPLC定量法 利用 Mightysil-RP-18GP(4.6×250mm,5μm) 層析管,移動相為甲醇:0.1 % 磷酸水=1:99 之比例進行,流速1.0mL/min,以沒食子酸(gallic acid)為內標準品,檢測波長在270nm。確認試驗顯示本法有滿意的精確性與準確性。

以三種指標成分之注射液和口服液分別在六隻大鼠進行藥物動力學研究。大鼠在靜脈注射後,血清中之天麻素、天麻?元與尿嘧啶濃度皆符合二室体模式。大鼠在給予指標成分注射液後,發現天麻素的排除半衰期為 25.34±8.72min,天麻?元的排除半衰期為 85.45±10.31min,尿嘧啶的排除半衰期為 155.98±12.48min。

大鼠口服三指標成分後進行藥動學、統計學分析及生體可用率研究,結果口服後指標成分天麻素、天麻? 元及尿嘧啶之生體可用率分別為 58.63 %、80.83 %和 41.07 %。此研究結果可以提供金線連在製劑控管及臨床用藥研究上的參考。

ABSTRACT

Kinsenren (*Anoectochilus formosanus* Hayata) is a Taiwanese Orchidaceae plant, which has been reported to exhibit hepatoprotective, blood pressure depression, blood fat depression, antidiabetic, antiinflammatory, antioxidant, antitumor and immune system enhancing activities. For the pharmacokinetic and bioavailability studies, we select three active constituents of Kinsenren, including gastrodin, p-hydroxybenzylalcohol(HBA) and uracil as denoted constituents.

A simple and sensitive HPLC method involving UV detection was developed for simultaneous determination of active three constituents of Kinsenren in preparations and plasma samples. A Mightysil-RP-18GP (4.6×250 mm, 5μ m) column with the mobile phase consisted of MeOH: 0.1% H₃PO₃-H₂0 = 1:99 was used. The flow rate was 1.0 mL/min. The monitoring wavelength was 270 nm. Vadilation test give satisfied accuracy and precision for this method.

After IV administration of the three constituents to rats, the pharmacokinetics of these three constituents in rats were well fitted to an open two-compartment model. The elimination half-life of gastrodin was 25.34 min, HBA was 85.45 min and uracil was 155.98 min.

After oral administration of the three constituents to rats, the absolute bioavailability of gastrodin, HBA and uracil were 58.63 %, 80.83 % and 41.07 % respectively. The results suggest that repeated doses are necessary for Kinsenren in clinical use.