

论文

葛根有效成分的代谢研究——II. ¹⁴C-黄豆甙元在大鼠体内的吸收、分布和消除

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摘要:

本文采用纸片液体闪烁计数法研究了¹⁴C-黄豆甙元在大鼠体内的吸收、分布和消除。大鼠口服¹⁴C-黄豆甙元30分钟,血液即可测出放射性,6~8小时达高峰,以后缓慢下降。口服给药吸收不完全,由实验推论约有64.6%放射性可被吸收。静脉注射后,血放射性消失曲线分为快、慢两个时相,其生物半衰期分别为13分钟和42分钟。放射性在肾、肝含量最高,血浆、肺、心次之,肌肉、脾、睾丸、脑较低。静脉注射后,¹⁴C主要自尿排出(24小时可排出剂量的71.2%),自粪排出17.4%。口服后24小时可自尿排出34.3%,自粪排出33.1%。胆汁也是一条重要排泄途径,静脉注射后24小时可自胆汁排出剂量的47.4%;口服后相应时间内排出39.1%。本文所得结果与前文应用化学方法所得结果进行比较,表明自消化道、尿、胆汁所回收的放射性主要是黄豆甙元的代谢产物,说明该药在体内的代谢很旺盛。

关键词:

THE METABOLIC FATE OF THE EFFECTIVE COMPONENTS OF RADIX PUERARIAE II. THE ABSORPTION, DISTRIBUTION AND ELIMINATION OF ¹⁴C-DAIDZEIN.

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Abstract:

The absorption, distribution and elimination of carbon-14 labelled daidzein(¹⁴C-daidzein) were studied in rats using filter paper-liquid scintillation counting method. The radioactivity began to appear in the blood 30 min after oral administration of ¹⁴C-daidzein, reached its peak in 6~8 hrs, and then decreased steadily. It was estimated that about 64.6% of the radioactivity was absorbed from the gastrointestinal tract within 24 hrs. After intravenous injection of ¹⁴C-daidzein, the blood level of radioactivity was found to decrease in two phases, namely the distribution phase and the elimination phase, with the T1/2 of 13 min and 42 min respectively. The level of radioactivity was highest in the kidney and liver, moderate in the plasma, lung and heart, and low in the skeletal muscle, spleen, testis and brain. After intravenous injection of ¹⁴C-daidzein, the majority (71.2%) of the radioactivity was excreted in the urine 24 hrs after administration, while only 17.4% was recovered from the faeces. However, after oral administration, the amount excreted in the urine and faeces was about equal, namely 34.3% and 33.1%, respectively. About 47.4% or 39.1% of the dose could be recovered from the bile 24 hrs after intravenous or oral administration, indicating that biliary excretion was one of the main routes of elimination. Comparison of the results presented above with those reported in the previous paper using chemical method for the determination provides evidence that the radioactivity recovered from the gastrointestinal tract, urine and bile was mainly metabolites of daidzein. It implies that daidzein is metabolized rapidly in the body.

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