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论文

水飞蓟素前体脂质体的制备和大鼠药代动力学的研究水飞蓟素前体脂质体的制备和大鼠药代动力学的研究

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摘要：

目的为了提高水飞蓟素的口服生物利用度，研制水飞蓟素前体脂质体并对其理化性质进行考察；研究水飞蓟素前体脂质体的大鼠体内生物利用度。方法采用薄膜载体沉积法制备水飞蓟素前体脂质体，通过研究水合后脂质体的包封率、粒径、稳定性来考察其理化性质；将水飞蓟素前体脂质体在体外进行水合，再给予大鼠灌胃，用RP-HPLC法测定不同时间血浆中总的和游离的水飞蓟素的浓度，通过3P97程序计算药代动力学参数。结果用该法制得的前体脂质体包封率可达90%以上，平均粒径为238.8 nm，稳定性较好；药代动力学研究表明水飞蓟素脂质体在体内吸收较快，生物利用度较高。结论采用薄膜载体沉积法制备水飞蓟素前体脂质体，制备工艺简单，易于工业化生产；将水飞蓟素制备成前体脂质体提高了水飞蓟素的生物利用度。

关键词： 水飞蓟素 前体脂质体 生物利用度 药代动力学

Preparation of silymarin proliposomes and its pharmacokinetics in rats

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Abstract:

AimTo study the preparation of silymarin proliposomes. To study its physicochemic properties, its pharmacokinetical characteristics and bioavailability in rats after oral administration. MethodsSilymarin proliposomes were prepared by film-deposition on carriers. When the proliposomes were contacted with water to form liposome suspensions, the tests of physicochemical properties including encapsulation efficiency, particle size and stability of the formed liposome suspensions were determined by HPLC, laser-particle-sizer and *etc*. The concentrations of non-conjugated and overall silymarin in plasma of rats and their pharmacokinetic behaviors after oral administration were studied by RP-HPLC. The pharmacokinetic parameters were computed by software program 3P97. ResultsThe encapsulation efficiency of silymarin liposomes could be more than 90%, with an average particle size of about 238.8 nm and a very good stability. The high bioavailability of silymarin proliposomes could be gotten by oral administration. ConclusionCompared with silymarin, silymarin proliposome is a stable and easily industrialized preparation and did enchance the gastrointestinal absorption of silymarin.

Keywords: proliposome bioavailability pharmacokinetics silymarin

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