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论文

口服布洛芬原位凝胶的制备及其在Beagle犬体内药代动力学研究

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摘要：

本文设计并制备了口服布洛芬原位凝胶(*in situ* gel systems for the oral delivery of ibuprofen，IBU-ISG)， 并对其在Beagle犬上药代动力学进行了研究。以去乙酰结冷胶和海藻酸钠为凝胶材料， 分别对此两种凝胶材料进行了单因素考察， 初步确定了辅料的用量。以成胶前的复合黏度值、 体外释放度等参数为评价指标， 采用正交设计法进行处方优化。优化的制剂处方为： 1.0%海藻酸钠、 0.5%去乙酰结冷胶、 0.21%枸橼酸钠和0.056%氯化钙。采用RP-HPLC法测定6只Beagle犬口服IBU-ISG和参比制剂(市售布洛芬混悬液)后不同时间血浆中布洛芬的浓度， 所得药代动力学参数T_{max}分别为(1.8±0.6)和(0.4±0.1) h， C_{max}分别为(29.2±7.6)和(37.8±2.2) μg·mL^{-1}， $T_{1/2}$分别为(2.3±0.5)和(2.0±0.9) h， AUC_{0-t}分别为(131.0±38.6)和(117.3±23.1)μg·mL^{-1}·h。结果表明， 采用去乙酰结冷胶和海藻酸钠二元骨架制备IBU-ISG可行。

关键词： 去乙酰结冷胶 海藻酸钠 原位凝胶 布洛芬 药代动力学

Preparation of *in situ* gel systems for the oral delivery of ibuprofen and its pharmacokinetics study in Beagle dogs

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Abstract:

The *in situ* gel systems can form gel *in situ* after administration to achieve sustained release, thus provides a promising strategy for drug delivery systems. The aim of this study was to design and prepare *in situ* gel systems for the oral delivery of ibuprofen (IBU-ISG) and study its pharmacokinetics in Beagle dogs. The characteristics of the basic material of gellan gum (Kelcogel, Kel) and sodium alginate (Manugel, M) were studied through investigating the complex viscosity of the Kel or M solution with or without different concentrations of calcium ion or sodium citrate to ascertain the amount range of the excipients. The measurement of complex viscosity of the solution (0.5% Kel and 1% M) with different concentrations of sodium citrate and calcium ion was carried out to select the suitable proportion of calcium ion and sodium citrate. The formulation of binary IBU-ISG was optimized by monitoring the complex viscosity before gelling *in vitro* release property. The optimized formulation contains 1.0% sodium alginate, 0.5% gellan gum, 0.21% sodium citrate and 0.056% calcium chloride. A single oral dose of IBU-ISG and reference formulation (IBU suspension) were given to each of the 6 healthy Beagle dogs, ibuprofen in plasma at different sampling times was determined by RP-HPLC. The pharmacokinetics parameters in 6 Beagle dogs were calculated. The T_{max} of IBU-ISG and reference formulation were (1.8±0.6) and (0.4±0.1) h. The C_{max} values were (29.2±7.6) and (37.8±2.2) μg·mL^{-1}. The $T_{1/2}$ were (2.3±0.5) and (2.0±0.9) h, and the AUC_{0-t} were (131.0±38.6) and (117.3±23.1) μg·mL^{-1}·h, respectively. The binary IBU-ISG was successfully prepared.

Keywords: sodium alginate *in situ* gel ibuprofen pharmacokinetics gellan gum

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