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生物药剂学与药物动力学

口服布洛芬pH敏感型原位凝胶在Beagle犬体内的药物动力学

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摘要

目的 研究pH敏感型布洛芬口服原位凝胶(IBU-ISG)在Beagle犬体内的药物动力学。方法 用RP-HPLC法测定6只Beagle犬口服IBU-ISG和布洛芬混悬液(100 mg)后不同时间血浆中布洛芬的浓度，计算药物动力学参数。结果 IBU-ISG与布洛芬混悬液的药物动力学参数分别为：tmax为(1.75±0.63)和(0.42±0.13) h，ρmax为(29.19±7.65)和(37.77±2.23) mg·L–1，t1/2为(2.30±0.53)和(2.02±0.91) h，tMR0–∞为(4.27 ± 0.80)和(3.26 ± 1.00) h，AUC0–t为(131.05 ± 38.64)和(117.30 ± 23.07) mg· h·L–1。结论 布洛芬pH敏感型口服原位凝胶较布洛芬混悬液释药缓慢。

关键词 药剂学 药代动力学 高效液相色谱法 原位凝胶 布洛芬

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Pharmacokinetics of pH–sensitive in situ gel systems for the oral delivery of ibuprofen in Beagle dogs

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Abstract

Objective To study the pharmacokinetics of ibuprofen pH–sensitive in situ gel (IBU-ISG) in Beagle dogs. Methods A single dose of IBU-ISG and IBU suspension (100 mg) were given orally to 6 healthy Beagle dogs in a randomized crossover design. Ibuprofen concentration in the plasma at different sampling time was determined by RP-HPLC. The pharmacokinetic parameters were calculated. Results tmax of IBU-ISG and IBU suspension were (1.75±0.63) h and (0.42±0.13) h; ρmax values were (29.19±7.65) mg·L–1 and (37.77±2.23) mg·L–1; t1/2 were (2.30±0.53) h and (2.02±0.91) h; tMR0–∞ were (4.27±0.80) h and (3.26±1.00) h, and AUC0–t were (131.05±38.64) mg·h·L–1 and (117.30± 23.07) mg·h·L–1, respectively. Conclusions The tmax, ρmax and tMR0–∞ of the two preparations were significantly different, while their AUCs were comparable. The IBU-ISG has longer residence time compared with IBU suspension.

Key words pharmaceutics pharmacokinetics HPLC in situ gel ibuprofen

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