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论文

控释吲(口朵)美辛栓剂的溶出度和正常人药代动力学研究

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摘要:

本文研究了控释吲哚美辛栓剂(CRIS)的体外溶出速率,应用改进的荧光分光光度法测定了健康成人给药后的血药浓度,并经计算机处理得各药代动力学参数。CRIS的体外溶出属零级动力学过程,K_r^0=11.37%/h(t≤8 h)。体内试验表明CRIS达到了一定的控释效果,给药后血药水平较为稳定,持续时间长,体内0～8h的吸收速度亦符合表观零级动力学过程,K_a^0=9.60%/h。CRIS的体内外数据具有显著的相关性(r=0.9979,p<0.001)。

关键词: 吲哚美辛 控释栓剂 溶出速率 荧光分光光度法 药代动力学

DISSOLUTION AND PHARMACOKINETIC STUDIES ON CONTROLLED RELEASE INDOMETHACIN SUPPOSITORY

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Abstract:

This paper deals with the evaluation of a controlled release indomethacin suppository (CRIS) by *in vitro* and *in vivo* tests. The dissolution test was carried out by a basket method. Serum levels of indomethacin after rectal administration of CRIS and a conventional indomethacin suppository (CIS) in 6 human subjects were determined by a fluorescence spectrophotometry method. The pharmacokinetic parameters were fitted by non-linear least square method with Radio Shack TRS-80 micro-computer system. The dissolution test showed that the release-mechanism of CRIS *in vitro* may be described by apparent zero-order kinetics. The dissolution rate costant was found to be 11.37%/h (t≤8h); The results of *in vivo* test showed a desirable release behaviour of CRIS in human subjects. In other words, CRIS demonstrated a smoother serum concentration-time profile than CIS in elimination phase but much lower in maximum concentration following a single dose(75 mg). The rate of absorption of CRIS in human was found to conform to apparent zero-order kinetics (K_a^0=9.60%/h) during the first 8 h, and there is a linear relationship between percent absorption *in vivo* and percent dissolution *in vitro* (r=0.9979, p<0.001). Bioavailability of CRIS is also better than that of CIS.

Keywords: Controlled release suppository Dissolution rate Fluorescence spectrophotometry Pharmacokinetics Indomethacin

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