

Studies on Ibuprofen Suspension and Its Bioequivalence in Healthy Volunteers

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Abstract Ibuprofen suspension (100 mg/5 ml) was prepared according to the Children's Advil suspension. Two simple and sensitive high performance liquid chromatographic methods were established and could be separately used for the analysis of suspension quality and biological samples of ibuprofen. The pharmacokinetics of ibuprofen was determined after a single oral dose of 300 mg was given to 10 volunteers in an open randomized crossover study. It was suggested that kinetics of ibuprofen *in vivo* conformed to a 1 compartment open model. The peak levels in plasma averaged 27.32 ± 3.28 , 19.93 ± 3.39 $\mu\text{g/ml}$ at 0.98 ± 0.23 h and 2.78 ± 0.62 h, $t_{1/2}$ were 2.15 ± 0.46 h and 2.04 ± 0.32 h for suspension and tablet respectively, and the areas under the drug concentration-time curve were 118.78 ± 28.32 h $\cdot$ $\mu\text{g/ml}$ and 110.96 ± 24.96 h $\cdot$ $\mu\text{g/ml}$, the relative bioavailability of ibuprofen suspension was $107.16\% \pm 8.32\%$, compared with the control of ibuprofen tablet. Consequently, the two formulations were bioequivalent.

Key words Ibuprofen; Pharmacokinetics; Bioequivalence; HPLC

[文摘 007] 四氢小檗碱季铵化合物的合成及其抗心律失常活性 王培芳, 许国友, 华维一, 彭司勋. 中国药物化学杂志, 1996, 22(6): 243

以其心血管活性的四氢小檗碱类生物碱为先导物, 结合某些钾通道阻滞剂的结构特征, 设计并合成了 14 个未见文献报道的四氢小檗碱季铵化合物 (I₁₋₁₄), 其结构均经波谱分析及元素分析得到确证。初步的药理试验表明: 大多数化合物对乌头碱静脉灌注所诱发的大鼠心律失常有不同程度的保护作用, 其中化合物 (I₆) 的活性最强, 保护该模型室性早搏、室性心动过速和心脏猝死的 ED₅₀ 分别为 2.32 mg/kg, 1.95 mg/kg 和 1.90 mg/kg, 深入的药理研究正在进行中。

[文摘 008] α_1 受体拮抗剂 DDPH 异位手性碳类似

物的合成及降压活性 倪沛洲, 彭久合, 夏霖等. 中国药物化学杂志, 1997, 7(1): 1

以苯酚及其类似物 (I) 和 2-氯丙酸为原料, 经中间体 2-取代苯氧丙酰胺 (VI₁₋₉), 用 ZnCl_2 - KBH_4 -THF- $\text{C}_6\text{H}_5\text{CH}_3$ 体系还原, 再成盐得 9 个新的 DDPH 异位手性碳类似物 (II₁₋₉)。目的物和酰胺中间体的结构均经元素分析、红外光谱、核磁共振谱和质谱确证。大部分目的物的急性降压试验表明有不同程度的降压活性, 其中 (II₂) 和 (II₅) 尤为明显。

[文摘 009] 7-乙基吲哚的合成 吴振洁, 倪沛洲, 王健祥等. 中国药物化学杂志, 1997, 7(1): 57

以邻硝基乙苯为原料, 经过还原, Sandmeyer 反应、环合、还原四步合成了 7-乙基吲哚, 总收率达 16%, 质量与文献相符。