

论文

噻芬太尼的主要药效和致依赖性潜力的实验研究

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摘要:

本文研究噻芬太尼的镇痛药效和制动作用,评价其致身体依赖性潜力。小鼠热板法测得噻芬太尼的镇痛作用强度分别为吗啡、芬太尼和埃托啡的3260,22和1.5倍。以瘫痪作为制动指标测得噻芬太尼对大鼠、家兔、狗和猴制动作用强度为埃托啡的2~3倍。小鼠跳跃实验和大鼠饮药液自然戒断实验表明该药具有一定致身体依赖性潜力。大鼠iv快速成瘾实验及长达20周的猴身体依赖性实验则未出现依赖性戒断症状。

关键词: 噻芬太尼 镇痛作用 制动作用 身体依赖性

EXPERIMENTAL STUDY ON THE PRINCIPAL EFFECTS AND DEPENDENCE POTENTIAL OF THIOFENTANIL

M Li; JW Zheng; YH Liu; JL Zhang; LN Liu and ZJ Cai

Abstract:

Thiofentanil is a synthetic analgesic with pharmacological effects similar to etorphine hydrochloride (M99). The aim of the present study was to assess its analgesic and immobilization effects and to evaluate its dependence potential in comparison with morphine. The median analgesic dose (AD₅₀) was measured by hot plate method in mice. The median paralytic dose (PD₅₀), as an indicator of immobilization, was tested in rats, rabbits, dogs and monkeys. Results showed that the analgesic potency of this drug was 3260 times that of morphine, 22 times that of fentanyl and 1.5 times that of M99 and the immobilization effect was 2~ 3 times that of M99. Results from jumping test in mice and physical dependence-producing test in rats (the drug was dissolved in drinking water) showed that thiofentanil possessed physical dependence liability weaker than morphine. Physical dependence was not observed in rats with intravenous injection of one dose each h over a period of 72 h, and also in monkey with 20-week drug medication. The LD₅₀ of thiofentanil was also determined in mice, rats, rabbits, dogs and monkeys in comparison with M 99. Results suggest that it should be valuable to develop thiofentanil as an analgesic for clinical use.

Keywords: Analgesics Immobilization Physical dependence Thiofentanil

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