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论文

强效镇痛剂研究——Ⅱ.3-甲基芬太尼类衍生物的合成及镇痛活性

朱友成:方苏南:葛邦錀:李庆桢:戴淇源:黄忠明:吴瑞琴:张鸿萍

中国科学院上海药物研究所

摘要:

本文报道了N-[1-(β -苯乙基)-3-甲基-4-哌啶基]-N-丙酰苯胺(7209)和N-[1-(β -羟基- β -苯乙基)-3-甲基-4-哌啶基]-N-丙酰苯胺(7302)等一系列3-甲基芬太尼类衍生物的合成及镇痛活性。绝大部分该类衍生物均具有典型的吗啡样镇痛活性,是一类结构较简单、易于合成、镇痛作用极强的麻醉镇痛剂。化合物7302的ED₅₀为0.0022mg/kg(ip,小鼠,热板法),比芬太尼强28倍,竟达吗啡的6318倍,为我们至今合成该类衍生物中作用最强者。

关键词:

STUDIES ON POTENT ANALGESICS II . Synthesis and Analgesic Activity of the Derivatives of 3-Methyl Fentanyl

Zhu Youcheng; Fang Sunan; Ge Banglun; Li Qingzhen; Dai Qiyuan Huang Zhongming; Wu Ruiqin and Zhang Hongping

Abstract:

Since introduction of a methyl group in the 3-position of piperidine ring of 4-phenylpiperidine analgesics and replacement of phenylethyl group in the 1-position of piperidine ring of fentanyl by some substituents can enhance analgesic activity, we already synthesized some 3-methyl derivatives of fentanyl e. g., N-[1-(2-phenylethyl)-3-methyl-4-piperidyl]-N-phenylpropanamide(7209, simply named as 3-methyl fentanyl) and others (7207, 7222, 7210). In view of their higher analgesic activity than that of fentanyl, studies on derivatives of 3-methyl fentanyl were further performed. Pharmacological results showed that some compounds in this series have extremely potent analgesic activity with typical morphine-like action. The structure-activity relationships of these compounds are discussed, compound N-[1-(2-hydroxy-2-phenylethyl]-3-methyl-4-piperidyl]-N-phenylpropanamide (7302) was found to be the most potent analgesic agent in this series. Its analgesic ED₅₀ value was 0.0022mg/kg and the analgesic activity was 28 times more potent than that of fentanyl and 6318 times than morphine.

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