

论文

4-甲氧羰基芬太尼1-位衍生物的合成及生物活性

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摘要:

合成了5个4-甲氧羰基芬太尼1-位衍生物,有4个化合物的分子中带有可与阿片受体发生烷基化反应的官能团。镇痛试验结果表明,它们都有典型的吗啡样镇痛活性。离体组织试验结果表明,这些新化合物均作用于阿片受体,其中化合物2,4和5为新型的阿片受体不可逆配体。

关键词: 4-甲氧羰基芬太尼 阿片受体 不可逆配体 烷基化试剂

SYNTHESIS AND PHARMACOLOGICAL ACTIVITIES OF THE 1-SUBSTITUTED 4-METHOXYCARBONYL FENTANYL DERIVATIVES

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Abstract:

Five derivatives of 4-methoxycarbonyl fentanyl were synthesized, four of them carry in the molecules some radicals that may alkylate opiate receptors. Their analgesic activities were measured and the irreversible inhibitory effects of all compounds on the electrically elicited contraction of MVD were investigated. The analgesic test showed that the compounds in this series possess analgesic activity with typical morphine-like action. The isolated tissue experiment indicated that these new compounds were all capable of binding with opiate receptors, and that compounds 2, 4 and 5 were determined to be a new irreversible ligand of opiate receptors.

Keywords: Opiate receptor Irreversible ligand Alkylating reagent 4-Methoxycarbonyl fentanyl

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