

论文

3,4-二氯-N-甲基-N-[反式-2-(1- $\Delta^3$ -吡咯啉基)环己基]-苯乙酰胺盐酸盐的合成及其镇痛活性的研究

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摘要:

关键词: 3,4-二氯-N-甲基-N-[反式-2-(1- $\Delta^3$ -吡咯啉基)环己基]苯乙酰胺盐酸盐 镇痛活性

SYNTHESIS AND ANALGESIC ACTIVITY OF 3, 4-DICHLORO-N-METHYL-N- [TRANS-2-(1- $\Delta^3$ -PYRROLINYL)-CYCLOHEXYL]-BENZENACETAMIDE HYDROCHLORIDE

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Abstract:

3, 4-Dichloro-N-methyl-N-[trans-2-(1- $\Delta^3$ -pyrrolinyl)-cyclohexyl]-benzenacetamide hydrochloride (K- II) was synthesized from N-methyl-7-azabicyclo [4.1.0] heptane by treatment with 2,5-dihydropyrrole to give N-[trans-2(1- $\Delta^3$ -pyrrolinyl)-cyclohexyl]-N-methylamine which was amidated with 3,4-dichlorophenyl-acetic acid. K- II is an analogue of U-50488 H(K- I), a known kappa receptor agonist. The results of animal tests showed that K- II is 3 times as potent as K- I as an analgesic in the mouse hot plate test and 5 times in the mouse writhing test and that the affinity of K- II for kappa receptor may be higher than that of K- I. The general behavioural effects of these two agents are similar in mice.

Keywords: Analgesic activity 3, 4-Dichloro-N-methyl-N. [trans-2-(1- $\Delta^3$ -pyrrolinyl-) cylohexyl] benzenacetamide hydrochloride Kappa receptor agonist

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