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论文

# 2-芳基-3-吲哚取代乙酰胺类衍生物的合成及抗焦虑活性

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摘要:

目的 合成2-芳基-3-吲哚取代乙酰胺类化合物,从中筛选有抗焦虑作用而无镇静、肌松等副作用的活性化合物。方法 由取代苯和琥珀酸酐经傅-克反应得到取代苯甲酰基丙酸,取代苯甲酰基丙酸和氯甲酸乙脂生成混合酸酐,再和相应的胺反应得到取代酰胺,取代酰胺和取代苯肼经费歇尔反应得到目标化合物。结果 得到新化合物20个。结论 初步受体结果表明,多数化合物均与外周苯二氮 受体有较强结合,在小鼠高架十字迷宫试验中发现一些化合物有明显的抗焦虑作用,且不能拮抗印防己毒素(PTX)诱发的惊厥作用,显示无镇静作用

关键词: 吲哚衍生物 抗焦虑 外周苯二氮 受体

## SYNTHESIS AND ANTIANXIETY ACTIVITY OF 2-ARYL-3-INDOLACETAMIDE DERIVATIVES

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Abstract:

AIM To search for antianxiety drugs with fewer side effects and improved activities. METHODS Reaction of aryl compounds with succinic anhydride to give benzoylpropionic acid. Then, the benzoylpropionic acid was converted to its mixed anhydride with ethyl chloroformate, and the intermediate reacted with the amine of choice to afford the corresponding amide. The amide was reacted with the appropriate phenylhydrazine and anhydrous zinc chloride. A series of 2-aryl-3-indolacetamides derivatives with different substituted groups in their phenyl rings and indole groups were synthesized. RESULTS Twenty new compounds have been synthesized. Their structures were confirmed by IR, $^{1}$HNMR, MS and elemental analysis. The antianxiety activities were screened. The structure activity ralationship has been studied. CONCLUSION Most of the compounds was shown to bind to peripheral benzodiazepine receptor with high affinity. Several compounds exihbited marked antianxiety effects in animal experiments without seizure effects.

Keywords: antianxiety peripheral benzodiazepine receptor indole

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