药学学报 1987, 22(9) 655-661 DOI: ISSN: CN:

论文

2-氨基异黄酮化合物的合成

李永福;纪庆娥

军事医学科学院药物毒物研究所,北京

摘要:

本文报道了一系列2-氨基-3′-胺甲基-4′-羟基-6-取代异黄酮化合物(Ⅱ)的合成。中间体2-甲氧基-5-取代苯甲酸甲酯(Ⅲ)系用相转移催化技术同时进行醚化和酯化得到。Claisen缩合产物用三溴化硼脱甲基同时环化成2-氨基异黄酮化合物(Ⅵ)。利用Mannich反应在4′-羟基的邻位引入胺甲基制得目的物。药理筛选结果显示Ⅱbb′对小鼠具有明显的耐缺氧作用。

关键词: 2-氨基异黄酮 相转移催化O-烷化反应 耐缺氧作用

SYNTHESIS OF 2-AMINO ISOFLAVONES

LI Yong-Fu and JI Qing-E

Abstract:

A series of 2-amino-3'-alkylaminomethyl-4'-hydroxy-6-substituted isoflavones (Ⅱ) were synthesized. The intermediates, methyl 2-methoxy-5-substituted benzoates were prepared by using the technique of phase transfer catalysis and esterification and etherification took place simultaneously. The products (Ⅴ) from Claisen condensation of 2-methoxy-5-substituted benzoates (Ⅲ) with p-methoxybenzeneace-tonitrile (Ⅳ) were demethylated by BBr_3 and cyclized to 2-amino isoflavone derivatives (Ⅵ). The existence of 2-amino group was confirmed by spectroscopic analysis as well as by replacement of amino group with chlorine atom after diazotization in hydrochloric acid. The different alkylaminomethyl groups were introduced by Mannich reaction. The position attacked by Mannich reagents were determined by ^{1}HNMR. It atttached uniquely at the ortho position of 4'-hydroxy group. Compounds of formula Ⅱ were tested for resistance to hypoxia in mice and IIbb' was shown to have significant effect.

Keywords: O-Alkylation by PTC Resistance to hypoxia 2-Amino isoflavones

收稿日期 1986-08-26 修回日期 网络版发布日期

DOI:

基金项目:

通讯作者:

作者简介:

参考文献:

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