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#### 论文

治疗高血压病药 "Salsoline"的合成 (一)癒疮木酚的醯化

童曾寿;仲同生;黄鸣龙

中国人民解放军医学科学院化学系,中国科学院有机化学研究所上海;\*\*中国科学院有机化学研究所摘要:

(1)用PPA作接触剂使癒瘡木酚和醋酸酐进行縮合,因醋酸酐用量不同得到兩种結果:第一,当癒瘡木酚和醋酐之量为当量时,产物为香莢蘭乙酮(I);第二,当醋酸酐大过量时,产物为異香莢蘭乙酮(II)的醋酸酯。反应演变过程的推論經实驗証明属实。(2)用a-氯乙醯基作癒瘡木酚之羟基之封鎖基,然后再用PPA作接触剂,使之与醋酸酐、冰醋酸,丙酸或丁酸縮合,醯基即从甲氧基之对位进入得(IV),产率可达95%,因此癒瘡木酚轉变为(V)的得量远較文献所报告者为佳。(3)癒瘡木酚的a-氯醋酸酯在用PPA为接触剂的条件下,不易起Fries移位反应的事实,用电子理論加以解釋。 关键词:

SYNTHESIS OF HYPOTENSIVE DRUG" SALSOLINE" [ .ACYLATION OF GUAIACOL

TUNG TZENG-SHOU CHUNG TUN-SHUN HUANG MIN-LON

### Abstract:

(1) The condensation of guaiacol with acetic anhydride using polyphosphoric acid (PPA) as catalyst gave either acetovanillone (I) or the acetate of isoacetovanillone (II), depending on the amount of acetic anhydride used. Our hypothesis on the reaction process was confirmed by experiment. (2) Using PPA as catalyst, the ester of a-chloro acetyl guaiacol did not undergo the Fries rearrangement. This phenomenon is interpreted as due to the electron-withdrawing power of the chlorine atom. (3) When the phenolic group of guaiacol was blocked by a-chloro-acetyl group, a-hydroxy-a-methoxy-phenyl alkyl ketone (a) could be obtained in excellent yield.

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