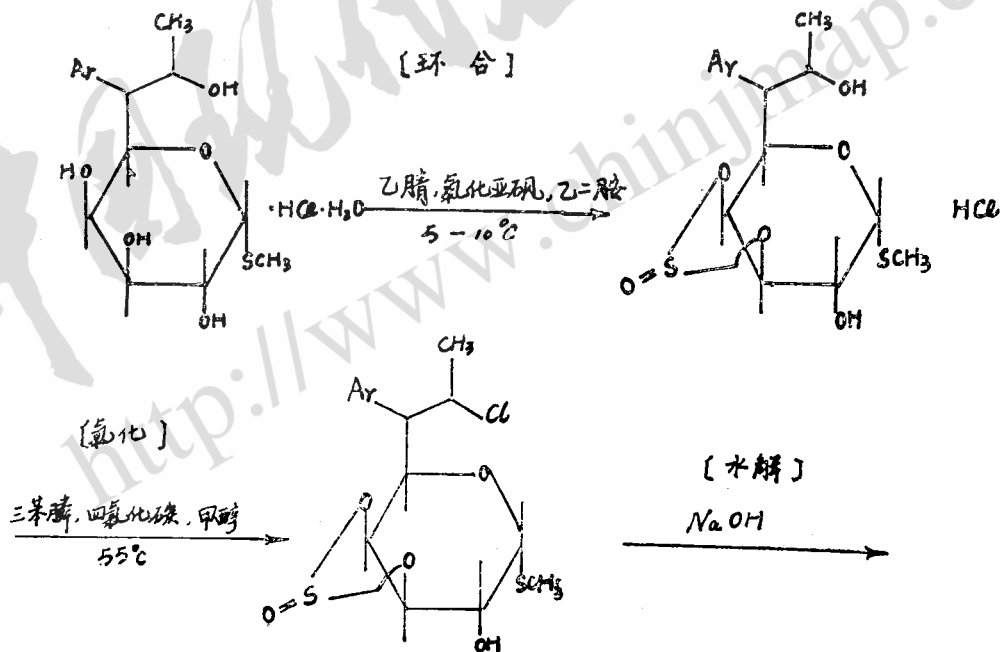


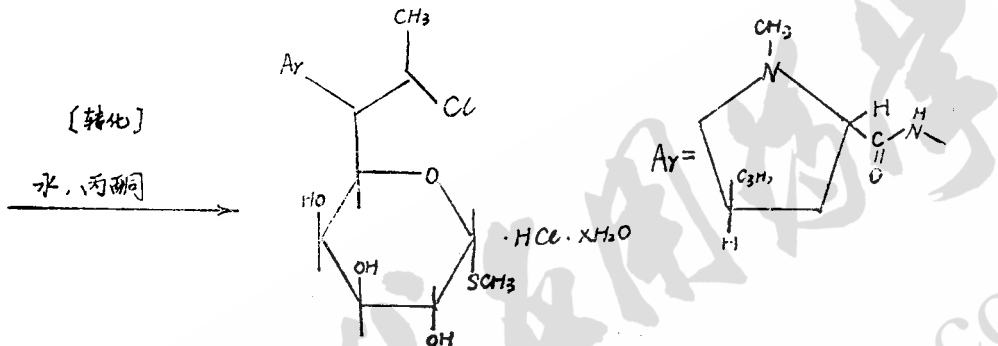
氯林可霉素氯化工艺改进

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氯林可霉素是林可霉素的衍生物,在临床上主要用于对革兰氏阳性菌如葡萄球菌、链球菌等感染的治疗。氯林可霉素口服吸收快,抗菌谱与林可霉素相仿,抗菌作用比林可霉素大4倍,使用剂量约为林可霉素的三分之一。对青霉素、红霉素、林可霉素、四环素等抗生素的耐药菌也有效。氯林可霉素很少产生耐药性,或耐药性发展很慢,因而可长期使用,同时不致于产生交叉耐药性^[1]。

氯林可霉素的合成方法文献报导的有许多种,如氯化亚砷法^{[1][2]},Vilsmeier-Haack法, Rydon法^{[1][3]}, 铈盐法。资料^[4]提供的氯林可霉素合成法为Rydon法,是将起始原料林可霉素用氯化亚砷保护3,4位上的羟基,然后再用Rydon试剂氯化,最后经水解去掉保护基,成盐得氯林可霉素乙醇物,氯林可霉素乙醇物经转化得成品氯林可霉素盐酸盐。反应过程如图:





它项目检查完全符合中国药典规定。

改进后的工艺与原工艺相比,减少了反应步骤,缩短了反应时间,节约了氯化亚砷等化工原料,减少了三废污染,改善了劳动环境,降低了成本,提高了经济效益。

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