

论文

抗疟药本芬醇的合成新路线

邓蓉仙;钟景星;赵德昌;王俭

军事医学科学院微生物流行病学研究所, 北京 100071

摘要:

目的: 设计了抗疟药本芬醇(I)的5步合成新路线。方法: 该合成路线是以工业芴(II)为原料, 经氯化制得2,7-二氯芴(III), III经氯乙酰化得到2,7-二氯-4-氯乙酰芴(IV), IV再经环氧化反应, 依次与二正丁胺和对氯苯甲醛缩合制得I。结果: 本文用化学方法及光谱分析证明, III进行酰化反应时, 乙酰基和氯乙酰基主要进入芴环的4位, 从而确立了本路线的可信性。结论: 用新路线合成的I与老路线合成的本芬醇相比, 二者的理化性质完全一致, 生物效价也一致。

关键词: 本芬醇 乙酰化反应 氯乙酰化反应

NEW ROUTE OF SYNTHESIS OF THE ANTIMALARIAL DRUG BENFLUMETOL

Deng Rongxian; Zhong Jingxing; Zhao Dechang and Wang Jian

Abstract:

AIM: A new route of synthesis of benflumetol (I) by 5-step reactions was developed. METHODS: The starting material, fluorene (II), was chlorinated with chlorine to yield 2,7-dichlorofluorene (III), which underwent Friedel-Crafts acylation with chloroacetyl chloride to give 2,7-dichloro-4-chloroacetylfluorene (IV). IV was reduced by means of KBH4 to provide 2,7-dichlorofluorenyl-4-ethylene oxide (V) which was then condensed with dibutylamine to yield α -(dibutylaminomethyl)-2,7-dichloro-4-fluorene-methanol (VI). The final product (I) was obtained by further condensation of (VI) with *p*-chlorobenzaldehyde. RESULTS: Acetylation and chloroacetylation of III, the acetyl and chloroacetyl groups entered mainly into the 4 position of fluorene nucleus by both chemical method and spectrum analysis. CONCLUSION: The reliability of this new route of synthesis was established.

Keywords: acetylation chloroacetylation benflumetol

收稿日期 1999-04-26 修回日期 网络版发布日期

DOI:

基金项目:

通讯作者: 钟景星

作者简介:

参考文献:

本刊中的类似文章

1. 杨青;孙曾培.利用Pirkle型手性固定相柱拆分对映体药物:用异氰酸- α 萘酯作衍生化试剂拆分胺类、醇类药物[J]. 药学报, 1988,23(12): 921-926
2. 钟景星;邓蓉仙;王俭;郑启泰;焦克芳.抗疟药 α -(二正丁氨基甲基)-2,7-二氯-9-对氯亚苄基-4-芴甲醇的晶体和分子结构[J]. 药学报, 1997,32(11): 824-829

文章评论 (请注意:本站实行文责自负, 请不要发表与学术无关的内容!评论内容不代表本站观点.)

扩展功能

本文信息

- ▶ Supporting info
- ▶ PDF(139KB)
- ▶ [HTML全文]
- ▶ 参考文献

服务与反馈

- ▶ 把本文推荐给朋友
- ▶ 加入我的书架
- ▶ 加入引用管理器
- ▶ 引用本文
- ▶ Email Alert
- ▶ 文章反馈
- ▶ 浏览反馈信息

本文关键词相关文章

- ▶ 本芬醇
- ▶ 乙酰化反应
- ▶ 氯乙酰化反应

本文作者相关文章

- ▶ 邓蓉仙
- ▶ 钟景星
- ▶ 赵德昌
- ▶ 王俭

PubMed

- ▶ Article by
- ▶ Article by
- ▶ Article by
- ▶ Article by

反馈人	<input type="text"/>	邮箱地址	<input type="text"/>
反馈标题	<input type="text"/>	验证码	<input type="text"/> 7170