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论文

新抗真菌剂苏式-BAY 19139的非对映立体专一性合成

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摘要:

本文报道以α,α-二卤代频哪酮为原料,通过其闭环的立体化学、卤代环氧烷取代反应的立体专一性及对氯苯氧基环氧烷开环反应的方位专一性这一条新路线合成了目标化合物,并用X-射线单晶分析法确证其分子结构。

关键词: 抗真菌剂 苏式-BAY19139 1-(4-氯苯氧基)-1-(1-咪唑基)-3,3-二甲基-2-丁醇 非对映立体专一性合成

DIASTEREOSPECIFIC SYNTHESIS OF ANTIFUNGAL THREO- BAY 19139

RY Zhou and SF Qiang

Abstract:

BAY 19139 , 1-(4-chlorophenoxy)-1-(1-imidazolyl)-3, 3-dimethyl- 2- butanol is a new imidazolyl derivative of antifungal agent. Its threoisomer(Ⅰa) shows marked antifungal activity, and the antiprotozoal activity is higher than the well-known metronidazole or clotrimazole, but the activity of the erythro-isomer is weak. This paper reports the sequential reactions of chloro or bromo-oxirane with pchlorophenolate, and then with sodium imidazolate in two stereocontrolled steps to the threo-BAY 19139 (Ⅰa). Halo-oxirane is accomplished by the stereochemistry of ring closure of α, αt-dihalopinacolone. The molecular structure of Ia was confirmed unambiguously by X-ray crystal analysis. Furthermore, the nucleophilic substitution of chloro-oxirane was investigated. We found that under the solid- liquid PTC condition at room temperature, the reaction time was shortened and a higher yield was obtained.

Keywords: Threo- BAY 19139 1-(4-Chlorophenoxy)-1 -(1-imidazolyl)-3,3-dimethyl- 2- butanol Diastereospecific synthesis Phase transfer catalyst Antifungal agent

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