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论文

芴甲醇类化合物的合成及抗疟作用

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摘要:

关键词: 芴甲醇类: 氯喹: 伯氏疟原虫

SYNTHESIS AND ANTIMALARIAL ACTIVITIES OF FLUORENEMETHANOLS

RX Deng; JX Zhong; DC Zhao; HB Zhang; XY Sheng; DB Ding and JD Yang

Abstract:

For the purpose of improving the oral antimalarial activities of the fluorenemethanols (reported by us in previous articles) which were less effective by oral than by subcutaneous administration, 24a-(alkylaminomethyl)-2,7-dichloro-9-substituted benzylidene-4-fluorenemethanols (III) were synthesized. The results of preliminary screenings demonstrated that five compounds (No.1 \sim 4,8) exhibited significant \blacktriangleright 张洪北 antimalarial activities against *Plasmodium berghei* NK₆₅ strain in mice by oral administration, at dose of 6.25 mg·kg⁻¹·d⁻¹×3 with suppressive rate of 100%. Further evaluation of these 5 compounds showed that 4 of them (No.1 \sim 4)were superior to chloroquine in parallel tests, their ED₅₀ and ED₉₀ were 1.0, 1.6; 0.6, 0.9; 0.7, 1.5 and 0.8, 1.6 $\mathrm{mg\cdot kg^{-1} \cdot d^{-1} \times 3}$ respectively, while the $\mathrm{ED_{50}}$ and $\mathrm{ED_{90}}$ of chloroquine were 1.9 and 2.9 $\mathrm{mg\cdot kg^{-1} \cdot d^{-1} \times 3}$ respectively; one compound (No.8) was equal to chloroquine, its $\mathrm{ED_{50}}$ and ED_{90} were 1.5 and 3.2 mg kg⁻¹ d-1×3 respectively. Further assessment of these 4 compounds are in progress.

Keywords: Chloroquine Plasmodium berghei Fluorenemethanols

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