CHEMOTHERAPY OF MALARIA

III. Attempted Synthesis of Biguanide and Guanidino Derivatives of Quinoline

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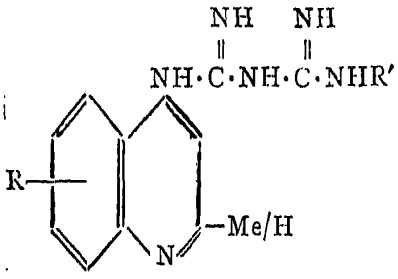
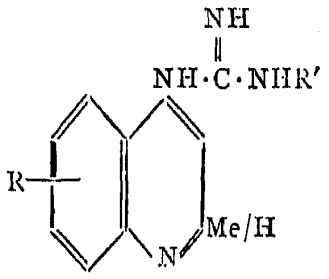
THE main object of this work is to discover compounds that would show intensive action against both the erythrocytic and exoerythrocytic forms of plasmodia so that such compounds could be expected to be cures against vivax malaria. The 4-aminoquinoline derivatives so far tried do show very intensive action against the erythrocytic forms and, in addition, possess many desirable properties from the clinical point of view, such as a slow rate of excretion, quick action and absence of toxic effects in therapeutic doses. But these quinoline derivatives tried so far do not show any activity against the exoerythrocytic forms so that this has even led some workers to rationalise that the 4-aminoquinolines can be expected to possess activity against the erythrocytic forms of the plasmodia only. Since some 4-hydroxyquinolines have recently been reported to show activity against the exoerythrocytic forms,[1] we cannot rule out the possibility that some 4-aminoquinolines may also show this activity, only it may be a question of finding out the right type of substituents and side chains attached to the quinoline nucleus. With this hypothesis in view, we have been engaged in the synthesis of quinolines possessing groups present in compounds that show activity



(I)



(II)

against the exoerythrocytic forms. This paper relates to the attempts to synthesise the quinolines of types (I) and (II). Though compounds of the above types could not be synthesised, the work carried out revealed some interesting properties of the 4-aminoquinolines which were used as the starting materials.