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SYNTHESIS OF 4-METHYL FURO(2,3-b)QUINOLINE

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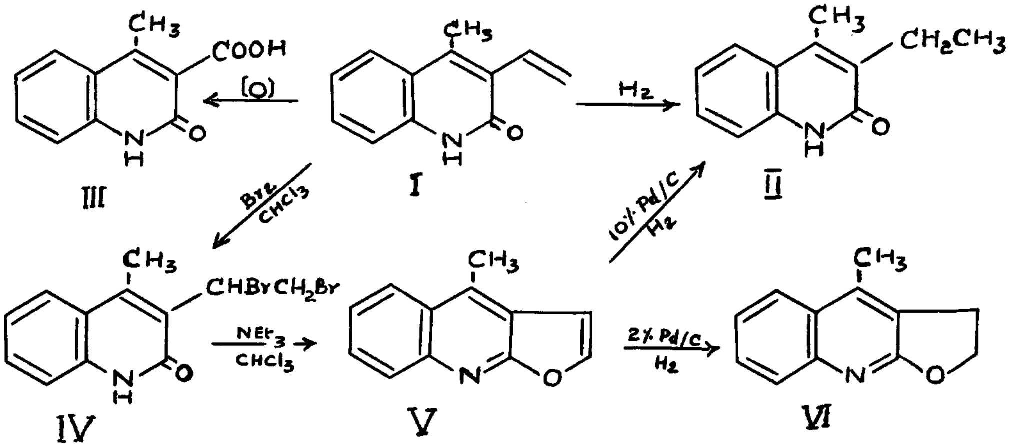
The previous papers[1-3] from this laboratory describe the synthesis of 2:3 dihydrofuro(2,3-b)quinolines, and in no case could the dihydro compound be dehydrogenated to the furoquinoline. The possibility that 3-vinyl-2-quinolones might serve as necessary precursors for the synthesis of furo(2,3-b)quinolines appeared attractive. Several 3-vinyl-2-quinolones[4] have been prepared from O-amino carbonyl compounds and vinyl acetyl chloride using a procedure similar to that adapted for the preparation of 3(1'propenyl)2-quinolones[2b].



In this communication we would like to report a novel and facile synthesis of 4-methyl furo(2,3-b)quinoline utilising 4-methyl 3-vinyl-2-quinolone[4] (I) (mp. 203-205°). I.R.($CHCl_3$) 1639 cm^{-1} (NH-CO) and 990cm^{-1} ($CH=CH_2$). The chemical evidence for structure I of the vinyl compound was obtained by its reduction to 3-ethyl 4-methyl 2-quinolone[5](II) and oxidation to 3-carboxy 4-methyl 2-quinolone[6](III). Addition of Br_2 to I in chloroform gave a quantitative yield of the dibromo compound IV (mp. 243-246° dec.) which on treatment

with excess triethylamine in boiling chloroform furnished the desired furoquinoline V. (mp. 88-89.5° pet. ether) in 95% yield. The same base V was also obtained, but in a very poor yield, from I through expoxidation with perbenzoic acid, followed by heating with PPA. The NMR spectrum of V showed, in addition to a multiplet at $\delta 7.3$ to 8.2 (4H Ar) and a singlet at $\delta 2.63$(3H CH_3), two one proton doublets at $\delta 7.6$ and $\delta 6.7$ which are assigned respectively to the α and β furan protons. The position of absorption as well as the coupling constant (J=2.5 cps) between them are consistant with the values reported[7] for similar protons of furo(2,3-b) quinolines.

V underwent hydrogenolysis with 10% Pd/C and H_2(60 lbs.) to give 3-ethyl 4-methyl 2-quinolone[5] II, but use of 2% Pd/C and H_2(20 lbs.) gave the dihydro base VI[1].

The extension of this synthetic approach to other furoquinolines is being explored.

The compounds reported here gave satisfactory elemental analysis.

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