Reaction of Diethyl Malonate with 3-Amino-4-carbethoxy-3-Pyrroline – a new Synthesis of Pyrrolo[3,4-b]pyridines

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Few references have appeared in the literature to the preparation of derivatives of pyrrolo[3,4-b]pyridine. Almost without exception, the methods for synthesis of this ring system utilise quinolinic acid as the starting material and construct the pyrrole ring in a sequence of several steps1.

In the course of our work on this class of compounds2, a convenient synthesis was developed using 3-amino-4-carbethoxy-1-substituted-3-pyrrolines3 (1) as starting materials. For this purpose, these compounds were condensed with diethyl malonate in the presence of sodium ethoxide to give 6-substituted-2,4-dihydroxy-3-carbethoxy-6,7-dihydro-5H-pyrrolo[3,4-b]pyridin-7-ones (2). Support for the assigned structures has been obtained from analytical and spectroscopic data. However, results to date do not permit an unambiguous choice among the possible tautomeric forms 2, 2' and 2''.


The products were sufficiently acidic to dissolve in aqueous sodium carbonate solution, but appeared to react slowly with aqueous sodium bicarbonate. The NMR do not reveal a signal which could be assigned to a proton bound to carbon at the 3-position of the pyridine ring; the ketonic tautomer 2'' does not seem to be present.

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