Tetrahedron Letters No.39, pp. 2807-2808, 1964. Pergamon Press Ltd.
Printed in Great Britain

3-AMINO-4-CYANO-3-PYRROLINES: THEIR CONVERSION TO PYRROLO[3,4-d]PYRIMIDINES

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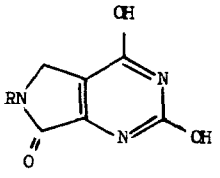
(Received 4 July 1964)

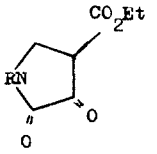
Recently, Southwick and Hofmann (1) described the preparation of the oxopyrrolo[3,4-d]pyrimidine (I) from the dioxopyrrolidine (II). We have now been able to synthesise the fully reduced ring system (III; R = H, SH and NH_2) by reaction of the gem dimethylpyrroline (IV) with boiling formamide or with guanidine carbonate or thiourea in boiling 2-ethoxyethanol. The compounds were isolated as their dihydrochloride monohydrates (III, R = H) m.p. 277-279°, (III; R = SH) m.p. 275-276° (dec.), and the sesquihydrate (III; R = NH_2) m.p. 287-290° (dec.).

Earlier (2) we reported that the pyrroline (V), without the gem dimethyl group, had failed to cyclise with the usual reagents in experiments modelled on other compounds. Now, using the above technique, it is possible to effect cyclisation with guanidine carbonate though not with the other reagents. The product (VI) was isolated as the dihydrochloride monohydrate, m.p. 263-265° (dec.).

Full details of these and other experiments with pyrrolo [3,4-d]pyrimidines will be published as soon as possible.



(I)



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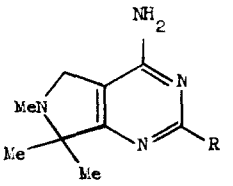

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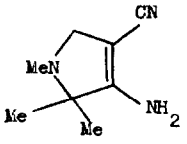
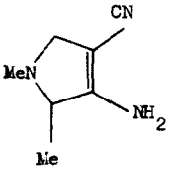
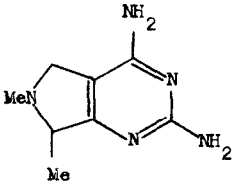


(IV)



(V)



(VI)

References

(1) P.L.Southwick and G.H.Hofmann, J.Org. Chem., 28, 1332 (1963).

(2) J.F.Cavalla, J.Chem. Soc., 4664 (1962).