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SHORT COMMUNICATION

SYNTHESIS OF DIBENZO[d,g][1,2,4]TRIAZOLO[4,3-a][1,3]DIAZOCINE-8-ONE AND DIBENZO[d,g][1,2,4]TRIAZOLO[4,3-a][1,3] OXAZOCINE-8-ONE

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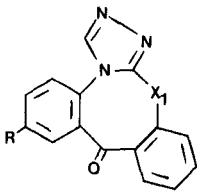
ABSTRACT

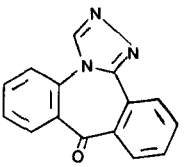
Compounds (1)-representatives of a new heterocyclic system were obtained by reaction of 3-hydroxy-,3-chloro- and 3-amino-4-phenyl-1,2,4-triazoles with iodobenzoic acid and N-methylanthranilic acid followed by ring closure to give the parent compounds in good overall yield.

In connection with a larger synthetic programme involving new types of polycondensed heterocycles of potential biological activity, we have devised a synthesis for dibenzo [d,g][1,2,4]triazolo[4,3-a][1,3]diazocine-8-one (1) which is analogous to dibenzo[1,2,3]triazolo[1,5-a]azepine-9-one[1](2).



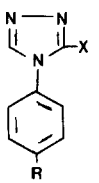
(1)

a, X=O
b, X=NCH_3



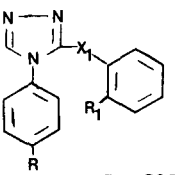
(2)

3-Hydroxy- and 3-chloro-4-phenyl-1,2,4-triazoles[2,3](3) provided a convenient starting point for the synthesis of these new ring system (1). Condensation of (3) with iodobenzoic acid and N-methylanthranilic acid resulted in the formation of the triazoles (4) in 60-80% yield. Several attempts to cyclize (4) were made using different reagents and resulted in an interactable mixture. However, conversion of (4) into the acid chloride followed by cyclization in the presence of aluminium chloride furnished the tetracyclic compounds (1) in



(3)

R= H,CH_3
X= OH,NH_2,Cl



(4)

R_1=COOH
X_1=O, NCH_3

68-75% yield. The only stumbling block in the synthesis of (1b) appears to be the conversion of the 3-hydroxy intermediate (3) to the 3-chloro derivatives, since the yield could not be improved above 40%. Attempts were therefore made to prepare compound (1b) via a more efficient pathway.

3-Amino-4-phenyl-1,2,4-triazoles[4](3), prepared in 73-85% yield, were condensed with iodobenzoic acid and cyclised in a similar manner to give compounds (1) in 71-80% yield.

Satisfactory analysis and spectral data were obtained for all new compounds.

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