OXIDATIVE CYCLOCONDENSATION OF TRIALKYLAMMONIUM SALTS WITH DIAMINES

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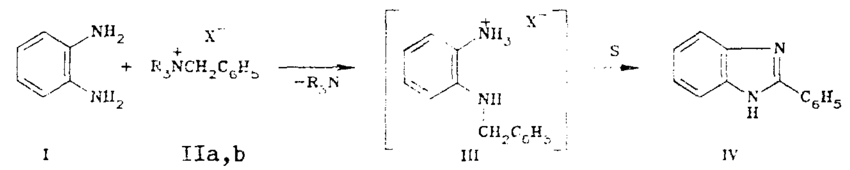
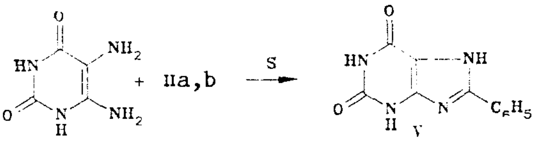
The case of an oxidative intramolecular condensation involving a benzylammonium fragment was described earlier [1].

We have shown that it is possible to use trialkylbenzylammonium salts to form an imidazole ring by oxidatively condensing them with diamines, using sulfur as the oxidant. Thus, the cyclocondensation of o-phenylenediamine (I) with benzylammonium salts IIa, b takes place in the presence of sulfur at a temperature of 170-200°C. Apparently the intermediate compound in these conversions is compound III, the evidence for which is the evolution of trimethyl- or triethylamine in the initial step of the reaction. The cyclocondensation is completed on the cessation of hydrogen sulfide evolution. Yield of 2-phenylbenzimidazole (IV) is 93%, mp 290°C (cf. [2]). The identity of compound IV to a known sample was also shown by TLC in chloroform on Al_2O_3.



IIa $R=CH_3$, $X=Br$; b $R=C_2H_5$, $X=Cl$

In contrast to the case with diamine I, the reaction with 5,6-diaminouracil with benzylammonium salts IIa, b takes place with considerable tar formation and leads to the formation of 8-phenylxanthine (V) in a low yield (17%); mp 360°C, which agrees with [3].



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