SOMMELET REACTION IN THE INDOLE SERIES

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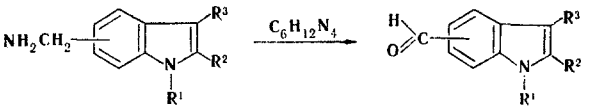
In the case of several 5- or 6-aminomethylindoles (I) we were able to show that the Sommelet reaction proceeds successfully in the indole series. The corresponding aldehydes (II) can be obtained in satisfactory yields by this method. For example, 250 mg (51%) of 2,3-dimethyl-5-formylindole, with mp 130-131°C, was obtained by refluxing (for 2 h) a mixture of 0.5 g (3 mmole) of 2,3-dimethyl-5-aminomethylindole, 0.5 g (3.5 mmole) of hexamethylenetetramine, and 2.5 ml of 50% aqueous acetic acid after dilution with water, extraction with ether, and preparative chromatography in a thick [sic] layer of aluminum oxide [elution with benzene–methanol (9:1)]. The PMR spectrum (in dimethyl sulfoxide) contains singlets at 9.90 (CHO), 9.35 ppm (NH), a broad singlet at 7.90 ppm (4-H), and doublets centered at 7.53 (6-H) and 7.25 ppm (7-H, J = 8 Hz). The following compounds were similarly synthesized: 2,3-dimethyl-5-methoxy-6-formylindole [mp 195-195.5°C (from alcohol)], 1,2-dimethyl-3-carbethoxy-5-methoxy-6-formylindole [mp 135.5-136.5°C (from alcohol); the constants of this product were identical to those of the previously described compound], and 2,3-dimethyl-6-formyl-7-methoxyindole (mp 183-184°C; this product was identical with respect to its melting point and IR spectrum to the compound obtained by Vilsmeier formylation of 2,3-dimethyl-7-methoxyindole).

The PMR and IR spectra and the results of analysis for C and H of all of the synthesized compounds were in agreement with the assigned structures.



I II

The phthalimide and chloroacetyl derivatives of amines I do not undergo the Sommelet reaction under these conditions.

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