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DEFORMYLATION OF SOME 2-SUBSTITUTED INDOLE-3-ALDEHYDES

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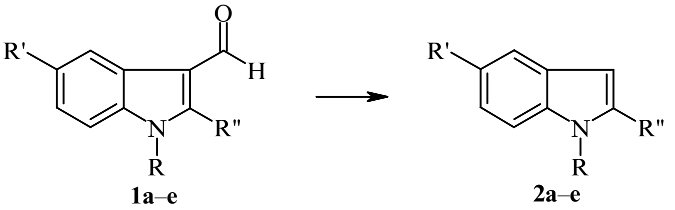
The simplest indole-3-aldehydes undergo deformylation under vigorous conditions – treatment with strong acids ($HClO_4$, conc. H_2SO_4) or heating in 60% KOH at 100°C. Photodecarbonylation of 1,3-dimethyl-3-formylindole to 1,3-dimethylindole is also known [1].

We have observed that when the 2-substituted indole-3-aldehydes **1a-e** were heated in ethylene glycol, diethylene glycol, or glycerin, a mixture of compounds was formed from which we isolated the 3-unsubstituted indoles **2a-e**. The starting aldehyde **1a-e** were obtained by formylation of compounds **2a-e**.



a R = Me,R' = Ph, R'' = H; **b** R = H, R' = Ph, R'' = H; **c** R = H, R' = $C_6H_4CH_2Ph$, R'' = H;
d R = H, R' = $C_6H_4CH_2CH_2Ph$, R'' = H; **e** R = H, R' = CO_2Et, R'' = Me

Some bisindole dialdehydes which we had synthesized previously – 2,2'-diethoxycarbonyl-3,3'-diformyl derivatives of bis(5-indolyl)methane [2] and bis(5-indolyl)oxide [3] – reacted similarly.

The unsubstituted indoles **2a-e** were identified by comparison of their R_f and melting points with literature data [2-4]. The yields of compounds **2a-e** after column purification were 30-60%.

This reaction was not observed in high-boiling nonpolar solvents or in a variety of monoatomic alcohols (C_2-C_7). Apparently the reaction is facilitated by the more acidic properties of polyatomic alcohols.

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