Letters to the Editor

14β-Hydroxy-17-nor-17-phenyldihydrocodeinone ethylene ketal, the first *N*-aryl derivative of morphine alkaloids

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The nature of the *N*-substituent in morphine alkaloids is one of the factors that substantially influence their pharmacological activity.[1] However, the corresponding derivatives containing an aromatic substituent at the nitrogen atom were not hitherto known.

We obtained 14β-hydroxy-17-nor-17-phenyldihydrocodeinone ethylene ketal, the first *N*-aryl derivative of morphine alkaloids. 14β-Hydroxy-17-nordihydrocodeinone ethylene ketal was refluxed with a (η^6-fluorobenzene)chromiumtricarbonyl complex in the presence of K_2CO_3 in the mixture of THF—MeCN (1 : 1). The product formed was treated with copper chloride to remove the chromiumtricarbonyl group (Scheme 1).

The yield of the product was 30%, m.p. 173—175 °C. Found (%): C, 70.08; H, 6.48; N, 3.12. $C_{25}H_{27}NO_5$. Calculated (%): C, 71.24; H, 6.46; N, 3.32. ^{1}H NMR ($CDCl_3$), δ: 1.48—1.77 (m, 4 H); 2.15—2.29 (m, 2 H); 2.63—2.98 (m, 3 H); 3.04—3.19 (m, 1 H); 3.88 (s, 3 H, OMe); 3.75—4.10 (m, 4 H); 4.15—4.29 (m, 2 H); 4.63 (s, 1 H, C(5)—H); 6.75 and 6.55 (AB system, 1 H + 1 H, C_6H_2); 7.27 and 6.97 (both m, 2 H + 3 H, C_6H_5). MS (70 eV), *m/z*: 421 $[M]^+$.

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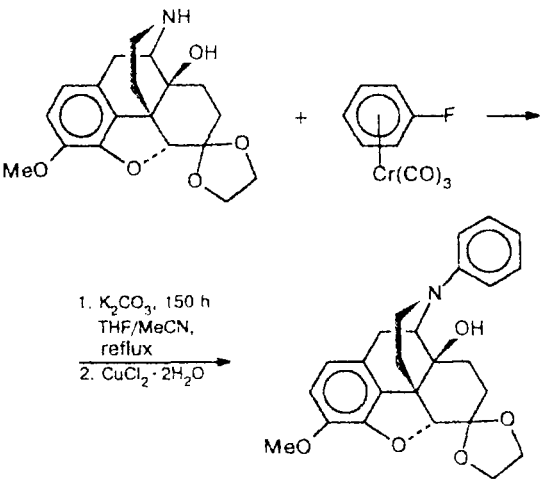
Scheme 1



Reference

1. H. Schmidhammer, A. E. Jacobson, and A. Brossi, *Medicinal Research Reviews*, 1983, **3**, 1.

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