Chemistry of Heterocyclic Compounds, Vol. 31, No. 12, 1995

SYNTHESIS OF ENAMINOKETO ESTERS OF THE 1,2,3,4-TETRAHYDROISOQUINOLINE SERIES

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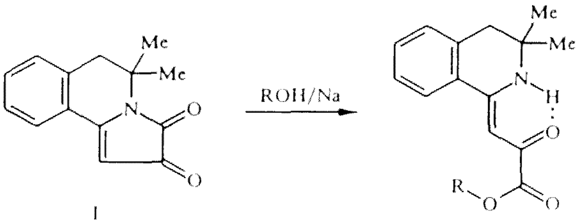
Derivatives of 2,3-dioxopyrrolo[2,1-a]isoquinoline were obtained earlier [1, 2]. We found that their pyrrole ring is opened easily and with an almost quantitative yield with the formation of the corresponding keto esters (IIa, b).



I

IIa, b

II a R = Et, b R = Me_2CH

The reaction takes place when compound (I) is boiled briefly (10-15 min) in the respective alcohol, containing an equimolar amount of the sodium alcoholate. The starting compounds of type (I) are easily obtained [1, 2]. In their structure compounds (IIa, b) combine two reactive fragments — the enamine and the α-dicarbonyl group. This makes it possible to treat them as new synthons for the production of biologically active compounds. No other methods for the synthesis of keto esters similar in structure to the enamines (IIa, b) are known in the literature.

Ethyl (3,3-Dimethyl-1,2,3,4-tetrahydro-1-isoquinolinylidene)pyruvate (IIa). The yield was 90%; mp 83-85°C (from hexane). PMR spectrum (deuterochloroform): 1.27 (9H, m, $3CH_3$), 2.83 (2H, s, 4-H), 4.25 (2H, q, CH_2O), 6.42 (s, HC=), 7.08-7.80 (4H, m, arom), 11.91 (s, NH). IR spectrum, cm^{-1}: 1600, 1730, and 3150. Found %: C 70.2, H 6.9, N 5.2. $C_{16}H_{19}NO_3$. Calculated %: C 70.3, H 7.01, N 5.1.

Isopropyl (3,3-Dimethyl-1,2,3,4-tetrahydro-1-isoquinolinylidene)pyruvate (IIb). mp 105-107°C (from hexane). PMR spectrum (deuterochloroform): 1.28 (6H, s, $2CH_3$), 1.31 (6H, d, $2CH_3$), 2.81 (2H, s, 4-H), 5.07 (q, CH—O), 6.37 (s, HC=), 7.25-7.79 (4H, m, arom), 11.80 (s, NH). IR spectrum, cm^{-1}: 1605, 1710, and 3120. Found %: C 70.9, H 7.3, N 5.0. $C_{17}H_{21}NO_3$. Calculated %: C 71.1, H 7.4, N 4.9.

The elemental analysis for C, H, and N agreed with the calculated data.

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Institute of Technical Chemistry, Urals Region, Russian Academy of Sciences, Perm. Translated from Khimiya Geterotsiklicheskikh Soedinenii, No. 12, pp. 1697-1698, December, 1995. Original article submitted November 12, 1995.

0009-3122/95/3112-1475$12.50