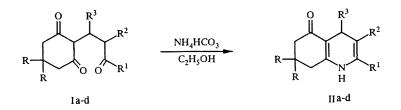
LETTERS TO THE EDITOR

NEW REAGENT FOR THE SYNTHESIS OF SUBSTITUTED 5-OXO-1,4,5,6,7,8-HEXAHYDROQUINOLINES

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We have shown previously [1] that substituted 5-oxo-1,4,5,6,7,8-hexahydroquinolines, the condensed analogs of 1,4dihydropyridines, can be obtained in high yield by treatment of 2-(3'-oxopropyl)cyclohexan-1,3-diones and 5-oxotetrahydrochromenes with ammonia in ethanol. Optimum yields of the condensed 1,4-dihydropyridines from either the triketones or the 5-oxotetrahydrochromenes were obtained when the reactions were carried out in an ampule or an autoclave. Under these conditions a higher ammonia concentration is obtained and the absence of oxygen prevents oxidation of the 5oxohexahydroquinolines formed into 5-oxo-5,6,7,8-tetrahydroquinolines.

We have observed that when the triketones Ia to Id were heated with excess ammonium hydrogen carbonate in ethanol the 5-oxo-1,4,5,6,7,8-hexahydroquinolines IIa to IId were formed in yields of 83-89%; mp 193-195°C (IIa) [1], 192-194°C (IIb), 1_{5}° ,-166°C (IIc) and 166-168°C (IId).



I, IIa,b,d R - CH₃; I, II c R - H, I, IIa $R^1 - R^2 - C_6H_5$, $R^3 - H$; I, IIb $R^1 - C_6H_5$, $R^2 - R^3 - H$; I, IIc,d $R^1 + R^2 = (-CH_2)_4$, $R^3 - H$

Elemental analysis results and IR and ¹H NMR spectra of compounds IIa-d corresponded to the proposed structures. The basic characteristics of the ¹H NMR spectra of the 5-oxo-1,4,5,6,7,8-hexahydroquinolines IIa to IId are given for conformation. ¹H NMR spectra (CDCl₃): IIa [1], IIb) 7.26-7.42 (5H, m, C_6H_5), 5.18 (1H, s, N-H), 3.19-3.24 (1H, d, 4-H), 2.21-2.26 (4H, m, 6-H and 8-H), 1.1 (6H, s, R); IIc) 5.12 (1H, s, N-H), 3.67-1.1 (16H, m, 8 × CH₂); IId) 5.44 (1H, s, N-H), 2.94-2.90 (4H, d, 2 × CH₂), 2.50 (2H, s, CH₂CO), 2.33-1.66 (8H, m, 4 × CH₂), 1.06 (6H, s, 2R).

Hence ammonium hydrogen carbonate has been used for the first time as an ammoniating agent to prepare systems containing 1,4-dihydropyridine rings.

REFERENCES

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N. G. Chernyshevskii Saratov State University, Saratov 410601. Translated from Khimiya Geterotsiklicheskikh Soedininenii, No. 4, p. 567, April, 1994. Original article submitted April 22, 1994.