REACTION OF SUBSTITUTED β -AMINOCROTONAMIDES WITH ETHYL ORTHOFORMATE AND DIMETHYLFORMAMIDE DIETHYLACETAL

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UDC 542.951.2:547.298

The reaction of α -cyano- β -amino-N-benzylcrotonamide (I) with the diethylacetal of dimethylformamide (II) leads to 1-benzyl-4-dimethylaminomethylene-5-cyano-1,6-dihydropyrimidin-6-one [1]. However, the reaction of I with ethyl orthoformate in the presence of acetic anhydride unexpectedly gave not a similar pyrimidone but rather α -cyano-N-benzylethoxymethyleneacetamide (III). By analogy, the "hidden" formyl group replaces the "hidden" acetyl group in the reactions of other β -aminocrotonamide derivatives (IVa and IVb) with acetal II. Thus, N-benzylacrylamide (Va) is formed in good yield from IVa, while IVb yields 1-phenyl-2amino-3-cyano-4-dimethylaminopyrid-2-one (VI) along with the corresponding anilide (Vb). The formation of VI is a consequence of the dimethylaminomethylenation of the CH₃ group in IVb. with subsequent cyclization.



I R=H, R'=PhCH₂; III X=OEt, R'=PhCH₂: IVa R=Me, R'=PhCH₂; Va X=Me₂N, R'=PhCH₂; IVb, R=Me, R'=Ph; Vb X=Me₂N, R'=Ph

Products III, Va, and Vb were also obtained by convergent synthesis from the corresponding cyanoacetamide derivatives and ethyl orthoformate or acetal II. Crotonamides I, IVa, and IVb yielded: III in 35% yield, mp 114-117°C (from hexane). Found, %% C 67.8; H 6.0; N 12.2. $C_{13}H_{14}N_2O_2$. Calculated, %: C 67.8; H 6.0; N 12.2; Va in 64% yield, mp 160-162°C. Found, % C 68.4; H 6.2; N 18.6. $C_{13}H_{15}N_3O$. Calculated, %: C 68.1; H 6.5; N 18.3; Vb in 21% yield, mp 166-168°C. Found, %: C 66.7; H 5.9; N 19.8. $C_{12}H_{13}N_3O$. Calculated, %: C 67.0; H 6.0; N 19.5; VI in 10% yield, mp 145-147°C. Found, %: C 70.2; H 5.2; N 17.8. $C_{14}H_{13}N_3O$. Calculated, %: C 70.3; H 5.4; N 17.6. The yields of III, Va, and Vb from N-benzyl- and N-phenylacetamides were 21, 67, and 89%, respectively. Amides I and IVa were described in our previous work [1], while a sample of IVb was obtained by the reaction of N-phenylcyano-acetamide with the diethylacetal of N,N-dimethylacetamide in 73% yield, mp 138-140°C. Found, %: C 68.1; H 6.4; N 18.3. $C_{13}H_{15}N_3O$. Calculated, %: C 68.1; H 6.5; N 18.3.

LITERATURE CITED

1. V. G. Granik and S. I. Kaimanakova, Khim. Geterotsikl. Soedin., No. 6, 816 (1983).

S. Ordzhonikidze All-Union Scientific-Research Institute of Pharmaceutical Chemistry, Moscow 119021. Translated from Khimiya Geterotsiklicheskikh Soedinenii, No. 12, pp. 1691, December, 1983. Original article submitted April 27, 1983.