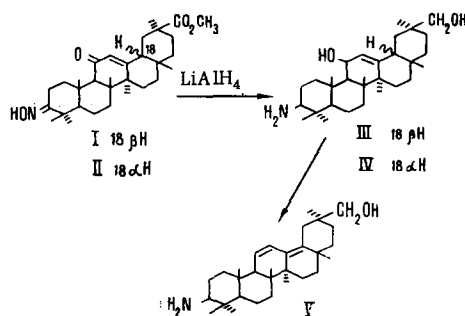


SYNTHESES OF AMINO DERIVATIVES
OF GLYCIRRHETIC ACID

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In considering the synthetic transformations of the glycirrhetic acid that we isolated from an extract of liquorice root, we have studied the reduction of the oximes of the 3-oxo derivatives of methyl glycirrhettes (I and II) with lithium tetrahydroaluminate. The reduction products — 3-amino-18 β H-olean-12-ene-11-30-diol — (III) and the corresponding 18 α H diol (IV) are of interest as physiologically active compounds, since amino steroids with structures similar to the compounds that we have synthesized possess antimicrobial effects [1, 2]. The reduction of oximes has not previously been known in the triterpenoid series.



The oximes of the 3-oxo derivatives of the methyl glycirrhettes were reduced with lithium tetrahydroaluminate in various solvents — ether, benzene, tetrahydrofuran, and dioxane — at the boiling point of the reaction mixture for 1-10 h. In all cases, mixtures of several components having very similar R_f values were obtained.

The best conditions for the synthesis were the boiling of the oximes with lithium tetrahydroaluminate in benzene-ether (1:5) for 3 h. The crystallization of the reaction product from methanol gave the amino derivative (III) with mp 252-251.5°C. Yield 60%. The oxime of methyl 3-11-dioxo-18 β -olean-12-en-30-oate was reduced under similar conditions. On treatment with chloroform saturated with hydrogen chloride, the amino derivatives of oleanediol epimeric at C₁₈ (III, IV) formed one and the same 3-amino-30-hydroxyolean-11,13(18)-diene (V), with mp 255-256°C.

3-Amino-30-hydroxyolean-12-en-11-one was isolated by preparative thin-layer chromatography on alumina (activity grade II). Thus, the reduction of the 11-oxo group takes place with greater difficulty than that of the oxime group.

When dry hydrogen chloride was passed into an ethereal solution of the amino derivative (III), the hydrochloride of the amine (VI) was formed, while the acetylation of compound (III) with acetic anhydride gave the triacetate of the amine.

The structures of the substances synthesized were confirmed by IR, UV, and PMR spectroscopy.

LITERATURE CITED

1. R. Glasser and E. I. Gabbay, *J. Org. Chem.*, **35**, No. 9, 2907 (1970).
2. N. Yoshiro and K. Yuichiro, *Agr. and Biol. Chem.*, **34**, No. 5, 805 (1970).

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