

INTRODUCTION OF A HYDROXYL GROUP AT C-14 OF YOHIMBINE ALKALOIDS

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Recently a heteroyohimbine alkaloid, 14 α -hydroxyrauniticine (1), was isolated from *Uncaria attenuata*. Studies on the general C-14 (C-1 of 4) hydroxylation methods are described. The enamine (3), derived from 4, was oxidized with (PhCO₂)₂ followed by reduction with NaBH₄ to give the benzoate (5, R¹=OCOPh, 57%), which was converted to the *cis*-1-hydroxyl derivative (5, 86%) on treatment with NaOMe. On the other hand, treatment of 3 with BH₃-THF followed by oxidation with 30% H₂O₂/3N NaOH gave the *trans*-1-hydroxyl derivative (6, 23%). In the case of yohimbine (8), oxidation-reduction of the enamine (7) with (PhCO₂)₂-NaBH₄ gave the benzoates 9 (42%) and 11 (5%), which were treated with NaOMe to give 14 β -hydroxyyohimbine (10, 73%) and 14 α -hydroxypseudoyohimbine (12, 80%) respectively. The stereochemical assignments of new compounds were made by ¹H- and ¹³C-NMR analyses.

The partial synthesis of the natural alkaloid (1) was achieved by hydroboration-oxidation of 3,14-dehydrorauniticine in 6% yield.

Preparation of 14-hydroxyreserpine derivatives (13) is in progress.

