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

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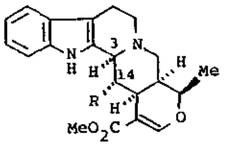
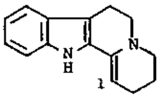
Recently a heteroyohimbine alkaloid, 14α-hydroxyrauniticine (1), was isolated from *Uncaria attenuata*. Studies on the general C-14 (C-1 of 4) hydroxylation methods are described. The enamine (3), derived from 4, was oxidized with $(PhCO_2)_2$ followed by reduction with $NaBH_4$ to give the benzoate (5,$R^1$=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 $BH_3$-THF followed by oxidation with 30%$H_2O_2$/ 3N NaOH gave the *trans*-1-hydroxyl derivative (6,23%). In the case of yohimbine(8), oxidation-reduction of the enamine (7) with $(PhCO_2)_2$-$NaBH_4$ gave the benzoates 9 (42%) and 11 (5%), which were treated with NaOMe to give 14β-hydroxyyohimbine (10, 73%) and 14α-hydroxypseudoyohimbine (12,80%) respectively. The stereochemical assignments of new compounds were made by $^1$H- and $^{13}$C-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.



1: R=OH
2: R=H



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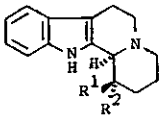
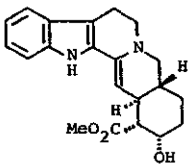


4: $R^1$=$R^2$=H
5: $R^1$=OH,$R^2$=H
6: $R^1$=H,$R^2$=OH



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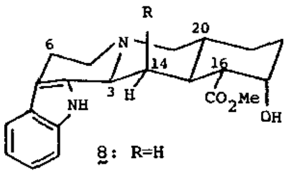
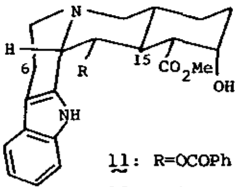
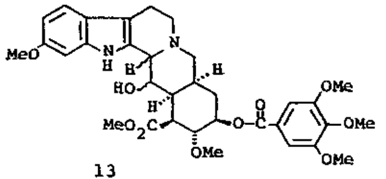


8: R=H
9: R=OCOPh
10: R=OH



11: R=OCOPh
12: R=OH



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