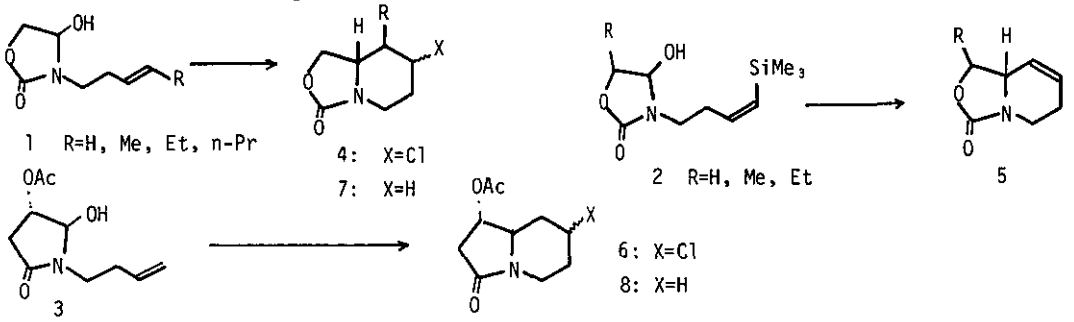
SYNTHESIS OF N-HETEROCYCLES THROUGH N-ACYLIMINIUM ION AND N-ACYLIMINIUM RADICAL INTERMEDIATES

Shinzo Kano, Yoko Yuasa, Tsutomu Yokomatsu, and Shiroshi Shibuya

Tokyo College of Pharmacy, 1432-1 Horinouchi, Hachioji, Tokyo 192-03, Japan

Several kinds of heterocyclic compounds were prepared by cyclization of N-acyliminium ion and N-acyliminium radical intermediates. Cyclization of 1-3 with $TiCl_4$ afforded 4-6, respectively. Reduction of 4 and 6 with Bu_3SnH in the presence of AIBN gave 7 and 8, respectively.



1 R=H, Me, Et, n-Pr

4: X=Cl
7: X=H

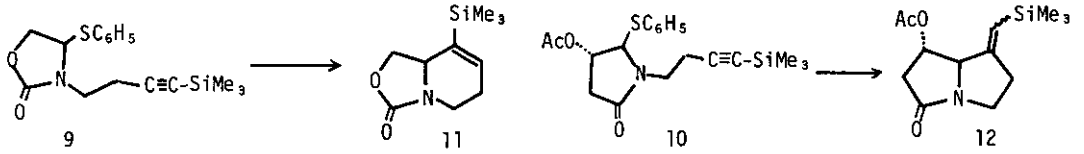
2 R=H, Me, Et

5

3

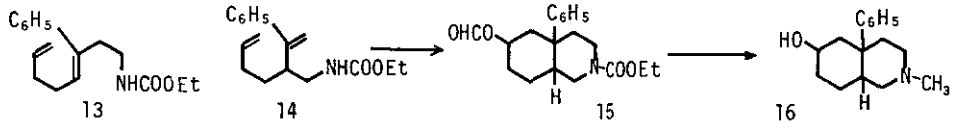
6: X=Cl
8: X=H

Cyclization of 9 and 10 with Bu_3SnH in the presence of AIBN yielded 11 and 12, respectively.



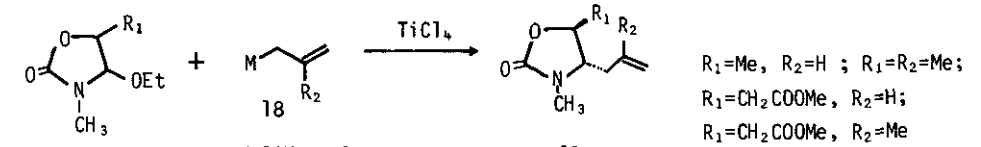
9 11 10 12

Cyclization of 13 and 14 with paraformaldehyde in formic acid gave the cis-fused 6-hydroxy-4a phenyldecahydroisoquinoline (15), reduction of which with $LiAlH_4$ afforded 16.



13 14 15 16

Allylation of 17 with 18 in the presence of $TiCl_4$ gave 19 with high stereoselectivity.



17

R_1=Me, CH_2COOMe

18

M=$SiMe_3$, $SnBu_3$, $Sn(C_6H_5)_3$

R_2=H, Me

19

R_1=Me, R_2=H ; R_1=R_2=Me;
R_1=CH_2COOMe, R_2=H;
R_1=CH_2COOMe, R_2=Me