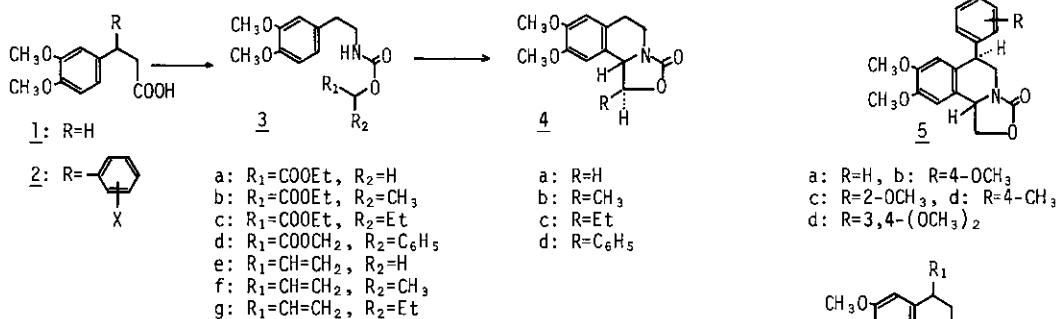


SYNTHESIS OF PYRIDINE AND ISOQUINOLINE DERIVATIVES BY
CYCLIZATION OF N-ACYLIMINIUM ION INTERMEDIATES

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

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

π Cyclization of α -oxaacyliminium ion intermediates, derived from the 3-arylpropionic acids (1 and 2), was applied to a synthesis of oxazolo[4,3-a]isoquinolines. Reduction of the carbamates (3a-3d) with DIBAH at -78°C or ozonolysis of the carbamates (3e-3g), followed by cyclization with formic acid afforded the corresponding oxazolo[4,3-a]isoquinolines (4). In a similar fashion, 6-aryloxazolo[4,3-a]isoquinolines (5) were obtained with high stereoselectivity. The method was extensively applied to a synthesis of pyrimido[6,1-a]isoquinolines (6).



This π cyclization reaction was applied to a synthesis of pyridine and 4-phenyldecahydroisoquinoline derivatives. Reduction of 7 and 8 with DIBAH, followed by cyclization with *p*-toluenesulfonic acid in CHCl_3 gave 9 and 10, respectively. Treatment of 11 with paraformaldehyde in formic acid yielded the 6-oxygenated *trans*-4a-phenyldecahydroisoquinoline (12).

