

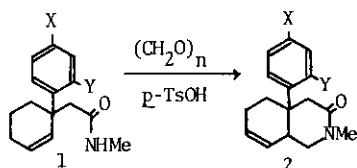
SYNTHESIS OF 4a-ARYLISOQUINOLINE AND 2-BENZAZEPINE DERIVATIVES

via N-ACYLIMMONIUM ION INTERMEDIATES

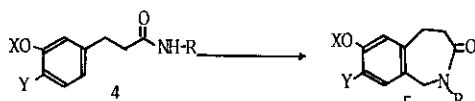
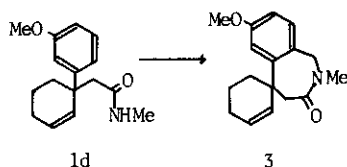
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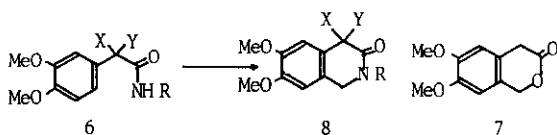
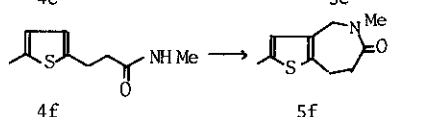
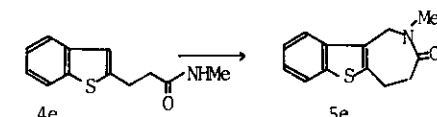
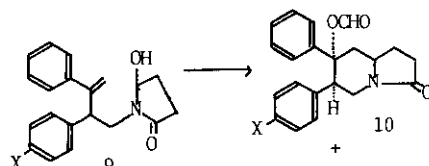
N-Acylimmonium ions, highly reactive intermediates widely used for C-C bond formation, were applied to a synthesis of 4a-aryloctahydroisoquinolines, 2-benzazepines, 4-substituted isoquinolines and 4-arylpiperidines. Treatment of the amides (1a-1c) with paraformaldehyde in chloroform in the presence of p-toluenesulfonic acid gave the corresponding 4a-aryloctahydroisoquinolines (2a-2c), respectively. On the other hand, the amide (1d) afforded the 5-spiro-2-benzazepine (3). By this way, the amides (4a-4f) were led to the corresponding cyclization products (5a-5f), respectively. Whereas the amide (6a) gave the lactone (7), the amides (6b-6d) yielded the 4-substituted isoquinolines (8a-8c), respectively. Furthermore, cyclization of 9a,b with formic acid gave a mixture of 10a,b and 11a,b.



a: X=Y=H; b: X=OMe, Y=H; c: X=H, Y=OMe



a: X=R=Me, Y=OMe; b: X=R=Me, Y=H;

c: X=Me, Y=OMe, Y=CH₂-CH=CH₂d: X=CH₂C₆H₅, Y=OMe, R=Mea: X=Y=H, R=Me; b: X=H, Y=Me, R=CH₂-CH=CH₂;c: X=Y=Me, R=CH₂-CH=CH₂; d: X=C₆H₅, Y=R=Me

a: X=H; b: X=OMe