REGIO- AND STEREO-SELECTIVE SYNTHESIS OF AMIDO-LACTONES BY ANODIC OXIDATION. THE APPLICATION FOR THE SYNTHESIS OF EBURNAMONINE AND VINCAMINE

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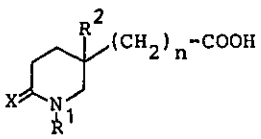
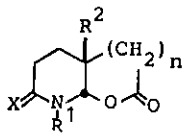
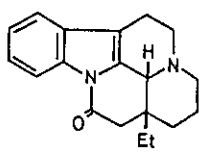
The anodic oxidation of amido-carboxylic acids(1, n=1,2) provided the corresponding amido-lactones(2, n=1,2), respectively. The cyclization took place regio- and stereo-selectively at the carbon-6 of lactone formation and was largely effected by the substituent at the angular carbon-5 of 2-piperidinones. In a similar manner, 1-methoxycarbonyl derivatives(3, n=1,2) gave the lactones (4, n=1,2), by anodic oxidation followed by the simultaneous cyclization. The resulting lactones(2 and 4) are the crucial intermediates for the syntheses of (+)-eburnamonine(5) and (+)-vincamine(6). The synthesis of these pharmacologically important alkaloids has been achieved by the present method.



1a: X=O, R^1=H, R^2=Et, n=1
1b: X=O, R^1=H, R^2=Et, n=2
3a: X=H_2, R^1=COOMe, R^2=Et, n=1
3b: X=H_2, R^1=COOMe, R^2=Et, n=2



2a: X=O, R^1=H, R^2=Et, n=1
2b: X=O, R^1=H, R^2=Et, n=2
4a: X=H_2, R^1=COOMe, R^2=Et, n=1
4b: X=H_2, R^1=COOMe, R^2=Et, n=2



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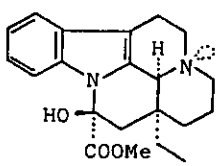

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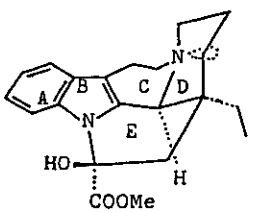

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