A NEW SYNTHETIC ROUTE OF PYRROLO[2,3-b]INDOLE DERIVATIVES

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A facile reductive cyclization of 3-hydroxy-3-2'-hydroxyiminopropyloxindole (I), its N-methyl derivative (II), 3-hydroxy-3-2'-hydroxyiminophenethyloxindole (III) and its N-methyl derivative (IV) to pyrrolo[2,3-b]indole derivatives is reported. Treatment of I with sodium borohydride affords four cyclized compounds, 1,3a-dihydroxy-2-methyl-2,3,3a,8a-tetrahydropyrrolo[2,3-b]indole (V), its epimer (VI), 3a-hydroxy-2-methyl-3a,8a-dihydro-3H-pyrrolo[2,3-b]indol-1-oxide (VII) and 1-hydroxy-2-methyl-pyrrolo[2,3-b]indole (VIII). Dehydrogenation of V and VI by chloranil quantitatively yields VII, which on reduction with sodium borohydride gives a mixture of V and VI. By mild acidic treatment, VII is converted to VIII which contains a 14π-electron system. Acetylation of V, followed by partial hydrolysis of the resulting diacetate affords 8-acetyl-1,3a-dihydroxy-2-methyl-2,3,3a,8a-tetrahydropyrrolo[2,3-b]indole (X). IX is dehydrogenated to 8-acetyl-3a-hydroxy-2-methyl-3a,8a-dihydro-3H-pyrrolo[2,3-b]indol-1-oxide (XI), which is transformed to VIII by acidic treatment. II, III and IV also give the corresponding cyclized compounds. 3-2'-Hydroxyiminopropyloxindole and its analogues do not cyclize under identical conditions.