SYNTHESIS OF CARBAZOLE ALKALOIDS BY CYCLIZATION OF β-KETOSULFOXIDES

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Because an substituent can be introduced easilly at C-1 position, the synthesis of 2-hydroxycarbazoles from indole-3-propionic acid through the acid-catalyzed cyclization of β-ketosulfoxides is now tried to extend for the synthesis of carbazole alkaloids such as girinimbine, heptaphylline, murrayacine and mahanimbine.

On treatment with p-toluenesulfonic acid, β-ketosulfoxides I (R = Me, R' = $Me_2C=CHCH_2$) and II (R = Me_2CH, R' = $Me_2C=CHCH_2$) synthesized from methyl 3-(3-indole)-2-methyl-propionate cyclized to dihydrogirinimbine (III) though the yield was not satisfactory.

Oxidation of III with DDQ gave dihydromurrayacine (cycloheptaphylline), and not girinimbine.

Mahanimbine was also synthesized from a β-ketosulfoxide IV (R = Me, R' = H) via 2-hydroxy-3-methylcarbazole.

Variation of R' in β-ketosulfoxides may open a way for the synthesis of girinimbine without via oxidation process, and it is still in progress.