indole derivatives, isoindole derivatives

R 0140 42 - 130

XIV

Unsaturated Sulfinamides. Part 16. Substituted N-Aryl-alk-1enesulfinamides: Preparation, Properties and Conversion into the Corresponding Indole Compounds. - The reactions of a variety of N-sulfinyl arenamines with (II), substituted vinylmagnesiumbromides or vinylic diisobutylalanes give about 40 various substituted title compounds in good yields. Most of them can be converted into the corresponding indole compounds, often with modest yields, by thermolysis or in the presence of triethyloxonium tetrafluoroborate or Lewis acids, respectively. A mechanism for the conversion is suggested. Besides refluxing toluene other thermolytic conditions are investigated but the yields were not significantly improved. The presence of one or two halogen atoms on the aromatic ring leads to a reduction of the indole yield or prevents the indole formation, e.g. in the case of (VIIb). Electron-withdrawing groups completely prevent the conversion. Substitution of the vinylic moiety in the sulfinamines also lowers the yields of the indole derivatives or prevent their formation. The relatively simple procedure described is valuable for the preparation of certain indoles not substituted at the 1,2 or 3 positions, in particular 6- methoxyindole (XVI), which is an important starting material for the synthesis of indole and indoline alkaloids. — (BAUDIN, J.-B.; COMMENIL, M.-G.; JULIA, S. A.; LORNE, R.; MAUCLAIRE, L.; Bull. Soc. Chim. Fr. 133 (1996) 4, 329-350; Lab. Chim., Ec. Norm. Super., F-75231 Paris, Fr.; EN)

XV 95%

XVI 25%