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CONVENIENT METHOD FOR THE SYNTHESIS OF CHLOROPYRIMIDINES CONTAINING AN o-HYDROXYPHENYL GROUP

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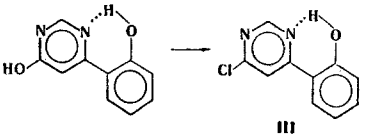
The synthesis of 4-chloro-2-(o-hydroxyphenyl)quinazoline (I) by $COCl_2$–dimethylformamide (DMF) system has been described [1]. For selective chlorination we used the $SOCl_2$–DMF system (10:1, at 85-90°C for 1 h and 40 min), which makes it possible to avoid the difficulties involved in working with phosgene. This method was checked in the case of the synthesis of chloroquinazoline I from II and in the synthesis of 4-chloro-6-(o-hydroxyphenyl)pyrimidine (III) [in quantitative yield, mp 152-153°C (from isooctane)]. PMR spectrum: 12.01 (1H, s, OH), 9.05 (1H, s, 2-H), 8.35 (1H, s, 5-H), and 6.78-8.25 ppm (4H, m, Ph)], 4-chloro-2-(o-hydroxyphenyl)pyrimidine [mp 100-102°C. PMR spectrum: 12.65 (1H, s, OH), 8.87 (1H, d, J_{65} = 5.5 Hz, 6-H), 7.66 (1H, d, 5-H), and 6.80-8.46 ppm (4H, m, Ph)], 2-chloro-4-(1-hydroxyphenyl)pyrimidine [mp 147-148°C. PMR spectrum: 11.83 (1H, s, OH), 8.81 (1H, d, J_{65} = 5.5 Hz, 6-H), 8.25 (1H, d, 5-H), and 6.85-8.18 ppm (4H, m, Ph)], and 2-chloro-4-phenyl-6-(o-hydroxyphenyl)pyrimidine [mp 160-161°C. PMR spectrum: 11.33 (1H, s, OH), 8.64 (1H, s, 5-H), and 6.73-8.44 ppm (9H, m, Ph)] from the corresponding hydroxypyrimidines. When



III

a starting spot was observed for the crude chloropyrimidines (on Silufol UV-254, elution with CH_2Cl_2 or benzene), they were sublimed in vacuo or solutions in CH_2Cl_2 or benzene were passed through a thin layer of silica gel. The results of elementary analysis were in agreement with the calculated values. The PMR spectra were obtained from solutions in DMSO.

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