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2-Methyl-5-chloromethyl-8-hydroxyquinoline

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Quinoline and its derivatives have been widely used as metal ion chelating agents, metal extracting agents, corrosive inhibitors and they often show biological activity. They can be used as building blocks in medicine synthesis when the compounds possess other functional group. The chloromethylation of 8-hydroxyquinoline was reported [1]. Here we report the chloromethylation of 2-methyl-8-hydroxyquinoline.



2-Methyl-8-hydroxyquinoline (12 g, 75 mmol) was dissolved in 12 ml of concentrated hydrochloric acid and 12 ml (75 mmol) of 36% formaldehyde was added to the solution. The mixture was cooled in ice water bath with stirring and was treated with hydrogen chloride gas for 3 h at 0.5° C. After reaction finished, the yellow mixture was poured on ice and the solution neutralized with NaHCO₃ solution. The product was collected by filtration and recrystallized from ethanol-water to get 10.2 g (65%) of the title product as a pale yellow solid.

M. p. (ethanol-water): 128-130°C.

¹H NMR (200MHz, CDCl₃): 2.7 (s, 3H, Me), 4.9 (s, 2H, CH₂Cl), 7.0 (d, J_{HH}=7.2Hz, 1H, Ar-H), 8.4 (d, J_{HH}=7.2Hz, 1H, Ar-H), 7.6 (m, 2H, Ar-H).

IR (KBr): 3500-2500 (br), 1608, 1573, 1518, 1387, 1363, 1332, 1274, 1163, 1081, 1007, 828, 716.

Anal. Calc. for C11H10CINO (207.67): C 63.62, H 4.86, N 6.75. Found: C 63.45, H 4.91, N 6.66.

Reference

1. Burckhalter, J. H.; Leib, R. I. J. Org. Chem. 1961, 26, 4078.

Sample availability: available from the authors and MDPI.

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