Debenzylation of 2-Benzyl-3-methyl-4-quinazolinone with Sodium Borohydride

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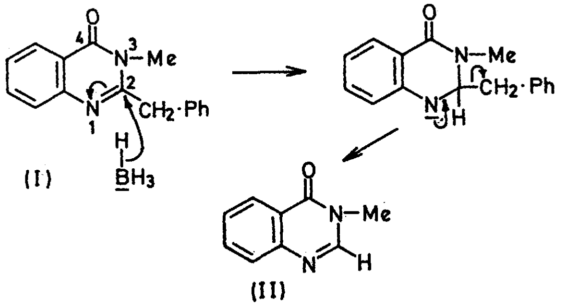
Summary 2-Benzyl-3-methyl-4-quinazolinone is debenzylated on treatment with sodium borohydride in dry methanol.

The oxidative debenzylation of 2-benzyl-1-methyl-4-quinazolinone has been reported[1] from this laboratory. We now report the reductive cleavage of the benzyl group of its 3-methyl analogue (I) with sodium borohydride. Although hydrogenolysis of a benzyl group directly attached to a hetero-atom is well known,[2] such a reaction with a *C*-benzyl group in a system such as 4-quinazolinone, particularly with a metal hydride, seems to have no precedent.

Sodium borohydride (1 g.) was gradually added to a well-stirred, ice-cold solution of 0·27 g. of (I) in dry methanol (15 ml.) and kept overnight at room temperature. Conventional work-up, followed by silica-gel chromatography afforded unchanged starting material (0·2 g.), benzene and chloroform eluted a mixture of components from which 3-methyl-4-quinazolinone (II) could be isolated (25 mg.;

15%) as its monohydrate[3] [*via* its picrate,[4] m.p. 214°]. It crystallised from benzene–petroleum in needles, m.p. 72°, and was identified by direct comparison with an authentic specimen.

When the amount of the metal hydride was reduced to half, and the reaction mixture was heated under reflux for 1 hr., (II) was obtained in only 6% yield while the major product (30%), m.p. 139—140°, was identical with the 1,2-dihydro-compound obtained by the catalytic (Pd/C, 10%) hydrogenation of (I). Reduction carried out in glacial acetic acid with an excess of metal hydride afforded these two products in respective yields of *ca.* 19 and 10%.



Under all the above conditions, 2-benzyl-1-methyl-4-quinazolinone furnished the corresponding dihydro-compound,[5] m.p. 200°, in quantitative yield while 2-benzyl-4-quinazolinone remained unaffected.

The suggested mechanism of this unusual debenzylation is shown.

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