CATALYTIC TRANSFER HYDROGENATION (CTH) OF INDOLES WITH PALLADIUM ON CARBON IN FORMIC ACID

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CTH is worthy of attention because of its greater experimental convenience. We report here formic acid-CTH of indoles in detail.

1) Formation of 2,3-Dihydrotryptophan Derivatives from Tryptophan(Trp) Derivatives during Deprotection by CTH in Formic Acid (Chart 1). It is reported that CTH easily removes protecting groups without affecting labile functions. In the case of formic acid-CTH of protected peptides containing Trps, the reduction of Trp residues occurred to some extent when the reaction time was prolonged.

2) General Synthesis of Indolines from Indoles by CTH in Formic Acid. Although indoles were reduced to indolines in poor yields under the usual formic acid-CTH conditions, an increase of the reaction temperature brought about rapid reduction of indoles to indolines in good yields.

3) Effect of 1-Substituted Groups on CTH of Indoles. 1-Formylindoles resist formic acid-CTH. On the other hand, indoles having a strongly electron-withdrawing group on 1-position were reduced to the corresponding indolines with ease under the same conditions.

4) Effect of KF on CTH of Indoles (Chart 2). In the case of formic acid-CTH of 2-methylindole, an addition of KF to the reaction mixture promoted the 3-formylation and subsequent 1-formylation of the indole. 3-Formyl group was reduced to a methyl group, while the indole ring remained intact.

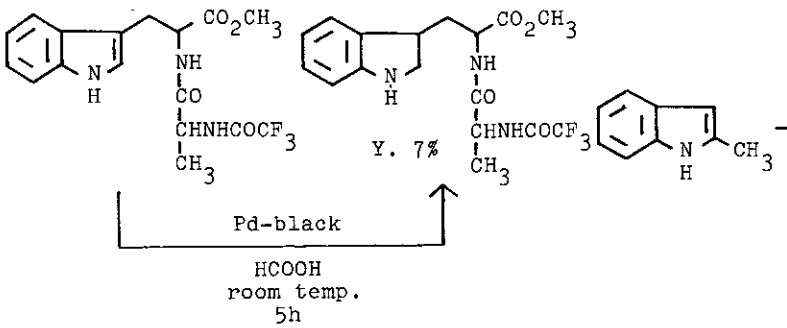

Chart 1

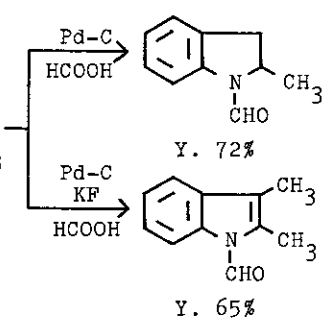

Chart 2