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# A simple reduction of methyl aromatic esters to alcohols using sodium borohydride–methanol system

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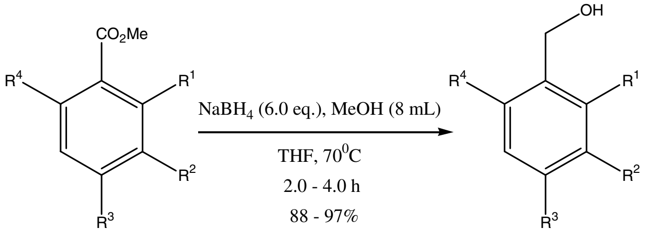
**Abstract**—Several aromatic esters were reduced to the corresponding alcohol by using sodium borohydride–methanol system. The reduction was completed within 2.0–4.0 h after refluxing in THF. The alcohol products were isolated after aqueous workup in good yields (88–97%).

## 1. Introduction

Reduction is a fundamental transformation in organic synthesis. Since its discovery by Brown and co-workers,[1] sodium borohydride is the most frequently hydride used in reduction process. It is a mild, inexpensive and invaluable reagent with applications in a wide range of functional groups.[2] However, the reduction of ester and similar functional groups using sodium borohydride is relatively difficult to obtain and it has not been widely used.[3] However, the reactivity of sodium borohydride can be enhanced by carrying out the reaction in the presence of certain additives.[4] For example, addition of iodine to $NaBH_4$ in THF provides $H_3B$–THF, which is useful for hydroborations, reduction of esters[5] and various others functional groups.[4] The $ZnCl_2$–$NaBH_4$ reagent system exhibits also powerful reducing properties in the presence of tertiary amine and it is another example of esters reductions.[6]

Considering this context, the aim of the present article is to describe a simple one-pot methyl aromatic esters reduction into the corresponding alcohol using $NaBH_4$–MeOH system. This methodology is simple, safe, inexpensive, general and the reduction of methyl aromatic esters was completed within 2.0–4.0 h after refluxing in THF.[7] The respective alcohol products were isolated after aqueous workup in good yields (88–97%) (see Table 1). This methodology could also be a good procedure to employ in an industry process.

**Table 1.** Reduction of methyl aromatic esters to alcohols using sodium borohydride–methanol system



| Number | Substrate | Time (h) | Yield (%) |
|---|---|---|---|
| 1 | $R^1 = R^2 = R^3 = R^4 = H$ | 4.0 | 90 |
| 2 | $R^1 = Cl, R^2 = R^3 = R^4 = H$ | 2.0 | 97 |
| 3 | $R^1 = Br, R^2 = R^3 = R^4 = H$ | 4.0 | 88 |
| 4 | $R^1 = F, R^2 = R^3 = R^4 = H$ | 4.0 | 90 |
| 5 | $R^1 = R^3 = R^4 = H, R^2 = F$ | 4.0 | 88 |
| 6 | $R^1 = R^3 = R^4 = H, R^2 = OMe$ | 4.0 | 90 |
| 7 | $R^1 = R^2 = R^4 = H, R^3 = OMe$ | 4.0 | 88 |
| 8 | $R^1 = R^2 = R^4 = H, R^3 = Cl$ | 2.0 | 96 |
| 9 | $R^1 = R^2 = R^4 = H, R^3 = F$ | 4.0 | 88 |
| 10 | $R^1 = R^2 = Cl, R^3 = R^4 = H$ | 2.5 | 95 |
| 11 | $R^1 = R^3 = Cl, R^2 = R^4 = H$ | 2.0 | 93 |
| 12 | $R^1 = R^3 = F, R^2 = R^4 = H$ | 3.5 | 96 |
| 13 | $R^1 = R^4 = Cl, R^2 = R^4 = H$ | 4.0 | 91 |
| 14 | $R^1 = Cl, R^2 = R^4 = H, R^3 = F$ | 3.5 | 90 |

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*Keywords*: $NaBH_4$; Aromatic esters.

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In conclusion, we developed an inexpensive, simple, safe and general protocol for the one-pot reduction of aromatic esters to the corresponding alcohols with a good perspective for industry process.

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7. General Procedure: Finely powdered sodium borohydride was suspended in THF with the respective ester. The resulting mixture was stirred for 15 min at 65 °C. Methanol (8 mL) was then added dropwise during 0.5 h and effervescence was observed. Stirring at 65 °C was maintained during a further period of 2–4 h, depending on the ester. The reaction was cooled to room temperature, and quenched with satd aq $NH_4Cl$ (15 mL). Stirring was then continued for 1.5 h. The organic layer was separated and the aqueous phase extracted with ethyl acetate ($2 \times 20$ mL). The combined extracts and organic phase were dried over $MgSO_4$ and concentrated to give the respective alcohol spectroscopically pure. All the alcohols were fully characterized by GC–MS, $^1H$ and $^{13}C$ NMR spectra.