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Regioselective iodination of aromatic compounds with potassium iodide in the presence of benzyltriphenylphosphonium perchlorate

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Abstract

A simple and efficient method for the selective iodination of various aromatic compounds by using potassium iodide in the presence of benzyltriphenylphosphonium perchlorate, is reported. This method provides several advantages such as good selectivity between *ortho* and *para* positions of aromatic compounds and high yields of the products.

Keywords: Benzyl triphenylphosphonium perchlorate; Iodination; Aromatic compound; Potassium iodide

Aryl iodides are important intermediates for the synthesis of various pharmaceutical and bioactive compounds. They can be used in Heck reactions as well as Still and Negishi cross couplings reactions and have found applications in numerous medicinally and industrially valuable product [1,2]. Due to the low reactivity of iodine, direct iodination of aromatic compounds is difficult and requires the presence of an activating agent such as oxidizing reagents to produce a strongly electrophilic I^+ species. In addition, direct iodination by iodine, generates hydrogen iodide, which is corrosive, toxic and pollutes the environment. Various methods have been used for the iodination of aromatic compounds which of them CAN/I_2 [3], 1,4-bis(triphenylphosphonium)-2-butene peroxodisulfate/I_2 [4], HIO_3/I_2 [5], *N*-iodosaccharin [6], NH_4I/H_2O_2 [7], $I_2/O_2/H_5PV_2Mo_{10}O_{40}$ [8], NaI/cerium(IV) trihydroxide/SDS [9], ICl/In(OTf)$_3$ [10], $NaClO_2$/NaI/HCl [11], and KI/*tert*-butyl hydroperoxide [12], pyridinium iodochloride (PyICl) [13], potassium ferrate supported on montmorillonite [14], PVP-H_2O_2/KI or I_2 [15]. However, in spite of their potential utility, the practical application of most of these reagents suffers from disadvantages such as harsh reaction conditions, low regioselectivity, the use of expensive or less easily available reagents, long reaction times, low yields and tedious work-up. Therefore, due to importance of aryliodides, introduction of new methods for the preparation of these compounds in terms of increase of the selectivity and also the yields of the *para*-products, potential simplicity, and short reaction times, is still in demand.

1. Experimental

General: All products are known and therefore, their physical data are not reported. Products were identified by comparison of their spectral and physical data with those of the known samples. Chemicals were purchased from

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Fluka, Merck, and Aldrich Chemical Companies. Benzyl triphenylphosphonium perchlorate, was prepared according to the previously reported same method [18].

General procedure for iodination of aromatic compounds: A mixture of aromatic compound (1 mmol), benzyl triphenylphosphonium perchlorate, (1 mmol) and potassium iodide (1 mmol) in acetonitrile (3 mL), was heated under reflux conditions. After completion of the reaction (monitored by TLC), the reaction mixture was filtered and poured into an aqueous sodium thiosulfate solution (1 mol/L) and extracted with dichloromethane (3× 5 mL). The organic layer is dried over anhydrous calcium chloride. Evaporation of the solvent followed by recrystallization or column chromatography on silica gel gave the corresponding iodinated compounds in high yields (Table 1).

Table 1
Iodination of aromatic compounds with KI in the presence of BTPPPC.

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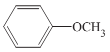
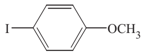
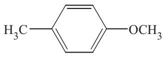
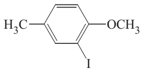
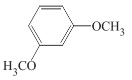
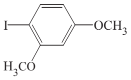
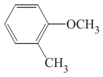
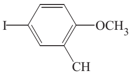
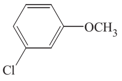
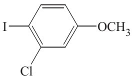
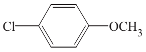
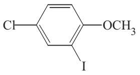
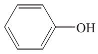
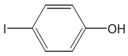
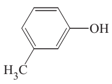
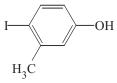
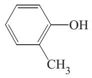
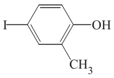
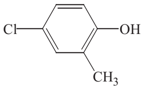
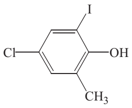
1			1	86
2			2	80
3			0.5	88
4			1	87
5			1.2	85
6			2	83
7			0.5	88
8			0.45	89
9			0.5	85
10			1	90