Efficient electrophilic iodination of 2-aminopyridines

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Aromatic iodides have found increasing applications in organic synthesis due to their functionalization through metal catalyzed cross-coupling reactions. They also can be used as substrates for the synthesis of bioactive molecules.

The iodination reactions with using NaIO\textsubscript{4} and NaIO\textsubscript{3} as the oxidants, proved to be very effective in the case of a number of deactivated arenes, including nitrobenzene and benzoic acid [1], [2]. Pyridine and its derivatives 1a-1h were not considered.

Pyridine reluctantly enters into an electrophilic substitution reaction, but the presence of an electron-donating NH\textsubscript{2}-group facilitates the task. Iodination process involves the use of KIO\textsubscript{3}/KI/AcOH/H\textsubscript{2}SO\textsubscript{4} system. From these reactions we isolated 8 iodinated products in good yields (52-86%).

In the case of 4- and 6-methylpyridines 1g and 1h CH\textsubscript{3}- and NH\textsubscript{2}-groups have a consistent orienting effect. Iodination process in this case proceeds smoothly in the absence of H\textsubscript{2}SO\textsubscript{4}.

The using of inexpensive and environmentally-friendly inorganic reagents, makes the iodination process more attractive. In the near future we are planning to use 2-amino-3-iodopyridines 2a-h in Pd-catalyzed intramolecular cross-coupling Heck reaction.

References