BIARYL COUPLING OF TETRA(HETERO)ARYL BORATES VIA ELECTROCHEMICAL OXIDATIONS

Arif Music, Andreas N. Baumann and Dorian Didier

Ludwig-Maximilians-Universität München, Butenandstr. 5-13, 81377 Munich

The synthesis of biaryls via tetra(hetero)aryl borates offers a powerful and transition-metal-free alternative to established cross-coupling reactions. As many methods for the formation of biaryls require expensive and environmentally challenging transition-metal catalysts as well as inert and dry conditions, we envisioned that bench-stable, hetero-substituted arylborate salts would collapse into the desired (hetero)biaryls via electrochemical oxidation under ambient conditions in an elegant fashion.

Inspired by the work of Geske in the late 1950s,[1] we proposed that the above-mentioned arylborate salts would form biaryls via an intramolecular mechanism.[2] Therefore, we started our synthesis from cheap and readily available aryltrifluoroborate salts, which were then treated with various arylmagnesium reagents, thus resulting in the desired (hetero)tetraarylborate salts. After a simple aqueous workup, these salts were then directly engaged in electrochemical transformations. Hereby, the reactions could be performed under ambient conditions in “wet” solvents, resulting in the desired (hetero)biaryls in moderate to good yields over two steps (Scheme 1).

In the future, we want to explore the synthetic value of our methodology by expanding the scope of this procedure to olefination reactions. In addition, we strive to synthesize challenging bioactive compounds and their related precursors.

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