Palladium-Catalyzed C-H Arylation of Benzophospholes

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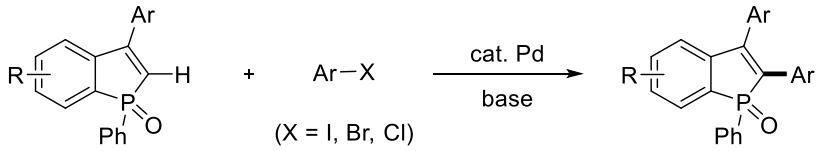
Due to its better step and atom economy, transition-metal-promoted C-H functionalization has been an incredible strategy in the conversion of simple starting materials to the diverse and value-added molecules. Among them, the direct and regioselective C-H transformation of five-membered heterocycles has received particular attention in the formation of aryl-heteroaryl linkages, which are ubiquitous in pharmaceuticals and functional organic materials.[1] As identically important structure motifs, benzophosphole derivatives[2] have been explored in their synthetic approaches,[3] however, the C-H functionalization of such critical skeleton has been untapped over the past 40 years, to the best of our knowledge.

Herein, we report the first example of Pd-catalyzed regioselective C2-H arylation of benzophospholes with aryl halides. This protocol provides a concise and complementary access to the arylated benzophospholes. The starting benzophospholes could be readily prepared from 1,1-diarylethylenes and phenylphosphinic acid via our previously developed phosphenium dication-based strategy.[4] Additionally, the reaction features the broad scope of aryl halides, thus enabling the flexible installation of various electron-donor groups at the C2 position. Moreover, the consecutive C-C coupling of benzophosphole with dihaloarenes is also possible to furnish the highly π-conjugated framework of great interest in material chemistry. Investigation of luminescence properties of the obtained products will also be included in this presentation.



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