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Mild, efficient and regioselective synthesis of diiodophenylboronic acid derivatives via metal-iodine exchange of 5-substituted-1,2,3-triiodoarenes

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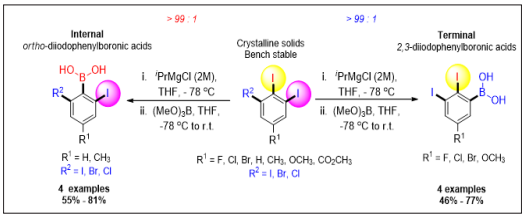
A unique 2,6-diiodophenylboronic acid and 2,3-diiodophenylboronic acid derivatives have been synthesized via regioselective metal-iodine exchange (MIE) of 5-substituted 1,2,3-triiodoarenes is reported. The regioselectivity of the reaction per se is remarkably controlled by the nature of C-5 substituent providing either the desired diiodophenylboronic acids in moderate to good yields and with high site-selectivity. The diiodophenylboronic acids were then examined for *in vitro* antimicrobial activity against four strains of bacteria Micrococcus luteus (ATCC 9341), Bacillus cereus (ATCC 11778), Escherichia coli (ATCC 25922) and Serratia marcescens (ATCC 27117) and one fungal strain Candida albicans using well diffusion assay and dilution method. It indicated that 5-fluoro-2,3-diiodophenylboronic acid (compound 16B) possess the most potent antibacterial and antifungal activity with MIC of 2.6 mg/mL for Micrococcus luteus and Candida albicans. This report discloses a one-step protocol to access hitherto unknowns 2,6-diiodophenylboronic acid and 2,3-diiodophenylboronic acid derivatives that is scalable, good in scope, no chromatography is needed and indeed difficult to be prepared by other means.



Recent Publications

1. R M Al-Zoubi and D G Hall (2010) Mild Silver(I)-mediated regioselective iodination and bromination of arylboronic acids. *Org. Lett.;* 12(11): 2480-2483.
2. R M Al-Zoubi, O Marion and D G Hall (2008) Direct and waste-free amidations and cycloadditions by organocatalytic activation of carboxylic acids at room temperature. Angew. *Chem. Int. Ed.;* 47(15): 2876-2879.

References

1. M Berube, M Dowlut and D G Hall (2008) Benzoboroxoles as efficient glycopyranoside-binding agents in physiological conditions: structure and selectivity of complex formation. J. *Org. Chem.;* 73(17): 6471-6479.
2. W Yang, X Gao and B Wang (2003) Boronic acid compounds as potential pharmaceutical agents. *Med. Res. Rev.;* 23(3): 346-368.

Biography

Raed M Al-Zoubi has his expertise in organic synthesis and boron methodology and he has developed several boronic acid catalysts for green synthesis of several amide products providing water only as a byproduct in this process.

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