

Iterative Cross-Coupling: Towards a general and automated platform for small molecule synthesis

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Due to its sensitivity to most synthetic reagents, it is typically necessary to introduce the boronic acid functional group just prior to its utilization. Overcoming this limitation, we report that air- and chromatographically-stable MIDA boronates are compatible with a wide range of common reagents which enables the multistep synthesis of complex boronic acids from simple boron-containing starting materials. Harnessing this potential, a short and modular total synthesis of (+)-crocacin C was achieved via the iterative cross-coupling of a structurally complex MIDA-protected haloboronic acid building block.

