Petasis-type reactions for the synthesis of substituted pyrrolidin-2-ones

Pyrrolidin-2-ones are important heterocyclic motifs found in natural products and biologically active synthetic molecules. Addition of nucleophiles, including allylsilanes, isonitriles and organometallics, to N-acyliminium ions represents one of the most commonly used approaches for the formation of substituted pyrrolidin-2-ones. Only few studies on the nucleophilic addition of organoboronic acids to N-acyliminium ions have been reported. Herein, we disclose our recent efforts for the synthesis of substituted pyrrolidin-2-ones through Lewis-acid-mediated Petasis-type reactions. By implementing a reductive cyclization reaction, linear L-malic acid derivatives were rapidly converted into cyclic N-acyliminium ions. Under the optimized conditions, entailing the use of HFIP as solvent, both electron-rich and electron-deficient boronic acids were successfully added to a range of cyclic N-acyliminium ions, typically with excellent diastereoselectivity with electron-deficient boronic acids.

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