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Published in:
Abstracts of Papers of the American Chemical Society

Publication date:
2014

Document Version
Early version, also known as pre-print

Citation (APA):
Petasis-Type Reactions for the Synthesis of Substituted Pyrrolidin-2-ones

Peng Wu, Mads H. Clausen* and Thomas E. Nielsen*

mhc@kemi.dtu.dk, ten@kemi.dtu.dk

Department of Chemistry, Technical University of Denmark, DK-2800 Kgs. Lyngby, Denmark

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 nucleophic 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.