Y. OTAKE, Y. SHIBATA, Y. HAYASHI, S. KAWAUCHI, H. NAKAMURA, S. FUSE* (NAGOYA UNIVERSITY, JAPAN)

N-Methylated Peptide Synthesis via Acyl N-Methylimidazolium Cation Generation Accelerated by a Brønsted Acid
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Synthesis of Peptides with Acyl N-Methylimidazolium Cations

Results:

Significance: Peptide synthesis is a significant process in organic chemistry and medicinal chemistry due to the increasing application of peptide drugs. The authors have developed an efficient Brønsted acid-catalyzed amide-bond formation approach to the preparation of peptides via acyl N-methylimidazolium cations.

Comment: Various dipeptides were synthesized from common amino acids. The yields of the reactions were moderate to good, with broad functional-group tolerance. Moreover, severe racemization was avoided in the reaction.

SYNFACTS Contributors: Hisashi Yamamoto, An Wu
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