

## SYNTHESIS OF UNPROTECTED, BICYCLIC AND SPIROCYCLIC $\beta$ -AMINO ACIDS

Hidetoshi Noda, Jin-Sheng Yu, Miguel Espinosa, and Masakatsu Shibasaki

Institute of Microbial Chemistry (BIKAKEN), Tokyo

N-Heterocycles are a major structural motif used in drug discovery programs. Although many methodologies have been developed to provide such important building blocks, further advancements are highly sought-after, in particular, for the preparation of unprotected, ready-to-use N-heterocycles. In this presentation, we introduce a new entry for the synthesis of unprotected cyclic  $\beta$ -amino acids. Our work takes advantage of a unique nature of substituted isoxazolin-5-ones, which undergo a redox-neutral cyclization by the action of a rhodium catalyst. The substrates can be readily prepared from Meldrum's acid and corresponding aldehydes on multi-gram scale, and a broad range of bicyclic and spirocyclic  $\beta$ -amino acids are obtained. In addition to the detailed scope and limitations, mechanistic insights will also be presented.

