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Zn-Catalyzed Nicotinate-Directed Transamidations in Peptide Synthesis
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Zinc-Catalyzed Peptide Synthesis by Using a Nicotinate-Directed Protocol

Category

Peptide Chemistry

Key words

zinc catalysis

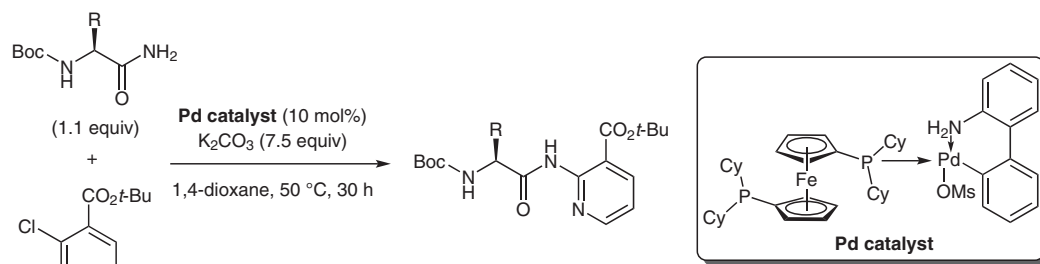
palladium catalysis

butylnicotinate
amino amides

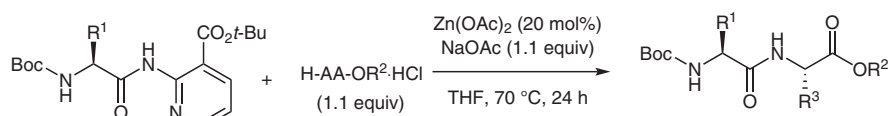
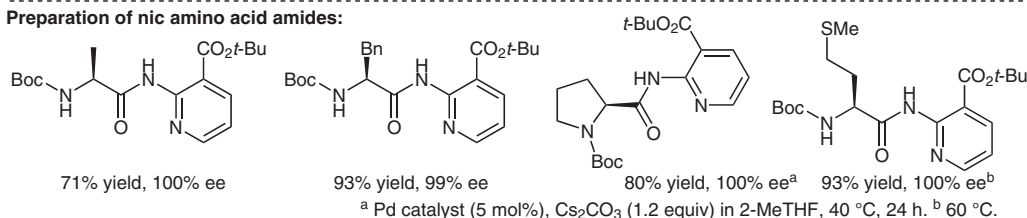
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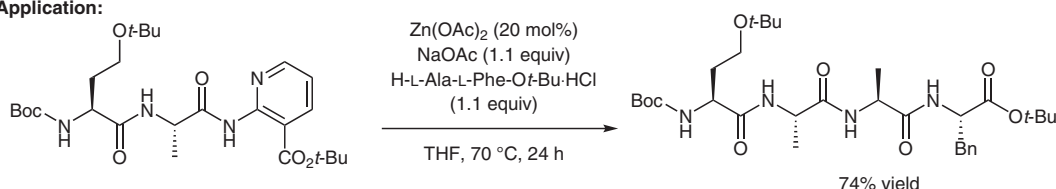
Preparation of nic amino acid amides:



Selected examples:

| | | | |
|---------------------|----------------------|---------------------|---------------------|
| Boc-L-Phe-L-Phe-OMe | Boc-L-Ala-L-Leu-OAll | Boc-L-Pro-L-Leu-OMe | Boc-L-Met-L-Trp-OBn |
| 95% yield | 99% yield | 95% yield | 80% yield |

Application:



Significance: Development of new systems for peptide-bond formation is an ongoing challenge to organic chemists. The authors report a new strategy using *tert*-butyl nicotinate amino amides, formed from amino acids and *tert*-butyl 2-chloro-3-pyridylcarboxylate with palladium catalysis, as excellent nucleophiles for the synthesis of dipeptides.

Comment: Various *tert*-butyl nicotinate amino amides were smoothly generated in high yields and high enantioselectivities. The products and amino acid ester HCl salts were easily converted into the corresponding dipeptides by using zinc catalysis. Furthermore, this protocol can also be applied in the synthesis of tripeptides.

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