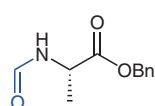
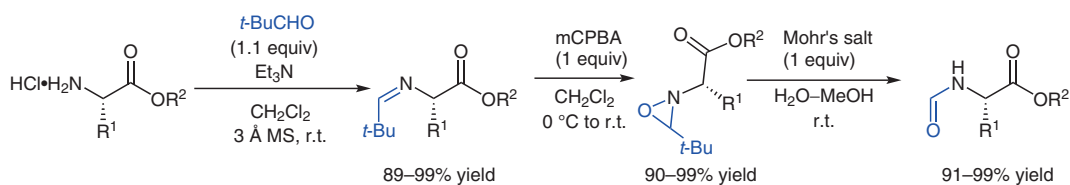


T. GIARD\*, D. BÉNARD, J.-C. PLAQUEVENT (LABORATOIRE DES FONCTIONS AZOTÉES ET OXYGÉNÉES COMPLEXES DE L'IRCOF, MONT SAINT AIGNAN, FRANCE)

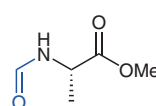
A Mild Method for Formylating Amino Esters Without Using any Formylating Agent

*Synthesis* **1998**, 297–300, DOI: 10.1055/s-1998-2025.

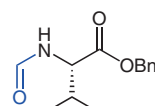
## Synthesis of *N*-Formyl Amino Acid Esters



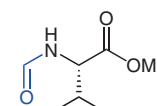
87% yield<sup>a</sup>



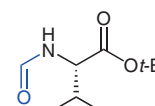
80% yield<sup>a</sup>



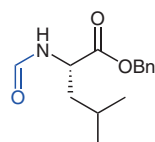
89% yield<sup>a</sup>



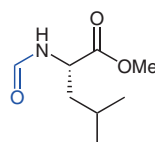
91% yield<sup>a</sup>



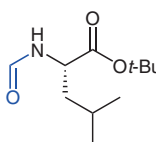
90% yield<sup>a</sup>



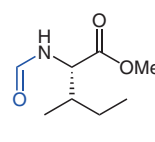
82% yield<sup>a</sup>



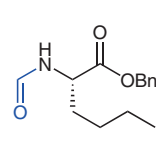
99% yield<sup>a</sup>



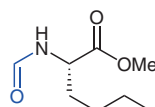
90% yield<sup>a</sup>



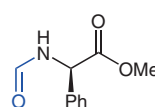
99% yield<sup>a</sup>



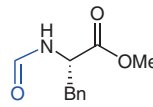
84% yield<sup>a</sup>



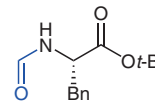
85% yield<sup>a</sup>



90% yield<sup>a</sup>



96% yield<sup>a</sup>



96% yield<sup>a</sup>

<sup>a</sup>Overall yield of all the steps was given.

**Significance:** *N*-Formyl amino acids and peptides play a crucial role in peptide drug discovery. Thus, synthetic chemists are in search of mild and simple methods for the synthesis of *N*-formyl amino acids. In 1998, Giard and co-workers developed a simple method for the synthesis of *N*-formyl amino acid esters without using formylating agents.

**Comment:** A series of *N*-formyl amino acid esters were synthesized in three steps with excellent yields without using any formylating agent. This protocol is practically simple, mild, and proceeds without racemization.

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