

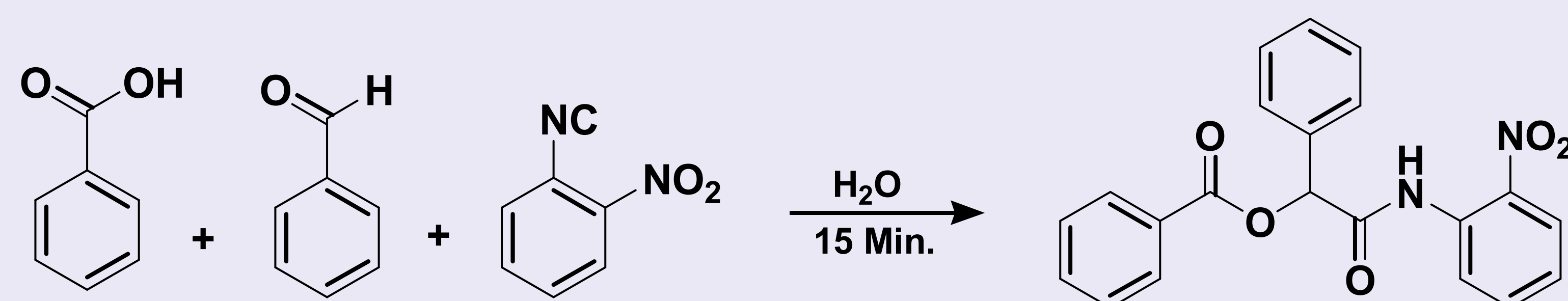
Passerini reaction in aqueous media: a practical and convenient method for the synthesis of novel α -Acyloxycarboxamides.

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INTRODUCTION

The Passerini reaction is a well known multicomponent reaction (3-MCR) employs three reagents-an isocyanide, a carboxylic acid, and an aldehyde-to form an esterified α -acyloxycarboxamide, an important intermediate for drug candidate discovery (1). The reaction is usually carried out in an organic solvent such as CH_2Cl_2 or MeOH, and it can take up to 24 hours for completion(2). However, when the reaction solvent was replaced with water, Passerini reactions were completed in ~ 15 min, and excellent yields were observed.

GENERAL REACTION SCHEME



A B C Aqueous media Acyloxycarboxamides

REFERENCES

1. M. M. Hooper and B. De Boef, "A green multicomponent reaction for organic chemist laboratory: The aqueous passerini reaction," J. Chem. Educ., vol. 86, no. 9, pp.1077-1079.
2. D. Paprocki, D. Koszelewski, P. Walde, and R. Ostaszewski, "Efficient Passerini reactions in an aqueous vesicle system," RSC Adv., vol. 5, no. 124, pp.102828-102835, 2015.

APPRECIATION

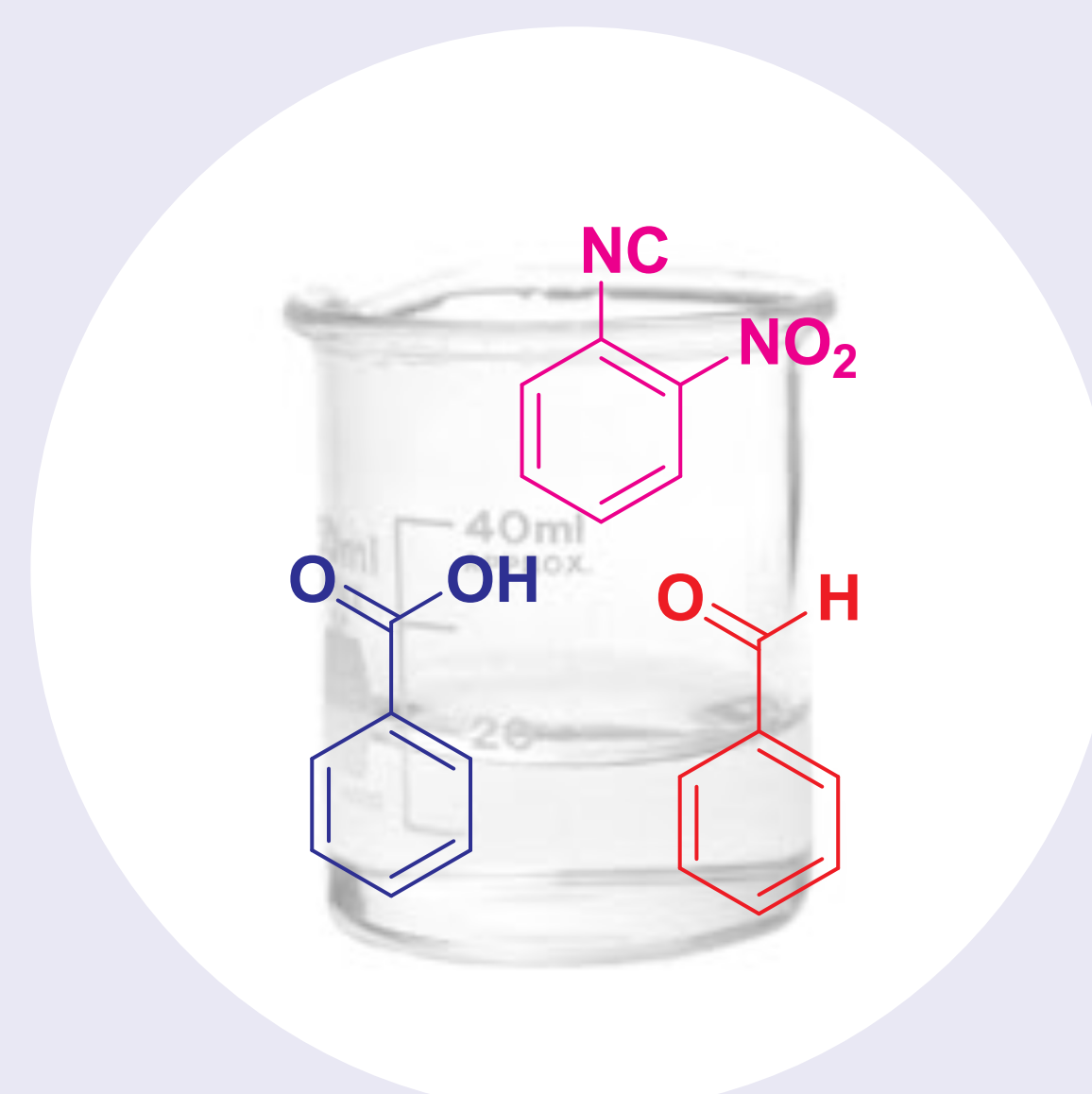
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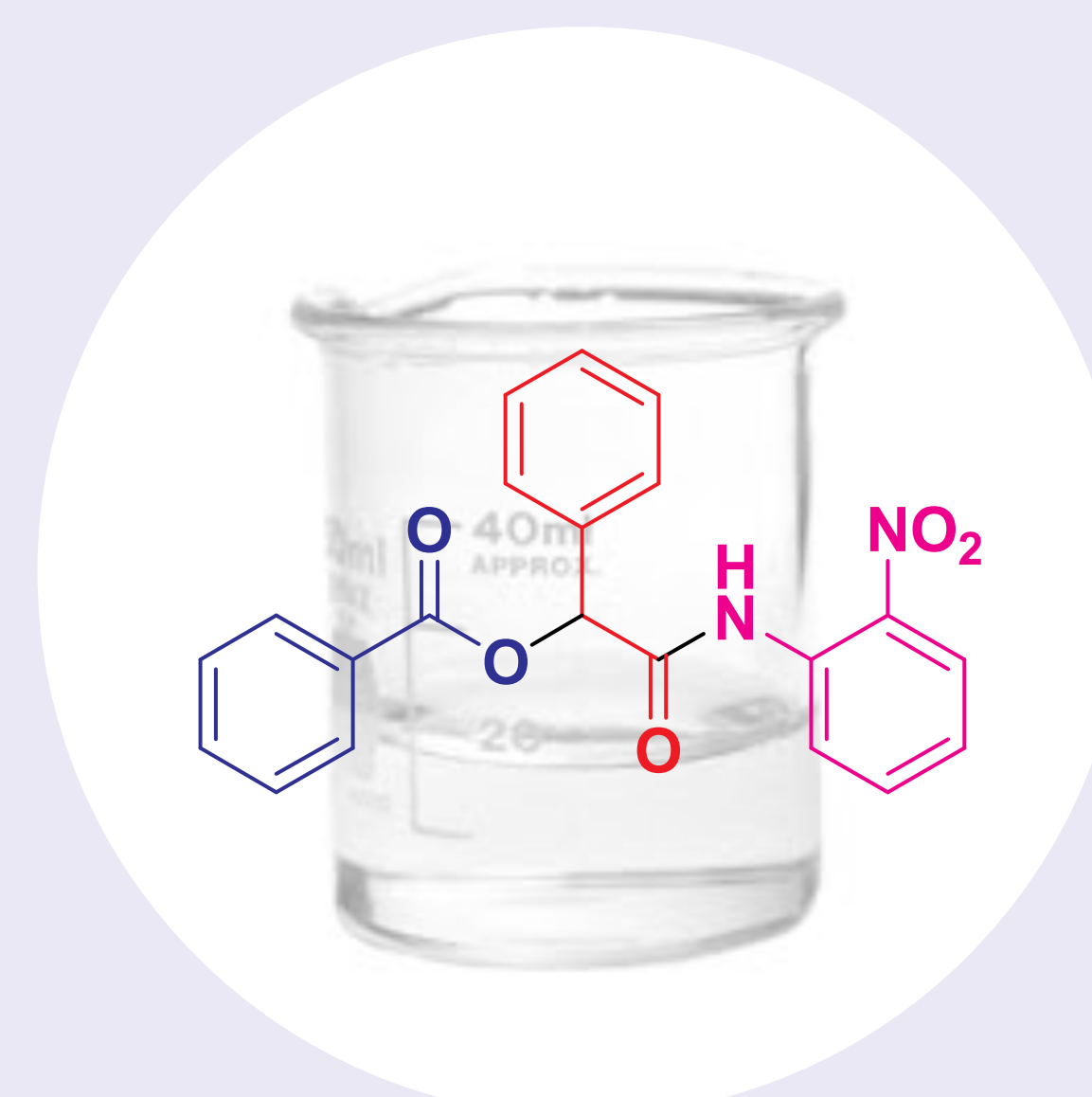
WHY AQUEOUS MEDIA?

-  Non toxic
-  Non flammable
-  Environmentally benign
-  Readily available
-  Cheap

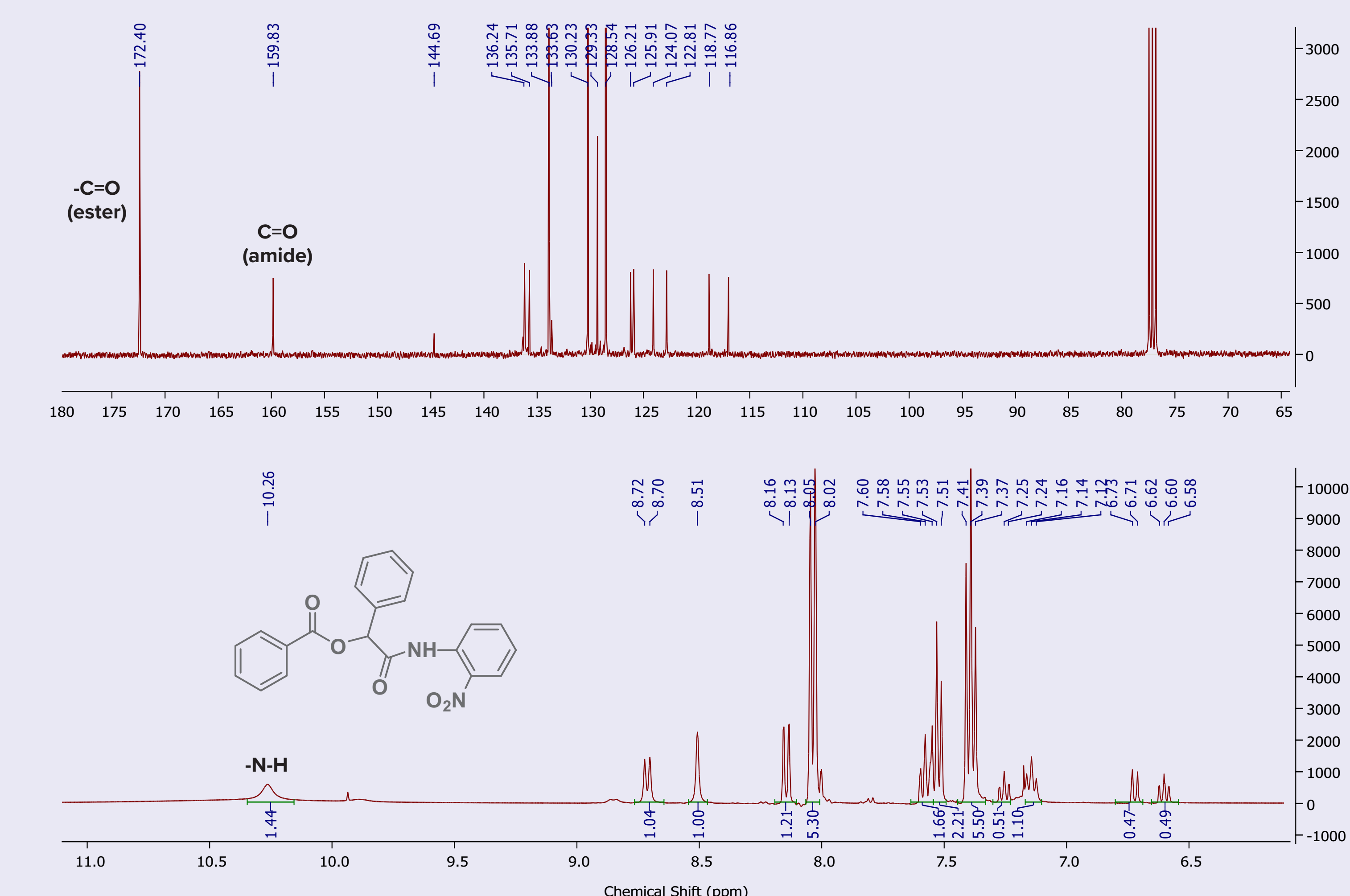
EXPERIMENTAL



Green conditions
Aqueous media



NMR Spectral of (2-nitrophenylcarbamoyl)methyl benzoate



DISCUSSION

We have successfully demonstrated the synthesis of (2-nitrophenylcarbamoyl) methyl benzoate through a Passerini reaction under environmentally benign conditions (in water) in good yields. Compared to the classical method, the advantages of the present procedure are milder conditions, shorter reaction time, cleaner reactions with improved yields, and experimental simplicity. Studying chemistry in water also allows insights to be gained into nature's way of chemical synthesis.