ECSOC-29
2025
Conference

The 29th Intl Electronic Conference on Synthetic Organic Chemistry

14–28 November 2025 | Online

MDPI

Synthesis of two amphiphilic organocatalysts derived from L-proline

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INTRODUCTION & AIM

Amphiphilic organocatalysts possess both hydrophilic and hydrophobic components in their structure, which serve as a bridge to facilitate the interaction of organic reactants in an aqueous medium [1-6]. Interested in the field of organocatalysis, In this report, we present the synthesis of two amphiphilic organocatalysts derived from L-proline, (*S*)-7-(pyrrolidin-2-yl) tridecan-7-amine (**1**) and (*S*)-2-(7-azidotridecan-7-yl) pyrrolidine (**2**). Both were functionalized at C2 of the pyrrolidine ring with aliphatic chains of six carbon atoms (Figure 1).

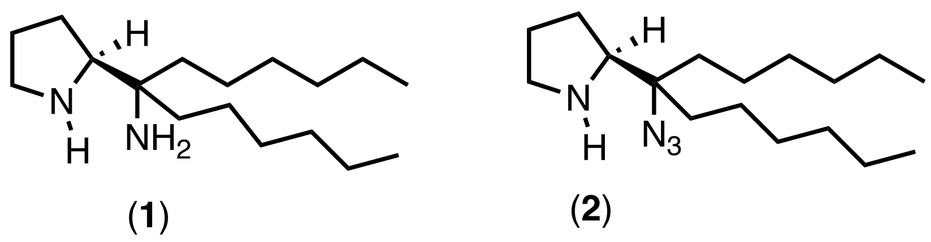

Figure 1. The synthesized amphiphilic organocatalysts: (*S*)-7-(pyrrolidin-2-yl) tridecan-7-amine (**1**) and (*S*)-2-(7-azidotridecan-7-yl) pyrrolidine (**2**).

METHOD

To synthesize this compound, we adapted part of the synthesis sequence reported by Palomo and Reyes-Rangel [7-11]. Organocatalysts (**1**) and (**2**) were obtained through a five-step process, yielding 37% and 5%, respectively (Figure 2).

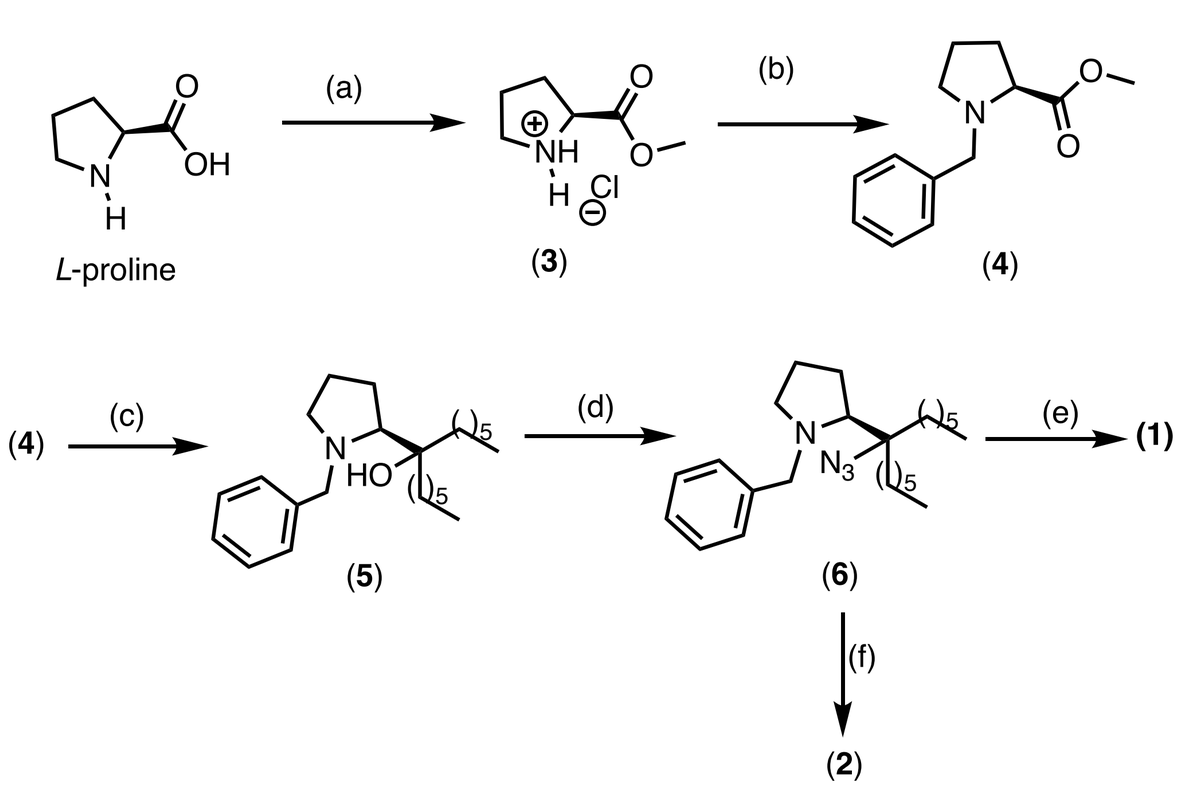

Figure 2. Reagents and conditions: (a) $SOCl_2$, MeOH, relux, 1 h, 100%; (b) BnBr, Et_3N, CH_2Cl_2, r. t., 4 h, 76%; (c) *n*-$C_6H_{13}Br$, Mg; THF, 0 °C, 18 h, 98%; (d)NaN_3, CF_3CO_2H, H_2SO_4, $CHCl_3$-H_2O, reflux, 6 h, 88% (e) Pd-C (10% mo), AcOH-H_2O (3:1), H_2, 48 h, 57% ; (f) H_2/Pd–C, AcOEt, r. t., 7.9% [7-11].

RESULTS & DISCUSSION

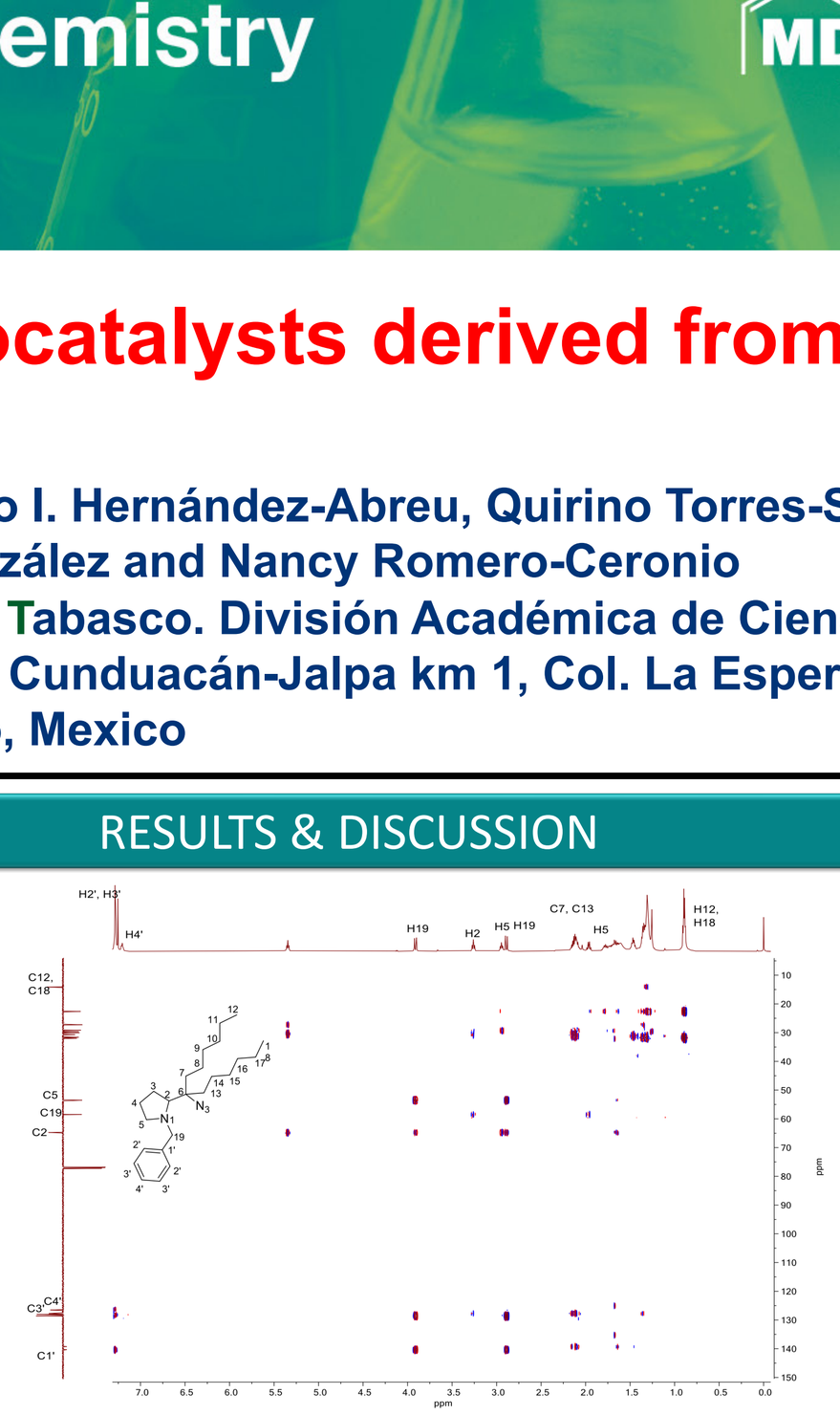

Figure 3. HMBC-NMR spectrum (*S*)-2-(3-Azidopentan-3-yl)-1-benzylpyrrolidine (6)

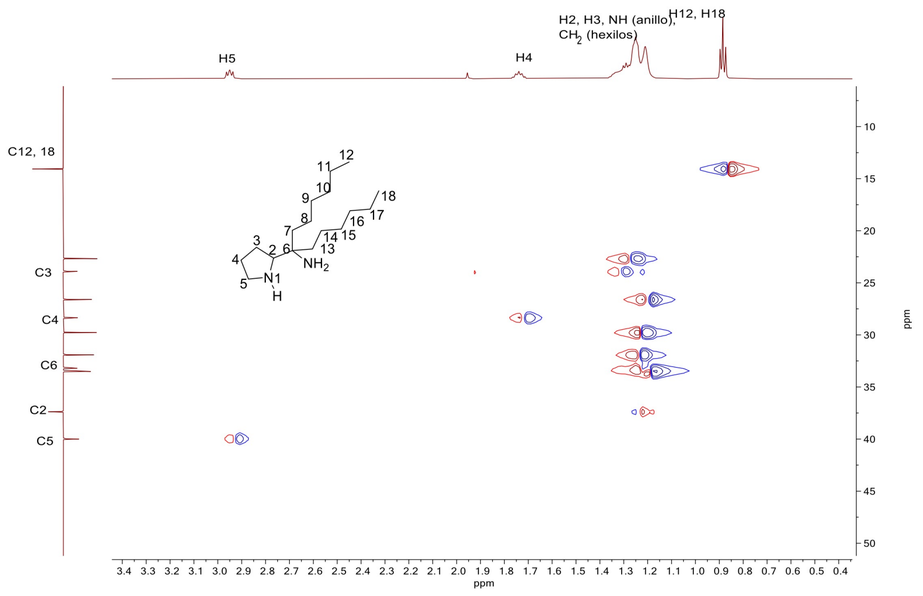

Figure 4. HSQC-NMR spectrum (*S*)-7-(pyrrolidin-2-yl) tridecan-7-amine (**1**)

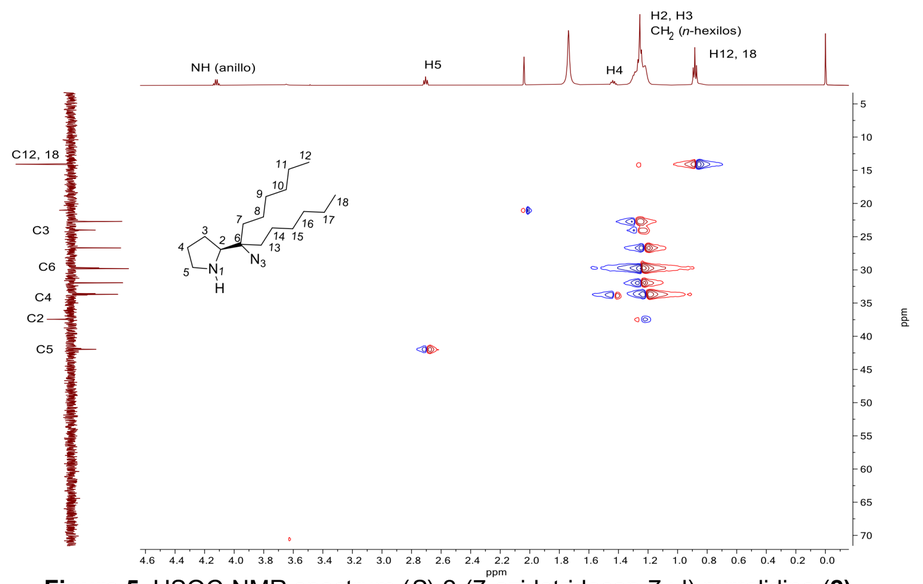

Figure 5. HSQC-NMR spectrum (*S*)-2-(7-azidotridecan-7-yl) pyrrolidine (**2**)

CONCLUSION

The synthesis of (*S*)-7-(pyrrolidin-2-yl) tridecan-7-amine (**1**) and (S)-2-(7-azidotridecan-7-yl) pyrrolidine (**2**) was successfully completed, yielding moderate results overall. This process involved a five-step sequence, with the compound (**6**) serving as a common intermediate in the final step of the synthesis.

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