The Catalytic efficiency of Free-Base Porphyrins in promoting the *N*-Aryl oxazolidinones synthesis

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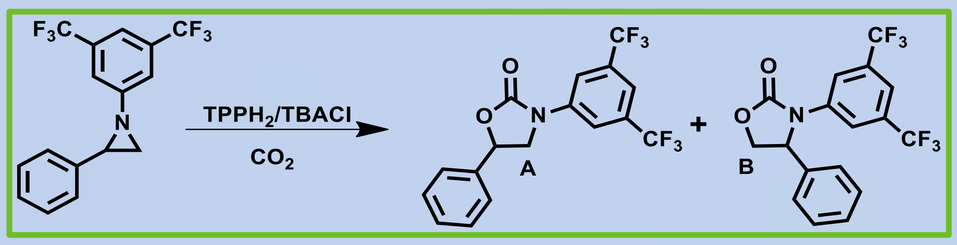
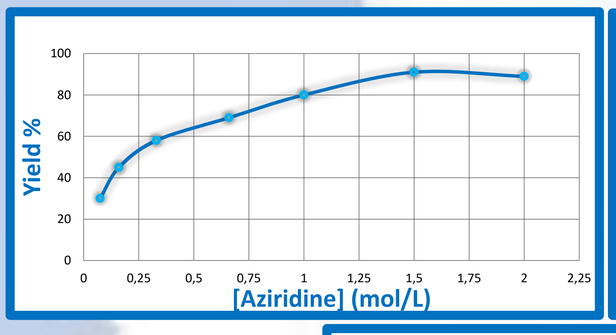
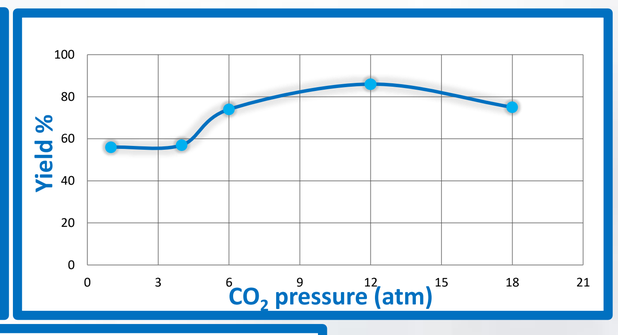
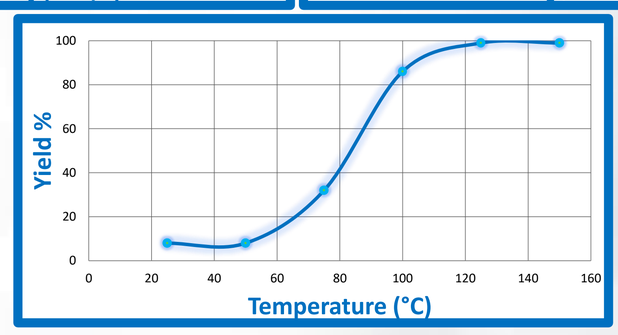
Besides other applications, oxazolidinones are largely used as intermediates as well as chiral auxiliaries in organic synthesis[1] and constitute a class of new antibacterial and antibiotics.[2] Outstanding pharmaceutical performances have been observed for *N*-aryl oxazolidin-2-ones (NAOs). **Considering the importance in developing eco-friendly synthetic processes, the $TPPH_2$/TBACl catalyzed cycloaddition of CO_2 to aziridines represents an attractive method to synthesize NAOs with 100% of atom economy.**

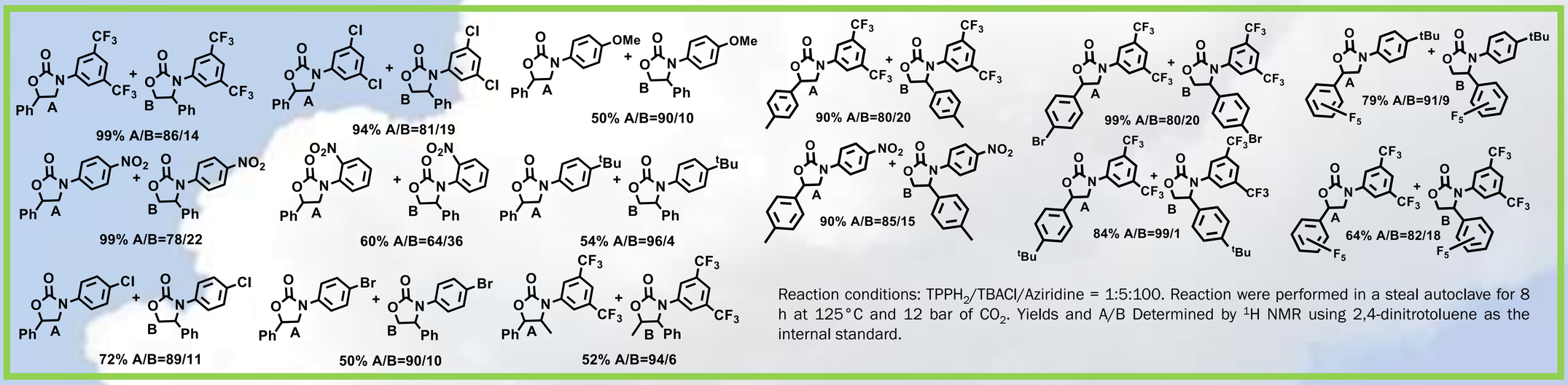




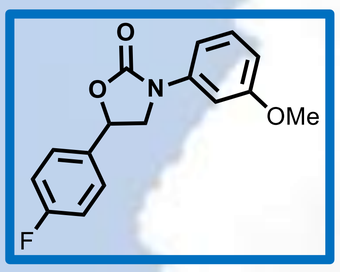


First of all, we optimized the reaction conditions by performing this catalytic procedure at **different concentrations of aziridine, temperatures, pressures and reaction times.** Then, we applied the best condition testing 20 different aziridines and the corresponding NAOs were obtained with yields up to 99%.

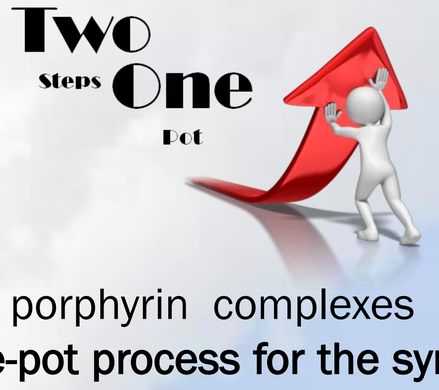


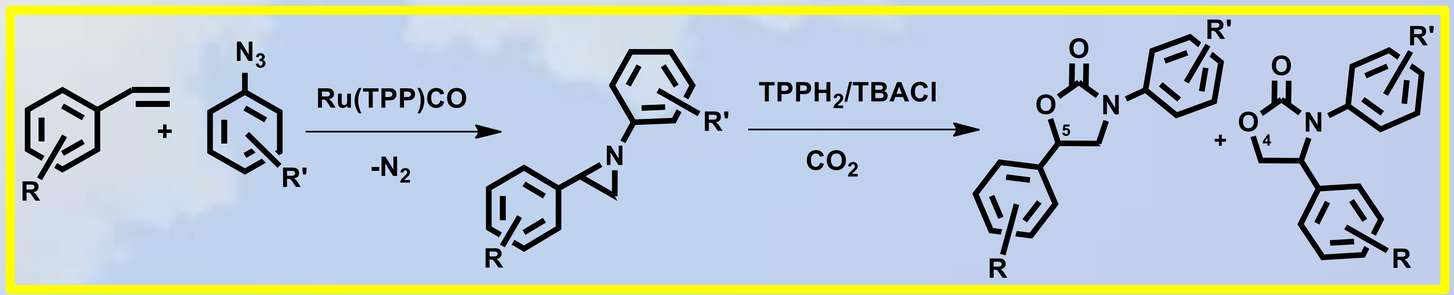


Reaction conditions: $TPPH_2$/TBACl/Aziridine = 1:5:100. Reaction were performed in a steal autoclave for 8 h at 125°C and 12 bar of CO_2. Yields and A/B Determined by ^1H NMR using 2,4-dinitrotoluene as the internal standard.



It should be noted that one of the obtained NAOs is a pharmaceutical species being a Denaturase (D5D) Inhibitor.[3]

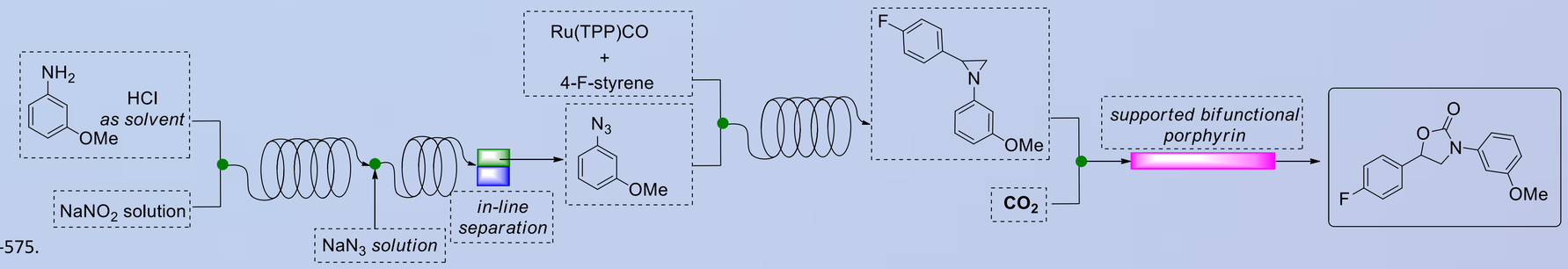




Considering that metal porphyrin complexes efficiently promote the synthesis of aziridines,[4] **we applied to a model substrate a two-step one-pot process for the synthesis of NAOs, which produces benign N_2 as the only by-product.** The first step of the *tandem reaction* consists in the Ru(TPP)CO-catalysed reaction of aryl azide with styrene forming the aziridine. Then, without any purification, the $TPPH_2$/TBACl-mediated CO_2 cycloaddition yields the desired N-aryl oxazolidones with yields and regioselectivities very similar to those obtained by using purified aziridines.

What's next?

In view of our previous knowledge on the aziridination reaction performed under flow condition,[5] the next challenging step will be **the execution of the two-step one-pot reaction in these convenient conditions.**



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