Stereoselective Nucleophilic Addition of Potassium Ethynyltrimethylsilyltrifluoroborate to *N*-acyliminium ions: Versatile Building Blocks to 1,2,3-Triazoles

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INTRODUCTION

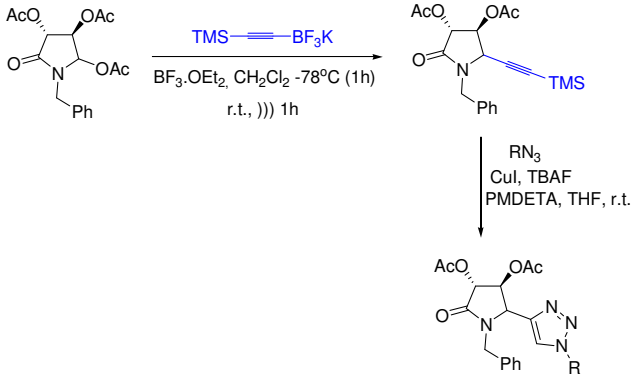
N-acyliminium ions are important intermediates in organic synthesis, particularly for the synthesis of various nitrogen-containing natural and unnatural products of biological interest.[1]

A wide variety of carbon-based nucleophiles are known to react with *N*-acyliminium ions. In this context, potassium organotrifluoroborates salts represent a versatile tool for the production of new substituted pirrolidinones.[2]

N-heterocyclic compounds such as 1,2,3-triazoles play an important role in biological fields and there are innumerous examples in the literature including anti-HIV activity,[3] antimicrobial,[4] and more. In this context, considerable efforts have been made to develop new methods to synthesize this heterocycle.

RESULTS AND DISCUSSION

Initially, we performed the addition of potassium alkynyltrifluoroborate salt using the standard condition stablished in our previous work,[5] furnishing the desired product in 72% yield (Scheme 1). With this starting material required in hand we were able to synthesize the 1,2,3-triazoles derivatives.



Scheme 1. General Reaction

Through this way we prepared several triazoles compounds derivative from pyrrolidin-2-ones with a variety of organic azides in good yields (Figure 1).

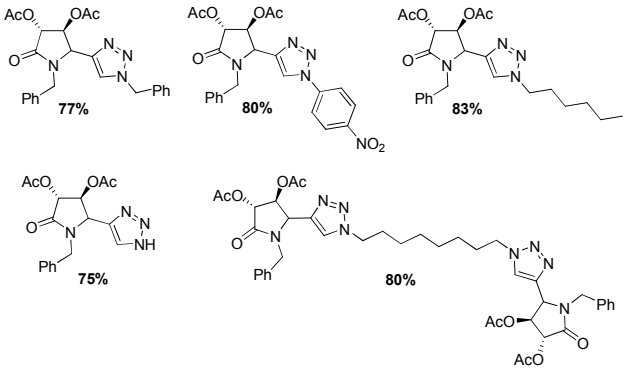


Figure 1. Some examples of 1,2,3-triazoles

CONCLUSION

We developed a versatile methodology for the addition of alkynyltrifluoroborate salt, and this subunit represents a versatile intermediate to synthesize 1,2,3-triazoles. Additionally, the protocol allowed the preparation of attractive heterocyclic moieties, under simple conditions, easily purification and good yields.

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