



Gold-Catalyzed Cyclization of Ynamides and Propargylic Carboxylates

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Keywords: Gold Catalysis, Ynamides, Cyclopentadienes

INTRODUCTION

Over the past few years new synthetic methodologies for the preparation of ynamides have led to a huge increase in their use as highly variable building blocks in organic synthesis. Owing to the presence of an electron-withdrawing group ynamides show a perfect balance between stability and reactivity. Furthermore, due to their strongly polarized triple bond, ynamides show a large variety of reactions possibilities. Among these there are, for example, addition at the α - or β -position, cycloaddition, ring-closing metathesis, cycloisomerization. Figure 1 shows the reactivity of ynamides bearing an electron-withdrawing group.

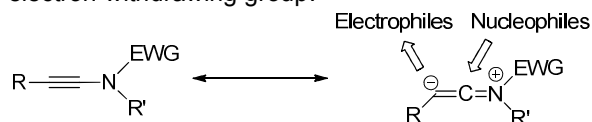


Figure 1. Reactivity of ynamides

CONCLUSION

This methodology opens up new possibilities to exploit the potential of ynamides in combination with gold catalysis.

ACKNOWLEDGEMENTS

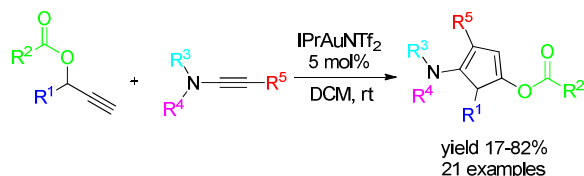
We thank Umicore AG & Co. KG for the generous donation of gold salts.

REFERENCES

[1] E. Rettenmeier, A. M. Schuster, M. Rudolph, F. Rominger, C. Gade, A. S. K. Hashmi, *Angew. Chem.* **2013**, *125*, 5993-5997; *Angew. Chem. Int. Ed.* **2013**, *52*, 5880-5884.

RESULTS AND DISCUSSION

Combining the reactivity of the ynamides with the well known ability of gold to activate triple bonds we show here a new gold(I) catalyzed cyclization reaction using easily accessible ynamides as the nucleophilic reagent and propargylic carboxylates to form highly substituted cyclopentadienes in moderate to good yields. The transformation is shown in scheme 1.



Scheme 1. Synthesis of cyclopentadienes by gold catalysis^[1]

In summary, we report about the synthesis of highly substituted cyclopentadienes through activation of ynamides by highly electrophilic gold carbenoids. The products are formed under mild reaction conditions with complete atom economy.