Hierarchical & Functional Materials for health, environment & energy | HiFunMat
The Interdisciplinary thematic institutes of the University of Strasbourg & CNRS & Inserm
funded under the Excellence Initiative program

VERSATILE ACCESS TO TETRASUBSTITUTED 2-AMIDOACROLEINS THROUGH FORMAL SILYLFORMYLATION OF YNAMIDES

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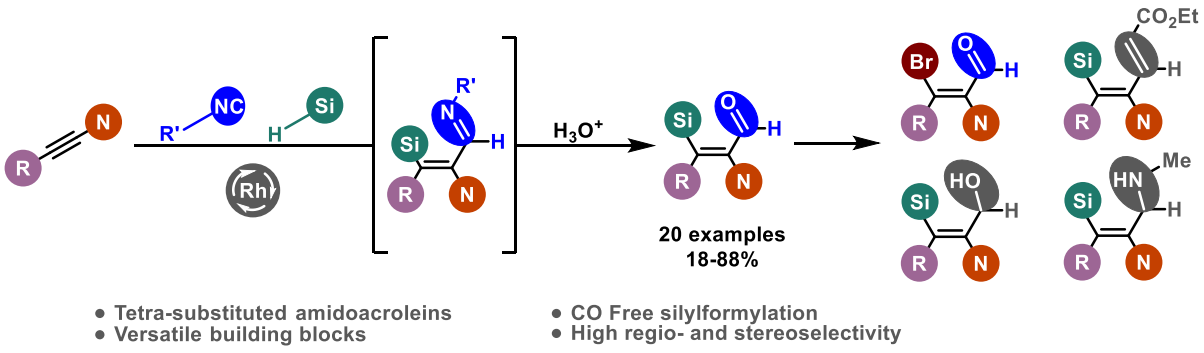
ABSTRACT:

While the silylformylation reaction of terminal alkynes is now well studied, very few examples of internal alkynes are reported, mainly due to the stereo- and regioselectivity issues. To overcome this problem, we have turned our attention to the use of ynamides as the alkyne substrate in this transformation. Indeed, the silylformylation of ynamides could lead to the formation of tetra-substituted amidoacroleins that could be easily converted into different functionalities. Furthermore, the silylformylation reaction requires specific equipments (high pressure reactor, CO detector) and, as a better alternative, methodologies based on CO-surrogates are highly desirable.
In this context, inspired by Fukumoto and Chatani,[1] we developed a rhodium-catalyzed silylformylation involving an ynamide, a silane and an isocyanide. After a quick optimization, we demonstrated the almost complete stereoselectivity of our reaction for the syn-addition. Moreover, this reaction appeared to be completely regioselective towards the formation of the 2-amidoacrolein adduct. This reaction also tolerated different functional groups on the ynamide, the silane and the isocyanide starting material leading to a high degree of diversity on the final compound. In addition, these 2-amidoacroleins could be converted into a large variety of compounds thus demonstrating the potential of this building block. [2]



References

[1] Y. Fukumoto, M. Hagihara, F. Kinashi, N. Chatani, *J. Am. Chem. Soc.* **2011**, *133*, 10014–10017.
[2] S. Golling, F. R. Leroux, M. Donnard, *Org. Lett.* **2021**, **2021**, 23, *20*, 8093–8097