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SUSTAINABILITY & DIVERSITY THROUGH CHEMISTRY

PROGRAMME

One-step syntheses of substituted 2-pyrrolidinones and 3-pyrrolidinones from α,β-unsatured diazoketones and amines. Application in the synthesis of Barmumycin.

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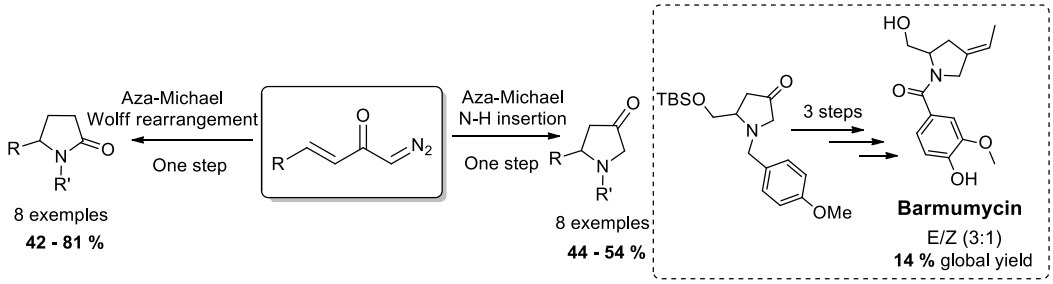
1. Introduction

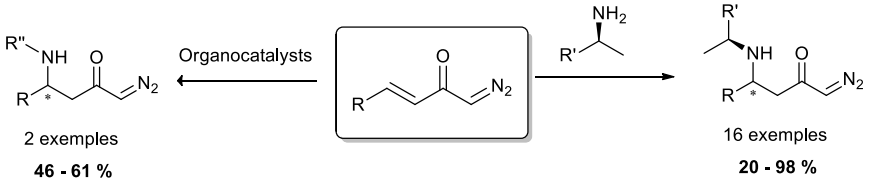
Nitrogen heterocycles are abundant in nature and these molecules exhibit broad biological and pharmaceutical activity. Methodologies that allow access to these compounds in a few steps and efficiently are of great attraction for organic chemistry. However, the use of divergent strategies that permit the synthesis of a wide number of heterocycles from the same intermediate is limited. In this context, our research group has been studying the chemistry of α,β-unsaturated diazoketones (UDK) as plattaform in the synthesis of nitrogen heterocycles.[1]

2. Results and Discussion

In this work, we prepared several 2- and 3-pyrrolidinones from UDK in a one pot reaction and these intermediates were employed in a three-step synthesis of the alkaloid barmumycin[2] (Scheme 1). Asymmetric Aza-Michael reaction with UDK was also evaluated in this work. Thus, reactions employing chiral amines or organocatalysts were carried out (Scheme 2). Moreover, further progress in the area is underway.



Scheme 1. One-pot conversion to 2- an 3-pyrrolidinones and Barmumycin synthesis.



Scheme 2. Study on asymmetric Aza-Michael using unsaturated diazoketone.

3. Conclusion

The unsaturated diazoketones were used to prepare 2- and 3-pyrrolidinones in one-step. This methodology was employed in the short synthesis of the alkaloid barmumycin. Additionally, asymmetric Aza-Michael reaction with unsaturated diazoketones was investigated.

4. Acknowledgement

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5. References

[1]Burtoloso, A. C. B.; Dias, R. M. P.; Bernardim, B. *Acc. Chem. Res.*, **2015**, 48, 921-934. [2](a) Lorente, A., Pla, D., Cañedo, L. M., Albericio, F., Álvarez, M. *J. Org. Chem.*, **2010**, 75, 8508–8515. (b) Smits, G., Zemribo, R. *Org. Lett.*, **2013**, 15, 4406–4409.