BDR SEMINAR in Kobe

"CDB SEMINAR" and "QBiC SEMINAR" have been renamed "BDR SEMINAR".

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Friday, June 8, 2018

16:00-17:00, Large Conference Room, MI R&D Center Building 2F

Polyfunctional heterocycles in drug discovery: diversity-oriented synthesis and chemico-physical analysis

Summary

Diversity-oriented synthesis is emerging as a powerful tool in drug discovery due to its ability to generate a large number of compounds with high structural diversity in few steps. In this context, we developed a Ti(IV)-promoted three-component reaction of aromatic heterocycles, aldehydes, and active methylene compounds to afford polyfunctionalized heterocycles of biological interest [1]. The experimental procedure was optimized by studying the reaction mechanism [2]. The stereochemical stability of the products was also investigated by HPLC and NMR spectroscopy in view of their use as fragments in a fragment-based drug discovery approach [3].

References

[1] A. Renzetti, E. Dardennes, A. Fontana, P. De Maria, J. Sapi, S. Gérard *J. Org. Chem.* **2008**, *73*, 6824.

[2] A. Marrone, A. Renzetti, P. De Maria, S. Gérard, J. Sapi, A. Fontana, N. Re *Chem. Eur. J.* **2009**, *15*, 11537.

[3] A. Renzetti, A. Di Crescenzo, F. Nie, A. D. Bond, S. Gérard, J. Sapi, A. Fontana, C. Villani *Chirality* **2015**, *27*, 779.

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