Diversity-oriented syntheses of sulfur-heterocycles as potential biologically active compounds

Mihaela Gulea, Alexandra B. Lopes, Thomas Castanheiro, Morgan Donnard, Patrick Wagner

Laboratoire d'Innovation Thérapeutique (UMR 7200), University of Strasbourg, CNRS, Faculty of Pharmacy, 74 route du Rhin, 67401 Illkirch, France
gulea@unistra.fr

The impact of sulfur-heterocyclic compounds in pharmaceutical industry is significant,[1] therefore the search for efficient syntheses to provide this type of compounds with a wide diversity of structures represents an interesting subject. Our research focuses on the development of new efficient atom and step-economical approaches to access original S-heterocycles for therapeutic applications. Multicomponent reactions and metal-mediated domino processes, which represent powerful tools in the synthesis of various heterocyclic molecules, are particularly studied in our laboratory for this purpose.[2] In this communication will be presented three synthetic methods that we developed, giving access to valuable *S*- and *N,S*-heterocycles with a wide variety of structures (Figure 1): 1,3-thiazines, 2-amino-benzothiazoles, benzothiolanes and thiochromanes, and challenging medium-sized (> 7 atoms) *N,S*-heterocycles. Current efforts are focused on exploiting these scaffolds in medicinal chemistry.

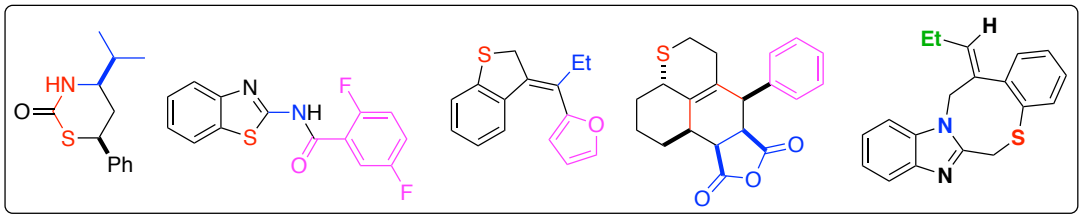

Figure 1: Examples of synthesized sulfur-containing heterocycles *via* multicomponent and domino reactions

[1] E. A. Ilardi, E. Vitaku, J. T. Njardarson, J. *Med. Chem.,* **2014**, *57*, 2832–2842.
[2] (a) F. Peudru, F. Le Cavelier, J.-F. Lohier, M. Gulea, V. Reboul, V. *Org. Lett.,* **2013**, *15*, 5710-5713. (b) T. Castanheiro, J. Suffert, M. Gulea, M. Donnard, *Org. Lett.,* **2016**, *18*, 2588–2591. (c) T. Castanheiro, M. Donnard, M. Gulea, J. Suffert, *Org Lett.,* **2014**, *16*, 3060-3063. (d) T. Castanheiro, A. Schoenfelder, M. Donnard, I. Chataigner, M. Gulea, *J. Org. Chem.* **2018**, *83*, 4505−4515.