Small organic molecules were always in high demand by chemical biologists and medicinal chemists due to their ability to interact with macromolecules and enzymes. Such interactions play a crucial role since they can serve as a powerful tool for understanding and affecting biological systems. However, the discovery of these chemical modulators is time and cost consuming process. Since the begging of the 21st century the process itself was accelerated by introducing the functionally diverse chemical libraries into the screening process.1

In our group we focus on the Diversity-Oriented Synthesis methodologies development. Our methods should allow the generation of highly diverse molecular scaffolds in few synthetic steps.2,3

Our recent achievements in the versatile building block, as showed in Scheme 1, synthesis, and its application in context of Diversity Oriented synthesis will be disclosed. The main focus of our work is on trisubstituted highly-activated benzothiazolylsulfonyl olefins synthesis and their application in cycloadditions (Het. Diels-Alder and 1,3-dipolar cycloaddition), Michael-type additions (cyclopropanation and dihydrofurans) and rearrangements (Smiles type).