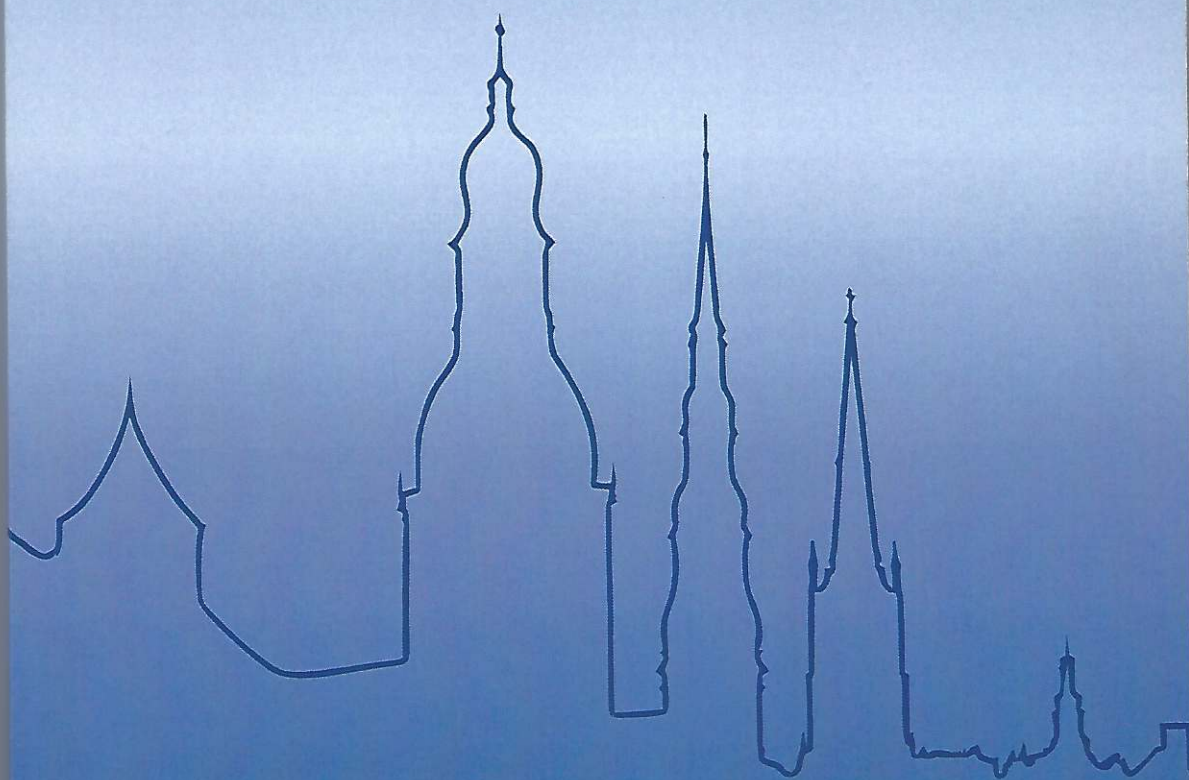




# **Drug Discovery Conference**

**August 27-29, 2015, Riga, Latvia**



## **Abstract book**

# PP37. SULFUR DIOXIDE PROMOTED NUCLEOPHILIC RING OPENING OF SMALL N-HETEROCYCLES

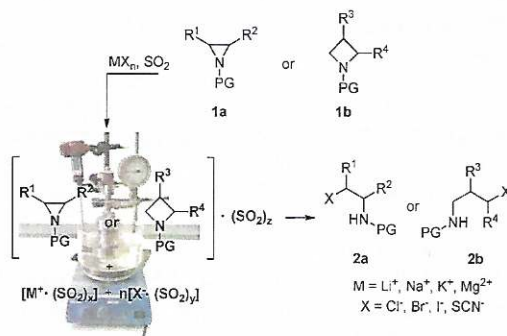
Jevgenija Lugiņina, Māris Turks

Faculty of Material Science and Applied Chemistry, Riga Technical University,  
Paula Valdena Str. 3/7, LV-1048, Riga, Latvia  
E-mail: maris\_turks@ktf.rtu.lv

For a long term sulfur dioxide has proven itself as an important compound to a range of different industries. It has been used as a bleaching agent, food additive and disinfection agent in food and wine making for 3000 years. In organic chemistry  $\text{SO}_2$  has shown a great potential as a solvent, reagent and catalyst. Surprisingly, sulfur dioxide has not entered the "classic toolbox" of synthetic organic chemist as an everyday-solvent. Hence, we investigate the use of sulfur dioxide as a solvent for organic transformations.

The aziridine and azetidine functionalities represent valuable small ring N-heterocycles in modern synthetic chemistry, because of their versatility as significant building blocks for chemical bond elaborations and functional group transformations. The reactivity of aziridines and azetidines strongly depends on variations of ring substituents, activation of nitrogen atom and ring strain. Due to the latter, common transformations of these heterocycles are the nucleophilic ring-opening reactions (NRORs).

Here we present a new synthetic process of aziridine and azetidine NRORs with metal halides and other nucleophiles in liquid sulfur dioxide. It is thought that Lewis acid nature of  $\text{SO}_2$  activates carbamate protected aziridines and azetidines to the halide attack. Additionally, inorganic salts are soluble in liquid  $\text{SO}_2$ .



Our reactions were carried out in three temperature modes. The efficiency of each aziridine or azetidine ring opening reaction was monitored in several solvents in parallel experiments:  $\text{SO}_2(\text{liq.})$ , DMSO, MeCN, TFE. We have used I and II group metal halides as a source of nucleophile.

The obtained results showed that the NRORs of aza-heterocycles in liquid sulfur dioxide occurs noticeably faster and cleaner than in other solvents.