

Riga Technical University  
Faculty of Material Science and Applied Chemistry



# ABSTRACTS

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# Contents

<b>Subsection Chemistry and Chemical Technology</b> .....	8
<i>Karina Cirule, Valdis Kampars</i> Cold Flow Properties of Mixed Diesel Fuels with High Content of Glycerides.....	9
<i>Kristine Lazdovica, Liga Liepina, Valdis Kampars</i> Catalytic Pyrolysis of Wheat Straw with ZSM-5, MCM-41 and CaO.....	10
<i>Kristine Teivena, Valdis Kampars</i> Thermal Reduction of Intercalated Graphite Oxide.....	11
<i>Raimonds Mūrnieks, Lauma Apšeniece, Valdis Kampars, Kristaps Māliņš</i> Rapeseed Oil Hydrodeoxygenation in Cyclohexane.....	12
<i>Zane Šustere, Valdis Kampars, Liene Misiņa</i> The Effect of Alcohol Moiety on Rapeseed Oil Interesterification with Methyl- and Ethyl- Acetates .....	13
<i>Agnija Ieviņa, Valdis Kampars, Māra Legzdiņa</i> Catalytic Wheat Straw Pyrolysis Investigation.....	14
<i>Andrejs Šišūlins, Ērika Bizdēna, Ilze Neibolte, Māris Turks</i> Synthesis and Fluorescent Properties of New N(9) Substituted Push-pull Purines.....	15
<i>Dmitrijs Stepanovs, Māra Jure, Anatoly Mishnev</i> Multicomponent Drug Crystals of Sildenafil: Salicylate and Salicylate Cocrystal with Salicylic Acid Sesquihydrate – Preparation and Crystal Structure .....	16
<i>Kristers Ozols, Dace Cīrule, Ērika Bizdēna, Irina Novosjolova</i> Purine Nucleoside Platform for Azide-Alkyne Cycloaddition Reactions .....	17
<i>Irina Novosjolova, Ērika Bizdēna, Māris Turks</i> 2,6-Diazidopurine Nucleosides as Useful Intermediates for the Synthesis of Novel Triazolyl Purine Analogs .....	18
<i>Dace Cīrule, Kristers Ozols, Ērika Bizdēna, Irina Novosjolova</i> 2,6-Diazidopurine Deoxyribo-nucleoside as Substrate for the Click Reaction.....	19
<i>Gerda Krastina, Irīsa Ravina, Daina Zicane, Zenta Tetere</i> Synthesis of Novel Quinazolinone Derivatives Containing Triazole Cycle .....	20
<i>Jevgeņija Lugiņina, Māris Turks</i> Reactions of Aziridines with Metal Halides in Liquid Sulfur Dioxide.....	21
<i>Sindiņa Zēberga, Inese Mieriņa, Māra Jure, Aigars Pāže, Jānis Rižikovs</i> Antiradical Activity of Birch Outer Bark Extracts.....	22

# Reactions of Aziridines with Metal Halides in Liquid Sulfur Dioxide

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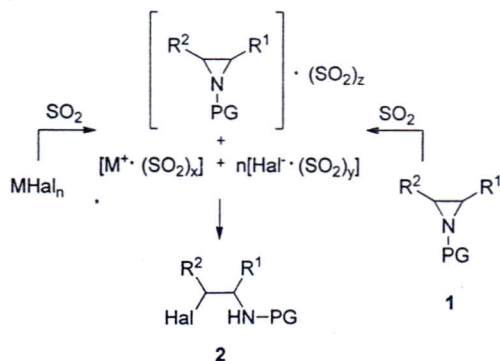
**Keywords** – aziridine, liquid sulfur dioxide, nucleophilic opening reaction.

## I. INTRODUCTION

Aziridine moiety represents an interesting class of three-membered ring systems that are valuable in organic and medicinal chemistry.<sup>1</sup> Because of the ring tension, a common transformation is aziridine ring opening. Literature research showed that there are only few precedents of aziridine ring opening using metal halides.<sup>2</sup> Despite the fact that sulfur dioxide is known as solvent for ionic chemistry, it is not applied as a solvent for aziridine ring opening.

## II. RESULTS AND DISCUSSIONS

Here we present a synthetic process of aziridine opening with metal halides and other nucleophiles using 21ulphur dioxide as a solvent.<sup>3</sup> Reactions were performed in three temperature modes: 1) low temp. (–70 °C to –10 °C); 2) ambient temp. (20 °C) and 3) high temp. (60 °C) and in several solvents in parallel experiments.



**Figure 1.** Reaction of aziridine 1 with metal halides.

The efficiency of each aziridine ring opening reaction was monitored in several solvents in parallel experiments: SO<sub>2</sub>(liq.), DMSO, MeCN, trifluoroethanol. We used I and II group metal halides as a nucleophile source. Results showed that the aziridine ring opening in liquid 21ulphur dioxide occurs noticeably faster and cleaner than in other solvents. Important to note that the reactivity of halides is not the only preference of SO<sub>2</sub> as a solvent. We have found that both the starting material and the reaction products are more stable in liquid sulfur dioxide than in DMSO and MeCN.

For example, reaction of Z-protected leakadine 1 and NaCl takes only 12 h at room temperature in liquid sulfur dioxide to form expected product 2 (X=Cl) in almost quantitative yield.

## III. CONCLUSIONS

In summary, we have discovered an effective synthetic approach for aziridine ring opening with metal halides in liquid sulfur dioxide. The results on the scope and limitations of this method using variously substituted aziridines and various nucleophiles will be discussed.

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