MILD METHODS FOR SYNTHESIS OF 6-AZIDO-2-SULFONYLPURINE DERIVATIVES

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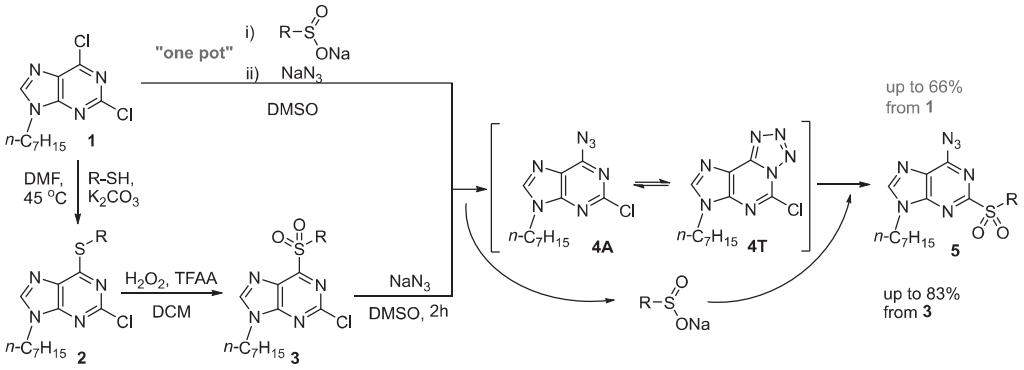
Purine derivatives are widely studied due to their biological properties and application in medicine. Thiopurine derivatives are already being used in treatment of cancer and autoimmune disorders [1].

We have observed a sulfonyl group dance when substrate **3** was treated with NaN_3. The transformation which lead to product **5** can be explained by azido-tetrazolo tautomerism. The latter activates purine cycle towards S_NAr reaction at C2. Reaction conditions were optimized and the best results were achieved using NaN_3 and DMSO at room temperature. Under these conditions sulfonyl group dance both with alkyl and aryl sulfones gave good yields.



R	*Yield,% 3→5*	*Yield,% 1→5*
4-Cl-C_6H_5	83	54
C_6H_5	77	66
c-C_6H_{11}	47	49

Additionally, a simpler synthetic approach for the synthesis of 6-azido-2-sulfonylpurine derivatives **5** was developed. The reaction was carried out using different sodium sulfinate salts and after the maximum conversion to substrate **3** was achieved, NaN_3 was added to the solution giving good yields of target product **5**.

Supervisors: Dr. chem. M. Turks, Dr. chem. I. Novosjolova

This work was supported by the Latvian Council of Science grant No LZP-2018/2-0037

References:

[1] Sahasranaman, S., Howard D., Roy S. *Eur. J. Clin. Pharmacol.* **2008**, *64*, 753–767.