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Preparation of 6-Azido-2-Sulfonylpurine Derivatives via Sulfonyl Group Dance

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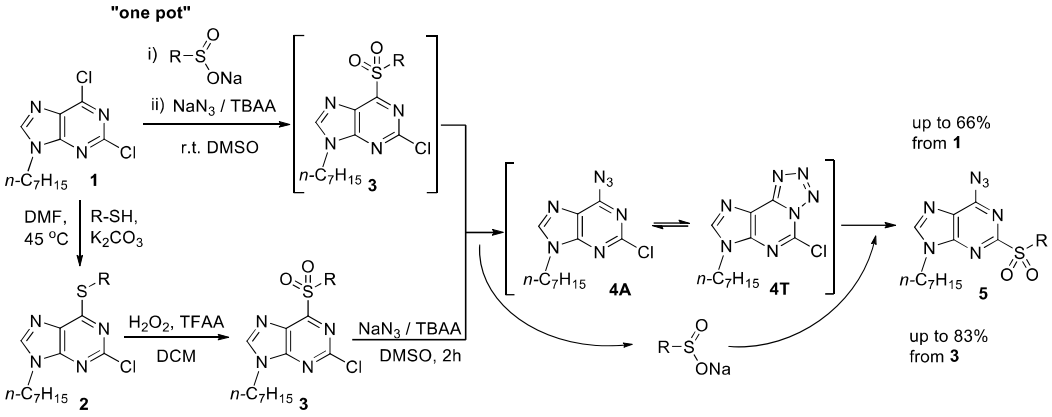
Purine derivatives have been widely studied due to their biological properties and application in medicine. Thiopurine derivatives are already being used in treatment of cancer and autoimmune disorders.[1]
In our group sulfonyl group dance was first observed when substrate **3** was treated with NaN_3. The transformation from **3** to **5** can be explained by azido-tetrazolo tautomerism. The latter activates purine cycle towards S_NAr reaction at otherwise less reactive C2. Sulfonyl group dance could also be observed using other azide sources such as TBAA. Reaction conditions were optimized and the best results were achieved using NaN_3 and DMSO at room temperature. Using optimal conditions sulfonyl group dance both with alkyl and aryl sulfones gave good yields.
A straightforward synthetic approach for the synthesis of 6-azido-2-sulfonylpurine derivatives **5** was developed using "one pot" reaction. In the first step different sodium sulfinate salts were used. After the formation of intermediate **3**, azide was added to the solution giving the target product **5** (**Scheme 1**).



Scheme 1: Synthesis of 6-azido-2-sulfonylpurine derivatives **5**

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References:

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