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The use of sulfonyl group dance in synthesis of 6-azido-2-sulfonyl purine derivatives

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Purine derivatives continue to be widely researched for their application in medicinal chemistry. For example, to treat tuberculosis, cancer and other malignancies. 1,2 Here we report a new approach for synthesis of 6-azido-2-sulfonyl purine derivatives (Scheme 1). The transformation from 2 to 4 can be explained by azido-tetrazolo tautomerism. The latter activates purine cycle towards S_NAr reaction at otherwise less reactive C2. Optimal reaction conditions were found - NaN3, DMSO, room temperature, and reaction scope was investigated using different sulfonyl purine derivatives 2. A straightforward synthetic approach was developed using "one pot" reaction. First, sodium sulfinate salts were used to generate intermediate 2 in situ. After that, azide was added to the solution giving target product 4. Structure of compound 4 was proved by X-ray analysis (Figure 1).

a) R1-SH, K2CO3, DMF, 45 °C; b) TFAA, H2O2, DCM, -5 °C → r.t.; c) NaN3/ TBAA, DMSO, r.t. d) R1-

Scheme 1. Synthetic route to 6-azido-2-sulfonyl purine derivatives 4.

Figure 1. X-ray structure of 6-azido-2tosyl-9-heptyl-9H-purine.

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