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SYNTHESIS OF PHENYLTHIOPURINE DERIVATIVES

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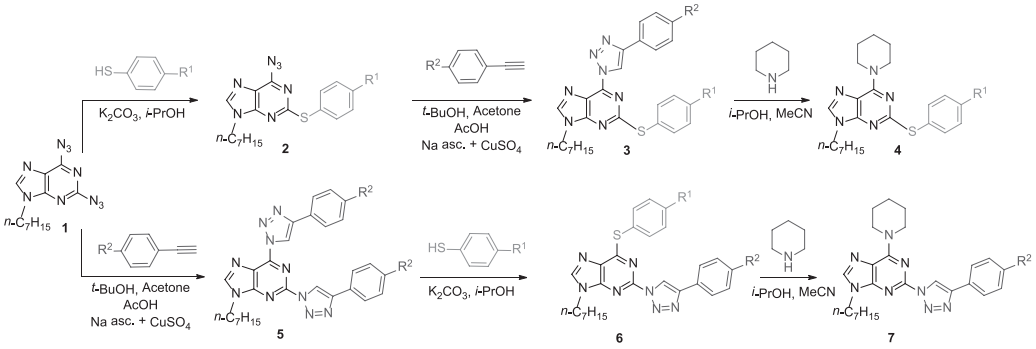
Purine derivatives are widely studied due to their biological activity and extensive potential in medicine. Thiopurine based compounds have already been proven as effective tools in the treatment of cancer and autoimmune disorders [1].

A new synthetic approach for the synthesis of 6-azido-2-arylthiopurine derivatives **2** was developed. The optimized reaction conditions (*i*-PrOH solution) provided 2-arylthioderivatives **2** with good yields up to 74% [2]. Further CuAAC reaction leaded to 6-triazolyl-derivatives **3** with excellent yields up to 98%. Additionally, by rearranging the synthetic approach regioisomers **6** were obtained with yields up to 83%. Products **3** and **6** exhibited different NMR and UV absorbance data. Despite the location of triazolyl- and thiogroups following nucleophilic substitution with piperidine was observed at C6 position of purine (products **4** and **7**).



Product	R^1	R^2	Yield, %
2a–2d	H, Cl, Br, *t*-Bu	–	50–74
3a–3h	H, Cl, Br, *t*-Bu	H, *n*-Pr	69–98
5a–5b	-	H, *n*-Pr	50–60
6a–6h	H, Cl, Br, *t*-Bu	H, *n*-Pr	62–83

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Supervisor: Dr. chem. Ē. Bizdēna

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[2] Zaķis, J., Jeminejs, A., Ozols, K., Bizdēna, Ē., Novosjolova, I., Turks, M. Novel Method for the Synthesis of 6-Azido 2-Sulfonylpurine Derivatives. In: *Balticum Organicum Syntheticum (BOS 2018): Program and Abstracts*, Estonia, Tallinn, 1–4 July, **2018**, pp.144.