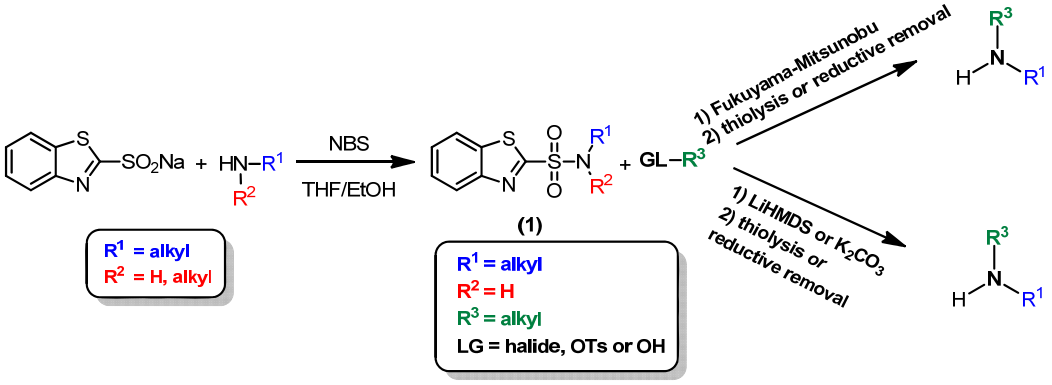
BENZO[d]THIAZOLE-2-SULFONYL GROUP AS A NITROGEN ACTIVATING AND PROTECTING GROUP

František Zálešák [a] and Jiří Pospíšil [a,b,*]

[a] Department of Organic Chemistry, Faculty of Science, Palacky University, tř. 17. Listopadu 1192/12, CZ-771 46Olomouc, The Czech Republic
[b] Laboratory of Growth Regulators, The Czech Academy of Sciences, Institute of Experimental Botany & Palacký University, Šlechtitelů 27, CZ-78371 Olomouc, The Czech Republic
frantisek.zalesak@upol.cz, j.pospisil@upol.cz

Nitrogen-containing natural and unnatural products are essential for life (amino acids) or have tremendous effect on life quality (e.g. paracetamol, opiate-derivatives…). Therefore, the synthetic approaches allowing selective and broadly applicable way to introduce nitrogen atom to organic skeletons are of longstanding interest.

Aim of our project is to develop a novel activating group for nitrogen atom that would increase its reactivity, so it can be used as reagent in alkylation and Fukuyama-Mitsunobu reactions[1]. At the same time, the group should be sufficiently robust to serve as a nitrogen atom protecting group but still easily removable under mild conditions. Based on these criteria and our previous experience with the chemistry of benzothiazoyl sulfones [2], benzothiazoyl sulfone amide (1) was selected as the promising candidate. Our latest achievements in application of this group in context of target-oriented synthesis and synthetic method development will be presented in our poster (Scheme 1).



Scheme 1. Preliminary results of our amino group activating/protecting group

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[1] Fukuyama T.; Jow Ch. K.; Cheung M. Tetrahedron Lett. 1995, 36, 6373.

[2] Bon, D. J.-Y. D; Kováč, O.; Ferugová, V.; Zálešák, F.; Pospíšil, J. J. Org. Chem. 2018, 83, 9, 4990.