SYNTHESIS OF DIVERSE BENZOTHIOPHENES VIA REACTION OF ARYNES WITH ALKYNYL SULFIDES

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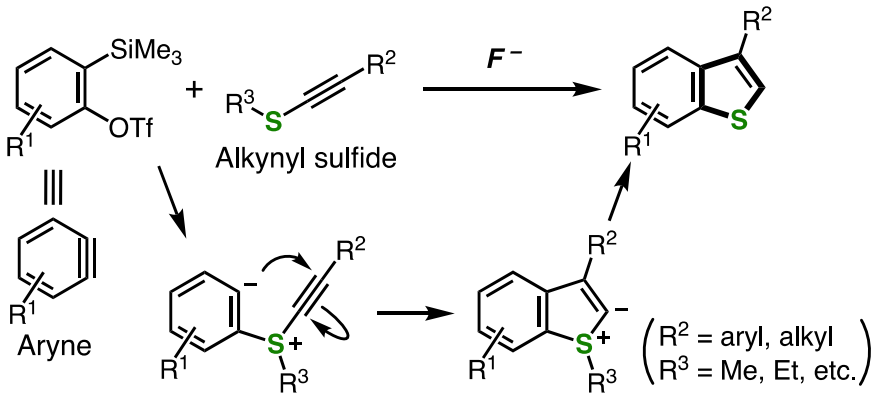
Benzo[*b*]thiophene is one of the structural units frequently found in molecules applied in various research fields including medicinal chemistry and materials science. Various efficient synthetic methods for benzo[*b*]thiophenes have been developed, such as electrophile-mediated cyclization and transition-metal-catalyzed annulation approaches [1]. However, multisubstituted benzo[*b*]thiophenes are not easy to prepare by the conventional methods, and thus, a novel method that expands the scope of available compounds is eagerly anticipated.

With recent advances in synthetic aryne chemistry, various transformations via aryne intermediates using organosulfur compounds as arynophiles have been developed [2]. Herein, we report an efficient synthetic method for a diverse range of benzo[*b*]thiophenes by the reaction between arynes and alkynyl sulfides. This reaction proceeds efficiently via five-membered ring construction by C–S and C–C bond formations, protonation at 2-position, and removal of R^3 group.



[1] a) B. Wu, N. Yoshikai, *Org. Biomol. Chem.* **2016**, *14*, 5402. b) I. Nakamura, T. Sato, Y. Yamamoto, *Angew. Chem., Int. Ed.* **2006**, *45*, 4473.

[2] T. Matsuzawa, S. Yoshida, T. Hosoya, *Tetrahedron Lett.* **2018**, *59*, 4197.