FACILE SYNTHESIS OF DIVERSE BENZOPYRAN DERIVATIVES VIA GOLD-CATALYZED CYCLIZATION AND GENERATION OF ARYNES

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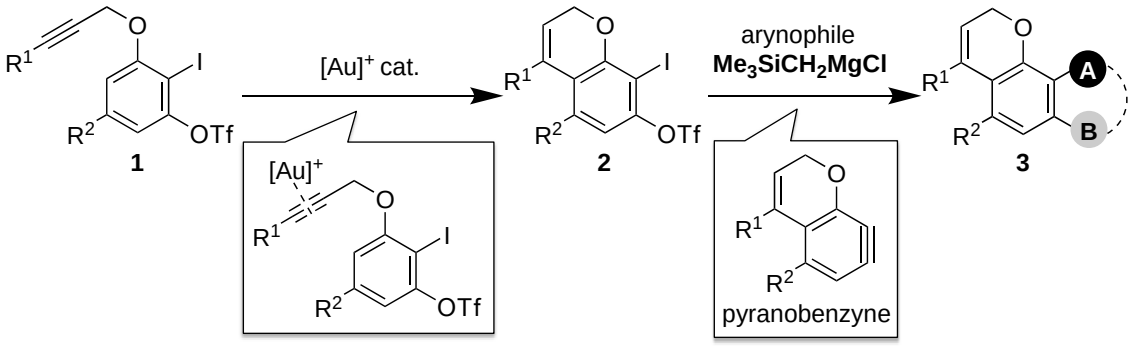
Benzopyran derivatives are heterocyclic compounds that are often contained as a core skeleton in a wide range of molecules, including bioactive natural products and pharmacologically active compounds. Despite the increasing importance of benzopyran derivatives, synthetic methods for multisubstituted benzopyrans are still limited. Recent advances in aryne chemistry have offered an easy access to a wide range of complex aromatic compounds.[1] We recently reported that ring-fused multisubstituted arenes were easily synthesized via heterocyclic-type arynes such as thiazolobenzynes,[2] thienobenzynes,[3] and furanobenzynes.[4]

Herein, we report a facile synthetic method for diverse multisubstituted benzopyran derivatives via pyran-fused benzynes, which were generated from the corresponding benzyne precursors by treatment with a silylmethyl Grignard reagent as a mild activator. Pyranobenzyne precursors 2 were found to be easily prepared by the Au-catalyzed cyclization of 2-iodo-3-(propargyloxy)aryl triflates 1 leaving the iodo and triflyloxy groups untouched.



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[3] Morita, T.; Yoshida, S.; Kondo, M.; Matsushita, T.; Hosoya, T. *Chem. Lett.* **2017**, *46*, 81.

[4] Morita, T.; Nishiyama, Y.; Yoshida, S.; Hosoya, T. *Chem. Lett.* **2017**, *46*, 118.