

## Synthesis of Fused Heterocycles by a Novel 1,2-Silyl Shift – Friedel–Crafts Domino Process

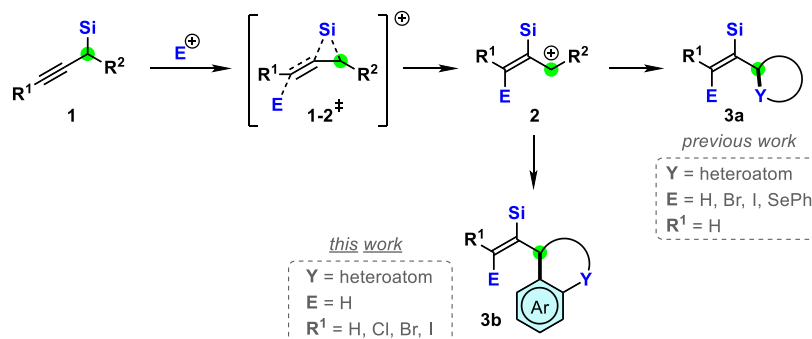
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Herein we report a new synthetic pathway to fused heterocycles such as chromanes and 1,2,3,4-tetrahydroquinolines. The key synthetic step involves tandem 1,2-silyl shift – Friedel–Crafts cyclization (**Scheme 1**). First, propargyl silane **1** undergoes an electrophilic attack, which induces silyl group migration in an *anti*-fashion. This provides a relatively stable allylic cation **2**, which can further react with the internal nucleophile. Previously, our scientific group successfully applied this concept by affording 5-membered heterocycles **3a**.<sup>1</sup> In this work, we expand our method to the synthesis of larger heterocycles **3b**, where aromatic system acts as the inner nucleophile via Brønsted acid catalysis. Additionally, we have optimized the synthesis of functionalized propargyl silanes **1** from commercially available alkynols. This synthesis includes O-silylation, retro-Brook rearrangement<sup>2a</sup> under Schlosser conditions and modified<sup>2b</sup> Mitsunobu reaction with corresponding aryl nucleophiles. In order to increase functionalization of the molecule, terminal alkyne **1** can be easily converted to haloalkyne and employed in same catalytic conditions to yield various fused heterocycles with Z-selective alkene side chain.



Scheme 1. Application of 1,2-Silyl Shift in Heterocyclization Reaction: Proposed Mechanism

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