# Diels-Alder Reactions of Silylbenzynes for Novel Regioselective Preparation of Polysubstituted Aromatics Related to Foods.

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Polysubstituted aromatics exist abundantly in the foods, and some of them have important biological activities and play a vital role of our health (Fig. 1). The elucidation of their functions at the molecular level is very significant from the viewpoint of pharmaceutical and food sciences, for which is needed the development of a novel methodology for the preparation of their derivatives as useful bioprobes and candidates for new medicines.

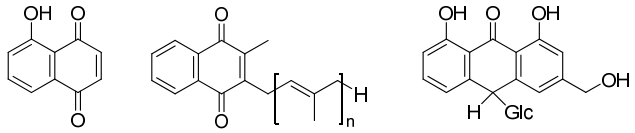
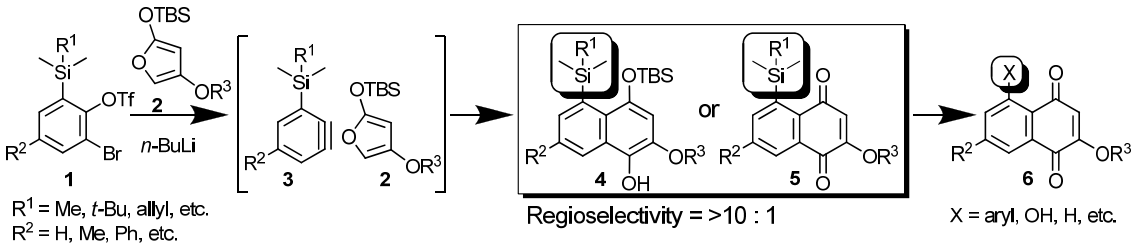



**Figure 1**

I present here a novel regioselective preparation of polysubstituted naphthoquinones via the Diels–Alder reactions of the 3-silylbenzynes **3**. Thus, the reactions of **3**, generated from **1**, and 4-alkoxy-2-(silyloxy)furans **2** provided the naphthols **4** or the naphthoquinones **5** with exclusive regioselectivity. The silyl groups of **4** and **5** were converted into the carbon- and the oxygen-substituents as well as the hydrogen to provide the polysubstituted naphthoquinones **6** (Scheme 1), which have hardly been available by the existing methods.




**Scheme 1**

シリル基置換ベンザインを用いる多置換芳香族化合物の新規合成法を開発した。この方法論により、従来困難であった置換形式の芳香族化合物 (Fig. 1 に示した食品由来天然物の誘導体) の合成が可能となり、薬食生命科学研究における有用な分子の創製に利用できると考えている。