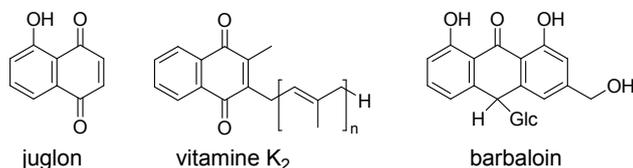


# Novel synthesis of juglon derivatives via regioselective silylbenzyne reaction

Shoichi Takayanagi

*Department of Medicinal Sciences, Graduate School of Pharmaceutical Sciences*

Polysubstituted aromatics exist abundantly in 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. Therefore, the development of a novel methodology for the preparation of their derivatives is needed as they can become useful bioprobes and candidates for new medicines. Juglon is isolated from walnut and artemisia. It inhibits the amyloid- $\beta$ -oligomerization but does not inhibit the fibrillization. Consequently, juglon has a potential relevance to the treatment of Alzheimer's disease.



**Figure 1**

In this project, I have developed 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**, with 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). The amyloid- $\beta$ -oligomerization inhibitory activity of **6** will be evaluated soon.

