ギンコライド類の生物有機化学研究 Bioorganic Studies with the Ginkgolides

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Ginkgo biloba, the last surviving member of trees that appeared over 250 million years ago (Paleozoic) and is thought to have remained unchanged for the last 150 million years has been around since the dinosaurs roamed the earth. The tree is already mentioned in the Chinese Materia Medica 5,000 years ago. In recent years, the leaf extracts have been widely sold as phytomedicine in Europe and as a dietary supplement worldwide. Effects of *Ginkgo biloba* extracts have been postulated to include improvement of memory, increased blood circulation, as well as beneficial effects in Alzheimer's disease. The most unique components are the terpene trilactones (TTLs), i.e. ginkgolides and bilobalide, structurally unique cage molecules carrying the rare *t-Bu* group (only known terrestrial natural products).

Our involvement with the ginkgolides started in 1963 when we continued the project initiated in 1960 by Professor S. Fujise, Tohoku University. The structures were concluded in 1966 after the finding of a series of extraordinary reactions as well as the first encounter with the NMR intramolecular nuclear Overhauser effect (noe), unknown at that time. In 2001 we resumed studies aimed towards clarifying the mode of action of the TTLs on a molecular structural basis.



Our current studies in this direction will be outlined. Namely, extraction and isolation protocols, photoaffinity and fluorescence labeling, structure/activity relation and microphysiometric studies against the platelet activating factor receptor (PAFR), positron emission tomography, interaction with the glycine receptor (GlyR) and preliminary findings with respect to Alzheimer's disease.

Profile: B.Sc.('47), Ph.D. ('54), Asst. Prof. ('55) : Nagoya U. Prof. Tokyo Kyoiku U. ('58), Tohoku U. ('63), Columbia U. ('69), Centennial Prof.('81-). Awards from Bulgaria, China, Czech Republic, Holland, Italy, Japan, Saudi Arabia, Sweden, Switzerland, Taiwan, U.K.,U.S.A.