

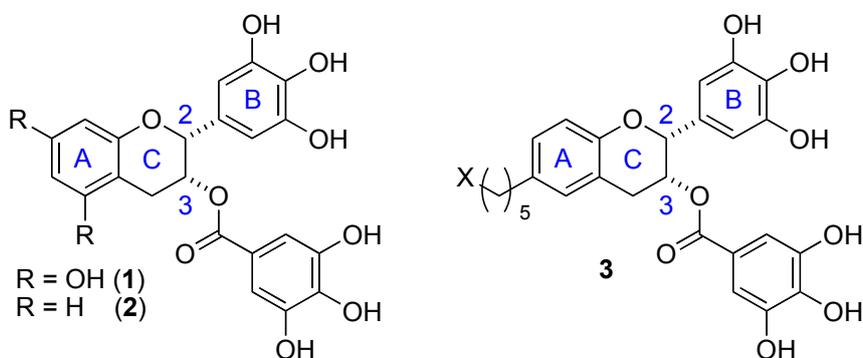
Bioorganic investigation of polyphenols derived from tea

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Polyphenols such as epigallocatechin gallate (EGCG: **1**), are natural products found in green tea and responsible for a variety of important biological activities. Although these are expected as the lead for a medicinal development, there are few biochemical elucidation of catechins in molecular level. We envisioned that an efficient total synthesis would readily enable for providing the valuable probe molecules for mechanistic investigation. To date, the mechanistic analysis has depended on a natural catechin, readily derived from green tea. However, difficulties in both of the selective modification and the instability to oxidation conditions limit their use for a structure-activity relationship study and/or a development for probe molecules. To dissolve these problems, we launched to the synthetic study on EGCG (**1**).

During the course of our synthetic study on EGCG (**1**), we found dideoxy-EGCG (**2**) possessed the same level of biological activity as well as natural product **1**.¹⁾ Since the hydroxy group of the A-ring did not affect the activity, we envisioned the incorporation of probe-units at A-ring incorporation of EGCG would be possible. In this seminar, we would like to talk about our synthetic study of the EGCG probe precursor (**3**) and its biological activities.



- 1) T. Furuta, Y. Hirooka, A. Abe, Y. Sugata, M. Ueda, K. Murakami, T. Suzuki, K. Tanaka and T. Kan, *Bioorg. Med. Chem. Lett.*, 17, 3095-3098 (2007).