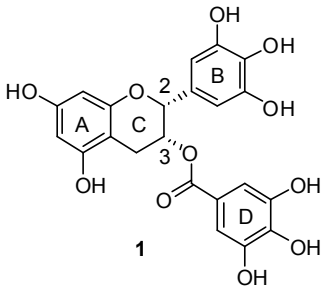
Synthetic Study of (－)-Epigallocatechin Gallate (EGCG)

Yasuo Hirooka

Department of medicinal Sciences, Graduate School of Pharmaceutical Sciences



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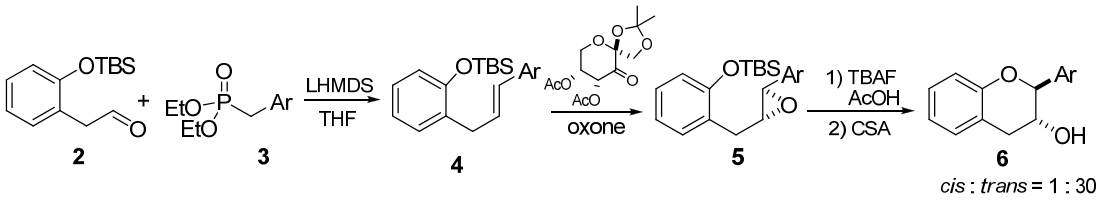
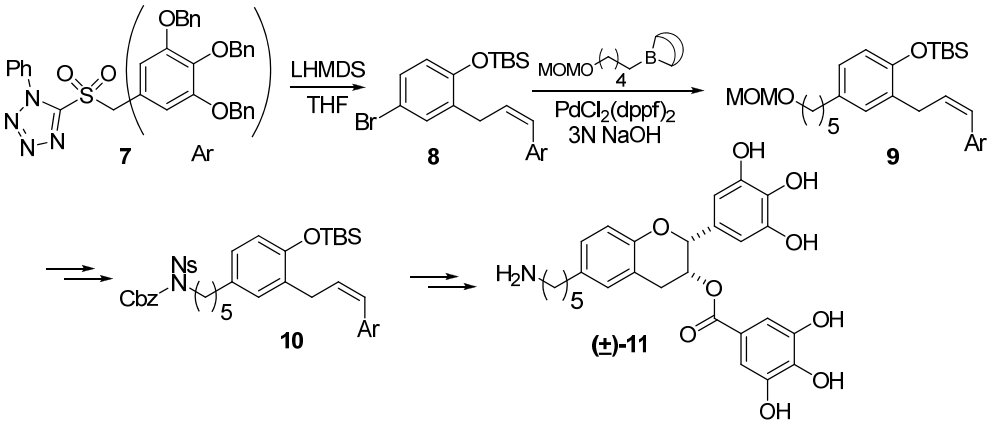
(－)-Epigallalocatechin gallate (EGCG) (**1**), which is a major constituent of green tea extract, has received special attention for its antitumoral, antiviral and other important bioactivities. The structure of EGCG is characterized by the continuous stereogenic centers at 2 and 3 position with *cis*-relationship on C-ring and densely hydroxylated A, B and D rings. These interesting biobioactivity and structure led us to perform efficient synthethesis of EGCG and utilize its derivatives that would be useful for the structure-activity relationship study. Condensation of aldehyde **2** and phosphonate **3** selectively afforded *trans* olefin **4**. After epoxidation with Si's catalyst, upon treatment of *trans* epoxide **5** with CSA afforded 2,3-*trans* catechin derivative **6** predominantly.



2 **3** **4** **5** **6**

On the other hand, the reaction of PT-sulfone **7** selectively afforded *cis* olefin **8**. Next, Suzuki-Miyaura coupling was succeeded to give **9**. After transformation of hydroxyl group to amino group on the side chain, acidic *6-endo* cyclization and deprotection afforded racemic EGCG derivative **11** that possesses aminopentyl side chain.



7 **8** **9** **10** **(±)-11**