

Isolation and Structure-Activity Relationships of Antitumor Substances from Higher Plants

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A lot of anticancerous agents have been isolated from natural sources, especially from microorganisms, plants and marine metabolites. However, there is no special type of compounds for cancer therapy. The development of clinically useful anticancer agents is dependent on screening system, and sample sources for the bioassay. The search for potential anticancer agents from natural sources has mainly been carried out with the guidance of bioassays confirmed by the NCI, because the large number of natural products screened during the NCI program have also been discussed from an overview of the relationship of assessment between experimental analysis and clinical patients for drug development, and the screening protocols for each tumor system have been well established. This screening system has led to the isolation of many antineoplastic compounds from plants, microorganisms and marine metabolites *etc.* We have screened higher plants collected in Japan, China, Korea, Southeast Asia and South America for antineoplastic activity, using Sarcoma 180 ascites in mice, P388 lymphocytic leukemia in mice, Chinese hamster V-79 cells, P388 cells and KB cells, as a primary screening. In this symposium, we will present the isolation, structure determination, and antitumor and cytotoxic activities of cyclic peptides, diterpenes, *etc.* from higher plants selected using the above screening tests. Also, we will introduce their analogues synthesis for the discussion of the structure-activity relationships.