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In order to improve the efficiency and reduce the cost of discovery and development of drugs, various new technologies have been developed and applied. Bioinformatics, cheminformatics, combinatorial chemistry, and chemical biology are technologies employed in this context. After getting active leads and their good chemical analogs, application of *in silico* ADMET prediction and high-throughput screening provide useful information. Development of new drug delivery technologies to precise control of drug disposition in the body is another approach for achieving optimization of drug therapy and various delivery systems are developed especially utilizing nanotechnology. Drug targeting, an approach for spacio-temporal control of drug biodistribution to the specific target site in the body has especially attracted great interest and many carriers have been developed; e.g., liposome, emulsion, polymeric carrier, and polymer micelle. At present, development of drug targeting systems becomes cutting edge assembly sciences in which various elemental technologies and new therapeutic modalities in combination of novel materials such as carbon nanotubes and external energy irradiation, et al. are rationally integrated to achieve the therapeutic goal.

Looking back over the past, various future prediction reports were published on such as (1) application of computing and/or AI technologies to produce human thought and behavior; (2) nano-medicine for targeting of gene medicines utilizing external energy source; (3) new therapeutic modalities with manipulation cell born or death. After ten years, most of them are realized. On the other hand, The Japanese Council of Science published a report on regulatory science focusing on the pharmaceutical sciences. Based on these approaches, medical innovation has been also well arranged.

In this presentation, the history of drug delivery research in the last 40 years would be reviewed and the future prospect of this field will be discussed. Established strategy for rational design of drug-antibody conjugates would be demonstrated as a prototype of the research for development of the drug targeting system. On the other hand, discussion would be further expanded to the trend on the medical innovation and developments of new technologies for visualization of intercellular interaction or control of *in vivo* fate of cells are also demonstrated and the potential of information and communication technology will also be discussed in brief.