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Recent development of organic chemistry in Japan must be eminent. A new drug discovery race based on genomics/proteomics has just started world wide and appears promising in terms of modern organic synthetic chemistry and molecular design based on the remarkable ideas linked to related research fields such as protein chemistry, molecular biology, drug structure-, chemo-, bio-, and pharmacoinformatics, in silico screening study, pharmacogenetics, chemical genetics, etc. In this symposium, useful information on innovative drug creation will be provided. The topics include "Molecular design and synthesis of nucleoside analogs that interfere with gene expression and peptidomimetic inhibitors against the specific protease causing diseases", "Planarity vs. nonplanarity of the specific amide", "Syntheses of polycyclic diterpenes with potent neuroprotective activity and bioactive marine alkaloids bearing the azaspirocyclic ring system", and "Synthesis of A-ring synthon of vitamin D having potential for immunosuppressive activity". A panel discussion will cover innovations in organic synthetic chemistry and medicinal chemistry leading to postgenomics/-proteomics drug discovery.