[S2-4] [4/18/2005(Mon) 11:00-11:30/Gumungo Hall A]

Structural Chemoproteomics for Drug Discovery at the Post-Genomic Era

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At the post-genomic era, many potential drug targets are being identified at a tremendous speed. These targets are now requiring new technologies to speed up the discovery process. Most of drug molecules bind to their target proteins in a three dimensional manner to exert pharmacological effects. Thus, studies to understand structural characteristics of the binding between proteins and chemicals are highly important for the drug discovery. Such studies are conceptually different from the structural proteomics that aims to identify molecular function of proteins through the massive determination of protein structures. Thus, we call it 'structural chemoproteomics'.

Our laboratories have developed several technologies to accelerate drug discovery process on the basis of structural chemoproteomics. They include *SPS*™ technology for the efficient determination of protein structures, *SCP*™ technology for the rapid lead generation and *SDF*™ technology for the productive lead optimization. At the same time, we have applied these technologies for drug discoveries in the areas of diabetes, obesity, cancer and inflammation. Through these efforts, we could determine many 3D structures of target proteins bound with biologically active chemicals and obtain potent compounds in animal tests.

In this presentation, we will discuss technologies of structural chemoproteomics and application of these technologies to the various drug discovery programs.