CS02-2 Understanding the Process of Oral Drug Absorption in vivo

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Rate and amount of gastrointestinal (GI) absorption of orally administered drugs depend on their permeability to the GI membrane as well as the concentration of dissolved drugs in the GI tract. Now, permeability of drugs to the GI membrane can be determined by *in vitro* assay system in which cultured cell monolayers or artificial lipid membrane is utilized. In contrast, drug concentration in the GI tract is affected by various factors including drug solubility, dissolution rate and the volume of GI fluid. Furthermore, to consider the time profile of GI drug concentration, gastric and intestinal transit and the rate of absorption from each region of GI tract should be taken into account. Although *in vitro* dissolution test may imply the profile of GI drug concentration, lack of *in vitro-in vivo* correlation often leads to the misinterpretation of oral drug absorption, especially for poorly soluble drugs. In order to predict the absorption and the blood-concentration time profile of orally administered drugs, therefore, more detail information on the drug disposition in the GI tract *in vivo* should be required. At the lecture, results of following studies will be presented,

1) Direct measurement of GI drug concentration in rats and humans,

2) PET molecular imaging of radiolabeled compounds in GI tract after oral administration to rats and humans.

These studies are expected to give important parameters for model and simulation of oral drug absorption and help to evaluate BA and BE of oral drug products.