Preliminary Study by Positron Emission Tomography with [11C] Telmisartan
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Purpose
Telmisartan, an angiotensin II receptor antagonist used for management of hypertension, is taken up by the liver through the organic anion-transporting polypeptide (OATP) 1B3 transporter. Based on the Rat data, positron emission tomography (PET) imaging with [11C] Telmisartan on human subjects is expected to provide information about the whole body pharmacokinetics of Telmisartan as well as the transport capacity of hepatic OATP1B3. The purpose of this study is to evaluate safety and distribution of [11C] Telmisartan in healthy human subjects.

Methods
Eight healthy male volunteers were enrolled in this study after informed consent was obtained. Dynamic whole-body PET images were acquired at 9 timepoints between 0 to 120 min after intravenous injection of 96-120 MBq of [11C] Telmisartan.

Results and Discussion
No adverse events were observed. A total of 55.7% of the injected activity accumulated in the liver, a significant fraction of which was excreted bile. Based on MIRD technique, the effective dose of [11C] telmisartan was estimated to be 429 μSv/MBq in average. First human PET images with [11C] telmisartan were acquired without any adverse events. More than 50% of the tracer accumulated in the liver, where it was metabolized and excreted.

USE OF POSITRON EMISSION TOMOGRAPHY FOR THE ANALYSIS OF GASTROINTESTINAL DRUG ABSORPTION IN HUMAN
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Purpose
Positron emission tomography (PET) is being widely used in the pharmaceutical industries and provides unbiased in vivo measurement of radiotracer distribution at very high sensitivity. We previously reported the utility of PET for the analysis of drug absorption in gastrointestinal (GI) tract using rats. In the present study, PET imaging technique was applied to investigate oral absorption processes in the GI tract on healthy human subjects.

Methods
A quantitative PET study with 2[18F]fluoro-2-deoxy-D-glucose ([18F]FDG) was done for healthy male volunteers. After oral administration of [18F]FDG, PET scan in abdominal region and whole body were performed as well as continuous blood sampling, and then the disposition of radioactivity in each part of GI tract was evaluated. [Results and Discussion] PET study showed that the radioactivity was rapidly passed through the stomach, periodically migrated along to the intestinal tract, and then gradually disappeared from the GI tract on healthy male subjects following oral administration of [18F]FDG, and also indicated that PET image analysis enables the quantitative assessment of drug disposition in human GI tract after oral administration. [Conclusions] We demonstrated the high potential of PET imaging technique to elucidate drug absorption process in the human GI tract.