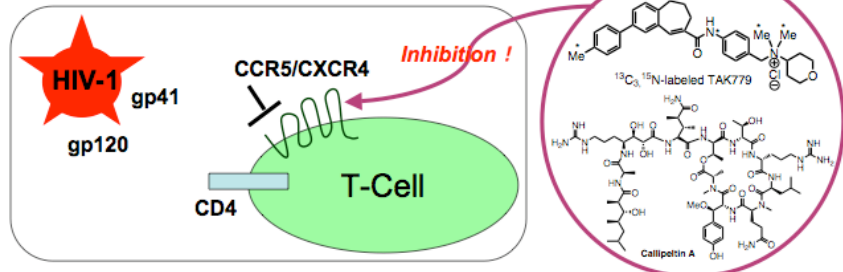


Drug Discovery for Membrane Proteins and Proteases

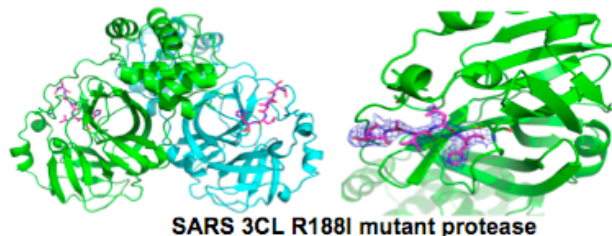
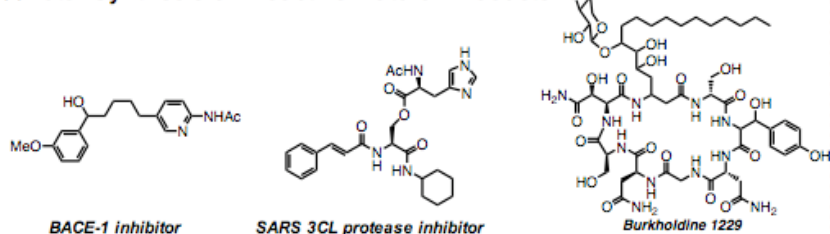
Associate Professor **Hiroyuki Konno**

Illustration

Drug discovery against chemokine receptor CCR5



- ★ Structure activity relationship study for BACE-1 and cathepsin B
- ★ Synthesis of non-peptidyl inhibitors for SARS 3CL protease
- ★ Total Synthesis of Bioactive Natural Products



Organic synthesis (solution and solid phase), chemical library
Stable isotope labeling, protein-inhibitor interactions

Content:

Peptidyl natural products containing unusual amino acids are important source for drugs, pseudo-peptides and functional molecules. These unique structures are also attractive in the synthetic viewpoint. Our group have been studied on drug discovery for membrane proteins and aspartyl and cysteine proteases.

A. Drug discovery against chemokine receptor CCR5 using ^{13}C and ^{15}N -labeled, fluorescent TAK779 for the analysis of protein-inhibitor interactions.

B. Structure activity relationship study of the inhibitors for aspartyl protease β -site amyloid precursor protein cleaving enzyme 1 (BACE-1) and cysteine protease cathepsin B, cleaving enzymes of amyloid precursor protein (APP) to give amyloid β .

C. Synthesis and evaluation of non-peptidyl inhibitors for severe acute respiratory syndrome (SARS) 3CL protease.

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