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Keynote 7: Four-dimensional structural analysis of membrane proteins and its application to drug discovery

Thursday, May 18, 2023 8:45 AM (45 minutes)

We are studying drug discovery targeting membrane proteins. In particular, GPCRs are our main targets, and we are investigating the complex structures of receptors and compounds to elucidate action mechanisms of these compounds and lead to novel drug discovery.

In the first part of my talk, we will discuss the X-ray structure of the complex of orexin 2 receptor and a dual orexin receptor antagonist lemborexant. We will discuss how both high affinity and fast koff are achieved for the compound.

In the second part of this talk, we will discuss recent progress in our time-resolved crystallography at SACLA. Dynamic structures of drug targets have the potential to facilitate new drug discovery that is difficult to achieve by studying static 3D structures. In this talk, we will focus on the dynamic structures of microbial rhodopsins, which are related to GPCRs. We would like to present dynamic structures of a chloride-pump rhodopsin and our recent instrumental developments at SACLA.

Select Topic 1

Select Topic 2

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Session Classification: Drug Discovery