RIKEN seminar

Rational design of chemical probes for the validation of new drug targets

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The development of highly selective inhibitors, also called chemical probes, is largely facilitated by large scale structural biology efforts on focussed on protein families. In my group we have focussed on mainly two target families:

Bromodomains (BRDs), evolutionary conserved protein interaction modules that specifically recognize ε-N-lysine acetylation motifs, a key event in the reading process of epigenetic marks as well as protein kinases, a large family that has been extensively explored for the development of drugs.

For the bromodomain family we have developed now a comprehensive set of chemical probes spanning all families of this protein family. For **protein kinases** we are particularly interested developing allosteric inhibitors. I will present examples for the structure based design of chemical probes for both protein families and will demonstrate how the now available inhibitors led to the development of new drug candidates and the validation of novel targets.

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