Drug-target residence time : a case for the adenosine A1 and A2A receptors

Guo, D.

Ligand-receptor binding kinetics is increasingly recognized to play a pivotal role in the early phase of drug design and discovery.

In this thesis ligand-receptor binding kinetics, particularly residence time, at the adenosine A_1 and A_{2A} receptors was extensively investigated.

Several case studies at these two prototypical GPCRs demonstrate that binding kinetics as an emerging paradigm can provide additional information of drug-target interactions at the molecular level.

This thesis will contribute to stipulating the importance of kinetics-based drug design and discovery in the future.

Dong Guo, 2014
Leiden

Dong Guo was born on May 8th, 1984 in Xuzhou, China. From Janunary 2010 to Janunary 2014, Dong did his doctorate project on "Drug-target residence time—a case for the adenosine A_1 and A_{2A} receptors" under the supervision of Prof. Ad IJzerman and Dr. Laura Heitman at the Division of Medicinal Chemistry, Leiden University (The Netherlands). His areas of interest included the set-up of kinetic assays and investigation of ligand-receptor binding kinetics at the adenosine A_1 and A_{2A} receptors.

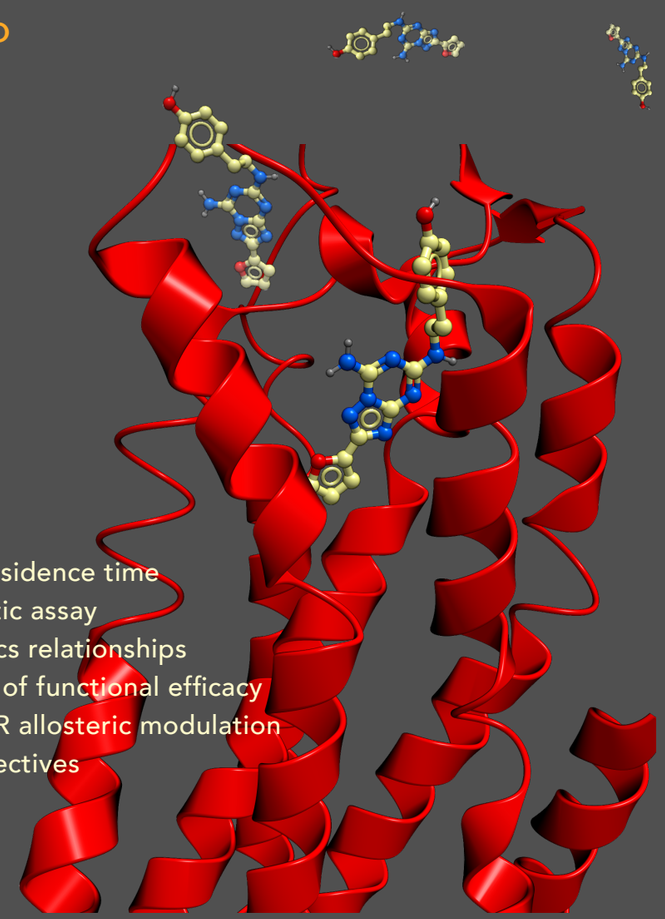
In January 2014 Dong joined the K4DD consortium (Kinetics for drug discovery), a consortium financially supported by Europe's Innovative Medicines Initiative (IMI) program and major Pharma companies, at the same group as a postdoctoral scientist. His current research area involves the application of mathematical modeling for molecular understanding of ligand-receptor binding kinetics.

Drug-Target Residence Time: A Case for the Adensoine A_1 and A_{2A} receptors **Dong Guo** 2014

Drug-Target Residence Time

A case for the adenosine A_1 and A_{2A} receptors

Dong Guo



Ligand-GPCR residence time
Dual-point kinetic assay
Structure-kinetics relationships
Molecular basis of functional efficacy
Kinetics in GPCR allosteric modulation
Research perspectives