Séminaire ibs

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Conférencier invité

Vendredi 09 Mars 2012

A 11h - Salle des séminaires de l'IBS

Par Gregg Siegal

Leiden University, Netherlands

Gorlaeus Laboratory

NMR Methods for Discovering and Characterizing Small Molecules Binding to Proteins

As Fragment-Based Drug Discovery has matured and been integrated into the pipelines of nearly every major pharmaceutical company, it has been applied to an ever greater array of targets. Being held under the microscope has exposed some of the weaker points in the process. Two particular areas standout: application of ligand discovery to membrane proteins and the lack of structural information when crystallography is not successful. We have developed a technology called Target Immobilized NMR Screening that enables efficient, screening of a range of targets including multi-protein complexes and membrane protein such as GPCRs. I will discuss the application of TINS to GPCRs and in particular, how it has enabled the discovery of novel allosteric modulators of the Adenosine 2a Receptor. In order to address limitations of X-ray crystallography for fragments, we have been developing NMR-based approaches to rapidly obtain structural information even for relatively large proteins. I will also discuss recent work in which we have determined the structures of protein-ligand complexes using only NMR data in just two weeks.

Hôte : Corinne Vivès (IBS/M&P)