Application Note 3

Drug-Receptor Interactions

Introduction

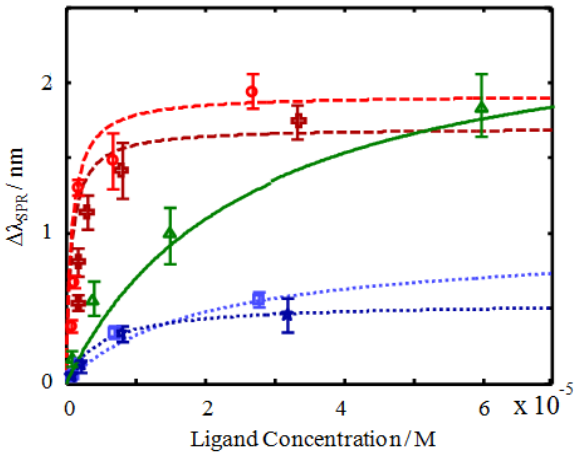
Small therapeutic drugs (500 – 1000 Da) are compounds that may help regulate biological processes. Most therapeutic drugs fit within this category. Characterizing small therapeutic drug's interactions with their receptor is challenging because labels can interfere with the interaction whereas label-free techniques are challenged by the small molecular weight. Nonetheless, label-free characterization is key in drug screening and determining drug selectivity. Although potentially challenging, Surface Plasmon Resonance (SPR) can be employed to monitor drug-receptor interactions in real time.

Affinité P4SPR

Affinité's P4SPR has a unique approach to identifying binding partners on small therapeutic drugs and determining binding affinities and binding kinetics. The simplicity of protein immobilization and ease of use of the instrument make this method intuitive for anyone (undergraduate to astronauts; senior chemists to weekend scientists) within a few minutes of training.

Affinité's technology has been validated for screening of small therapeutic drugs. In this screening protocol, the His-tagged extracellular domain of CD36 was expressed and immobilized on the PR chip with Affinité's metal-NTA surface chemistry. After blocking the surface, the small molecules were titrated to determine KD of drug-receptors in a pre-clinical trial setting

Cluster of Differentiation 36 / ligands



Dose-response curves for different small molecule ligands for CD36. Data points were fitted to a Langmuir isotherm to determine the KD of the small molecule ligands for the receptor.

Key experimental steps

Affinité's peptide SPR chip

Affinité's NTA-peptide SPR chip

Immobilization of his-tag CD36

PBS 1 X pH 7.4 buffer

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