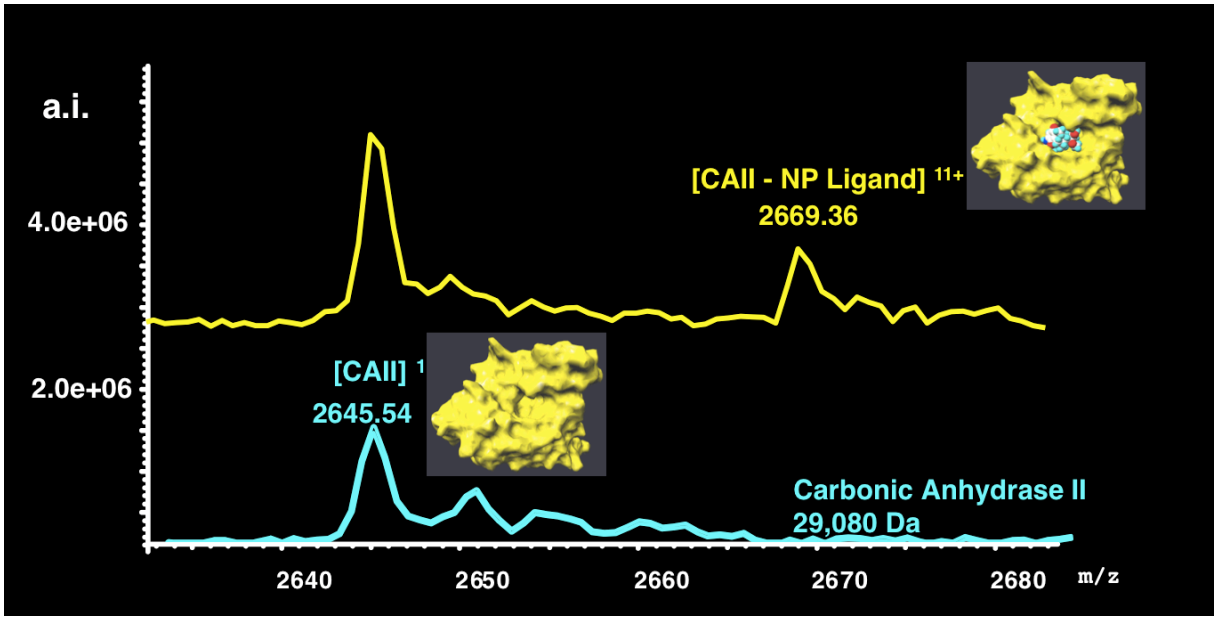
Direct Mass Spectroscopy Screening of Proteins



Screen drug target proteins *without* a functional assay

The Human Genome Project has resulted in the identification of many thousands of new potential drug targets. many thousands of compounds identified. Following on from this, large-scale structural genomics efforts such as the Protein Structure Initiative (www.structuralgenomics.org/) are routinely identifying proteins of interest from genomes including human, *Plasmodium*, HIV and others. As a result of these efforts, thousands of proteins are expressed, but only a fraction are amenable to structural elucidation by X-Ray crystallography. Thus many thousands of novel and potentially druggable protein targets remain in storage and not under investigation owing to a lack of an associated crystal structure.

Griffith University's Eskitis Institute provides a mass spectrometry based medium-throughput screen to identify binding compounds that can provide drug leads as well as a potential pathway to crystallisation.

The Technology

Eskitis offers screening of proteins against compound libraries to identify binding small molecule ligands using Fourier Transform Mass Spectrometry (FTMS). The institute can assess if the technique can observe a client's protein within 3 hours of instrument time - the fastest available assay setup (success rate currently >80%). The protein then is analysed in

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the presence of small molecule compounds. The spectrometer identifies changes in the protein's molecular weight due to formation of complexes.

Compound libraries may include third-party libraries or elements of Nature Bank, Eskitis' proprietary source of chemical diversity (www.nature-bank.com.au). In addition to providing potential drug starting points, we offer the opportunity for co-crystallisation of proteins to enhance the success rate of obtaining a protein X-Ray crystal structure.

Eskitis mass spectrometry facilities include Bruker 4.7 and 12.0 Tesla Fourier transform ion cyclotron resonance mass spectrometers (FTMS) for high-resolution protein analysis, including the only 12.0 Tesla instrument in Australia. This allows the institute to observe proteins with a molecular weight of well over 50,000 Daltons.

This technology has led to international collaborations from Europe and North America. The Bill & Melinda Gates Foundation is funding the Eskitis Institute to examine malaria proteins against extracts from *Nature Bank*.

The Team

Professor Ronald J Quinn AM leads the research team at Griffith University's Eskitis Institute. As the Foundation Director of the Institute, he built and led an AUD100 million natural product drug discovery partnership with AstraZeneca AB.

Intellectual Property

Eskitis researchers have published this FTMS technique in 2 seminal papers (see below) and have unique in-house know-how as pioneers of this technique.

- Vu, H. et al (2008). Journal of Biomolecular Screening **13(4)**, 265–275.
- Maresca, A. et al (2009). Journal of the American Chemical Society **131(8)**, 3057–3062.

The Offer

We seek partners with proteins of interest that have no associated X-Ray crystal structure. We offer either fee-for-service or risk-sharing collaborations that may include access to Eskitis in-house compound libraries. Deliverables are novel compounds binding to your target protein, which may be used as drug leads, starting points for drug design, or as a tool for co-crystallisation experiments to help determine the protein's crystal structure.

Point of Contact

Interested parties are encouraged to contact Dr Stuart Newman, Strategic Development Manager, Griffith Enterprise:

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