WORKSHOP PREVIEW

BIOCHEMICAL ASSAYS FOR SCREENING

24-26 NOVEMBER 2015 - HAMBURG, GERMANY
Course overview

Recent years have witnessed an expansion in the disciplines encompassing drug discovery outside the pharmaceutical industry. This is most notable with a significant number of Universities worldwide now that host infrastructure such as compound libraries and automated screening centres [1-3]. An archetypal small molecule drug discovery project will aim to identify chemical starting points that modify the functions of genes, cells, or biochemical pathways. In some but not all instances, these functions may be linked to disease processes, and an opportunity will exist to further develop the chemical starting points into novel therapeutic agents. In small molecule drug discovery, the ultimate aim is to identify new therapeutics, an activity that for reasons of high risk and cost has historically been conducted within the commercial sectors [4].

The first practical steps in drug discovery include the selection of a target (followed by its cloning, expression and purification), development of an assay to monitor the activity of the target, and the synthesis and management of molecular libraries. The second practical steps include the use of the above in screening campaigns to identify Primary Hits, followed with their Validation. In the context of drug discovery projects that make use of biochemical assays with purified targets, the activities of selected Primary Hits would typically be further evaluated in biophysical assays such as surface plasmon resonance and isothermal titration calorimetry. This effort would be expected to lead to the identification of validated Hits with some of these selected for optimisation using multiple criteria including structure activity relationships, selectivity, physicochemical properties and liability [5,6].

For more information about the workshop, contact Nic Losardo:

(+44) 1959 563 311 ● nlosardo@russellpublishing.com
The practical aspects of this workshop will examine microtitre plate biochemical assays for kinase, histone deacetylase and protease enzymes.

The *biochemical assays for screening workshop* will be held on 24-26 November 2015 at the Fraunhofer-IME SP facility in Hamburg and will be part lecture based with a significant practical component.

**Attendee profile**

The *biochemical assays for screening workshop* is designed for scientists at all levels (undergraduates, postgraduates and laboratory based scientists within academic and industrial research organisations) engaged in early stage drug discovery and have an interest in the development, validation and utilisation of cell-based assays for screening against small molecule libraries. The *biochemical assays for screening workshop* is equally well suited to technically focused staff from core facilities or contract research organisations who may wish to extend their expertise. The evening dinner on the first day will offer the opportunity for the participants to network and establish relationships that would be mutually beneficial.

**Learning objectives**

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The main learning objectives of the biochemical assays for screening workshop will be to examine by way of practical sessions and lectures, the design and application of biochemical assays for small molecule screening campaigns in drug discovery. All participants will take part in the practical sessions and these will involve the development of screening compatible biochemical assays, Primary screening using a small molecule library, and Profiling of compounds in dose-response experiments. Participants in this workshop will discuss and demonstrate practically: (1) the appropriate steps in selecting suitable assays in light of the fact that a multitude of assay technologies are currently available for a given target; (2) how to select an appropriate technology; which criteria should be examined during the early stage drug discovery process; (3) whether a generic, flexible set of assay methodologies or customised solutions should be applied to the targets being investigated; (4) annotation of Hits using cell health assays (e.g. cell viability, proliferation, apoptosis, mitochondrial toxicity).

Workshop topics

1. Literature Review: Assays used in drug discovery — past, present and future.

2. Lecture: Introduction to drug discovery and the design and development of assays for drug discovery purposes - what can be achieved and learnings from past successes and failures, screening jargon and terms.

The learning objectives from this lecture will be:

• Appreciate that a wide range of assays are available for use in pre-clinical drug discovery.

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• Gain an understanding of how to develop appropriate assays for use in pre-clinical drug discovery.

• Appreciate the limitation of the currently available assays that are used in pre-clinical drug discovery.

• Gain an understanding of appropriate data processing required to identify Hits from small molecule screening campaigns.

• Gain an understanding of the processes involved in validated the Hits identify Hits from small molecule screening campaigns.

• Gain an understanding of the commonly used terms in pre-clinical drug discovery. Appreciate that these terms are used in a variety of contexts within different organisations (e.g. the pharmaceutical industry, biotech and academia).

• Gain an understanding of how to prepare documents and presentations that refer to assay and compound data in universally recognised formats.

3. Case study: Biochemical assay development and screening.

4. Practical: General concepts for biochemical assays exemplified using kinase, histone deacetylase and protease enzymes; IC50 determination for inhibitor, signal stability, choice of liquid handling and Z’ calculation.

5. Lecture: Data analysis and reduction - going beyond the Z’. Discuss methods to analysing in-vitro biological assays data including false positive/negative rates, dose response curve fitting and correlations.

The learning objectives from this lecture/practical will be:

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• Gain an understanding that the efficient processing of screening data requires suitable software.
• Appreciate the need to assess both raw and processed screening data.
• Gain an understanding of the underlying equations used to normalise data and fit dose-response curves.
• Gain an understanding of the processes that should be followed in order to identify false positives/negatives in screening.

The learning objectives from this lecture will be:
• Gaining an understanding of the tools available to process raw data.
• Visualise raw and processed data using industry standard software.

7. Practical: Screening of biochemical assays against a small molecule library (proof-of-concept screen).

8. Lecture: Reagent characterisation and selection of assays which will ensure translation of Hits between formats.
The learning objectives from this lecture will be:
• Gain an understanding of the advantages and disadvantages of using biochemical assays for screening.
• Appreciate that additional biochemical assays and/or cell based assays are required to progress compounds for drug discovery purposes.

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9. Lecture: Screening using High Content Screening assays.

The learning objectives from this lecture will be:

• Gain an understanding of how to use software for analysing images from High Content Screening assays.
• Appreciate that image analysis is complex and requires specialist training.
• Gain an understanding that an integrated multi-disciplinary team is required to progress compounds in pre-clinical drug discovery.

10. Lecture: Integrating your research program, design of project critical paths which integrate in-vitro, in-vivo and in-silico elements.

The learning objectives from this lecture will be:

• Gain an understanding of how to construct critical pathways for pre-clinical drug discovery projects.
• Appreciate that a variety of tools are required to ensure the progression of the outputs of screening.
• Gain an understanding that an integrated multi-disciplinary team is required to progress compounds in pre-clinical drug discovery.

Expected outcomes from the workshop

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It is envisaged that upon completion of the *biochemical assays for screening workshop*, attendees will have gained an insight into the key parameters to be considered when developing biochemical assays and performing small molecule screening campaigns, associated data analysis, validation of Hits and their annotation using a variety of cell health/toxicity/liability assays.

**Continuing Professional Development (CPD)**

Approved by the Society of Biology for purposes of Continuing Professional Development (CPD), the *biochemical assays for screening workshop* may be counted as 72 CPD credits.

![Society of Biology](image)

Please note that these credits are only valid if attendees are registered on the Society of Biology CPD Scheme.

**References**


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**Workshop instructor**

**Dr Sheraz Gul**

Sheraz Gul is Head of Biology at Fraunhofer-IME SP, Hamburg, Germany where he manages the assay development and screening of academic targets. He has worked in Big Pharma and academia and has experience in developing biochemical and cellular assays for High Throughput Screening and his research interests are directed towards maximising the impact of HTS for drug discovery. He is responsible for all scientific aspects of the workshop.

E-mail: Sheraz.Gul@ime.fraunhofer.de

**Agenda**

<table>
<thead>
<tr>
<th>Time</th>
<th>Session</th>
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<tr>
<td>9:00-9:30</td>
<td>Introductions.</td>
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<tr>
<td>9:30-10:00</td>
<td>Literature Review: Assays used in drug discovery — past, present and future.</td>
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<tr>
<td>10.00-10:30</td>
<td>Lecture: Introduction to drug discovery and the design and development of cell-based assays for drug discovery purposes - what can be achieved and learnings from past successes and failures, screening jargon and terms.</td>
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<tr>
<td>10:30-10:45</td>
<td>Break.</td>
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<tr>
<td>10.45-11.15</td>
<td>Case study: Biochemical assay development and screening.</td>
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11:15-11:30 Talk from Vendor.

11:30-12:30 Overview of practical work for Day 1 and creation of groups for practical work: General concepts for biochemical assays exemplified using kinase, histone deacetylase and protease enzymes; IC50 determination for inhibitor, signal stability, choice of liquid handling and Z’ calculation.

12:30-13:30 Lunch.

13:30-18:00 Experimental work.

18:30-21:00 Networking dinner.

Day 2

9:00-9:30 Discuss results from Day 1.

9:30-10:00 Lecture: Data analysis and reduction - going beyond the Z’. Discuss methods to analysing in-vitro biological assays data including false positive/negative rates, dose-response curve fitting and correlations.

10:00-10:30 Lecture: The role of cell-based assays to complement biochemical assays.

10:30-10:45 Break.

10:45-11:15 Overview of practical work for Day 2: Screening of biochemical assays against a small molecule library (proof-of-concept screen).

11:15-11:30 Talk from Vendor.

11:30-12:30 Experimental work.

12:30-13:30 Lunch.

13:30-16:00 Experimental work.

Day 3

9:00-10:00 Discuss results from Day 2.

10:00-10:30 Lecture: Reagent characterisation and selection of assays which will ensure translation of Hits between formats.

10:30-11:00 Lecture: Screening using High Content Screening assays.

11:00-11:15 Talk from Vendor.

11:15-11:30 Break.

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<td>12:00-13:00</td>
<td>Lunch.</td>
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<tr>
<td>13:00-15:00</td>
<td>Each team to compare results and identify learnings from practical course, presentations from each team and wrap up.</td>
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