

Lead Discovery Service



RapidFire® Technology enables label-free screening of biochemical assays using mass spectrometry

Increase throughput by orders of magnitude

RapidFire® provides a mass spectrometry based, native detection technology for high-throughput screening (HTS) of biochemical assays. Direct quantitative interrogation of a wide range of analytes, including lipids, steroids, prostaglandins and others, enables the high-throughput screening of many intractable targets across diverse therapeutic areas.

RapidFire technology provides researchers with a significant competitive edge by decreasing the time required for HTS assay development and lead compound identification. Each sample is processed in just six to eight seconds, yielding much higher throughput than traditional LC-MS methods, resulting in shorter turnaround time for data.

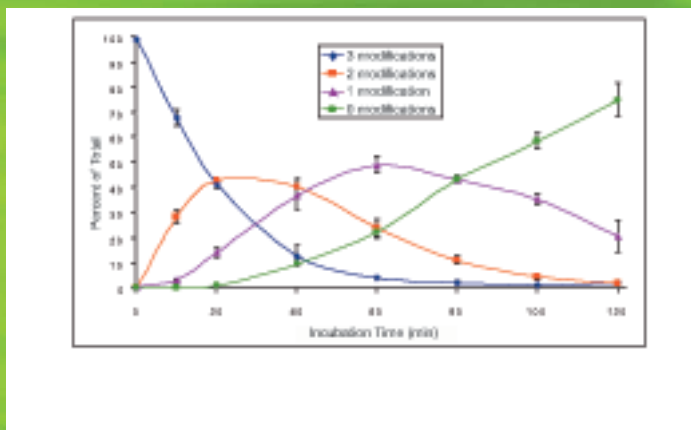
Achieve accurate HTS results

The experienced BIOCIUS scientists have taken numerous targets from assay development through lead discovery and have screened tens of millions of test compounds from chemical libraries for clients in the pharmaceutical and biotechnology industries. RapidFire data is delivered in a format that is easy to interpret and analyze within existing laboratory workflows. Short turn-around times enable quick decisions on potential lead compounds.

- **Native substrates screened** - Obviates radioactivity, surrogate substrates or antibody-based techniques
- **Intractable targets tested** - Analytes include lipids, fatty acids, steroids and other targets difficult to investigate using conventional techniques
- **High-throughput** - Permits sample analysis in as little as six seconds per sample
- **Accurate percent conversion** - Direct quantification of substrate and product(s)
- **Speed** - Drastically shortens time to lead compound identification

Applications

- Enzymatic and Kinetic Parameter Determination — K_m , linear kinetic ranges.
- HTS Assay Development—Z' scores, reagent and assay stability, rank order test compounds.
- Primary Screening—Screen test compounds as singletons or in compressed format.
- Secondary Screening & SAR—Retesting, IC_{50} determination.
- Hit-to-Lead Characterization— K_i values, mechanisms of inhibition.



Enzyme-driven successive removal of modifications from a peptide substrate analyzed by RF-MS. Triple modified substrate and three possible products are quantified simultaneously.

Example Enzyme Targets Screened with RapidFire

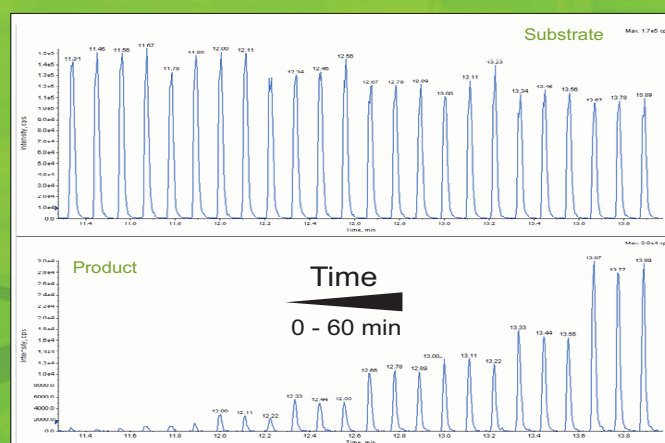
- Oncology
 - Protein kinases: ATK1/PKB α , Lck Kinase
 - Protein hydroxylase factor inhibiting HIF (FIH)
- Epigenetics
 - Protein deacetylases: SIRT1, HDACs
 - Protein and DNA demethylases (LSD1)
- Metabolic Disorders
 - Diacylglycerol acyltransferase (DGAT)
 - Stearoyl-CoA Desaturase (SCD)
- Cardiovascular Disease
 - Phosphatidylethanolamine N-methyltransferase (PEMT)
- Anti-Infectives
 - UDP-3-O (R-3-hydroxymyristoyl)-deacetylase (LpxC)
- Neurology
 - Fatty acid amide hydrolase (FAAH)
 - Phosphatidylserine decarboxylase (PISD)
 - Acetylcholinesterase (ACE)
- Inflammation
 - Prostaglandin-e synthase (PGES/PGDS)

RapidFire®

PRODUCT PROFILE

Implementation

BIOCIUS offers assay development and screening services. After a target is selected, BIOCIUS's experienced scientists develop and optimize proprietary RapidFire screening methods. These assays may then be used in primary screens, follow-up retests of hits and IC_{50} determinations. Technology transfer agreements are an option for clients who prefer to own their own systems.



Demonstration of linear kinetics of product formation over 60 minutes for a biochemical assay. The 24 data point experiment (8 timepoints, n=3) was analyzed in under 2.5 minutes using RF-MS.

By facilitating the screening of intractable targets, RapidFire Lead Discovery provides collaborators with an important competitive advantage in the search for new lead candidates. RapidFire produces accurate, quantitative data derived from biochemical reactions involving native molecules. The technology thus enables quick, well-informed decision making, eliminates guesswork from HTS campaigns and provides a reliable foundation on which the drug development process can proceed.

BIOCIUS
LIFESCIENCES

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For information on the RapidFire Lead Discovery Service, please contact Sales@BIOCIUS.com