From hits to leads: automating lead optimization.





Enhancing drug discovery with structure-activity relationship (SAR) testing

Streamline your research, accelerate discovery

It is fundamental during drug discovery to understand SAR early in the process to improve biological properties of new compounds.

Refining lead compounds into promising candidates

What researchers aim for during lead optimization:

- Increase potency: research to make a drug more effective at its target.
- **Improve selectivity:** test the leads to act on the intended target and avoids unwanted side effects.
- Test the ADMET properties: absorption, distribution, metabolism, excretion, and toxicity.

SAR assays: guiding the way

Identifying key functional groups: Parts of the molecule fundamental for its activity.

Structural change models: Develop models on how to optimize the compound's structure for improved drug's properties. Iterative process: Lead optimization and SAR assays are like a back-and-forth conversation.

SAR assay development overview

Workflow: SAR analysis in drug discovery involves a systematic process that integrates chemical synthesis, biological testing, and computational modeling to identify and optimize potential drug candidates based on their molecular structures and biological activities. SAR testing usually requires to test a large amount of structurally similar compounds.

SAR manual process challenges

- **Reproducibility and accuracy**: Manual experiments can suffer from variability and lack of reproducibility, affecting the reliability of the SAR models.
- Speed and throughput: Manual processing is slow, the speed at which new compounds are synthesized and tested can be a bottleneck in drug discovery.
- **Resource efficiency**: SAR manual processes are resource-intensive, requiring significant amounts of reagents, samples, human labor, and touch points.

► Are lengthy assay development times and inconsistent data slowing down your drug discovery process?



Revvity customizable solutions

Revvity Lead Optimization solutions address challenging throughput variations by offering flexible and scalable options that adapt to the changing needs of the SAR assay development process and effectively handle standardized assays with specific well layouts and volumes.

- Standardize the experimental procedures, ensure consistent conditions and reduce human error. This consistency improves the reproducibility and accuracy of biological assays, leading to more reliable SAR data.
- Accelerate both the synthesis and testing of compounds. Robotic systems can handle multiple tasks simultaneously and operate continuously without user intervention, significantly increasing throughput and decreasing the time from compound design to testing.
- Optimize resource use by precisely controlling the amounts of reagents used and reducing waste. Automation also frees up human resources to focus them on more strategic tasks such as hypothesis generation and experiment design.

Integrating Revvity's automation technology into your SAR assay development can transform your research capabilities, enabling faster, more accurate, and cost-effective drug discovery.



The flowchart illustrates the detailed process involved in Structure-Activity Relationship (SAR) testing, an essential phase in drug discovery. Each stage, from Assay Development to Decision Making, is vital for systematically modifying and assessing compounds to determine their biological effects and optimize drug properties. By integrating Revvity's automation solutions at key points, as reflected in color change, the process gains increased precision and efficiency, speeding up the transition from research to clinical application. Revvity solutions are designed to address the unique needs of your workflow with flexible and scalable solutions

Solution	Fontus [™] 8i Mini or Single-Arm 8-tip Standard with Varispan [™] Gripper with standalone VICTOR Nivo [™] multimode microplate reader	Dual-Arm 8-tip Fontus Standard 384 with standalone VICTOR Nivo multimode microplate reader	Single-arm 8-tip Fontus Standard with integrated VICTOR Nivo multimode microplate reader	plate::handler[™] FLEX benchtop integration with dual-arm Fontus Standard or Expanded, FlexDrop[™] Plus , and EnVision Nexus[™] multimode microplate reader	Configurable explorer[™] G3 workstation
Configuration					
Walkway capability	●0000	●●000	●●●○○	••••	•••••
Laboratory staff efficiency	00000	●●000	●●●○○	••••	•••••
Standardization of process	0000	●●000	●●●○○	••••	•••••

In addition to these core solutions, Revvity can seamlessly integrate other Revvity products, such as high-content screening systems and third-party systems, enhancing the flexibility and capability of our offerings to meet diverse laboratory needs.



Reagents made for your analysis

Our industry-leading reagents and assays include:

- AlphaLISA[™], AlphaLISA[™] SureFire[®] Ultra[™], AlphaScreen[®] technology
- TR-FRET (HTRF, LANCE, and LANCE[®] Ultra[™])
- DELFIA[™] TRF

Learn more

And if you can't find what you need, our team of specialists can develop custom assay solutions for you.

Microplates

Whether your analysis requires fluorescence, luminescence, or absorbance assays, we offer a wide range of microplates, including the highly versatile OptiPlate[™], shallow well ProxiPlate[™], 1/2 Area Plate for low volume assays, and AlphaPlate[™] to minimize crosstalk in Alpha and luminescence technology.





Service and support tailored to your needs

Your applications are as individual as you are. That's why we take a consultative approach to every engagement with you. Our global service and support teams comprise dedicated lab- and field-based application specialists who collaborate with you to overcome the unique challenges your applications bring.



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