

AI-optimized Mini Kinase Panel 46 Selectivity evaluation in the early stages of Lead Generation

Ensuring kinase selectivity presents a significant challenge in the optimization of kinase inhibitor drugs. While conducting a comprehensive kinase panel can incur substantial costs and evaluations typically take place in later stages, we recognize the vital importance of assessing the selectivity of lead compounds right from the initial phases of Lead Generation.

At Axcelead, we have established a compact yet effective Mini Kinase Panel 46 (MKP46), comprising 46 kinases carefully chosen to mimic the selectivity scores derived from comprehensive kinase panel assays. These 46 kinases were carefully selected through AI analysis of our extensive internal kinase panel dataset.

Through the utilization of the cost-effective and high-throughput MKP46, you can derive a range of benefits, including early-stage prioritization of hit chemotypes, profiling selectivity during the optimization process, and estimation of kinase inhibition risks in non-kinase projects.

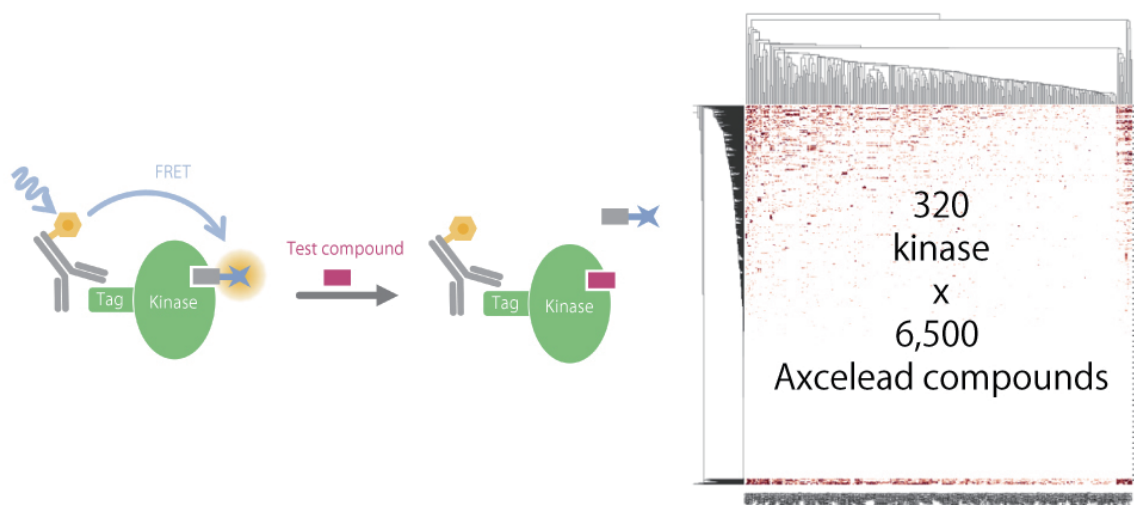
High-throughput panel assay and large scale dataset

At Axcelead, our kinase panel employs a TR-FRET assay utilizing original fluorescent probes that bind to the ATP pocket of kinases. This evaluation method is executed in a high-throughput manner, facilitated by a 1536-well plate format, and it is feasible to conduct High-Throughput Screening (HTS) against multiple target kinases in parallel.

Over the course of more than five years, Axcelead has consistently conducted kinase panel assay for approximately 320 kinases, assessing over 18,000 compounds.

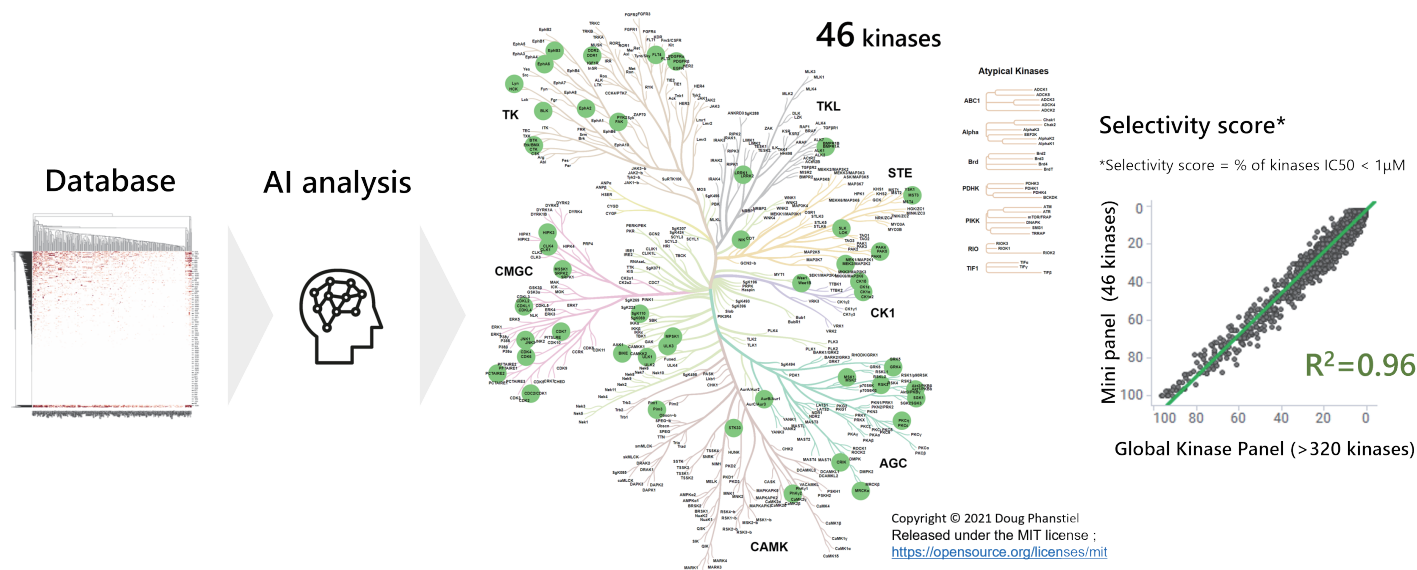
Notably, around 6,500 of these compounds have been tested internally at Axcelead. This extensive dataset forms the foundation of our kinase drug discovery platform.

*Our platform exclusively relies on our proprietary data and does not incorporate customer data.



Development of Mini Kinase Panel 46 using AI analysis

In order to replicate the outcomes of comprehensive kinase panel using a more focused approach, we leveraged AI analysis. We carefully curated a subset of 46 kinases that not only reproduces the selectivity scores on a macro scale but also captures the selectivity patterns within kinase families. As a result, we have successfully developed the Mini Kinase Panel 46 (MKP46), which demonstrates a remarkable ability to emulate the selectivity scores achieved through testing 320 kinases, yielding an impressive R² value of 0.96. This approach ensured reliable outcomes for a wide variety of compounds.



Let's collaborate for successful kinase inhibitor drug discovery

With extensive experience in early stage kinase inhibitor drug discovery and a dedicated platform for kinase inhibitor lead discovery, we are actively developing selective kinase inhibitor assets internally. We have currently identified a collection of selective tools against 123 distinct kinases. We can kickstart Lead Generation/Optimization using our assets and platform. Let's collaborate with Axcelead that can deliver an integrated drug discovery solution. Contact us today for a successful journey in kinase inhibitor drug discovery.

