

Large Scale Kinase Profiling: Kinomics Analysis with HTRF Technology

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Resume

The process for developing new therapeutics based on inhibition of protein kinases has evolved significantly over the past decade. Expanding data repositories, published studies and clinical trial results combined with innovative and accessible research tools and services have changed the approach of kinase drug development. The routine use of kinase selectivity profiling during the lead optimization process continues to provide new insight into off-target effects and potential liabilities while providing valuable structure-activity relationship data.

The homogenous, HTRF-based KinEASE technology provides a practical solution for large-scale kinase profiling studies and extends the application of kinase profiling to chemical kinomics profiling. To demonstrate the utility of large-scale kinase profiling, a collection of 70 diverse compounds were tested against over 120 protein kinases. Multivariate cluster analysis reveals a relationship between kinases based on their inhibition profile rather than primary sequence similarity. Data from this analysis can be used to identify sentinel kinases to track compound selectivity and guide the lead optimization process.

Abstract

Dr. Jeff Till received his Ph.D. in biochemistry from SUNY Stony Brook studying protein kinases and has since worked in academia and biopharmaceutical drug discovery before joining Upstate, Inc. in 2004. In 2006, Upstate became a part of Millipore Corporation where Dr. Till currently manages the marketing and development of products and services for GPCRs, ion channels, kinases and phosphatases.