HotSpot™ Kinase Screening Services

The Leader in Kinase Innovation Sets a New Gold Standard

The Gold Standard Just Got Better

Gain an in-depth understanding of your compound's specific activity and selectivity

Select from any of our 780+ Kinases, create your own panel or choose from our predefined panels run bi-weekly

Wild Type Kinase Panel	Mutant Kinase Panel	Atypical Kinase Panel	Lipid Kinase	DGK Panel
380	**343**	**24**	**21**	**10**

Best-in-class radiometric assay

Validated proprietary method for maximal sensitivity to directly measure phosphorylated substrate products with accuracy and specificity

Physiological relevance

Wild type panels now available at 1mM ^{33}P-γ-ATP

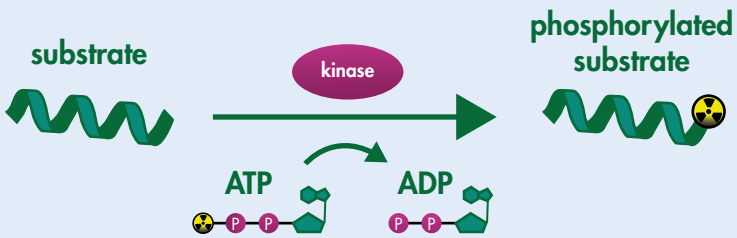
ATP-Max KinomeScreen	ATP-Max Diversify
340	**70**

Why Work with Us

1. **Quality assurance:** 10-dose IC_{50} control curve included with every assay
2. **Flexibility:** assays are suitable for both kinase inhibitors (including competitive, non-competitive, and allosteric inhibitors) and kinase activators
3. **Throughput:** run single-dose screening in duplicates or IC_{50} curves with 5 or 10 concentrations to determine percentage inhibition or activation, binding kinetics, or your inhibitor's potency

Radiometric Kinase Assays at 1mM ATP
The New Gold Standard

The radiometric assay is a **highly validated method** to study the activity of your target kinase by directly measuring its phosphorylated substrate products, without the use of modified substrates, coupling enzymes, or detection antibodies.



Radiometric assays are not kinase dependent and **can be applied to any kinase** thus representing a truly universal assay that offers **consistent and robust results** with **low background signal**.

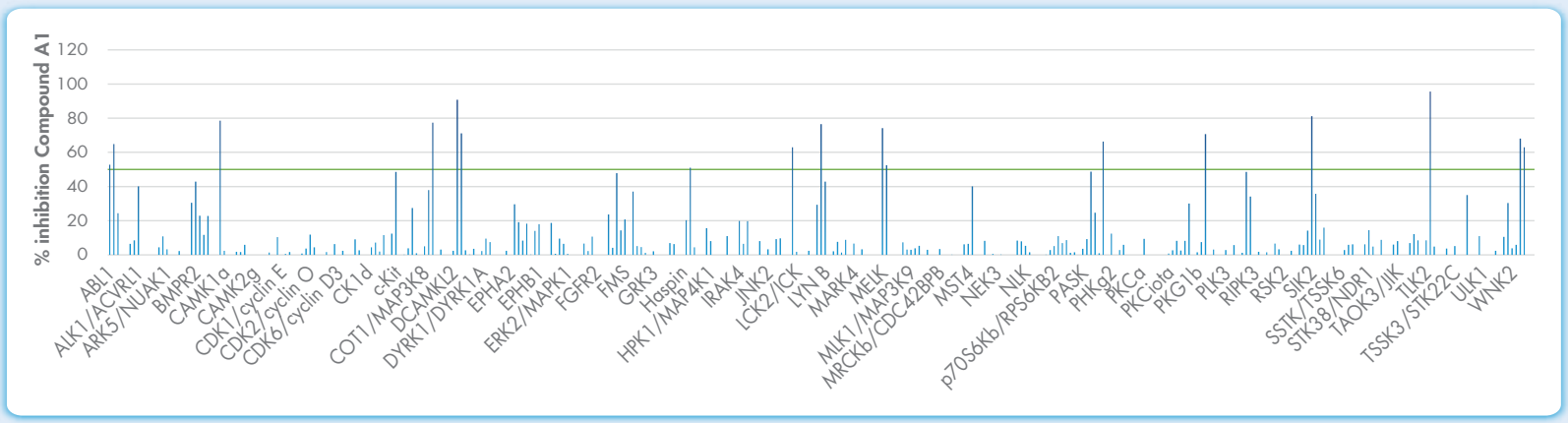
At Reaction Biology we have further optimised our radiometric assay protocols to deliver even higher quality, minimize error rates and avoid false positive & negatives caused by other assay formats. We can run this assay by using **physiologically relevant** concentrations of ATP (1mM) in addition to 1µM,10µM or apparent ATP-Km up to 100µM.

Why Choose a Radiometric Assay

	Measures Kinase Activity	*Detects all types of inhibitors, including substrate-specific inhibitors*	*Accommodates both peptide and protein substrates*	*No modified substrates/Additional detection reagents*	*Universally applicable to all kinases*
Radiometric Filter Binding Assay	✓	✓	✓	✓	✓
FRET Peptide	✓	✓	✗	✗	✓
Luminescence	✓	✓	✓	✗	✓
Mobility Shift	✓	✓	✗	✗	✗
Competition Binding	✗	**Suboptimal**	✗	✗	✗

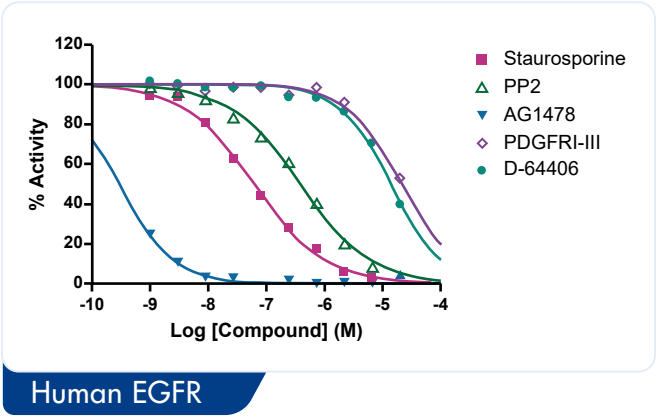
Data Sample

Selectivity Profiling

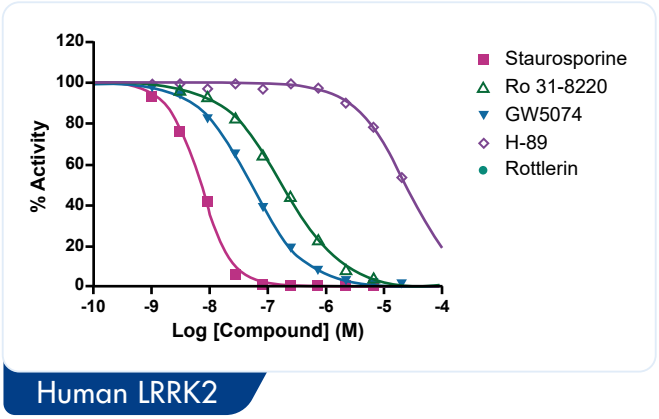


Sample data from HotSpot kinase screening showing percentage inhibition of kinase activity by test Compound A1, using a panel of *wild type* kinases. Green line indicates 50% inhibition

IC_{50} Determination



Human EGFR



Human LRRK2

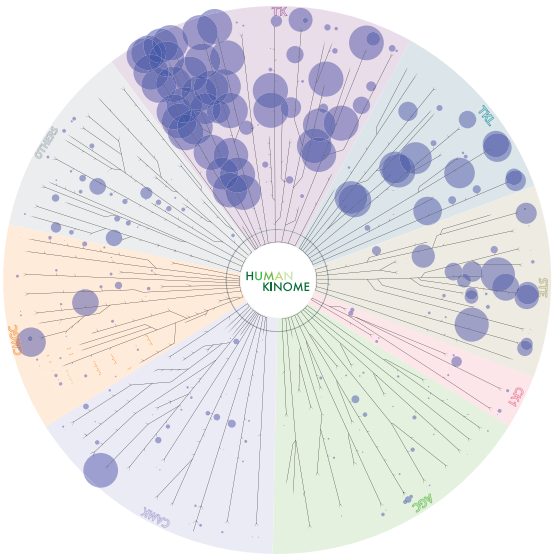
Representative IC_{50} data showing several clinical kinase Inhibitors tested using HotSpot radiometric kinase assay against recombinant EGFR and LRRK2 kinase.

Kinase Mapper

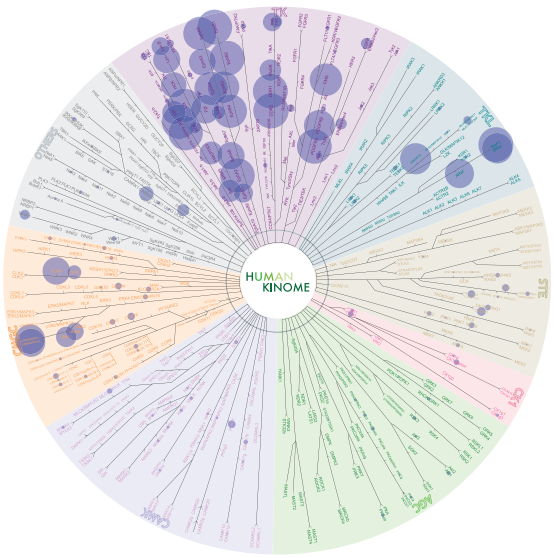
Easily profile the selectivity of your experimental compound by comparing it to marketed kinase inhibitors

Data from Kinase Mapper showing the activity profile of a panel of wild-type protein kinases tested against two approved drugs, dasatinib and nilotinib.

Dasatinib Kinome Map



Nilotinib Kinome Map



Related Kinase Drug Discovery Services

Our scientists have developed a comprehensive suite of services that provides our clients with integrated kinase drug discovery solutions covering all stages of their preclinical drug discovery process.

NanoBRET Target Engagement Intracellular Kinase Assay Services

NanoBRET Intracellular assay is a cell-based assay technology (Promega) to quantitatively measure specific Kinase target-inhibitor interactions in live cells using Bioluminescence Resonance Energy Transfer (BRET).

Cellular Phosphorylation Assay Services

The Cellular Phosphorylation Assay quantifies changes in the phosphorylation status of a substrate as a result of treatment with kinase targeting inhibitor in intact cells.

ProLiFiler™ Cancer Cell Panel Screening

The ProLiFiler cancer cell panel screen is suitable for testing the therapeutic efficacy of kinase targeting compounds on a panel of 160 human cancer cell lines using CellTiter-Glo® readout.

In Vivo Kinase Activity Models

Genetically engineered *in vivo* kinase tumor models for the investigation of a single driver of tumor growth such as an overexpressed or constitutively expressed kinase.

Specialty Kinase Panels

In addition to broad kinome selectivity panels, we can perform speciality screening on specialty panels:

Panel Type	Panel Size
EGFR Mutant Profiler	65
RET Mutant Profiler	34
CDK Profiler	34
c-MET Mutant Profiler	30
ALK Mutant Profiler	28
c-KIT Mutant Profiler	23
FGFR2 Mutant Profiler	19
BRAF Mutant Profiler	16
ABL1 Mutant Profiler	15
TRKA Mutant Profiler	15
FLT3 Mutant Profiler	12

Discuss your project directly with our kinase experts

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