BIOCHEMICAL

REACTION BIOLOGY

Kinase Screening Services

Selectivity profiling, single-target IC_{50}, and target deconvolution on the industry's largest kinase activity platform

870+ kinases. Gold standard HotSpot™ radiometric technology. Native peptide and protein substrates. Direct activity measurement, run at physiological 1 mM ATP.

Our Services

Selectivity Profiling

Screen one subfamily or the entire human kinome with a large collection of predefined panels plus free-choice selections.

Single-Target IC_{50}

Hit confirmation, dose-response, and mechanism studies on individual kinases.

Library & Fragment

High-throughput primary screening from diverse small molecules to focused, FDA-approved, and covalent fragment collections.

Custom Assay Development

Plasmid construction, expression, purification, substrate identification, and assay optimization for compound profiling. Tailored assay conditions to measure mechanisms like time dependent inhibition (TDI), covalent inhibition or inhibition of inactive enzyme forms.

KinaseFinder

Deconvolute the target behind an orphan or phenotypic hit by screening the compound across the broad kinome to identify which kinase or kinases it engages.

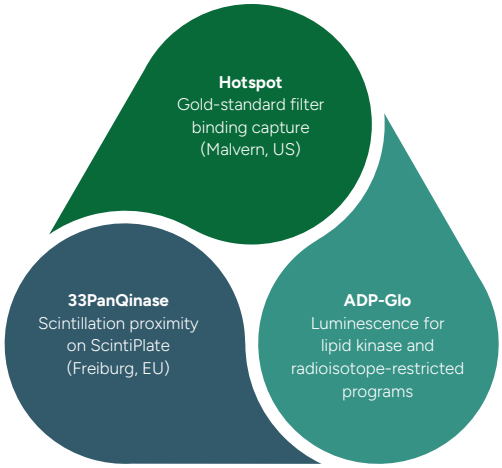
SubstrateFinder

Identify and characterize the physiological substrate for a novel or under-studied kinase, with Km determination to support downstream assay development.

Detection Technologies

Two direct radiometric formats measure phosphoryl transfer for protein kinase profiling: **HotSpot** in the US and **33PanQinase** in Germany. HotSpot supports screening at physiological 1 mM ATP, delivering functional inhibition data under conditions that reflect the cellular environment.

ADP-Glo is the complementary luminescent format for lipid kinases and radioisotope-restricted programs.



	HotSpot (Malvern, US)	**33PanQinase** (Freiburg, DE)	**ADP-Glo** (both sites)
Detection principle	Radiometric ^{33}P, filter-membrane capture	Radiometric ^{33}P, scintillant ScintiPlate capture	Luminescent ADP detection (Promega)
What it measures	Phosphorylated substrate, direct	Phosphorylated substrate, direct	ADP formation, indirect measure of phosphorylation
Substrates	Native peptide and full-length protein	Native peptide and full-length protein	Required format for lipid kinases
ATP conditions	1 mM, 1 µM, 10 µM, or apparent ATP-Km to 100 µM	Apparent ATP-Km	Up to 1 mM
Kinetics	Time-course reads available	Endpoint	Endpoint
Library	~830 assays, deepest mutant and fusion coverage	~517 assays, CDK/cyclin complexes and fragment constructs	200+ protein kinases for radioisotope-restricted programs, 23+ lipid kinases, 10 diacylglycerol kinases

Data You Can Build a Program On

Structural homology across the ATP-binding catalytic core makes off-target inhibition a persistent liability and a leading driver of toxicity and late-stage attrition. Quantifying that liability requires direct measurement of catalytic inhibition. Our radiometric assays measure phosphate transferred to substrate, so the reported value is inhibition, not displacement.

Direct Activity, Not Binding

We measure phosphate transferred to substrate, the catalytic event itself. Binding does not equal inhibition, and proxy readouts cannot separate active-site engagement from non-functional binding.

The Largest Kinase Library

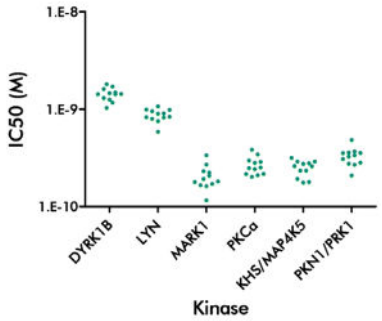
870+ kinase assays, including 260+ clinically relevant mutants and 32 oncogenic fusion proteins.

Native, Unmodified Substrates

Full-length proteins and native peptides, accepted without labeling or chemical modification, where competing platforms are restricted to modified Sox-peptides, peptide-only, or FRET-labeled substrates.

Physiological ATP Without Compromise

Radiometric detection is unaffected by high ATP, so assays run at physiological 1 mM ATP without the signal degradation seen on luminescent and fluorescent platforms. Available for 340+ wild-type kinases in HotSpot format.



Long-Term Assay Stability

Reproducible IC_{50} determination depends on assay performance that does not drift over time. Staurosporine IC_{50} was determined across six kinases with 10 compound concentrations in singlicate using the HotSpot assay, demonstrating long-term assay stability.

Staurosporine control IC_{50} 12 independent experiments over 6 months.

Beyond Percent Inhibition: Resolving How Your Compound Works

Screening tells you what your compound hits. Mechanism tells you why it works and how to optimize it. Direct activity assays let us resolve binding mode and kinetics that binding-based platforms cannot.

ATP-Competitive vs Non-ATP-Competitive

By profiling potency across a range of ATP concentrations, from 1 µM to physiological 1 mM, we determine whether a compound competes with ATP at the active site or engages elsewhere.

Substrate-Competitive and Allosteric

Native substrate flexibility and variant comparison let us classify substrate-competitive and allosteric inhibitors that ATP-probe binding assays routinely miss.

Slow-Binding and Time-Dependent Inhibitors

Compound can be pre-incubated with kinase before ATP and substrate are added, up to about two hours on request, to reveal slow-binding and time-dependent inhibition. Time-course reads further characterize kinetic parameters.

Covalent and Irreversible Inhibitors

Time-resolved reads and variant profiling support characterization of covalent and irreversible compounds, resolving on-mechanism activity rather than a single endpoint value.

Mechanism via SAR and Mutant Panels

Comparing IC_{50} across wild-type and targeted domain mutants resolves the critical binding interactions, confirms the activity profile, and directs optimization.

870+ Kinases. One Team. Every Stage.

The largest activity-based kinase library in the industry, spanning every family: TK, TKL, STE, CMGC, CK1, AGC, CAMK, plus atypical and lipid kinases. 260+ clinically relevant mutants and 32 oncogenic fusions. Run a predefined panel, a specialty profiler, or a free-choice selection of any targets

Selectivity Panels

US (Bi-Weekly)	**Size**
Wild Type	381
Mutant	354
Tyrosine	79
Diversify	70
Lipid	26
Atypical	24
Diacylglycerol	10

Germany (Monthly)	**Size**
Wild Type	381
Mutant	96
Diversify	70
Lipid	19

Specialty & Mutant Profilers

US

EGFR Mutant	**65**	ABL1 Mutant	**15**
RET Mutant	**36**	TRKA Mutant	**15**
CDK	**35**	FLT3 Mutant	**13**
c-MET Mutant	**32**	TIE Mutant	**11**
ALK Mutant	**29**	TIE Mutant	**10**
c-KIT Mutant	**24**	ERBB2 Mutant	**6**
FGFR2 Mutant	**19**	TRKC Mutant	**6**
BRAF Mutant	**16**	FGFR4 Mutant	**5**

Germany

CDK	**43**	MET Mutant	**10**
Lipid Kinase	**19**	RET Mutant	**10**
EGFR Mutant	**11**	ABL1 Mutant	**9**
KIT Mutant	**10**	ALK Mutant	**8**

Related Services

NanoBRET Target Engagement · Cellular Phosphorylation Assays · ProLiFiler Cancer Cell Panels
In Vivo Kinase Models · Custom Protein Production

See the full, filterable target table:

reactionbiology.com/services/biochemical-assays/kinase-panel-screening/

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