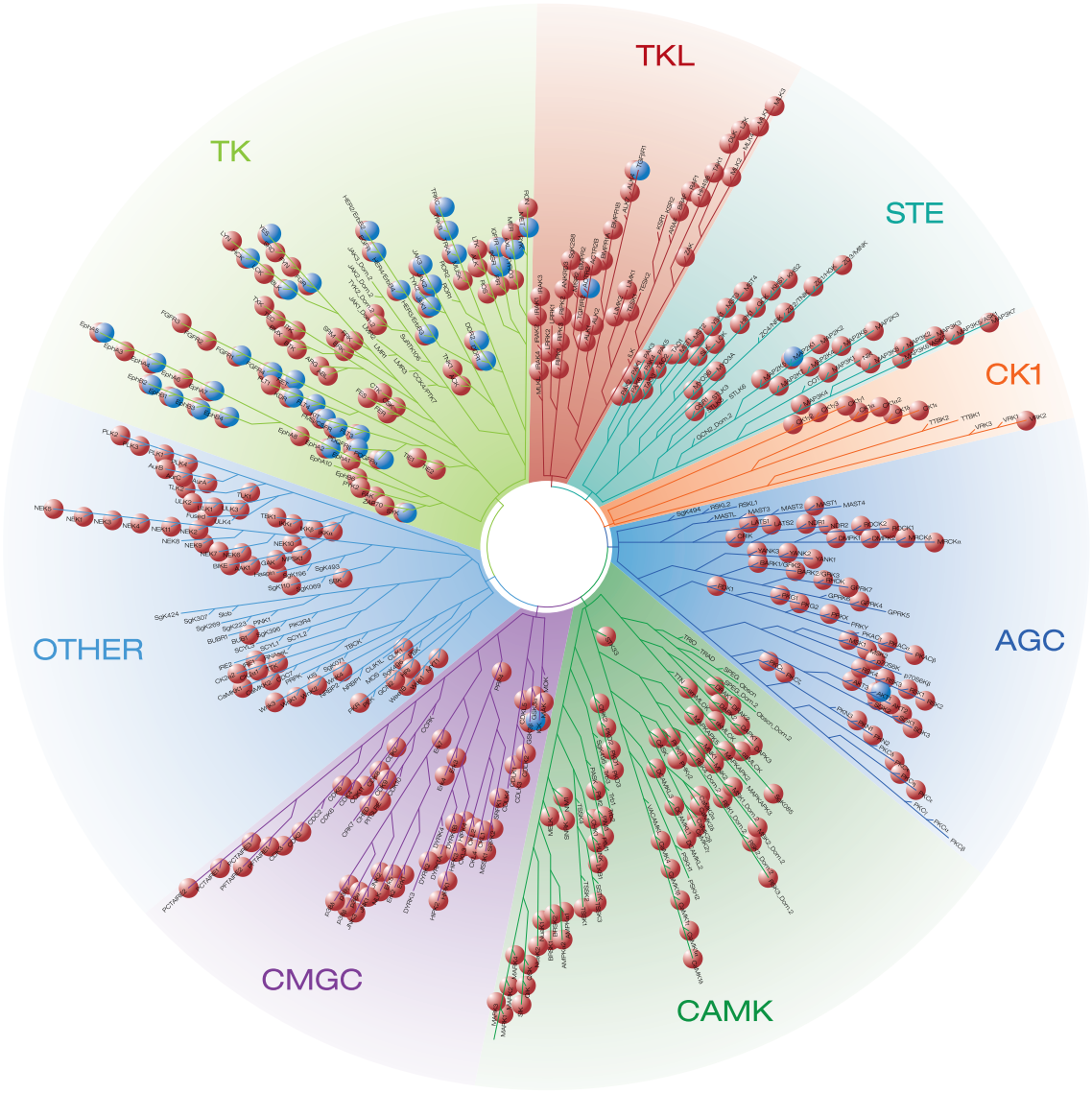
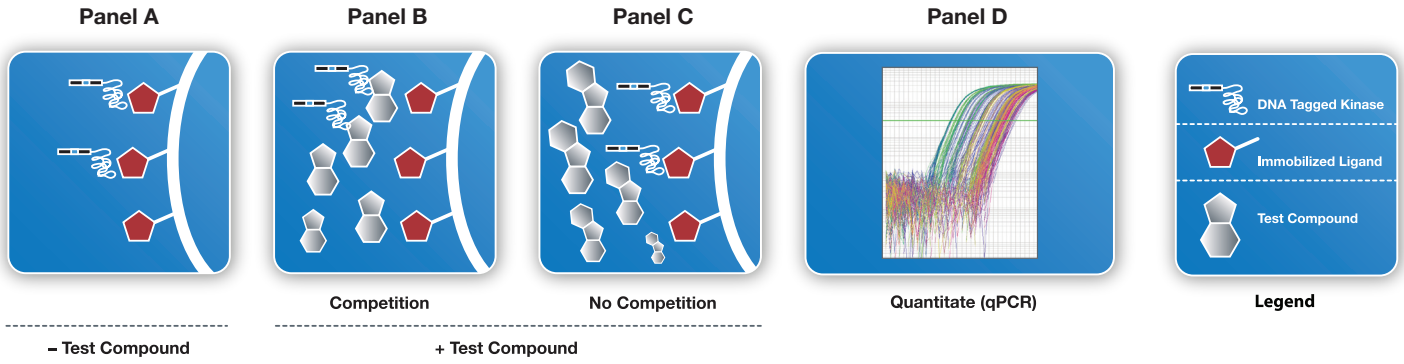
KINOMEscan® Kinase Profiling Platform



DiscoveRx

Technology & Assay Principle

The KINOME*scan* screening platform employs a novel and proprietary active site-directed competition binding assay to quantitatively measure interactions between test compounds and more than 460 kinase assays and disease relevant mutant variants. This robust and reliable assay technology affords investigators the ability to annotate compounds with accurate, precise and reproducible data. KINOME*scan* assays do not require ATP and thereby report true thermodynamic interaction affinities, as opposed to IC_{50} values, which can depend on the ATP concentration.



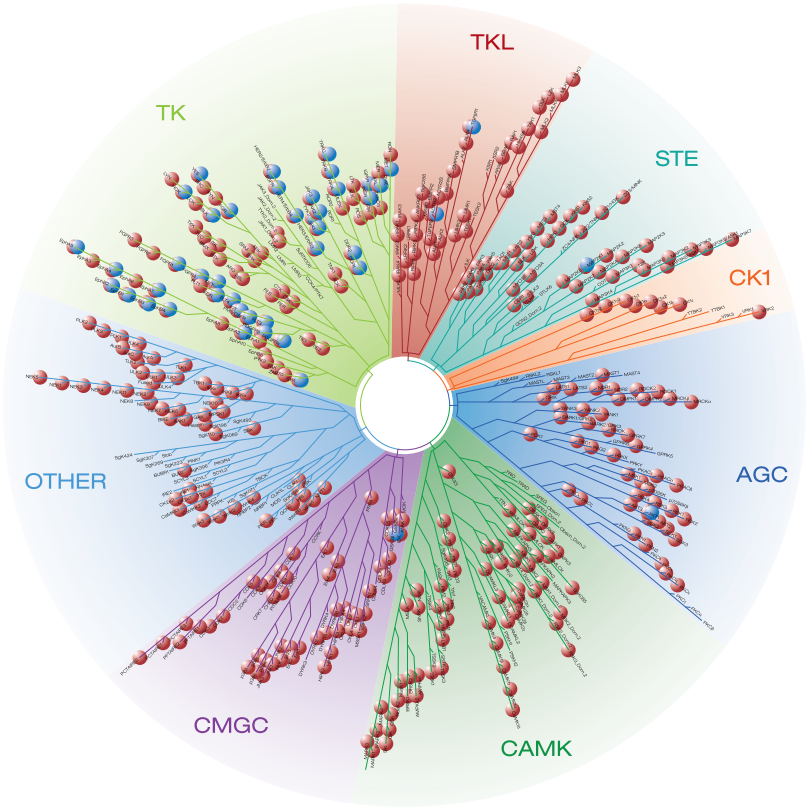
This simple assay has three components: 1) The kinase tagged with DNA 2) A ligand immobilized to streptavidin beads 3) The test compound. Compounds that bind the kinase active site and either directly (sterically) or indirectly (allosterically) prevent kinase binding to the immobilized ligand reducing the amount of kinase captured on the solid support (A & B). Conversely, test molecules that do not bind the kinase have no effect on the amount of kinase captured on the solid support (C). Screening "hits" are identified by measuring the amount of kinase captured in test versus control samples by using a quantitative, precise and ultra-sensitive qPCR method that detects the associated DNA label (D). In a similar manner, dissociation constants (K_ds) for test compound-kinase interactions are calculated by measuring the amount of kinase captured on the solid support as a function of the test compound concentration.

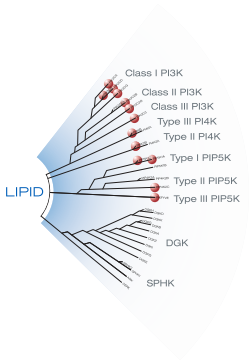
Technical Features	Benefits
ATP-independent assay	Provides true binding constants & reduces variability
Measures thermodynamic K_d values as opposed to IC_{50}s	Enables inter-kinase inhibitor SAR analysis & robust interpretation of structural data
Unprecedented dynamic range (pM to mM)	Accurate potency rank ordering for high affinity inhibitors
No assay interference from fluorescent or colored compounds	Reliable screening of diversity decks and fragment libraries
Single platform with similar, generic conditions across panel	Rapid turnaround time & robust inter-kinase inhibitor SAR analysis
Not biased against Type II "slowly binding" inhibitors	Detect Type I, Type II, and non-ATP competitive inhibitors
Get structural insights from biochemistry	Structural classification of inhibitor binding mode without crystal structures, understand inhibitor binding kinetics & identify and optimize irreversible inhibitors

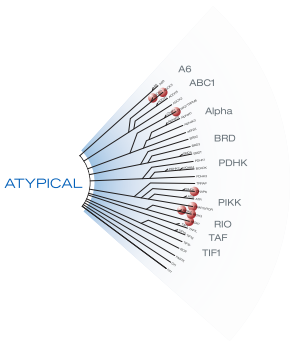
Panel Size

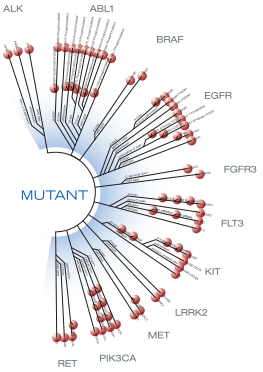
469 Kinase Assays

- World's Largest Kinase Assay Panel
- 396 of the 518 distinct kinases
- 59 clinically relevant mutants
- 22 lipid kinases
- Over 48 kinases unique to KINOME*scan*
- Continued panel growth
- New assays for 2014: GRK2, GRK3, PIKFYVE, RIPK3, TSSK3 and CAMK1B
- For detailed list of kinase assays, please refer to Appendix A









Legend

Available as Cell-Based Products and Profiling Services
Available through KINOME*scan*® Kinase Inhibitor Profiling Services

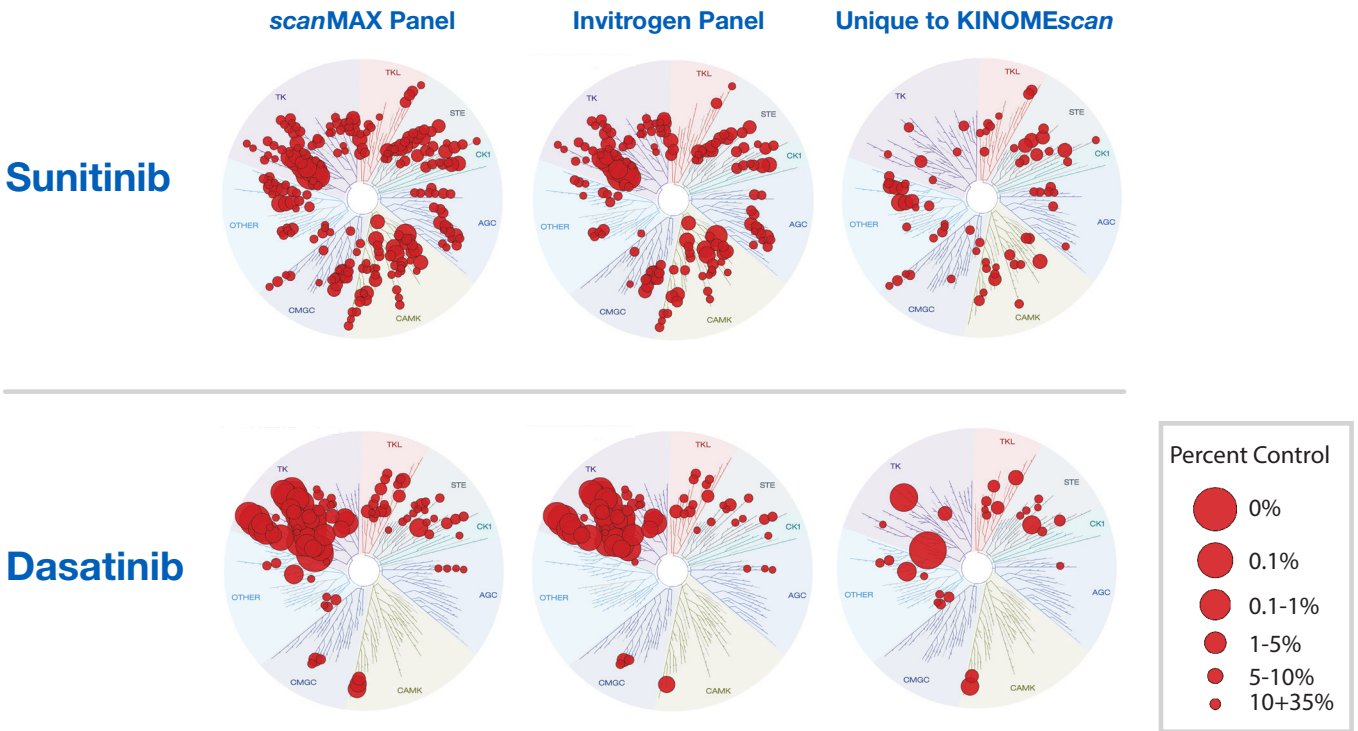
Power of Panel Size

95 Assays Unique to KINOME*scan*

AAK1	CDK4-cyclinD3	DMPK2	IRAK3	MKK7	PCTK2	PIP5K1A	RIPK4	TRPM6
ADCK3	CDK8	EIF2AK1	LKB1	MRCKA	PCTK3	PIP5K1C	RIPK5	VRK2
ADCK4	CDKL1	EPHB6	LOK	MRCKB	PDPK1	PIP5K2B	SBK1	WEE2
ANKK1	CDKL2	ERBB3	LZK	MYLK4	PFCDPK**	PIP5K2C	SNRK	WNK1
ASK2	CDKL3	ERK3	MAK	MYO3A	PFPK5**	PKMYT1	STK35	WNK4
BIKE	CDKL5	ERK4	MAP3K1	NEK10	PFTAIRE2	PKNB***	STK36	YANK1
BUB1	CIT	ERK5	MAP3K15	NEK11	PIK3C2G	PRP4	SgK110	YSK4
CAMK1G	CSNK1A1L	ERN1	MAP3K4	NEK3	PIK3CB	RIOK1	TESK1	
CDC2L1	DCAMKL1	GAK	MAST1	NEK5	PIK3CD	RIOK2	TIE1	
CDC2L2	DCAMKL3	GCN2*	MEK4	NIM1	PIKFYVE	RIOK3	TNK1	
CDC2L5	DLK	HUNK	MEK5	OSR1	PIM3	RIPK1	TNNI3K	

*(Kin.Dom.2,S808G) **(P.falciparum) ***(M.tuberculosis)

See What You Are Missing



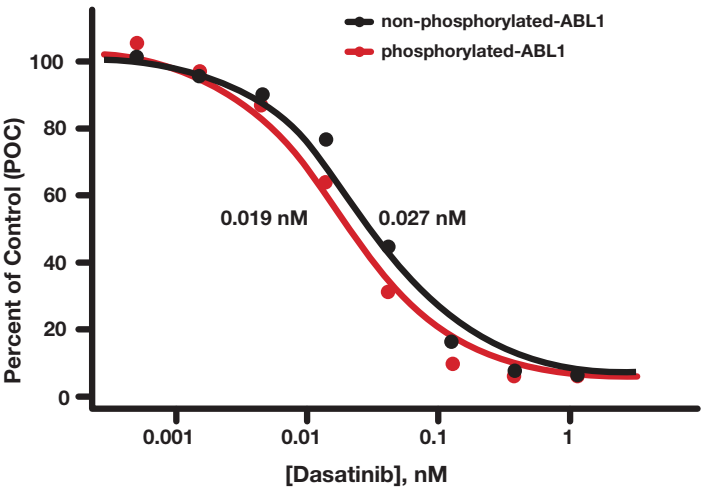
Services Portfolio

Name	Services Included	Benefits
scanMAX	Includes the full panel of 468 kinase assays and covers over 80% of the human kinome	The largest commercial kinase panel available, containing 468 kinases covering AGC, CAMK, CMGC, CK1, STE, TK, TKL, lipid and atypical kinase families, plus important mutant forms
***scan*ELECT**	Custom kinase panel with preferred rates and rapid turnaround	A flexible scan-what-you-want approach for customized kinase profiling, choose from 468 assays
***Kd*ELECT**	Thermodynamic dissociation constants determined for any kinase-inhibitor pair	A follow-up study tool to quantify binding affinity of compound-kinase interactions identified in primary screens, choose from 469 assays
***scan*EDGE**	Smaller panel of 97 kinases distributed throughout the kinome	An economical screening option to survey the human kinome
***scan*KINETIC**	Determine association/dissociation and irreversible binding kinetics for your compound	Follow-up study tool that classifies inhibitors as irreversible, reversible-slow dissociation, or reversible-rapid dissociation, choose from 145 assays
***scan*MODE**	Already a part of *scan*MAX, *scan*MODE includes activated/non-activated assay pairs to elucidate compound binding mode	Classify inhibitors as having Type I or Type II binding modes
***scan*LIBRARY**	Screen compound libraries against any KINOME-*scan* assay panel or single targets	Annotate your compound library and identify potent and selective compounds as high quality leads to initiate lead optimization quickly
Custom Assay Development	Development of assays that are not currently on our menu	Develop assays for novel kinase targets and clinically relevant mutants

Differentiate Type I & II Inhibitors

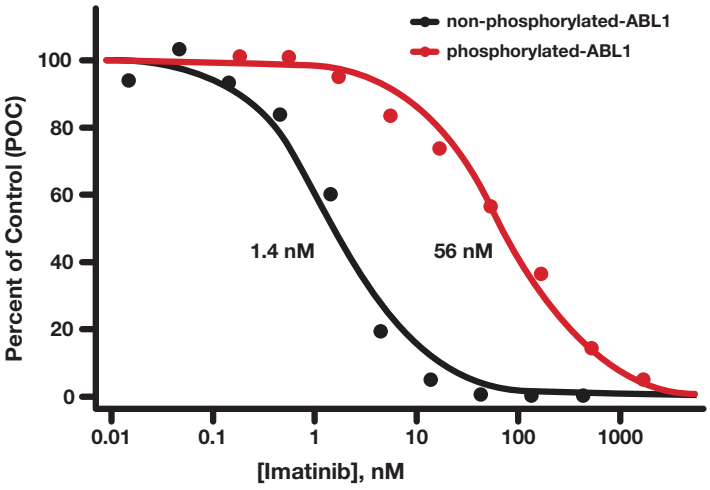
*scan*MODE: Classify inhibitors as having Type I or Type II binding modes without a requirement for cocrystal structures

Type I Kinase Inhibitor:
Affinity Independent of A-loop Phosphorylation



Binding constant (K_d) determinations were measured for interactions between dasatinib, a known Type I inhibitor and ABL preparations differentially phosphorylated on the A-loop. Dasatinib exhibited no affinity preference for either non-phosphorylated state (K_d = 0.027 nM) or the phosphorylated state (K_d = 0.019 nM).

Type II Kinase Inhibitor:
Affinity Dependent on A-loop Phosphorylation



Binding constant (K_d) determinations were measured for interactions between imatinib, a known Type II inhibitor, and ABL preparations differentially phosphorylated on the A-loop. Imatinib exhibited a 30-fold affinity preference for the non-phosphorylated state (K_d = 1.4 nM) relative to the phosphorylated state (K_d = 56 nM).

*scan*MODE includes a panel of ABL assay pairs, phosphorylated or nonphosphorylated on the A-loop, and capitalizes on several key observations that enable the use of these assay pairs to serve as surrogates to classify an inhibitor's binding mode as Type I or Type II.

- Type II inhibitors bind preferentially to the nonphosphorylated state of ABL, whereas Type I inhibitor binding is phosphorylation state-independent
- An inhibitor's binding mode is generally maintained across kinases (e.g. imatinib is a Type II ABL inhibitor and a Type II LCK inhibitor)
- Inhibitors that primarily target kinases other than ABL are correctly classified as Type I or Type II when tested against the surrogate ABL assay pairs

*scan*MODE is expained in greater detail in the following paper:

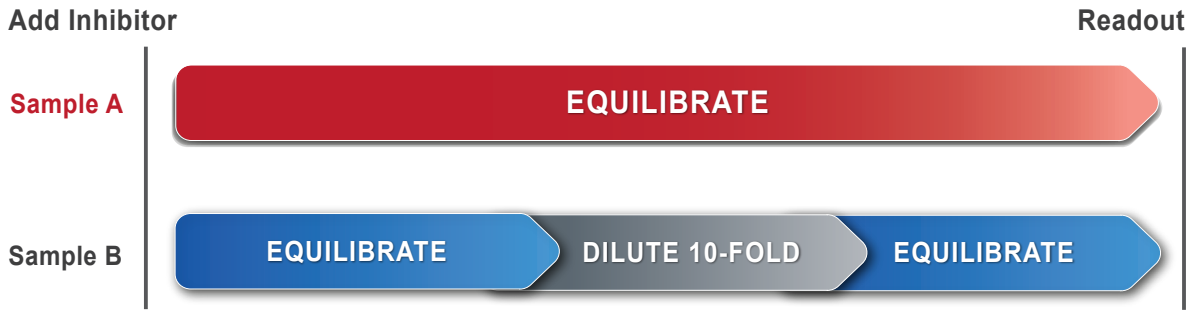
Wodicka, L. *et al.*, (2010) Activation State-Dependent Binding of Small Molecule Kinase Inhibitors: Structural Insights from Biochemistry. *Chem. Biol*. 17, 1241-9.

Study Binding Kinetics

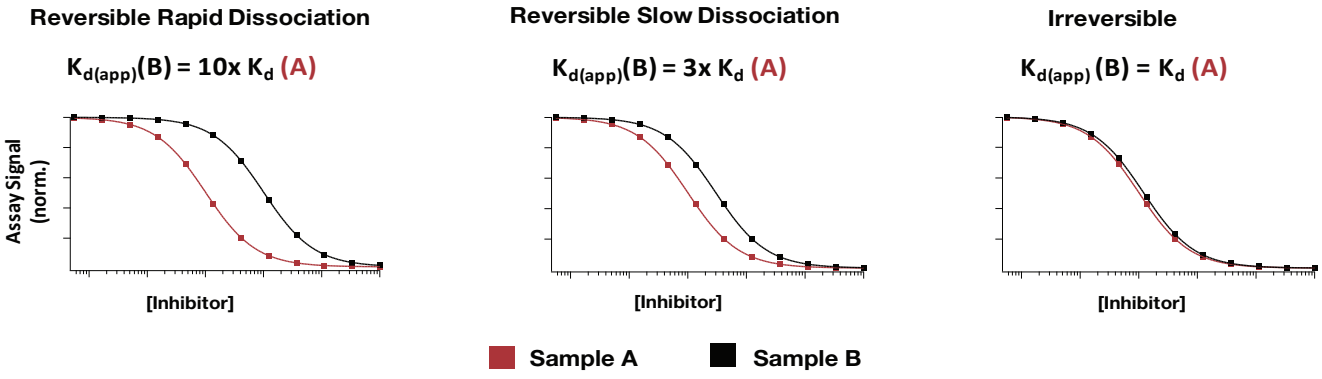
*scan*KINETIC: Classify inhibitor binding kinetics and identify irreversible inhibitors

Irreversible, covalent inhibitors and reversible inhibitors that dissociate slowly from a kinase target can have unusual properties in both cellular and *in vivo* pharmacology models. For example, target inhibition can be maintained for several hours or more, even after the inhibitor has been "washed out" or cleared. In the absence of target dissociation data, these pharmacology results can be difficult to interpret, particularly when multiple inhibitors are being compared. Furthermore, while irreversible/slowly dissociating inhibitors may be desirable for some drug discovery programs, these properties may not be ideal in all cases. KINOME*scan* offers a dissociation kinetics service that classifies inhibitors as irreversible, reversible-slow dissociation, or reversible-rapid dissociation.

Experimental Design for Reversibility and Dissociation Kinetics Studies



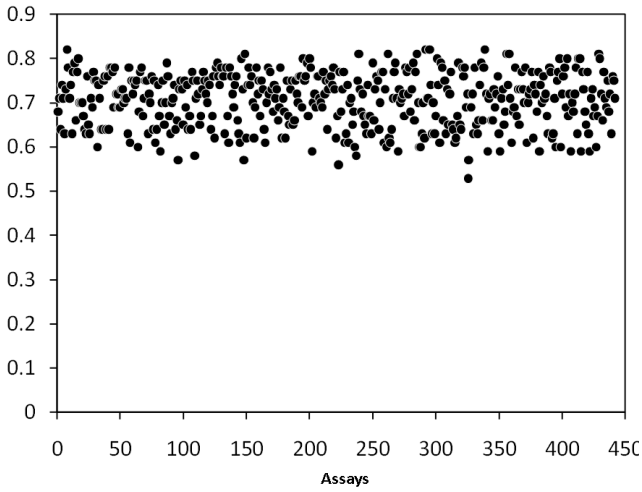
Model Data for Reversibility & Dissociation Kinetics Studies



For reversible, rapidly dissociating inhibitors (left panel), the apparent K_d value for Sample B is higher than the K_d value for Sample A by a multiple equal to the Sample B reaction dilution factor (10-fold in this example). For reversible, slowly dissociating inhibitors (center panel), the apparent K_d value for Sample B is higher than the K_d value for Sample A by a multiple less than the Sample B reaction dilution factor, since for Sample B, the inhibitor only partially dissociates after the reaction dilution step. For irreversible inhibitors (right panel), the K_d values for Samples A&B are equivalent, since for Sample B, the inhibitor fails to dissociate after the reaction dilution step.

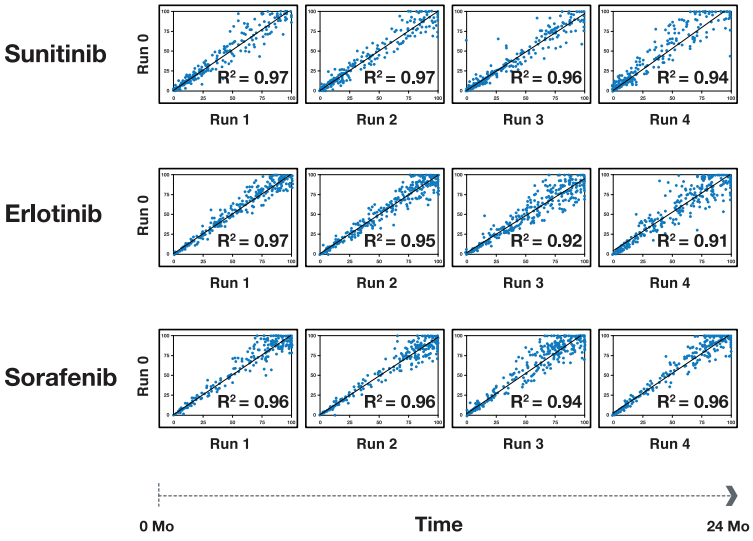
Performance Metrics

Assay Quality



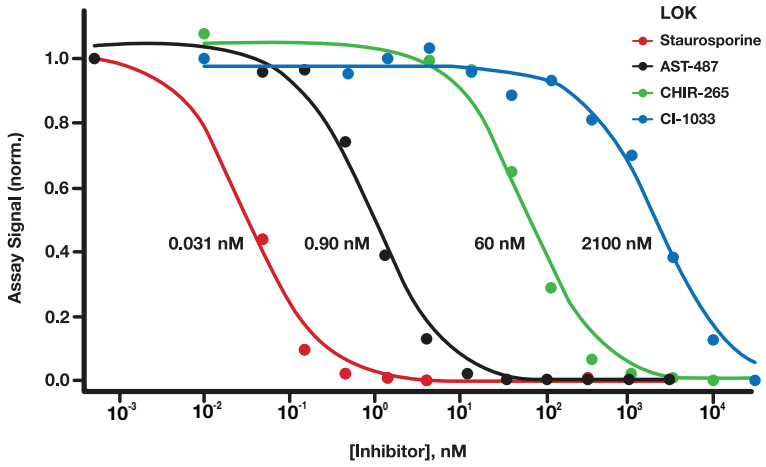
Average Z' values and standard deviations were calculated for each kinase based on fourteen control wells per experiment in over 135 independent experiments spanning a period of sixteen months. Average Z' = 0.71

Primary Screen Reproducibility



Profiling of the indicated compounds at 10uM in fourteen independent experiments against 442 kinases over a one year period. Correlation analysis was performed in a pair-wise comparison to calculate the correlation coefficient. The correlation coefficients range from 0.91 to 0.97 with an average of 0.95

Large Dynamic Range for Accurate Affinity Measurements



Binding constant (K_d) determinations for the indicated compounds against LOK demonstrate the broad range (> 5 logs) of interaction affinities quantitatively measured using the KINOME*scan* assay platform. Assays are performed at low kinase concentrations (<0.1 nM), which enables the measurement of accurate K_d values in the pM range.

Turnaround Time Performance

Standard *scan*MAX Orders

- 8 business days

K_d Orders

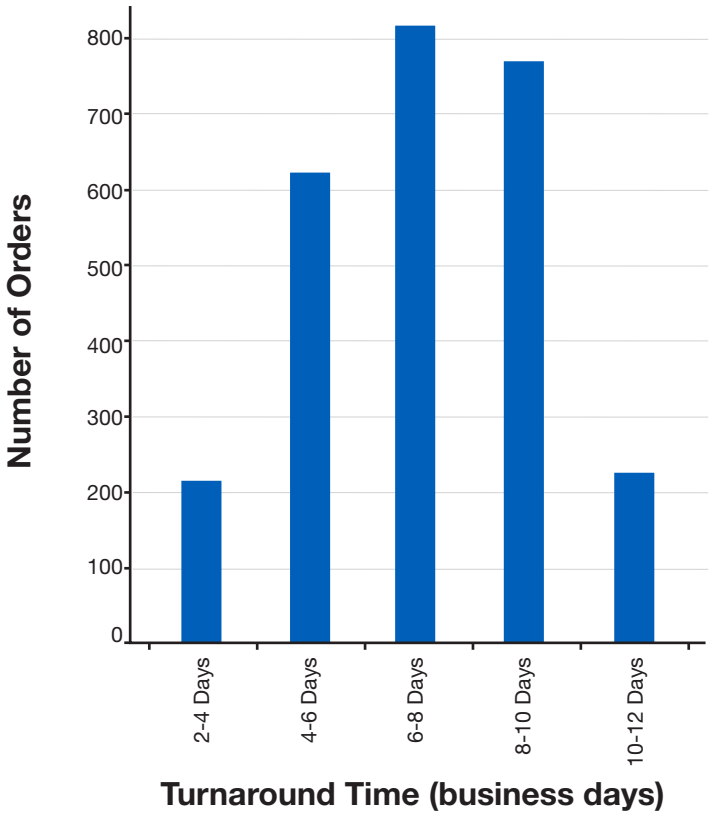
- 7 business days

SAR Contract Projects

- 3-5 business days*

Library Projects

- Varies depending on scale
- Examples
  - » 2,000 compound library vs. 456 Kinases in 2 weeks
  - » 200,000 compound library vs. 1 Kinase in 2 weeks

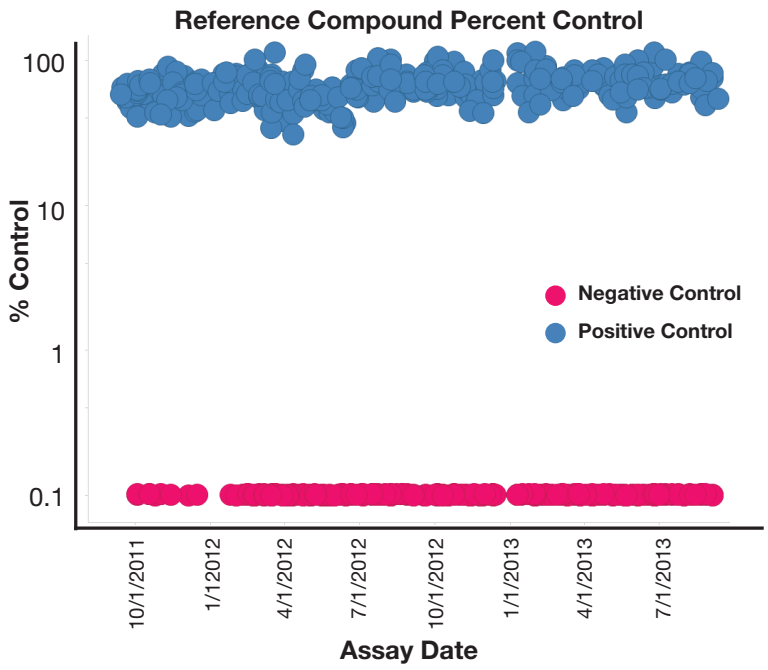


2009-2013 Turnaround Time			
	Number of Business Days		
	Target	Actual	SAR*
***scan*MAX**	<10	8	N/A
***scan*ELECT**	<10	8	< 5
***Kd*ELECT**	<10	7	< 5

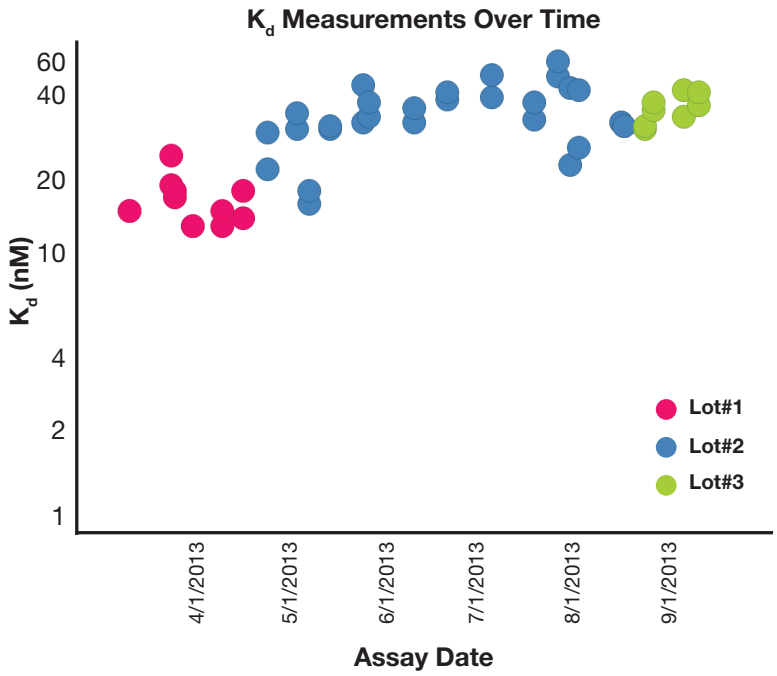
*Routine scheduled SAR projects

Turnaround time is measured from date of compound receipt (with associated paperwork) to date of data delivery. Average turnaround time is less than ten business days.

POC & K_d Reproducibility Metrics



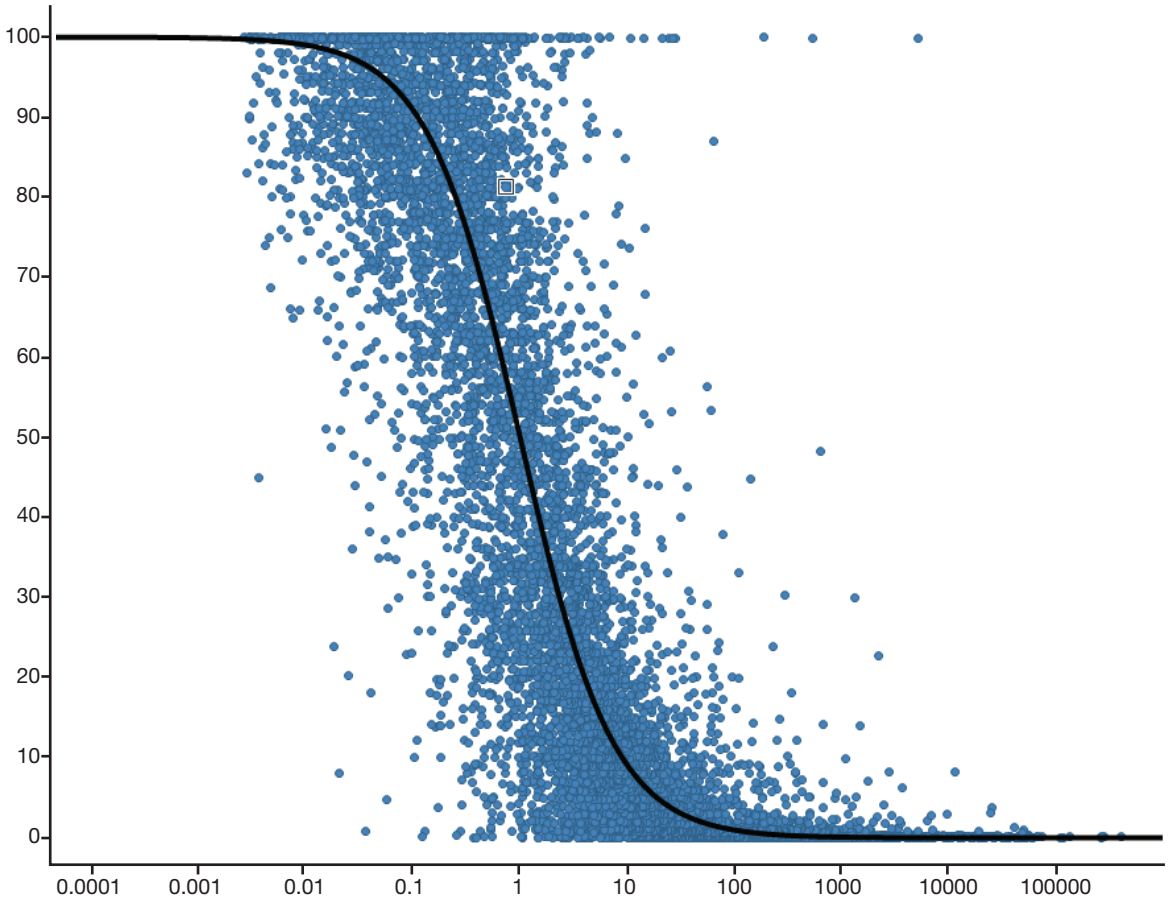
Data anaylsis of (POC) values for positive and negative control reference compounds (included in every primary screen run) for a single kinase over the last 21 months.



Analysis of K_d values for a single compound-kinase interaction over a six month period of time were performed. Data taken from 3 different compound lots and demonstrate less than 4-fold variance.

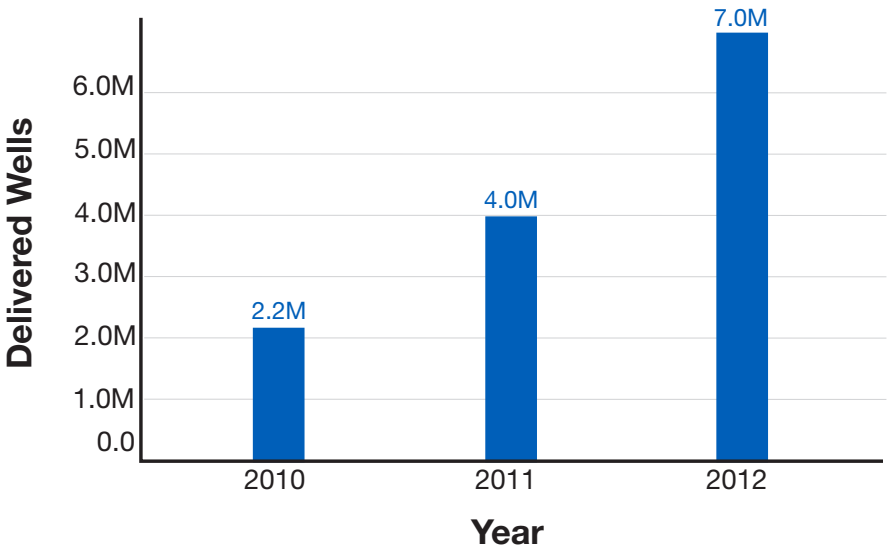
K_d vs POC Values

KINOME*scan* K_d Data vs. PoC Data



- Percent of Control (POC) values are graphed as a function of the screening concentration/K_d of the compound-kinase interaction for more than 10,000 interactions
- The black curve represents the ideal POC relationship relative to screening concentration (eg: screening concentration = K_d, POC = 50%)
- KINOME*scan* assays are most sensitive when screening 3-10X the K_d of the target-compound interaction

Technology Adoption

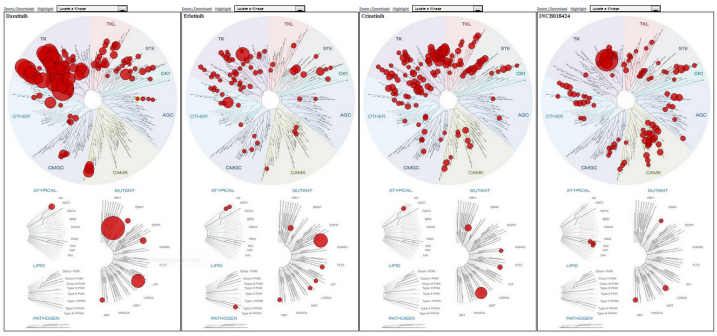


The number of wells screened annually has grown more than 75% over the last 3 years underscoring the continued and ongoing adoption of the KINOME*scan* technology platform.

Visualization Tools

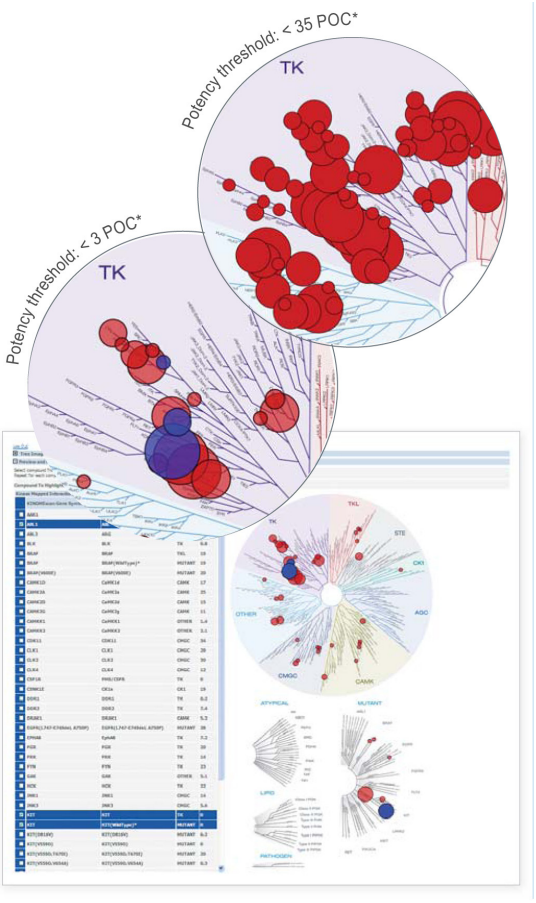
TREE*spot*™ is an innovative, secure access, web-based, compound profile visualization tool for analysis of KINOME*scan*® screening data. TREE*spot* is an ideal companion tool for existing data analysis applications and facilitates compound profile visualization through it's simple yet powerful user interface.

- All kinases mapped
- Easy-to-use
- Facilitates evaluation & analysis of profiling data
- Generate publication quality TREE*spot* images
- Provides global visualization of profile data



Load compound study data using our secure access web portal and choose display parameters.

Login to TREE*spot* at www.discoverx.com/treespot



Easily select and highlight important kinase interactions with different colors for each compound.

*POC – Percent of Control

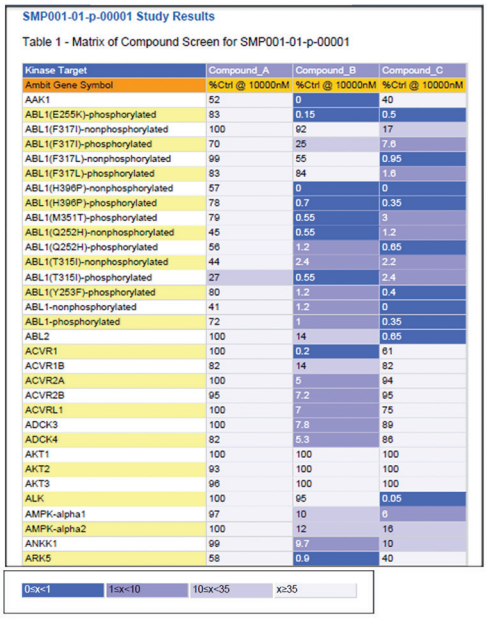
Report Formats

Study results are delivered as three separate data files described below. These reports are also customizable to fit your specific needs and requirements, ranging from data upload requirements to IND filings. Examples of the files sent and the types of study reports are described below.

Study Report – Project summary, protocol, color coded data matrix and TREE*spot*™ maps

1. **Data Report** – Spreadsheet containing replicate data of all compound/kinase interactions
2. **S-Scores Report** – Spreadsheet containing S-Score values for each compound
3. **Customizable Report** – Modified to fit your needs for upload into your database
4. **IND Report** – Custom reports generated to support IND filings for a specific compound

Sample Data for Primary and K_d Determination Study Reports



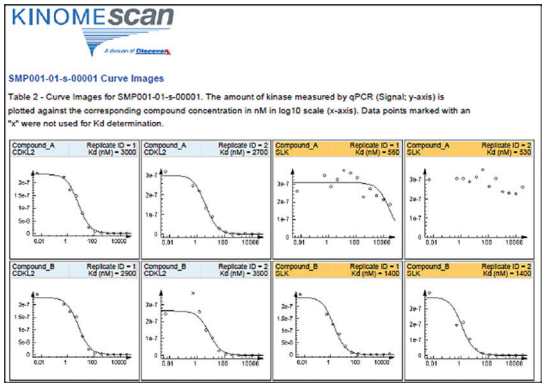
SMP001-01-p-00001 Study Results

Table 1 - Matrix of Compound Screen for SMP001-01-p-00001

Kinase Target	Compound_A	Compound_B	Compound_C
Ambit Gene Symbol	%Ctrl @ 10000nM	%Ctrl @ 10000nM	%Ctrl @ 10000nM
AAK1	52	0	40
ABL1(E255K)-phosphorylated	83	0.15	0.5
ABL1(F317I)-nonphosphorylated	100	92	17
ABL1(F317I)-phosphorylated	70	25	7.6
ABL1(F317L)-nonphosphorylated	99	55	0.95
ABL1(F317L)-phosphorylated	83	84	1.6
ABL1(H396P)-nonphosphorylated	57	0	0
ABL1(H396P)-phosphorylated	78	0.7	0.35
ABL1(M351T)-phosphorylated	79	0.55	3
ABL1(Q252H)-nonphosphorylated	45	0.55	1.2
ABL1(Q252H)-phosphorylated	56	1.2	0.65
ABL1(T315I)-nonphosphorylated	44	2.4	2.2
ABL1(T315I)-phosphorylated	27	0.55	2.4
ABL1(Y253F)-phosphorylated	80	1.2	0.4
ABL1-nonphosphorylated	41	1.2	0
ABL1-phosphorylated	72	1	0.35
ABL2	100	14	0.65
ACVR1	100	0.2	61
ACVR1B	82	14	82
ACVR2A	100	5	94
ACVR2B	95	7.2	95
ACVRL1	100	7	75
ADCK3	100	7.8	89
ADCK4	82	5.3	86
AKT1	100	100	100
AKT2	93	100	100
AKT3	96	100	100
ALK	100	95	0.05
AMPK-alpha1	97	10	6
AMPK-alpha2	100	12	16
ANKK1	99	9.7	10
ARK5	58	0.9	40

0≤x<1 | 1≤x<10 | 10≤x<35 | x≥35

Sample color coded data matrix for three sample compounds against the listed kinase assays. Assays are performed in duplicate and reported as the average of the replicate values. Values are shaded according to level of binding for each tested interaction with higher affinity binding interactions (lower values) denoted as a darker blue.

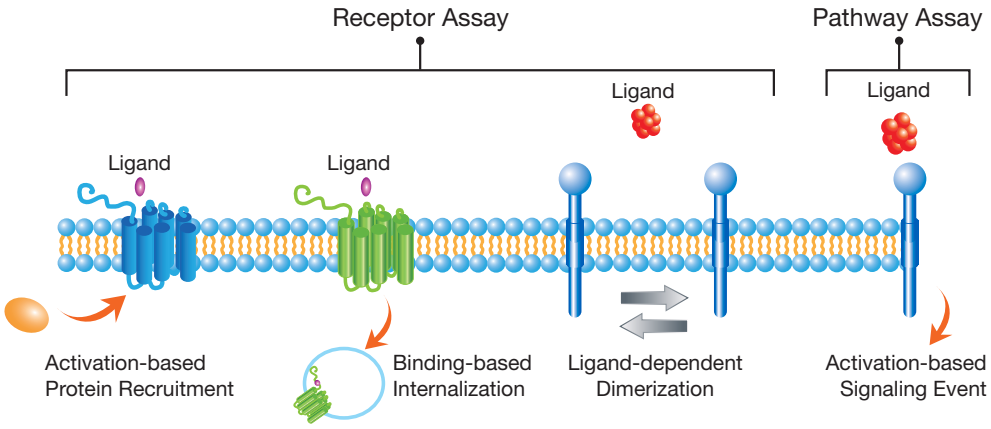


Binding constant (K_d) determination for the interaction between Compounds A & B and two kinase assays. K_d values were calculated by fitting dose-response curves to the Hill binding equation using the Levenberg–Marquardt algorithm.

Additional Kinase Profiling Services

PathHunter Cell-Based Kinase Assays

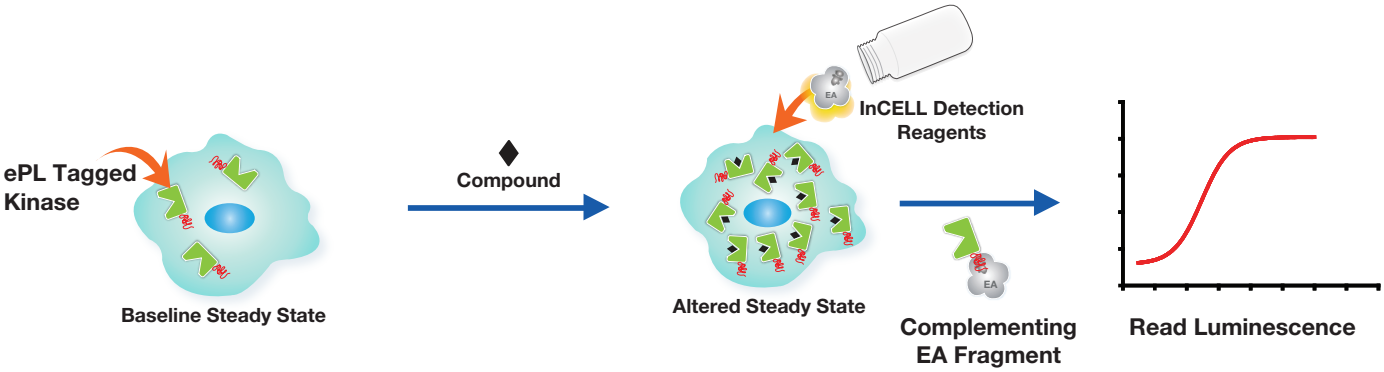
PathHunter cell-based assays provide a validated tool to detect functional activity of various kinases enabling characterization and screening. These whole-cell, high-throughput assays utilize proprietary technology to create simple mix-and-read assays that are well-suited to identify novel small molecule inhibitors and biologics.



This wide variety of functional readouts gives you complete flexibility to choose the ideal detection and screening platform.

InCELL Hunter™ Kinase Assays

InCELL Hunter cell-based assays are designed to detect the binding of a test compound to an intracellular kinase target in intact mammalian cells. This technology reports on cell permeability and target engagement to aid in the selection of biologically active compounds.



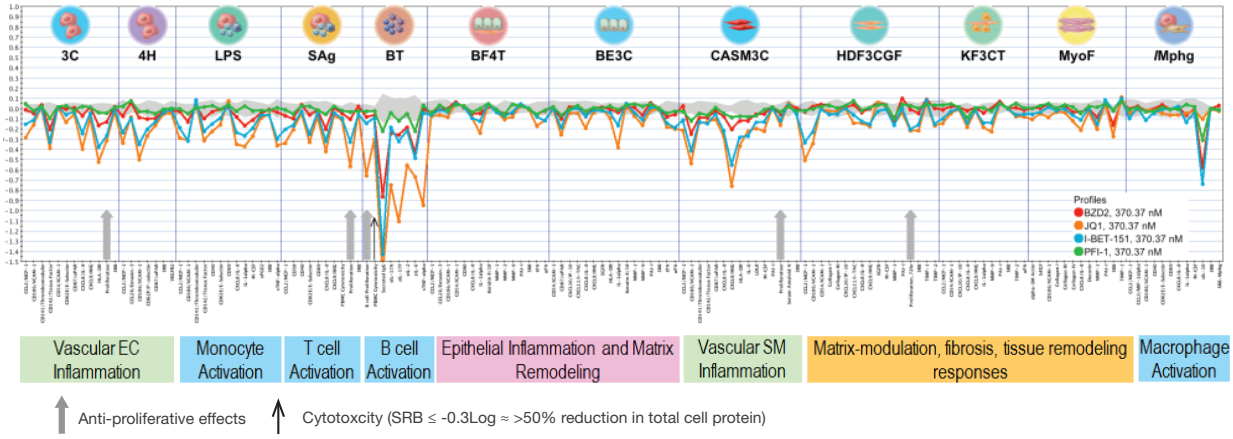
These assays leverage the well-described attribute of protein targets to change their half-lives in response to binding by proteins or small molecules and provide a powerful solution to optimize lead compounds based permeability and cellular potency.

Additional Kinase Profiling Services

Primary Human Cell Phenotypic Profiling Services

The BioMAP® Platform consists of primary human cell-based assay systems, a proprietary database of reference compound profiles, and computational data mining and analysis tools. In each assay system, various primary human cell types are stimulated such that multiple, disease relevant signaling pathways are simultaneously active, capturing pathway and cell interactions that manifest in the diseased tissue. By measuring biomarker readouts (proteins, small molecule mediators, etc.) in multiple assay systems and comparing resulting activity profiles to the profiles of reference compounds in the BioMAP Database, one can gain insight into mechanisms of action, efficacy and safety-related effects of their compounds and correlate activities to clinical outcomes.

- Predictive – Regulatory and feedback mechanisms
- Reproducible – Fully automated platform
- Efficient – Hundreds of targets and mechanisms are interrogated simultaneously
- Powerful – Comparison of test compounds to large database

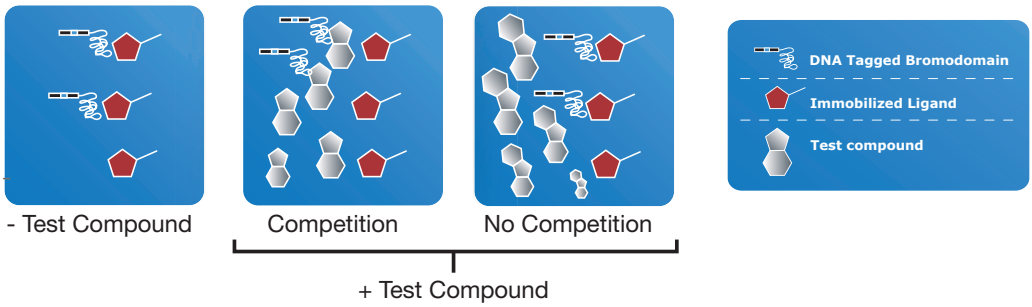


Additional Profiling Services

BROMO*scan*® Bromodomain Screening & Profiling

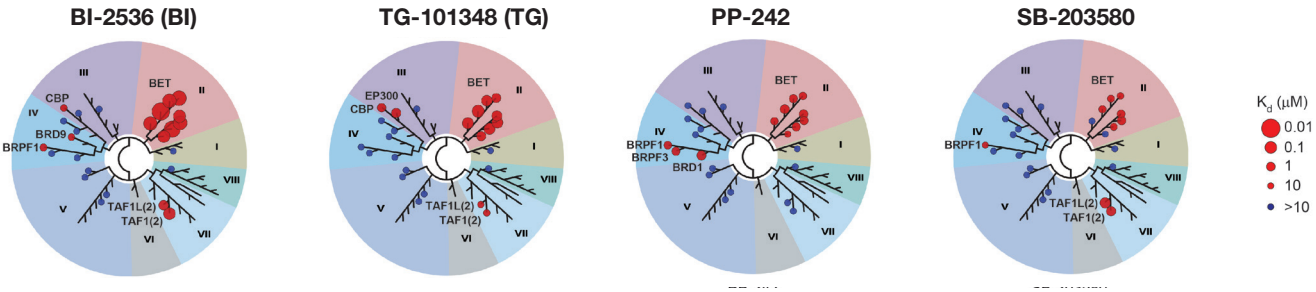
Based on the proven KINOME*scan*® technology, BROMO*scan* is a robust, highly sensitive and quantitative binding platform for identifying small molecule bromodomain inhibitors. Bromodomains have emerged as an important new druggable target class with several bromodomain-containing proteins being associated with disease. BROMO*scan* affords investigators the ability to extensively annotate compounds and facilitate the identification of potent and selective small molecule bromodomain inhibitors.

- Growing menu of 40 screening-ready, quantitative binding bromodomain assays
- Rigorous assay validation using state-of-the-art benchmark epigenetic inhibitors
- Flexible service options - library screening, selectivity profiling and quantitative Kd follow-up
- Rapid turnaround - data delivered in 2 weeks



Compounds that bind the bromodomain active site and directly (sterically) or indirectly (allosterically) prevent bromodomain binding to the immobilized ligand, will reduce the amount of protein captured on the solid support (Panels A & B). Conversely, test molecules that do not bind the bromodomain have no effect on the amount of bromodomain captured on the solid support (Panel C). Screening “hits” are identified by measuring the amount of bromodomain captured in test versus control samples by using a quantitative, precise and ultra-sensitive qPCR method that detects the associated DNA label.

Discovery of kinase inhibitors that potently cross-react with bromodomains



Using data from KINOMEscan and BROMOscan screening platforms, we recently reported that several clinical kinase inhibitors also inhibit bromodomain epigenetic reader proteins with therapeutically relevant potencies. Nanomolar activity on BRD4 by BI-2536 (PLK1) and TG-101348 (JAK2/FLT3) kinase inhibitors was particularly noteworthy as these combinations of activities on independent oncogenic pathways exemplify a novel strategy for rational single agent polypharmacological targeting and demonstrate the feasibility of the rational design of dual inhibitors that target new kinase-bromodomain pairs.

These findings are described in greater detail in the following paper:
Ciceri, P. *et al*., (2014) Dual kinase-bromodomain inhibitors for rationally designed polypharmacology. *Nat. Chem. Biol.* 10, 305-12.

Reference Data & Publications

Reference Data for 72 Compounds

A-674563	AB-1010	ABT-869	AC220	AG-013736	AMG-706	AST-487	AT-7519	AZD-1152HQPA	AZD-2171
AZD-6244/ ARRY-886	BI-2536	BIBF-1120 (derivative)	BIBW-2992	BIRB-796	BMS-345541	BMS-387032/ SNS-032	BMS-540215	CEP-701	CHIR-258/ TKI-258
CHIR-265/ RAF-265	CI-1033	CI-1040	CP-690550	Crizotinib	Dasatinib	Erlotinib	EXEL-2880/ GSK-1363089	Flavopiridol	GDC-0879
GDC-0941	Gefitinib	GSK-1838705A	GSK-461364A	GSK-690693	GW-2580	HKI-272	Imatinib	INCB18424	JNJ-28312141
Ki-20227	KW-2449	Lapatinib	LY-317615	LY-333531	MLN-120B	MLN-518	MLN-8054	Nilotinib	Pazopanib
PD-173955	PHA-665752	PI-103	PKC-412	PLX-4720	PP-242	PTK-787	R406	R547	SB-203580
SGX-523	SKI-606	Sorafenib	Staurospo-rine	SU-14813	Sunitinib	TAE-684	TG-100-115	TG-101348	Vandetanib
VX-680/MK-0457	VX-745								

View interactive compound maps: www.discoverx.com/tools-resources/interaction-maps

Publications from KINOME*scan*

Davis, M. *et al*. Comprehensive analysis of kinase inhibitor selectivity. *Nat Biotechnol.* 2011 Oct 30;29(11):1046-51.

Wodicka L. *et al.* Activation state-dependent binding of small molecule kinase inhibitors: structural insights from biochemistry. *Chem Biol.* 2010 Nov 24;17(11):1241-9.

Karaman M. *et al.* A quantitative analysis of kinase inhibitor selectivity. *Nat Biotechnol.* 2008 Jan;26(1):127-32.

Fabian, M. *et al.* A small molecule-kinase interaction map for clinical kinase inhibitors. *Nat Biotechnol.* 2005 March;23 (3): 329-336.

Customer Publications

Posy, S. *et al.* Trends in kinase selectivity: Insights for target class-focused library screening. *J. Med Chem.* 2011 Jan 13;54(1):54-66.

Zarrinkar, P.P. *et al.* AC220 is a uniquely potent and selective inhibitor of FLT3 for the treatment of acute myeloid leukemia (AML). *Blood* 2009 Oct 1;114(14):2984-92.

Olaharski, A. J. *et al.* Identification of a Kinase Profile that Predicts Chromosome Damage Induced by Small Molecule Kinase Inhibitors. *PLoS Comput Biol. 2009* March 5: 1-10.

Gunawardane, R. N. *et al.* Transient Exposure to Quizartinib Mediates Sustained Inhibition of FLT3 Signlaing while Specifically Inducing Apoptosis in FLT3-Activating Leukemia Cells. *Mol. Cancer Ther.* 2013 Apr 12(4): 438-47.

Bamborough, P. *et al.* Assessment of Chemical Coverage of Kinome Space and Its Implications for Kinase Drug Discovery. *J Med Chem.* 2005 June 51: 7898–7914.

Goldstein, D. *et al.* High-throughput kinase profiling as a platform for drug discovery. *Nat. Reviews.* 2008 May 7:391-397

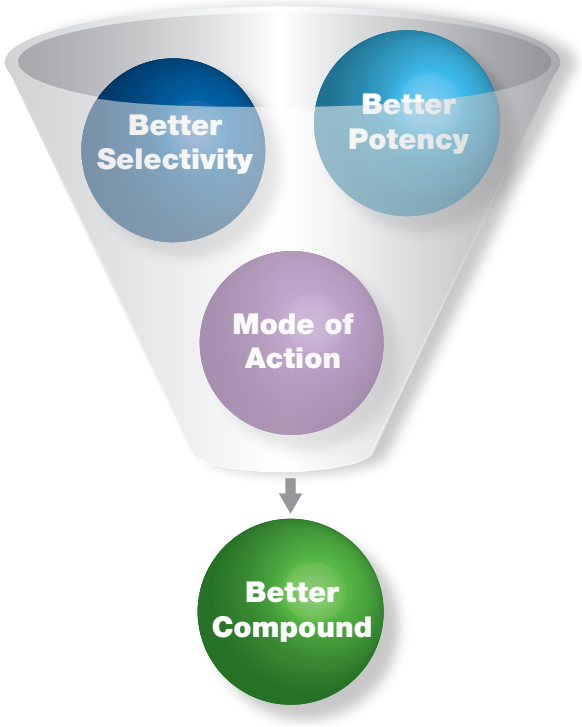
Goldstein, D. M. *et al.* Pathway to the Clinic: Inhibition of P38 MAP Kinase. A Review of Ten Chemotypes Selected for Development. *Curr Top Med Chem*. 5, 1017-1029 (2005)

Over 255 additional customer publications available for KINOME*scan*.

Summary

- World's largest kinase assay panel of 469 assays
- Unprecedented dynamic range for accurate K_d measurement (pM to mM)
- Single platform with similar, generic conditions
- ATP-independent assay
- Measures thermodynamic K_d values as opposed to IC_{50} values
- Mode of action studies
- No assay interference from fluorescent or colored compounds
- Assays not biased against slowly binding Type II inhibitors

Voted #1 for Data Quality, Industry Reputation and Assay Format in an independent survey of 78 drug discovery & kinase profiling labs in the *2013 HTStec Kinase Profiling Trends Market Survey.*



Appendix A KINOME*scan* Assay List

KINOMEscan® Gene Symbol	Entrez Gene Symbol	Kinase Name
AAK1	AAK1	AP2 associated kinase 1
ABL1(E255K)-phosphorylated	ABL1	c-abl oncogene 1, receptor tyrosine kinase
ABL1(F317I)-nonphosphorylated	ABL1	c-abl oncogene 1, receptor tyrosine kinase
ABL1(F317I)-phosphorylated	ABL1	c-abl oncogene 1, receptor tyrosine kinase
ABL1(F317L)-nonphosphorylated	ABL1	c-abl oncogene 1, receptor tyrosine kinase
ABL1(F317L)-phosphorylated	ABL1	c-abl oncogene 1, receptor tyrosine kinase
ABL1(H396P)-nonphosphorylated	ABL1	c-abl oncogene 1, receptor tyrosine kinase
ABL1(H396P)-phosphorylated	ABL1	c-abl oncogene 1, receptor tyrosine kinase
ABL1(M351T)-phosphorylated	ABL1	c-abl oncogene 1, receptor tyrosine kinase
ABL1(Q252H)-nonphosphorylated	ABL1	c-abl oncogene 1, receptor tyrosine kinase
ABL1(Q252H)-phosphorylated	ABL1	c-abl oncogene 1, receptor tyrosine kinase
ABL1(T315I)-nonphosphorylated	ABL1	c-abl oncogene 1, receptor tyrosine kinase
ABL1(T315I)-phosphorylated	ABL1	c-abl oncogene 1, receptor tyrosine kinase
ABL1(Y253F)-phosphorylated	ABL1	c-abl oncogene 1, receptor tyrosine kinase
ABL1-nonphosphorylated	ABL1	c-abl oncogene 1, receptor tyrosine kinase
ABL1-phosphorylated	ABL1	c-abl oncogene 1, receptor tyrosine kinase
ABL2	ABL2	v-abl Abelson murine leukemia viral oncogene homolog 2 (arg, Abelson-related gene)
ACVR1	ACVR1	activin A receptor, type I
ACVR1B	ACVR1B	activin A receptor, type IB
ACVR2A	ACVR2A	activin A receptor, type IIA
ACVR2B	ACVR2B	activin A receptor, type IIB
ACVRL1	ACVRL1	activin A receptor type II-like 1
ADCK3	CABC1	chaperone, ABC1 activity of bc1 complex homolog (S. pombe)
ADCK4	ADCK4	aarF domain containing kinase 4
AKT1	AKT1	v-akt murine thymoma viral oncogene homolog 1
AKT2	AKT2	v-akt murine thymoma viral oncogene homolog 2
AKT3	AKT3	v-akt murine thymoma viral oncogene homolog 3 (protein kinase B, gamma)
ALK	ALK	anaplastic lymphoma receptor tyrosine kinase
ALK(C1156Y)	ALK	anaplastic lymphoma receptor tyrosine kinase
ALK(L1196M)	ALK	anaplastic lymphoma receptor tyrosine kinase
AMPK-alpha1	PRKAA1	protein kinase, AMP-activated, alpha 2 catalytic subunit
AMPK-alpha2	PRKAA2	protein kinase, AMP-activated, alpha 2 catalytic subunit
ANKK1	ANKK1	ankyrin repeat and kinase domain containing 1
ARK5	NUAK1	NUAK family, SNF1-like kinase, 1
ASK1	MAP3K5	mitogen-activated protein kinase kinase kinase 5
ASK2	MAP3K6	mitogen-activated protein kinase kinase kinase 6
ATAD2A	ATAD2	ATPase family AAA domain-containing protein 2
ATAD2B	ATAD2B	ATPase family, AAA domain containing 2B
AURKA	AURKA	aurora kinase A
AURKB	AURKB	aurora kinase B
AURKC	AURKC	aurora kinase C
AXL	AXL	AXL receptor tyrosine kinase
BAZ2A	BAZ2A	bromodomain adjacent to zinc finger domain, 2A
BAZ2B	BAZ2B	bromodomain adjacent to zinc finger domain, 2B
BCL2	BCL2	apoptosis regulator Bcl-2 alpha isoform [Homo sapiens]
BCL2A1	BCL2A1	BCL2-related protein A1
BCLW	BCL2L2	BCL2-like 2

Appendix A KINOME*scan* Assay List

KINOMEscan® Gene Symbol	Entrez Gene Symbol	Kinase Name
BCLXL	BCL2L1	BCL2-like 1
BIKE	BMP2K	BMP2 inducible kinase
BLK	BLK	B lymphoid tyrosine kinase
BMPR1A	BMPR1A	bone morphogenetic protein receptor, type IA
BMPR1B	BMPR1B	bone morphogenetic protein receptor, type IB
BMPR2	BMPR2	bone morphogenetic protein receptor, type II (serine/threonine kinase)
BMX	BMX	BMX non-receptor tyrosine kinase
BRAF	BRAF	v-raf murine sarcoma viral oncogene homolog B1
BRAF(V600E)	BRAF	v-raf murine sarcoma viral oncogene homolog B1
BRD1	BRD1	bromodomain-containing protein 1
BRD2(1)	BRD2	bromodomain-containing protein 2 isoform 1, bromodomain 1
BRD2(1,2)	BRD2	bromodomain-containing protein 2 isoform 1, bromodomains 1 and 2
BRD2(2)	BRD2	bromodomain-containing protein 2 isoform 1, bromodomain 2
BRD3(1)	BRD3	bromodomain-containing protein 3, bromodomain 1
BRD3(1,2)	BRD3	bromodomain-containing protein 3, bromodomains 1 and 2
BRD3(2)	BRD3	bromodomain-containing protein 3, bromodomain 2
BRD4(1)	BRD4	bromodomain-containing protein 4 isoform long, bromodomain 1
BRD4(1,2)	BRD4	bromodomain-containing protein 4 isoform long, bromodomains 1 and 2
BRD4(2)	BRD4	bromodomain-containing protein 4 isoform long, bromodomain 2
BRD4(full-length,short-iso.)	BRD4	bromodomain-containing protein 4 isoform short
BRD7	BRD7	bromodomain containing 7
BRD8(1)	BRD8	bromodomain containing 8, bromodomain 1
BRD8(2)	BRD8	bromodomain containing 8, bromodomain 2
BRD9	BRD9	bromodomain-containing protein 9 isoform 1
BRDT(1)	BRDT	bromodomain testis-specific protein isoform b, bromodomain 1
BRDT(1,2)	BRDT	bromodomain testis-specific protein isoform b, bromodomains 1 and 2
BRDT(2)	BRDT	bromodomain testis-specific protein isoform b, bromodomain 2
BRK	PTK6	protein tyrosine kinase 6
BRPF1	BRPF1	bromodomain and PHD finger containing, 1
BRPF3	BRPF3	bromodomain and PHD finger containing, 3
BRSK1	BRSK1	BR serine/threonine kinase 1
BRSK2	BRSK2	BR serine/threonine kinase 2
BTK	BTK	Bruton agammaglobulinemia tyrosine kinase
BUB1	BUB1	budding uninhibited by benzimidazoles 1 homolog (yeast)
CAMK1	CAMK1	calcium/calmodulin-dependent protein kinase I
CAMK1B	PNCK	pregnancy up-regulated nonubiquitous CaM kinase
CAMK1D	CAMK1D	calcium/calmodulin-dependent protein kinase ID
CAMK1G	CAMK1G	calcium/calmodulin-dependent protein kinase IG
CAMK2A	CAMK2A	calcium/calmodulin-dependent protein kinase II alpha
CAMK2B	CAMK2B	calcium/calmodulin-dependent protein kinase II beta
CAMK2D	CAMK2D	calcium/calmodulin-dependent protein kinase II delta
CAMK2G	CAMK2G	calcium/calmodulin-dependent protein kinase II gamma
CAMK4	CAMK4	calcium/calmodulin-dependent protein kinase IV
CAMKK1	CAMKK1	calcium/calmodulin-dependent protein kinase kinase 1, alpha
CAMKK2	CAMKK2	calcium/calmodulin-dependent protein kinase kinase 2, beta
CASK	CASK	calcium/calmodulin-dependent serine protein kinase (MAGUK family)
CDC2L1	CDK11B	cyclin-dependent kinase 11B

Appendix A KINOME*scan* Assay List

KINOMEscan® Gene Symbol	Entrez Gene Symbol	Kinase Name
CDC2L2	CDC2L2	cyclin-dependent kinase 11A
CDC2L5	CDK13	cyclin-dependent kinase 13
CDK11	CDK19	cyclin-dependent kinase 19
CDK2	CDK2	cyclin-dependent kinase 2
CDK3	CDK3	cyclin-dependent kinase 3
CDK4	CDK4	cyclin-dependent kinase 4
CDK4-cyclinD1	CDK4	cyclin-dependent kinase 4
CDK4-cyclinD3	CDK4	cyclin-dependent kinase 4
CDK5	CDK5	cyclin-dependent kinase 5
CDK7	CDK7	cyclin-dependent kinase 7
CDK8	CDK8	cyclin-dependent kinase 8
CDK9	CDK9	cyclin-dependent kinase 9
CDKL1	CDKL1	cyclin-dependent kinase-like 1 (CDC2-related kinase)
CDKL2	CDKL2	cyclin-dependent kinase-like 2 (CDC2-related kinase)
CDKL3	CDKL3	cyclin-dependent kinase-like 3
CDKL5	CDKL5	cyclin-dependent kinase-like 5
CECR2	CECR2	cat eye syndrome chromosome region, candidate 2
CHEK1	CHEK1	CHK1 checkpoint homolog (S. pombe)
CHEK2	CHEK2	CHK2 checkpoint homolog (S. pombe)
CIT	CIT	citron (rho-interacting, serine/threonine kinase 21)
CLK1	CLK1	CDC-like kinase 1
CLK2	CLK2	CDC-like kinase 2
CLK3	CLK3	CDC-like kinase 3
CLK4	CLK4	CDC-like kinase 4
CREBBP	CREBBP	CREB binding protein
CSF1R	CSF1R	colony stimulating factor 1 receptor
CSF1R-autoinhibited	CSF1R	colony stimulating factor 1 receptor
CSK	CSK	c-src tyrosine kinase
CSNK1A1	CSNK1A1	casein kinase 1, alpha 1
CSNK1A1L	CSNK1A1L	casein kinase 1, alpha 1-like
CSNK1D	CSNK1D	casein kinase 1, delta
CSNK1E	CSNK1E	casein kinase 1, epsilon
CSNK1G1	CSNK1G1	casein kinase 1, gamma 1
CSNK1G2	CSNK1G2	casein kinase 1, gamma 2
CSNK1G3	CSNK1G3	casein kinase 1, gamma 3
CSNK2A1	CSNK2A1	casein kinase 2, alpha 1 polypeptide
CSNK2A2	CSNK2A2	casein kinase 2, alpha prime polypeptide
CTK	MATK	megakaryocyte-associated tyrosine kinase
DAPK1	DAPK1	death-associated protein kinase 1
DAPK2	DAPK2	death-associated protein kinase 2
DAPK3	DAPK3	death-associated protein kinase 3
DCAMKL1	DCLK1	doublecortin-like kinase 1
DCAMKL2	DCLK2	doublecortin-like kinase 2
DCAMKL3	DCLK3	doublecortin-like kinase 3
DDR1	DDR1	discoidin domain receptor tyrosine kinase 1
DDR2	DDR2	discoidin domain receptor tyrosine kinase 2
DLK	MAP3K12	mitogen-activated protein kinase kinase kinase 12

Appendix A KINOME*scan* Assay List

KINOMEscan® Gene Symbol	Entrez Gene Symbol	Kinase Name
DMPK	DMPK	dystrophia myotonica-protein kinase
DMPK2	CDC42BPG	CDC42 binding protein kinase gamma (DMPK-like)
DRAK1	STK17A	serine/threonine kinase 17a
DRAK2	STK17B	serine/threonine kinase 17b
DYRK1A	DYRK1A	dual-specificity tyrosine-(Y)-phosphorylation regulated kinase 1A
DYRK1B	DYRK1B	dual-specificity tyrosine-(Y)-phosphorylation regulated kinase 1B
DYRK2	DYRK2	dual-specificity tyrosine-(Y)-phosphorylation regulated kinase 2
EGFR	EGFR	epidermal growth factor receptor (erythroblastic leukemia viral (v-erb-b) oncogene homolog, avian)
EGFR(E746-A750del)	EGFR	epidermal growth factor receptor (erythroblastic leukemia viral (v-erb-b) oncogene homolog, avian)
EGFR(G719C)	EGFR	epidermal growth factor receptor (erythroblastic leukemia viral (v-erb-b) oncogene homolog, avian)
EGFR(G719S)	EGFR	epidermal growth factor receptor (erythroblastic leukemia viral (v-erb-b) oncogene homolog, avian)
EGFR(L747-E749del, A750P)	EGFR	epidermal growth factor receptor (erythroblastic leukemia viral (v-erb-b) oncogene homolog, avian)
EGFR(L747-S752del, P753S)	EGFR	epidermal growth factor receptor (erythroblastic leukemia viral (v-erb-b) oncogene homolog, avian)
EGFR(L747-T751del,Sins)	EGFR	epidermal growth factor receptor (erythroblastic leukemia viral (v-erb-b) oncogene homolog, avian)
EGFR(L858R)	EGFR	epidermal growth factor receptor (erythroblastic leukemia viral (v-erb-b) oncogene homolog, avian)
EGFR(L858R,T790M)	EGFR	epidermal growth factor receptor (erythroblastic leukemia viral (v-erb-b) oncogene homolog, avian)
EGFR(L861Q)	EGFR	epidermal growth factor receptor (erythroblastic leukemia viral (v-erb-b) oncogene homolog, avian)
EGFR(S752-I759del)	EGFR	epidermal growth factor receptor (erythroblastic leukemia viral (v-erb-b) oncogene homolog, avian)
EGFR(T790M)	EGFR	epidermal growth factor receptor (erythroblastic leukemia viral (v-erb-b) oncogene homolog, avian)
EIF2AK1	EIF2AK1	eukaryotic translation initiation factor 2-alpha kinase 1
EP300	EP300	E1A binding protein p300
EPHA1	EPHA1	EPH receptor A1
EPHA2	EPHA2	EPH receptor A2
EPHA3	EPHA3	EPH receptor A3
EPHA4	EPHA4	EPH receptor A4
EPHA5	EPHA5	EPH receptor A5
EPHA6	EPHA6	EPH receptor A6
EPHA7	EPHA7	EPH receptor A7
EPHA8	EPHA8	EPH receptor A8
EPHB1	EPHB1	EPH receptor B1
EPHB2	EPHB2	EPH receptor B2
EPHB3	EPHB3	EPH receptor B3
EPHB4	EPHB4	EPH receptor B4
EPHB6	EPHB6	EPH receptor B6
ERBB2	ERBB2	v-erb-b2 erythroblastic leukemia viral oncogene homolog 2, neuro/glioblastoma derived oncogene homolog (avian)
ERBB3	ERBB3	v-erb-b2 erythroblastic leukemia viral oncogene homolog 3 (avian)
ERBB4	ERBB4	v-erb-a erythroblastic leukemia viral oncogene homolog 4 (avian)
ERK1	MAPK3	mitogen-activated protein kinase 3

Appendix A KINOME*scan* Assay List

KINOMEscan® Gene Symbol	Entrez Gene Symbol	Kinase Name
ERK2	MAPK1	mitogen-activated protein kinase 1
ERK3	MAPK6	mitogen-activated protein kinase 6
ERK4	MAPK4	mitogen-activated protein kinase 4
ERK5	MAPK7	mitogen-activated protein kinase 7
ERK8	MAPK15	mitogen-activated protein kinase 15
ERN1	ERN1	endoplasmic reticulum to nucleus signaling 1
FAK	PTK2	PTK2 protein tyrosine kinase 2
FALZ	BPTF	nucleosome-remodeling factor subunit BPTF isoform 1
FER	FER	fer (fps/fes related) tyrosine kinase
FES	FES	feline sarcoma oncogene
FGFR1	FGFR1	fibroblast growth factor receptor 1
FGFR2	FGFR2	fibroblast growth factor receptor 2
FGFR3	FGFR3	fibroblast growth factor receptor 3
FGFR3(G697C)	FGFR3	fibroblast growth factor receptor 3
FGFR4	FGFR4	fibroblast growth factor receptor 4
FGR	FGR	Gardner-Rasheed feline sarcoma viral (v-fgr) oncogene homolog
FLT1	FLT1	fms-related tyrosine kinase 1 (vascular endothelial growth factor/vascular permeability factor receptor)
FLT3	FLT3	fms-related tyrosine kinase 3
FLT3(D835H)	FLT3	fms-related tyrosine kinase 3
FLT3(D835V)	FLT3	fms-related tyrosine kinase 3
FLT3(D835Y)	FLT3	fms-related tyrosine kinase 3
FLT3(ITD)	FLT3	fms-related tyrosine kinase 3
FLT3(ITD,D835V)	FLT3	fms-related tyrosine kinase 3
FLT3(ITD,F691L)	FLT3	fms-related tyrosine kinase 3
FLT3(K663Q)	FLT3	fms-related tyrosine kinase 3
FLT3(N841I)	FLT3	fms-related tyrosine kinase 3
FLT3(R834Q)	FLT3	fms-related tyrosine kinase 3
FLT3-autoinhibited	FLT3	fms-related tyrosine kinase 3
FLT4	FLT4	fms-related tyrosine kinase 4
FRK	FRK	fyn-related kinase
FYN	FYN	FYN oncogene related to SRC, FGR, YES
GAK	GAK	cyclin G associated kinase
GCN2(Kin.Dom.2,S808G)	EIF2AK4	eukaryotic translation initiation factor 2 alpha kinase 4
GCN5L2	KAT2A	K(lysine) acetyltransferase 2A
GRK1	GRK1	G protein-coupled receptor kinase 1
GRK2	ADRBK1	adrenergic, beta, receptor kinase 1 [Homo sapiens (human)]
GRK3	ADRBK2	adrenergic, beta, receptor kinase 2 [Homo sapiens (human)]
GRK4	GRK4	G protein-coupled receptor kinase 4
GRK7	GRK7	G protein-coupled receptor kinase 7
GSK3A	GSK3A	glycogen synthase kinase 3 alpha
GSK3B	GSK3B	glycogen synthase kinase 3 beta
HASPIN	GSG2	germ cell associated 2 (haspin)
HCK	HCK	hemopoietic cell kinase
HIPK1	HIPK1	homeodomain interacting protein kinase 1
HIPK2	HIPK2	homeodomain interacting protein kinase 2
HIPK3	HIPK3	homeodomain interacting protein kinase 3
HIPK4	HIPK4	homeodomain interacting protein kinase 4

Appendix A KINOME*scan* Assay List

KINOMEscan® Gene Symbol	Entrez Gene Symbol	Kinase Name
HPK1	MAP4K1	mitogen-activated protein kinase kinase kinase kinase 1
HUNK	HUNK	hormonally up-regulated Neu-associated kinase
ICK	ICK	intestinal cell (MAK-like) kinase
IGF1R	IGF1R	insulin-like growth factor 1 receptor
IKK-alpha	CHUK	conserved helix-loop-helix ubiquitous kinase
IKK-beta	IKBKB	inhibitor of kappa light polypeptide gene enhancer in B-cells, kinase beta
IKK-epsilon	IKBKE	inhibitor of kappa light polypeptide gene enhancer in B-cells, kinase epsilon
INSR	INSR	insulin receptor
INSRR	INSRR	insulin receptor-related receptor
IRAK1	IRAK1	interleukin-1 receptor-associated kinase 1
IRAK3	IRAK3	interleukin-1 receptor-associated kinase 3
IRAK4	IRAK4	interleukin-1 receptor-associated kinase 4
ITK	ITK	IL2-inducible T-cell kinase
JAK1(JH1domain-catalytic)	JAK1	Janus kinase 1
JAK1(JH2domain-pseudokinase)	JAK1	Janus kinase 1
JAK2(JH1domain-catalytic)	JAK2	Janus kinase 2
JAK3(JH1domain-catalytic)	JAK3	Janus kinase 3
JNK1	MAPK8	mitogen-activated protein kinase 8
JNK2	MAPK9	mitogen-activated protein kinase 9
JNK3	MAPK10	mitogen-activated protein kinase 10
KIT	KIT	v-kit Hardy-Zuckerman 4 feline sarcoma viral oncogene homolog
KIT(A829P)	KIT	v-kit Hardy-Zuckerman 4 feline sarcoma viral oncogene homolog
KIT(D816H)	KIT	v-kit Hardy-Zuckerman 4 feline sarcoma viral oncogene homolog
KIT(D816V)	KIT	v-kit Hardy-Zuckerman 4 feline sarcoma viral oncogene homolog
KIT(L576P)	KIT	v-kit Hardy-Zuckerman 4 feline sarcoma viral oncogene homolog
KIT(V559D)	KIT	v-kit Hardy-Zuckerman 4 feline sarcoma viral oncogene homolog
KIT(V559D,T670I)	KIT	v-kit Hardy-Zuckerman 4 feline sarcoma viral oncogene homolog
KIT(V559D,V654A)	KIT	v-kit Hardy-Zuckerman 4 feline sarcoma viral oncogene homolog
KIT-autoinhibited	KIT	v-kit Hardy-Zuckerman 4 feline sarcoma viral oncogene homolog
LATS1	LATS1	LATS, large tumor suppressor, homolog 1 (Drosophila)
LATS2	LATS2	LATS, large tumor suppressor, homolog 2 (Drosophila)
LCK	LCK	lymphocyte-specific protein tyrosine kinase
LIMK1	LIMK1	LIM domain kinase 1
LIMK2	LIMK2	LIM domain kinase 2
LKB1	STK11	serine/threonine kinase 11
LOK	STK10	serine/threonine kinase 10
LRRK2	LRRK2	leucine-rich repeat kinase 2
LRRK2(G2019S)	LRRK2	leucine-rich repeat kinase 2
LTK	LTK	leukocyte receptor tyrosine kinase
LYN	LYN	v-yes-1 Yamaguchi sarcoma viral related oncogene homolog
LZK	MAP3K13	mitogen-activated protein kinase kinase kinase 13
MAK	MAK	male germ cell-associated kinase
MAP3K1	MAP3K1	mitogen-activated protein kinase kinase kinase 1
MAP3K15	MAP3K15	mitogen-activated protein kinase kinase kinase 15
MAP3K2	MAP3K2	mitogen-activated protein kinase kinase kinase 2
MAP3K3	MAP3K3	mitogen-activated protein kinase kinase kinase 3
MAP3K4	MAP3K4	mitogen-activated protein kinase kinase kinase 4

Appendix A KINOME*scan* Assay List

KINOMEscan® Gene Symbol	Entrez Gene Symbol	Kinase Name
MAP4K2	MAP4K2	mitogen-activated protein kinase kinase kinase kinase 2
MAP4K3	MAP4K3	mitogen-activated protein kinase kinase kinase kinase 3
MAP4K4	MAP4K4	mitogen-activated protein kinase kinase kinase kinase 4
MAP4K5	MAP4K5	mitogen-activated protein kinase kinase kinase kinase 5
MAPKAPK2	MAPKAPK2	mitogen-activated protein kinase-activated protein kinase 2
MAPKAPK5	MAPKAPK5	mitogen-activated protein kinase-activated protein kinase 5
MARK1	MARK1	MAP/microtubule affinity-regulating kinase 1
MARK2	MARK2	MAP/microtubule affinity-regulating kinase 2
MARK3	MARK3	MAP/microtubule affinity-regulating kinase 3
MARK4	MARK4	MAP/microtubule affinity-regulating kinase 4
MAST1	MAST1	microtubule associated serine/threonine kinase 1
MCL1	MCL1	myeloid cell leukemia 1
MEK1	MAP2K1	mitogen-activated protein kinase kinase 1
MEK2	MAP2K2	mitogen-activated protein kinase kinase 2
MEK3	MAP2K3	mitogen-activated protein kinase kinase 3
MEK4	MAP2K4	mitogen-activated protein kinase kinase 4
MEK5	MAP2K5	mitogen-activated protein kinase kinase 5
MEK6	MAP2K6	mitogen-activated protein kinase kinase 6
MELK	MELK	maternal embryonic leucine zipper kinase
MERTK	MERTK	c-mer proto-oncogene tyrosine kinase
MET	MET	met proto-oncogene (hepatocyte growth factor receptor)
MET(M1250T)	MET	met proto-oncogene (hepatocyte growth factor receptor)
MET(Y1235D)	MET	met proto-oncogene (hepatocyte growth factor receptor)
MINK	MINK1	misshapen-like kinase 1 (zebrafish)
MKK7	MAP2K7	mitogen-activated protein kinase kinase 7
MKNK1	MKNK1	MAP kinase interacting serine/threonine kinase 1
MKNK2	MKNK2	MAP kinase interacting serine/threonine kinase 2
MLCK	MYLK3	myosin light chain kinase 3
MLK1	MAP3K9	mitogen-activated protein kinase kinase kinase 9
MLK2	MAP3K10	mitogen-activated protein kinase kinase kinase 10
MLK3	MAP3K11	mitogen-activated protein kinase kinase kinase 11
MRCKA	CDC42BPA	CDC42 binding protein kinase alpha (DMPK-like)
MRCKB	CDC42BPB	CDC42 binding protein kinase beta (DMPK-like)
MST1	STK4	serine/threonine kinase 4
MST1R	MST1R	macrophage stimulating 1 receptor (c-met-related tyrosine kinase)
MST2	STK3	serine/threonine kinase 3 (STE20 homolog, yeast)
MST3	STK24	serine/threonine kinase 24 (STE20 homolog, yeast)
MST4	MST4	serine/threonine protein kinase MST4
MTOR	MTOR	mechanistic target of rapamycin (serine/threonine kinase)
MUSK	MUSK	muscle, skeletal, receptor tyrosine kinase
MYLK	MYLK	myosin light chain kinase
MYLK2	MYLK2	myosin light chain kinase 2
MYLK4	MYLK4	myosin light chain kinase family, member 4
MYO3A	MYO3A	myosin IIIA
MYO3B	MYO3B	myosin IIIB
NDR1	STK38	serine/threonine kinase 38
NDR2	STK38L	serine/threonine kinase 38 like

Appendix A KINOME*scan* Assay List

KINOMEscan® Gene Symbol	Entrez Gene Symbol	Kinase Name
NEK1	NEK1	NIMA (never in mitosis gene a)-related kinase 1
NEK10	NEK10	NIMA (never in mitosis gene a)- related kinase 10
NEK11	NEK11	NIMA (never in mitosis gene a)-related kinase 11
NEK2	NEK2	NIMA (never in mitosis gene a)-related kinase 2
NEK3	NEK3	NIMA (never in mitosis gene a)-related kinase 3
NEK4	NEK4	NIMA (never in mitosis gene a)-related kinase 4
NEK5	NEK5	NIMA (never in mitosis gene a)-related kinase 5
NEK6	NEK6	NIMA (never in mitosis gene a)-related kinase 6
NEK7	NEK7	NIMA (never in mitosis gene a)-related kinase 7
NEK9	NEK9	NIMA (never in mitosis gene a)-related kinase 9
NIK	MAP3K14	mitogen-activated protein kinase kinase kinase 14
NIM1	MGC42105	serine/threonine-protein kinase NIM1
NLK	NLK	nemo-like kinase
OSR1	OXSR1	oxidative-stress responsive 1
p38-alpha	MAPK14	mitogen-activated protein kinase 14
p38-beta	MAPK11	mitogen-activated protein kinase 11
p38-delta	MAPK13	mitogen-activated protein kinase 13
p38-gamma	MAPK12	mitogen-activated protein kinase 12
PAK1	PAK1	p21 protein (Cdc42/Rac)-activated kinase 1
PAK2	PAK2	p21 protein (Cdc42/Rac)-activated kinase 2
PAK3	PAK3	p21 protein (Cdc42/Rac)-activated kinase 3
PAK4	PAK4	p21 protein (Cdc42/Rac)-activated kinase 4
PAK6	PAK6	p21 protein (Cdc42/Rac)-activated kinase 6
PAK7	PAK7	p21 protein (Cdc42/Rac)-activated kinase 7
PBRM1(2)	PBRM1	polybromo 1, bromodomain 2
PBRM1(5)	PBRM1	polybromo 1, bromodomain 5
PCAF	KAT2B	K(lysine) acetyltransferase 2B
PCTK1	CDK16	cyclin-dependent kinase 16
PCTK2	CDK17	cyclin-dependent kinase 17
PCTK3	CDK18	cyclin-dependent kinase 18
PDGFRA	PDGFRA	platelet-derived growth factor receptor, alpha polypeptide
PDGFRB	PDGFRB	platelet-derived growth factor receptor, beta polypeptide
PDPK1	PDPK1	3-phosphoinositide dependent protein kinase-1
PFCDPK1(P.falciparum)	CDPK1	Calcium-dependent protein kinase 1
PFPK5(P.falciparum)	MAL13P1.279	Protein Kinase 5
PFTAIRE2	CDK15	Cyclin-Dependent Kinase 15
PFTK1	CDK14	Cyclin-Dependent Kinase 14
PHKG1	PHKG1	phosphorylase kinase, gamma 1 (muscle)
PHKG2	PHKG2	phosphorylase kinase, gamma 2 (testis)
PIK3C2B	PIK3C2B	phosphoinositide-3-kinase, class 2, beta polypeptide
PIK3C2G	PIK3C2G	phosphoinositide-3-kinase, class 2, gamma polypeptide
PIK3CA	PIK3CA	phosphoinositide-3-kinase, catalytic, alpha polypeptide
PIK3CA(C420R)	PIK3CA	phosphoinositide-3-kinase, catalytic, alpha polypeptide
PIK3CA(E542K)	PIK3CA	phosphoinositide-3-kinase, catalytic, alpha polypeptide
PIK3CA(E545A)	PIK3CA	phosphoinositide-3-kinase, catalytic, alpha polypeptide
PIK3CA(E545K)	PIK3CA	phosphoinositide-3-kinase, catalytic, alpha polypeptide
PIK3CA(H1047L)	PIK3CA	phosphoinositide-3-kinase, catalytic, alpha polypeptide

Appendix A KINOME*scan* Assay List

KINOMEscan® Gene Symbol	Entrez Gene Symbol	Kinase Name
PIK3CA(H1047Y)	PIK3CA	phosphoinositide-3-kinase, catalytic, alpha polypeptide
PIK3CA(I800L)	PIK3CA	phosphoinositide-3-kinase, catalytic, alpha polypeptide
PIK3CA(M1043I)	PIK3CA	phosphoinositide-3-kinase, catalytic, alpha polypeptide
PIK3CA(Q546K)	PIK3CA	phosphoinositide-3-kinase, catalytic, alpha polypeptide
PIK3CB	PIK3CB	phosphoinositide-3-kinase, catalytic, beta polypeptide
PIK3CD	PIK3CD	phosphoinositide-3-kinase, catalytic, delta polypeptide
PIK3CG	PIK3CG	phosphoinositide-3-kinase, catalytic, gamma polypeptide
PIK4CB	PI4KB	phosphatidylinositol 4-kinase, catalytic, beta
PIKFYVE	PIKFYVE	phosphoinositide kinase, FYVE finger containing [Homo sapiens (human)]
PIM1	PIM1	pim-1 oncogene
PIM2	PIM2	pim-2 oncogene
PIM3	PIM3	pim-3 oncogene
PIP5K1A	PIP5K1A	phosphatidylinositol-4-phosphate 5-kinase, type I, alpha
PIP5K1C	PIP5K1C	phosphatidylinositol-4-phosphate 5-kinase, type I, gamma
PIP5K2B	PIP4K2B	phosphatidylinositol-5-phosphate 4-kinase, type II, beta
PIP5K2C	PIP4K2C	phosphatidylinositol-5-phosphate 4-kinase, type II, gamma
PKAC-alpha	PRKACA	protein kinase, cAMP-dependent, catalytic, alpha
PKAC-beta	PRKACB	protein kinase, cAMP-dependent, catalytic, beta
PKMYT1	PKMYT1	protein kinase, membrane associated tyrosine/threonine 1
PKN1	PKN1	protein kinase N1
PKN2	PKN2	protein kinase N2
PKNB(M.tuberculosis)	pknB	Transmembrane Serine/Threonine-Protein Kinase B
PLK1	PLK1	polo-like kinase 1 (Drosophila)
PLK2	PLK2	polo-like kinase 2 (Drosophila)
PLK3	PLK3	polo-like kinase 3 (Drosophila)
PLK4	PLK4	polo-like kinase 4 (Drosophila)
PRKCD	PRKCD	protein kinase C, delta
PRKCE	PRKCE	protein kinase C, epsilon
PRKCH	PRKCH	protein kinase C, eta
PRKCI	PRKCI	protein kinase C, iota
PRKCQ	PRKCQ	protein kinase C, theta
PRKD1	PRKD1	protein kinase D1
PRKD2	PRKD2	protein kinase D2
PRKD3	PRKD3	protein kinase D3
PRKG1	PRKG1	protein kinase, cGMP-dependent, type I
PRKG2	PRKG2	protein kinase, cGMP-dependent, type II
PRKR	EIF2AK2	eukaryotic translation initiation factor 2-alpha kinase 2
PRKX	PRKX	protein kinase, X-linked
PRP4	PRPF4B	PRP4 pre-mRNA processing factor 4 homolog B (yeast)
PYK2	PTK2B	PTK2B protein tyrosine kinase 2 beta
QSK	KIAA0999	SIK family kinase 3
RAF1	RAF1	v-raf-1 murine leukemia viral oncogene homolog 1
RET	RET	ret proto-oncogene
RET(M918T)	RET	ret proto-oncogene
RET(V804L)	RET	ret proto-oncogene
RET(V804M)	RET	ret proto-oncogene
RIOK1	RIOK1	RIO kinase 1 (yeast)

Appendix A KINOME*scan* Assay List

KINOMEscan® Gene Symbol	Entrez Gene Symbol	Kinase Name
RIOK2	RIOK2	RIO kinase 2 (yeast)
RIOK3	RIOK3	RIO kinase 3 (yeast)
RIPK1	RIPK1	receptor (TNFRSF)-interacting serine-threonine kinase 1
RIPK2	RIPK2	receptor-interacting serine-threonine kinase 2
RIPK3	RIPK3	receptor-interacting serine-threonine kinase 3
RIPK4	RIPK4	receptor-interacting serine-threonine kinase 4
RIPK5	DSTYK	dual serine/threonine and tyrosine protein kinase
ROCK1	ROCK1	Rho-associated, coiled-coil containing protein kinase 1
ROCK2	ROCK2	Rho-associated, coiled-coil containing protein kinase 2
ROS1	ROS1	c-ros oncogene 1 , receptor tyrosine kinase
RPS6KA4(Kin.Dom.1-N-terminal)	RPS6KA4	ribosomal protein S6 kinase, 90kDa, polypeptide 4
RPS6KA4(Kin.Dom.2-C-terminal)	RPS6KA4	ribosomal protein S6 kinase, 90kDa, polypeptide 4
RPS6KA5(Kin.Dom.1-N-terminal)	RPS6KA5	ribosomal protein S6 kinase, 90kDa, polypeptide 5
RPS6KA5(Kin.Dom.2-C-terminal)	RPS6KA5	ribosomal protein S6 kinase, 90kDa, polypeptide 5
RSK1(Kin.Dom.1-N-terminal)	RPS6KA1	ribosomal protein S6 kinase, 90kDa, polypeptide 1
RSK1(Kin.Dom.2-C-terminal)	RPS6KA1	ribosomal protein S6 kinase, 90kDa, polypeptide 1
RSK2(Kin.Dom.1-N-terminal)	RPS6KA3	ribosomal protein S6 kinase, 90kDa, polypeptide 3
RSK2(Kin.Dom.2-C-terminal)	RPS6KA3	ribosomal protein S6 kinase alpha-3
RSK3(Kin.Dom.1-N-terminal)	RPS6KA2	ribosomal protein S6 kinase, 90kDa, polypeptide 2
RSK3(Kin.Dom.2-C-terminal)	RPS6KA2	ribosomal protein S6 kinase, 90kDa, polypeptide 2
RSK4(Kin.Dom.1-N-terminal)	RPS6KA6	ribosomal protein S6 kinase, 90kDa, polypeptide 6
RSK4(Kin.Dom.2-C-terminal)	RPS6KA6	ribosomal protein S6 kinase, 90kDa, polypeptide 6
S6K1	RPS6KB1	ribosomal protein S6 kinase, 70kDa, polypeptide 1
SBK1	SBK1	SH3-binding domain kinase 1
SGK	SGK1	serum/glucocorticoid regulated kinase 1
SgK110	SgK110	Putative uncharacterized serine/threonine-protein kinase (Sugen kinase 110)
SGK2	SGK2	serum/glucocorticoid regulated kinase 2
SGK3	SGK3	serum/glucocorticoid regulated kinase family, member 3
SIK	SIK1	salt-inducible kinase 1
SIK2	SIK2	salt-inducible kinase 2
SLK	SLK	STE20-like kinase (yeast)
SMARCA2	SMARCA2	SWI/SNF related, matrix associated, actin dependent regulator of chromatin, subfamily a, member 2
SMARCA4	SMARCA4	SWI/SNF related, matrix associated, actin dependent regulator of chromatin, subfamily a, member 4
SNARK	NUAK2	NUAK family, SNF1-like kinase, 2
SNRK	SNRK	SNF related kinase
SRC	SRC	v-src sarcoma (Schmidt-Ruppin A-2) viral oncogene homolog (avian)
SRMS	SRMS	src-related kinase lacking C-terminal regulatory tyrosine and N-terminal myristylation sites
SRPK1	SRPK1	SFRS protein kinase 1
SRPK2	SRPK2	SFRS protein kinase 2
SRPK3	SRPK3	SFRS protein kinase 3
STK16	STK16	serine/threonine kinase 16
STK33	STK33	serine/threonine kinase 33
STK35	STK35	serine/threonine kinase 35
STK36	STK36	serine/threonine kinase 36, fused homolog (Drosophila)
STK39	STK39	serine threonine kinase 39 (STE20/SPS1 homolog, yeast)

Appendix A KINOME*scan* Assay List

KINOMEscan® Gene Symbol	Entrez Gene Symbol	Kinase Name
SYK	SYK	spleen tyrosine kinase
TAF1(2)	TAF1	TAF1 RNA polymerase II, TATA box binding protein (TBP)-associated factor, 250kDa, bromodomain 2
TAF1L(2)	TAF1L	TAF1 RNA polymerase II, TATA box binding protein (TBP)-associated factor, 210kDa-like, bromodomain 2
TAK1	MAP3K7	mitogen-activated protein kinase kinase kinase 7
TAOK1	TAOK1	TAO kinase 1
TAOK2	TAOK2	TAO kinase 2
TAOK3	TAOK3	TAO kinase 3
TBK1	TBK1	TANK-binding kinase 1
TEC	TEC	tec protein tyrosine kinase
TESK1	TESK1	testis-specific kinase 1
TGFBR1	TGFBR1	transforming growth factor, beta receptor 1
TGFBR2	TGFBR2	transforming growth factor, beta receptor II (70/80kDa)
TIE1	TIE1	tyrosine kinase with immunoglobulin-like and EGF-like domains 1
TIE2	TEK	TEK tyrosine kinase, endothelial
TLK1	TLK1	tousled-like kinase 1
TLK2	TLK2	tousled-like kinase 2
TNIK	TNIK	TRAF2 and NCK interacting kinase
TNK1	TNK1	tyrosine kinase, non-receptor, 1
TNK2	TNK2	tyrosine kinase, non-receptor, 2
TNNI3K	TNNI3K	TNNI3 interacting kinase
TRIM24(Bromo.)	TRIM24	tripartite motif containing 24
TRIM24(PHD,Bromo.)	TRIM24	tripartite motif containing 24
TRIM33(PHD,Bromo.)	TRIM33	tripartite motif containing 33
TRKA	NTRK1	neurotrophic tyrosine kinase, receptor, type 1
TRKB	NTRK2	neurotrophic tyrosine kinase, receptor, type 2
TRKC	NTRK3	neurotrophic tyrosine kinase, receptor, type 3
TRPM6	TRPM6	transient receptor potential cation channel, subfamily M, member 6
TSSK1B	TSSK1B	testis-specific serine kinase 1B
TSSK3	TSSK3	testis-specific serine/threonine-protein kinase 3
TTK	TTK	TTK protein kinase
TXK	TXK	TXK tyrosine kinase
TYK2(JH1domain-catalytic)	TYK2	tyrosine kinase 2
TYK2(JH2domain-pseudokinase)	TYK2	tyrosine kinase 2
TYRO3	TYRO3	TYRO3 protein tyrosine kinase
ULK1	ULK1	unc-51-like kinase 1 (C. elegans)
ULK2	ULK2	unc-51-like kinase 2 (C. elegans)
ULK3	ULK3	unc-51-like kinase 3 (C. elegans)
VEGFR2	KDR	kinase insert domain receptor (a type III receptor tyrosine kinase)
VPS34	PIK3C3	phosphatidylinositol 3-kinase, catalytic subunit type 3
VRK2	VRK2	vaccinia related kinase 2
WDR9(2)	BRWD1	bromodomain and WD repeat domain containing 1, bromodomain 2
WEE1	WEE1	WEE1 homolog (S. pombe)
WEE2	WEE2	WEE1 homolog 2 (S. pombe)
WNK1	WNK1	WNK lysine deficient protein kinase 1
WNK2	WNK2	WNK lysine deficient protein kinase 2
WNK3	WNK3	WNK lysine deficient protein kinase 3

Appendix A KINOME*scan* Assay List

KINOMEscan® Gene Symbol	Entrez Gene Symbol	Kinase Name
WNK4	WNK4	WNK lysine deficient protein kinase 4
YANK1	STK32A	serine/threonine kinase 32A
YANK2	STK32B	serine/threonine kinase 32B
YANK3	STK32C	serine/threonine kinase 32C
YES	YES1	v-yes-1 Yamaguchi sarcoma viral oncogene homolog 1
YSK1	STK25	serine/threonine kinase 25 (STE20 homolog, yeast)
YSK4	MAP3K19	mitogen-activated protein kinase kinase kinase 19
ZAK	ZAK	sterile alpha motif and leucine zipper containing kinase AZK
ZAP70	ZAP70	zeta-chain (TCR) associated protein kinase 70kDa

Appendix B Cell-based Assay List

AXL	INSR	EphB3	CSF3R-JAK1
c-KIT	KDR	EphB4	EpoR-JAK2
c-MET	PDGFRa	ErbB1	FGR
c-Ret-GFRα2	PDGFRb	ErbB1	GHR-JAK1
DDR1	TrkA	ErbB2-ErbB3	GHR-JAK2
DDR2	TrkA-P75	ErbB4	JAK3
EphA4	TrkB	FGFR1	PRLR-JAK1
EphA5	TrkB-P75	FGFR2	PRLR-JAK2
EphA7	TrkC	Flt3	SYK
EphB1	TrkC-P75	Flt4	TYK2
EphB2	BLK	IGF1R	YES1
BTK	CLK2	CSF1R	CSNK1D
HCK	MEK1	PYK2	RIPK2
SIK1			

Appendix C BROMO*scan* Assay List

Based on the proven KINOME*scan* technology, BROMO*scan* is a robust and highly sensitive quantitative binding platform that can be applied to high throughput screening and selectivity profiling to facilitate the identification of potent and selective small molecule bromodomain inhibitors.

BROMOscan(r) Gene Symbol	Entrez Gene Symbol	Bromodomain Protein Name
BAZ2B	BAZ2B	bromodomain adjacent to zinc finger domain, 2B
BRD1	BRD1	bromodomain-containing protein 1
BRD2(1)	BRD2	bromodomain-containing protein 2 isoform 1, bromodomain 1
BRD2(2)	BRD2	bromodomain-containing protein 2 isoform 1, bromodomain 2
BRD3(1)	BRD3	bromodomain-containing protein 3, bromodomain 1
BRD3(2)	BRD3	bromodomain-containing protein 3, bromodomain 2
BRD4(1)	BRD4	bromodomain-containing protein 4 isoform long, bromodomain 1
BRD4(2)	BRD4	bromodomain-containing protein 4 isoform long, bromodomain 2
BRDT(1)	BRDT	bromodomain testis-specific protein isoform b, bromodomain 1
BRDT(2)	BRDT	bromodomain testis-specific protein isoform b, bromodomain 2
CREBBP	CREBBP	CREB binding protein
TAF1(2)	TAF1	TAF1 RNA polymerase II, TATA box binding protein (TBP)-associated factor, 250kDa, bromodomain 2
ATAD2B	ATAD2B	ATPase family, AAA domain containing 2B
BAZ2A	BAZ2A	bromodomain adjacent to zinc finger domain, 2A
BRPF3	BRPF3	bromodomain and PHD finger containing, 3
EP300	EP300	E1A binding protein p300
FALZ	BPTF	nucleosome-remodeling factor subunit BPTF isoform 1
TAF1L(2)	TAF1L	TAF1 RNA polymerase II, TATA box binding protein (TBP)-associated factor, 210kDa-like, bromodomain 2
WDR9(2)	BRWD1	bromodomain and WD repeat domain containing 1, bromodomain 2
ATAD2A	ATAD2	ATPase family AAA domain-containing protein 2
BRD9	BRD9	bromodomain-containing protein 9 isoform 1
BRPF1	BRPF1	bromodomain and PHD finger containing, 1
PBRM1(2)	PBRM1	polybromo 1, bromodomain 2
TRIM24(PHD,Bromo.)	TRIM24	tripartite motif containing 24
TRIM33(PHD,Bromo.)	TRIM33	tripartite motif containing 33
BRD4(1,2)	BRD4	bromodomain-containing protein 4 isoform long, bromodomains 1 and 2
BRD4(full-length,short-iso.)	BRD4	bromodomain-containing protein 4 isoform short
BRD7	BRD7	bromodomain containing 7
CECR2	CECR2	cat eye syndrome chromosome region, candidate 2
GCN5L2	KAT2A	K(lysine) acetyltransferase 2A
PBRM1(5)	PBRM1	polybromo 1, bromodomain 5
PCAF	KAT2B	K(lysine) acetyltransferase 2B
TRIM24(Bromo.)	TRIM24	tripartite motif containing 24
SMARCA2	SMARCA2	SWI/SNF related, matrix associated, actin dependent regulator of chromatin, subfamily a, member 2
BRD2(1,2)	BRD2	bromodomain-containing protein 2 isoform 1, bromodomains 1 and 2
BRD3(1,2)	BRD3	bromodomain-containing protein 3, bromodomains 1 and 2
BRDT(1,2)	BRDT	bromodomain testis-specific protein isoform b, bromodomains 1 and 2
BRD8(1)	BRD8	bromodomain containing 8, bromodomain 1
BRD8(2)	BRD8	bromodomain containing 8, bromodomain 2
SMARCA4	SMARCA4	SWI/SNF related, matrix associated, actin dependent regulator of chromatin, subfamily a, member 4