

Publication List

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Publication	Enzyme
<p><u>Biochemical and structural basis for differential inhibitor sensitivity of EGFR with distinct exon 19 mutations.</u> van Alderwerelt van Rosenburgh, I.K., Lu, D.M., Grant, M.J. et al. (2022) Nat Commun 13, 6791.</p>	EGFR and EGFR variants
<p><u>High-throughput mechanistic screening of non-equilibrium inhibitors by a fully automated data analysis pipeline in early drug-discovery.</u> Srinivasan B., Flórez Weidinger J.D., Zhai X., Lemercier G., Ikeda T., Brewer M., Zhang B., Heyse S., Wingfield J., Steigele S. (2022). SLAS Discovery. 27 (8), 460-470.</p>	Multiple, names not disclosed
<p><u>Neurospora casein kinase 1a recruits the circadian clock protein FRQ via the C-terminal lobe of its kinase domain.</u> Marzoll, D., Serrano F.E., Diernfellner A.C.R., and Brunner M. (2022). FEBS Letters. 596 (15), 1881-1891.</p>	CK1a, CK1a-p1, and CK1a-p2
<p><u>Deactivatable Bisubstrate Inhibitors of Protein Kinases.</u> Sormus T., Lavogina D., Enkvist E., Uri A., and Viht K. (2022). Molecules. 27(19), 6689.</p>	PKAc
<p><u>The CaMKIIα hub ligand Ph-HTBA promotes neuroprotection after focal ischemic stroke by a distinct molecular interaction.</u> Griem-Krey N., Gauger S.J., Gowing E.K., Theisen L., Frolund B., Clarkson A.N., and Wellendorph P. (2022). Biomedicine and Pharmacotherapy. 156, 113895.</p>	CaMKII α
<p><u>Linear motif specificity in signaling through p38α and ERK2 mitogen-activated protein kinases.</u> Torres Robles J., Shi G., and Turk B.E. bioRxiv 2022.08.23.505039; doi: https://doi.org/10.1101/2022.08.23.505039</p>	MAPK
<p><u>Structural Basis for Inhibition of Mutant EGFR with Lazertinib (YH25448).</u> Heppner, David & Beyett, Tyler & Shaurova, Tatiana & Pham, Calvin & Wittlinger, Florian & Schaeffner, Ilse & Yang, Bo & Ogboo, Blessing & Laufer, Stefan & Eck, Michael & Hershberger, Pamela. (2022). 10.26434/chemrxiv-2022-5m8h5-v2.</p>	EGFR and HER2

Publication	Enzyme
<p><u>Casein kinase 1 and disordered clock proteins form functionally equivalent, phospho-based circadian modules in fungi and mammals.</u></p> <p>Marzoll, D., Serrano, F. E., Shostak, A., Schunke, C., Diernfellner, A. C. R., and Brunner, M. (2022). PNAS. 119: e2118286119.</p>	CK1
<p><u>Nanobodies as allosteric modulators of Parkinson’s disease–associated LRRK2.</u></p> <p>Singh, R. K., Soliman, A., Guaitoli, G., Störmer, E., von Zweyendorf, F., Dal Maso, T., Oun, A., Van Rillaer, L., Schmidt, S. H., Chatterjee, D., David, J. A., Pardon, E., Schwartz, T. U., Knapp, S., Kennedy, E. J., Steyaert, J., Herberg, F. W., Kortholt, A., Gloeckner, C. J., and Versées, W. (2022) PNAS. 119: e2112712119.</p>	LRRK2
<p><u>Synthesis and pharmacological characterization of Visabron, a backbone cyclic peptide dual antagonist of 41 (VLA-4)/ 91 integrin for therapy of multiple sclerosis.</u></p> <p>Chaim Gilon, Michal Klazas, Adi Lahiani, Adi Schumacher-Klinger, Shira Merzbach, Johnny N. Naoum, Haim Ovidia, Limor Rubin, Susan Cornell-Kennon, Erik M. Schaefer, Jehoshua Katzhendler, Cezary Marcinkiewicz, Amnon Hoffman, Philip Lazarovici (2021) JACS, in press.</p>	NTRK1 (TRKA)/ NTRK2 (TRKB) (Tyr)
<p><u>Inhibitors of the Hippo Pathway Kinases STK3/MST2 and STK4/MST1 Have Utility for the Treatment of Acute Myeloid Leukemia.</u></p> <p>Nicole Bata, Apirat Chaikuad, Nicole A Bakas, Allison S Limpert, Lester J Lambert, Douglas J Sheffler, Lena M Berger, Guoxiong Liu, Cunxiang Yuan, Li Wang, Yi Peng, Jing Dong, Maria Celeridad, Fabiana Layng, Stefan Knapp, Nicholas D P Cosford (2021) J Med Chem. Nov 22. doi: 10.1021/acs.jmedchem.1c00804. Online ahead of print.</p>	STK3/4 (Ser/Thr)
<p><u>Cellular model system to dissect the isoform-selectivity of Akt inhibitors.</u></p> <p>Quambusch, L., Depta, L., Landel, I., Lubeck, M., Kirschner, T., Nabert, J., Uhlenbrock, N., Weisner, J., Kostka, M., Levy, L. M., Schultz-Fademrecht, C., Glanemann, F., Althoff, K., Müller, M. P., Siveke, J. T., & Rauh, D. (2021). Nature communications, 12(1), 5297</p>	Akt (Ser/Thr)
<p><u>Separase cleaves the kinetochore protein Meikin at the meiosis I/II transition.</u></p> <p>Maier, N. K., Ma, J., Lampson, M. A., & Cheeseman, I. M. (2021). Developmental cell, 56(15), 2192–2206.e8.</p>	Plk1 (Ser/Thr).
<p><u>A novel GSK3 inhibitor binds to GSK-3β via a reversible, time and Cys-199-dependent mechanism.</u></p> <p>Ghazanfari, D., Noori, M. S., Bergmeier, S. C., Hines, J. V., McCall, K. D., & Goetz, D. J. (2021). Bioorganic & medicinal chemistry, 40, 116179.</p>	GSK-3 (Ser/Thr)
<p><u>A Novel High-Throughput FLIPR Tetra-Based Method for Capturing Highly Confluent Kinetic Data for Structure-Kinetic Relationship Guided Early Drug Discovery.</u></p> <p>Khurana, P., McWilliams, L., Wingfield, J., Barratt, D., & Srinivasan, B. (2021). SLAS discovery: advancing life sciences R & D, 26(5), 684 697.</p>	REVIEW. Multiple kinases, names not disclosed
<p><u>Nanobodies as allosteric modulators of Parkinson’s disease-associated LRRK2.</u></p> <p>Singh, R. K., Soliman, A., Guaitoli, G., Störmer, E., von Zweyendorf, F., Dal Maso, T., ... & Versées, W. (2021). BioRxiv.</p>	LRRK2 (Ser/Thr)

Publication	Enzyme
<u>Proteome-wide screening for mitogen-activated protein kinase docking motifs and interactors.</u> Shi, G., Robles, J. T., Song, C., Salichos, L., Lou, H. J., Gerstein, M., & Turk, B. E. (2021). BioRxiv.	<i>p38 and JNK MAP kinases (Ser/Thr)</i>
<u>Biochemical characterization of tirabrutinib and other irreversible inhibitors of Bruton's tyrosine kinase reveals differences in on-and off-target inhibition.</u> Licican, A., Serafini, L., Xing, W., Czerwieniec, G., Steiner, B., Wang, T., ... & Feng, J. Y. (2020). Biochimica et Biophysica Acta (BBA)-General Subjects, 1864(4), 129531.	<i>BTK (Tyr)</i>
<u>A fluorescent probe for monitoring PTP-PEST enzymatic activity.</u> Casey, G. R., & Stains, C. I. (2020). Analyst, 145(20), 6713-6718.	<i>Phosphatase non-receptor type 12 (PTPN12 or PTP-PEST).</i>
<u>Neurotropic activity and safety of methylene-cycloalkylacetate (MCA) derivative 3- (3-allyl-2-methylenecyclohexyl) propanoic acid.</u> Lahiani, A., Haham-Geula, D., Lankri, D., Cornell-Kennon, S., Schaefer, E. M., Tselikhovsky, D., & Lazarovici, P. (2020). ACS chemical neuroscience, 11(17), 2577-2589.	<i>Multiple kinases (Ser/Thr & Tyr)</i>
<u>Insight into the Therapeutic Selectivity of the Irreversible EGFR Tyrosine Kinase Inhibitor Osimertinib through Enzyme Kinetic Studies.</u> Zhai X, Ward R, Doig P, Argyrou A (2020). Biochemistry 59(14):1428-1441.	<i>EGFR (Tyr) wild-type & oncogenic mutants, Covalent Inhibitors</i>
<u>Design and synthesis of fluorescent activity probes for protein phosphatases.</u> Casey, G. R., Beck, J. R., & Stains, C. I. (2019). Methods in enzymology, 622, 29-53.	
<u>Safety profiling of genetically engineered Pim-1 kinase overexpression for oncogenicity risk in human ckit+ cardiac interstitial cells.</u> Broughton K, Korski K, Echeagaray O, Adamson R, Dembitsky W, Lu Z, Schaefer E, Sussman MA. (2019). Gene Ther. 26(7-8):324-337.	<i>AKT1-3, CDK1/3-6, CK1, ERK1/2, JNK1-3, p38a/b/g/d, PIM1, SGK1-3 (Ser/Thr)</i>
<u>Enrichment of Aurora B kinase at the inner kinetochore controls outer kinetochore assembly.</u> Bonner, M. K., Haase, J., Swinderman, J., Halas, H., Miller Jenkins, L. M., & Kelly, A. E. (2019). Journal of Cell Biology, 218(10), 3237-3257.	<i>Aurora B (Ser/Thr).</i>
<u>Interrogating Protein Phosphatases with Chemical Activity Probes.</u> Casey GR, Stains CI. (2018). Chemistry. 24(31):7810-7824.	<i>REVIEW. Phosphatases.</i>
<u>Phosphorylated immunoreceptor tyrosine-based activation motifs and integrin cytoplasmic domains activate spleen tyrosine kinase via distinct mechanisms.</u> Antenucci L, Hytönen VP, Yläne J. (2018). J Biol Chem. 293(13):4591-4602.	<i>Syk (Tyr)</i>
<u>An algebraic model to determine substrate kinetic parameters by global nonlinear fit of progress curves.</u> Reytor González ML, Cornell-Kennon S, Schaefer E, Kuzmič P (2017). Anal Biochem. 518:16-24.	<i>EGFR (Tyr)</i>
<u>Quantification of Cell Signaling Networks Using Kinase Activity Chemosensors.</u> Beck JR, Harris EN, Stains CI (2017) Methods Mol Biol. 1636:61-70.	<i>REVIEW</i>

Publication	Enzyme
<p><u>Measuring Kinase Activity-A Global Challenge.</u> Cann ML, McDonald IM, East MP, Johnson GL, Graves LM. (2017) J Cell Biochem.</p>	<p>REVIEW</p>
<p><u>Discovery of a Potent BTK Inhibitor with a Novel Binding Mode by Using Parallel Selections with a DNA-Encoded Chemical Library.</u> Cuozzo JW, Centrella PA, Gikunju D, Habeshian S, Hupp CD, Keefe AD, Sigel EA, Soutter HH, Thomson HA, Zhang Y, Clark MA. (2017). Chembiochem. 18(9):864-871.</p>	<p>BTK (Tyr)</p>
<p><u>Discovery of N-((3R,4R)-4-Fluoro-1-(6-((3-methoxy-1-methyl-1H-pyrazol-4-yl)amino)-9-methyl-9Hpurin-2-yl)pyrrolidine-3-yl)acrylamide (PF-06747775) through Structure-Based Drug Design: A High Affinity Irreversible Inhibitor Targeting Oncogenic EGFR Mutants with Selectivity over Wild-Type EGFR.</u> Planken S, Behenna DC, Nair SK, Johnson TO, Nagata A, Almaden C, Bailey S, Ballard TE, Bernier L, Cheng H, Cho-Schultz S, Dalvie D, Deal JG, Dinh DM, Edwards MP, Ferre RA, Gajiwala KS, Hemkens M, Kania RS, Kath JC, Matthews J, Murray BW, Niessen S, Orr ST, Pairish M, Sach NW, Shen H, Shi M, Solowiej J, Tran K, Tseng E, Vicini P, Wang Y, Weinrich SL, Zhou R, Zientek M, Liu L1, Luo Y1, Xin S1, Zhang C1, Lafontaine J. (2017). J Med Chem. 60(7):3002-3019.</p>	<p>EGFR (Tyr) wild-type & oncogenic mutants: exon 19 deletion (Del), L858R, and double mutants T790M/L858R and T790M/Del), Covalent Inhibitors.</p>
<p><u>Phosphorylation of the dimeric cytoplasmic domain of the phytosulfokine receptor, PSKR1.</u> Victor Muleya, Claudius Maroneddze, Janet I. Wheeler, Ludivine Thomas, Yee-Fong Mok, Michael D.W. Griffin, David T. Manallack, Lusionzwe Kwezi, Kathryn S. Lilley, Christoph Gehring, and Helen R. Irving (2016). Biochem. J., 473: 3081 - 3098.</p>	<p>PSKR1 (Ser/Thr)</p>
<p><u>Phosphodiesterase 5 Inhibition Limits Doxorubicin-induced Heart Failure by Attenuating Protein Kinase G α Oxidation.</u> Oleksandra Pryszazhna, Joseph Robert Burgoyne, Jenna Scotcher, Steven Grover, David Kass, and Philip Eaton (2016). J. Biol. Chem., 291: 17427 - 17436.</p>	<p>PKG (Ser/Thr).</p>
<p><u>Discovery of 1-((3R,4R)-3-((5-Chloro-2-((1-methyl-1H-pyrazol-4-yl)amino)-7H-pyrrolo[2,3-d]pyrimidin-4-yl)oxy)methyl)-4-methoxypyrrolidin-1-yl)prop-2-en-1-one (PF-06459988), a Potent, WT Sparing, Irreversible Inhibitor of T790M-Containing EGFR Mutants.</u> Cheng H, Nair SK, Murray BW, Almaden C, Bailey S, Baxi S, Behenna D, Cho-Schultz S, Dalvie D, Dinh DM, Edwards MP, Feng JL, Ferre RA, Gajiwala KS, Hemkens MD, Jackson-Fisher A, Jalaie M, Johnson TO, Kania RS, Kephart S, Lafontaine J, Lunney B, Liu KK, Liu Z, Matthews J, Nagata A, Niessen S, Ornelas MA, Orr ST, Pairish M, Planken S, Ren S, Richter D, Ryan K, Sach N, Shen H, Smeal T, Solowiej J, Sutton S, Tran K, Tseng E, Vernier W, Walls M, Wang S, Weinrich SL, Xin S, Xu H, Yin MJ, Zientek M, Zhou R, Kath JC. (2016). J Med Chem. 59: 2005-24.</p>	<p>EGFR & Mutants (Tyr), Covalent Inhibitors</p>
<p><u>Disulfide-activated protein kinase G α regulates cardiac diastolic relaxation and fine-tunes the Frank-Starling response.</u> Scotcher J, Pryszazhna O, Boguslavskiy A, Kistamas K, Hadgraft N, Martin ED, Worthington J, Rudyk O, Rodriguez Cutillas P, Cuello F, Shattock MJ, Marber MS, Conte MR, Greenstein A, Greensmith DJ, Venetucci L, Timms JF, Eaton P. (2016). Nat Commun. 7:13187(1-11).</p>	<p>PKG (Ser/Thr)</p>
<p><u>Interrogating Endogenous Protein Phosphatase Activity with Rationally Designed Chemosensors.</u></p>	<p>PTP1B (Tyr Phosphatase).</p>

Publication	Enzyme
Beck, J. R., Lawrence, A., Tung, A. S., Harris, E. N. and Stains, C. I. (2016). ACS Chem Biol 11: 284-290.	
<u>Temporal Analysis of PP2A Phosphatase Activity During Insulin Stimulation Using a Direct Activity Probe.</u> Beck JR, Truong T, Stains CI. (2016). ACS Chem Biol. 16;11(12):3284-3288.	<i>PP2A (Ser/Thr Phosphatase)</i>
<u>Nitidine chloride suppresses epithelial-to-mesenchymal transition in osteosarcoma cell migration and invasion through Akt/GSK-3beta/Snail signaling pathway.</u> Cheng, Z., Guo, Y., Yang, Y., Kan, J., Dai, S., Helian, M., Li, B., Xu, J. and Liu, C. (2016). Oncol Rep. 36(2):1023-9.	<i>GSK-3beta (Ser/Thr)</i>
<u>Bistability of a coupled Aurora B kinase-phosphatase system in cell division.</u> Zaytsev, A. V., Segura-Pena, D., Godzi, M., Calderon, A., Ballister, E. R., Stamatov, R., Mayo, A. M., Peterson, L., Black, B. E., Ataulkhanov, F. I., Lampson, M. A. and Grishchuk, E. L. (2016). Elife 5:e10644.	<i>Aurora A & B (Ser/Thr)</i>
<u>Design and evaluation of a real-time activity probe for focal adhesion kinase.</u> Beck, J. R., Zhou, X., Casey, G. R. and Stains, C. I. (2015). Anal Chim Acta 897: 62-68.	<i>FAK (Tyr)</i>
<u>First Selective Small Molecule Inhibitor of FGFR4 for the Treatment of Hepatocellular Carcinomas with an Activated FGFR4 Signaling Pathway.</u> Hagel, M., Miduturu, C., Sheets, M., Rubin, N., Weng, W., Stransky, N., Bifulco, N., Kim, J. L., Hodous, B., Brooijmans, N., Shutes, A., Winter, C., Lengauer, C., Kohl, N. E. and Guzi, T. (2015). Cancer Discov 5: 424-437.	<i>FGFR4 (Tyr), Covalent Inhibitors</i>
<u>A real-time, fluorescence-based assay for Rho-associated protein kinase activity.</u> Kelly, M. I., Bechtel, T. J., Reddy, D. R., Hankore, E. D., Beck, J. R. and Stains, C. I. (2015). Anal Chim Acta 891: 284-290.	<i>ROCK (Ser/Thr)</i>
<u>An algebraic model for the kinetics of covalent enzyme inhibition at low substrate concentrations.</u> Kuzmic, P., Solowiej, J. and Murray, B. W. (2015). Anal Biochem 484: 82-90.	<i>EGFR (Tyr), Covalent Inhibitors.</i>
<u>Peptide-based biosensors.</u> Liu, Q., Wang, J. and Boyd, B. J. (2015). Talanta 136: 114-127.	<i>REVIEW</i>
<u>Cyclin-dependent kinase 5 regulates degranulation in human eosinophils.</u> Odemuyiwa, S. O., Ilarraza, R., Davoine, F., Logan, M. R., Shayeganpour, A., Wu, Y., Majaesic, C., Adamko, D. J., Moqbel, R. and Lacy, P. (2015). Immunology 144: 641-648.	<i>CDK5 (Ser/Thr)</i>
<u>Protein kinase profiling assays: a technology review.</u> Wang, Y. and Ma, H. (2015). Drug Discov Today Technol 18: 1-8.	<i>REVIEW</i>
<u>Quantification of a Pharmacodynamic ERK End Point in Melanoma Cell Lysates: Toward Personalized Precision Medicine.</u>	<i>MAPKs - ERK1&2 (Ser/Thr).</i>

Publication	Enzyme
<p>Warthaka, M., Adelman, C. H., Kaoud, T. S., Edupuganti, R., Yan, C., Johnson, W. H., Jr., Ferguson, S., Tavares, C. D., Pence, L. J., Anslyn, E. V., Ren, P., Tsai, K. Y. and Dalby, K. N. (2015). ACS Med Chem Lett 6: 47-52.</p>	
<p><u>Olive phenolics as c-Met inhibitors: (-)-Oleocanthal attenuates cell proliferation, invasiveness, and tumor growth in breast cancer models.</u> Akl, M. R., Ayoub, N. M., Mohyeldin, M. M., Busnena, B. A., Foudah, A. I., Liu, Y. Y. and Sayed, K. A. (2014). PLoS One 9: e97622.</p>	<p><i>cMET/HGFR (Tyr)</i></p>
<p><u>High-throughput screens for eEF-2 kinase.</u> Devkota, A. K., Warthaka, M., Edupuganti, R., Tavares, C. D., Johnson, W. H., Ozpolat, B., Cho, E. J. and Dalby, K. N. (2014). J Biomol Screen 19: 445-452.</p>	<p><i>eEF-2K (Ser/Thr).</i></p>
<p><u>Discovery of novel AKT inhibitors with enhanced anti-tumor effects in combination with the MEK inhibitor.</u> Dumble, M., Crouthamel, M. C., Zhang, S. Y., Schaber, M., Levy, D., Robell, K., Liu, Q., Figueroa, D. J., Minthorn, E. A., Seefeld, M. A., Rouse, M. B., Rabindran, S. K., Heerding, D. A. and Kumar, R. (2014). PLoS One 9: e100880.</p>	<p><i>AKT 1, 2 & 3 (Ser/Thr).</i></p>
<p><u>Synthesis and biological evaluation of pyrido[2,3-d]pyrimidine-2,4-dione derivatives as eEF-2K inhibitors.</u> Edupuganti, R., Wang, Q., Tavares, C. D., Chitjian, C. A., Bachman, J. L., Ren, P., Anslyn, E. V. and Dalby, K. N. (2014). Bioorg Med Chem 22: 4910-4916.</p>	<p><i>eEF-2K (Ser/Thr)</i></p>
<p><u>Cyclometalated iridium(III)- beta-carboline complexes as potent autophagy-inducing agents.</u> He, L., Liao, S. Y., Tan, C. P., Lu, Y. Y., Xu, C. X., Ji, L. N. and Mao, Z. W. (2014). Chem Commun (Camb) 50: 5611-5614.</p>	<p><i>CDK1 & 2 (Ser/Thr)</i></p>
<p><u>SAICAR induces protein kinase activity of PKM2 that is necessary for sustained proliferative signaling of cancer cells.</u> Keller, K. E., Doctor, Z. M., Dwyer, Z. W. and Lee, Y. S. (2014). Mol Cell 53: 700-709.</p>	<p><i>MAPKs - ERK1 & 2 (Ser/Thr)</i></p>
<p><u>The spleen tyrosine kinase (Syk) regulates Alzheimer amyloid-beta production and Tau hyperphosphorylation.</u> Paris, D., Ait-Ghezala, G., Bachmeier, C., Laco, G., Beaulieu-Abdelahad, D., Lin, Y., Jin, C., Crawford, F. and Mullan, M. (2014). J Biol Chem 289: 33927-33944.</p>	<p><i>SYK (Tyr)</i></p>
<p><u>Single cell kinase signaling assay using pinched flow coupled droplet microfluidics.</u> Ramji, R., Wang, M., Bhagat, A. A., Tan Shao Weng, D., Thakor, N. V., Teck Lim, C. and Chen, C. H. (2014). Biomicrofluidics 8: 034104.</p>	<p><i>EGFR (Tyr). Microfluidics</i></p>
<p><u>Protein kinase C and extracellular signal-regulated kinase regulate movement, attachment, pairing and egg release in Schistosoma mansoni.</u> Ressurreicao, M., De Saram, P., Kirk, R. S., Rollinson, D., Emery, A. M., Page, N. M., Davies, A. J. and Walker, A. J. (2014). PLoS Negl Trop Dis 8: e2924.</p>	<p><i>PKC (Ser/Thr)</i></p>

Publication	Enzyme
<p><u>Microfluidic probe for single-cell analysis in adherent tissue culture.</u> Sarkar, A., Koltitz, S., Lauffenburger, D. A. and Han, J. (2014). Nat Commun 5: 3421.</p>	<p><i>AKT (Ser/Thr), Microfluidics</i></p>
<p><u>Structure-based optimization of tyrosine kinase inhibitor CLM3. Design, synthesis, functional evaluation, and molecular modeling studies.</u> Sartini, S., Coviello, V., Bruno, A., La Pietra, V., Marinelli, L., Simorini, F., Taliani, S., Salerno, S., Marini, A. M., Fioravanti, A., Orlandi, P., Antonelli, A., Da Settimo, F., Novellino, E., Bocci, G. and La Motta, C. (2014). J Med Chem 57: 1225-1235.</p>	<p><i>VEGFR2/KDR and RET (Tyr).</i></p>
<p><u>Covalent EGFR inhibitor analysis reveals importance of reversible interactions to potency and mechanisms of drug resistance.</u> Schwartz, P. A., Kuzmic, P., Solowiej, J., Bergqvist, S., Bolanos, B., Almaden, C., Nagata, A., Ryan, K., Feng, J., Dalvie, D., Kath, J. C., Xu, M., Wani, R. and Murray, B. W. (2014). Proc Natl Acad Sci U S A 111: 173-178.</p>	<p><i>EGFR (Tyr), Covalent Inhibitors.</i></p>
<p><u>A continuous and direct assay to monitor leucine-rich repeat kinase 2 activity.</u> Silva, R. G., Geoghegan, K. F., Qiu, X. and Aulabaugh, A. (2014). Anal Biochem 450: 63-69.</p>	<p><i>LRRK2 (Ser/Thr).</i></p>
<p><u>Design, synthesis, and evaluation of a selective chemosensor for leucine-rich repeat kinase 2.</u> Szalewski, D. A., Beck, J. R. and Stains, C. I. (2014). Bioorg Med Chem Lett 24: 5648-5651.</p>	<p><i>LRRK2 (Ser/Thr).</i></p>
<p><u>In vitro and in vivo characterization of irreversible mutant-selective EGFR inhibitors that are wild-type sparing.</u> Tjin Tham Sjin, R., Lee, K., Walter, A. O., Dubrovskiy, A., Sheets, M., Martin, T. S., Labenski, M. T., Zhu, Z., Tester, R., Karp, R., Medikonda, A., Chaturvedi, P., Ren, Y., Haringsma, H., Etter, J., Raponi, M., Simmons, A. D., Harding, T. C., Niu, D., Nacht, M., Westlin, W. F., Petter, R. C., Allen, A. and Singh, J. (2014). Mol Cancer Ther 13: 1468-1479.</p>	<p><i>EGFR (Tyr), Covalent Inhibitors.</i></p>
<p><u>Differential sensing of MAP kinases using SOX-peptides.</u> Zamora-Olivares, D., Kaoud, T. S., Jose, J., Ellington, A., Dalby, K. N. and Anslyn, E. V. (2014). Angew Chem Int Ed Engl 53: 14064-14068.</p>	<p><i>MAPKs, ERK1/2, JNK1/2/3, and p38abdg (Ser/Thr).</i></p>
<p><u>Rapid discovery of a novel series of Abl kinase inhibitors by application of an integrated microfluidic synthesis and screening platform.</u> Desai, B., Dixon, K., Farrant, E., Feng, Q., Gibson, K. R., van Hoorn, W. P., Mills, J., Morgan, T., Parry, D. M., Ramjee, M. K., Selway, C. N., Tarver, G. J., Whitlock, G. and Wright, A. G. (2013). J Med Chem 56: 3033-3047.</p>	<p><i>ABL (Tyr), Microfluidics</i></p>
<p><u>Inhibition of Btk with CC-292 provides early pharmacodynamic assessment of activity in mice and humans.</u> Evans, E. K., Tester, R., Aslanian, S., Karp, R., Sheets, M., Labenski, M. T., Witowski, S. R., Lounsbury, H., Chaturvedi, P., Mazdiyasn, H., Zhu, Z., Nacht, M., Freed, M. I., Petter, R. C., Dubrovskiy, A., Singh, J. and Westlin, W. F. (2013). J Pharmacol Exp Ther 346: 219-228.</p>	<p><i>BTK (Tyr), Covalent Inhibitors</i></p>
<p><u>Structural characterization of the RLCK family member BSK8: a pseudokinase with an unprecedented architecture.</u> Grutter, C., Sreeramulu, S., Sessa, G. and Rauh, D. (2013). J Mol Biol 425: 4455-4467.</p>	<p><i>BSK5 & 8 (Ser/Thr)</i></p>

Publication	Enzyme
<p><u>Discovery of novel insulin-like growth factor-1 receptor inhibitors with unique time-dependent binding kinetics.</u></p> <p>Jin, M., Petronella, B. A., Cooke, A., Kadalbajoo, M., Siu, K. W., Kleinberg, A., May, E. W., Gokhale, P. C., Schulz, R., Kahler, J., Bittner, M. A., Foreman, K., Pachter, J. A., Wild, R., Epstein, D. and Mulvihill, M. J. (2013). ACS Med Chem Lett 4: 627-631.</p>	<i>IGF1R (Tyr)</i>
<p><u>Glucose sensor O-GlcNAcylation coordinates with phosphorylation to regulate circadian clock.</u></p> <p>Kaasik, K., Kivimae, S., Allen, J. J., Chalkley, R. J., Huang, Y., Baer, K., Kissel, H., Burlingame, A. L., Shokat, K. M., Ptacek, L. J. and Fu, Y. H. (2013). Cell Metab 17: 291-302.</p>	<i>GSK3beta (Ser/Thr)</i>
<p><u>Discovery and characterization of LY2784544, a small-molecule tyrosine kinase inhibitor of JAK2V617F.</u></p> <p>Ma, L., Clayton, J. R., Walgren, R. A., Zhao, B., Evans, R. J., Smith, M. C., Heinz-Taheny, K. M., Kreklau, E. L., Bloem, L., Pitou, C., Shen, W., Strelow, J. M., Halstead, C., Rempala, M. E., Parthasarathy, S., Gillig, J. R., Heinz, L. J., Pei, H., Wang, Y., Stancato, L. F., Dowless, M. S., Iversen, P. W. and Burkholder, T. P. (2013). Blood Cancer J 3: e109.</p>	<i>JAK2 (Tyr)</i>
<p><u>Fluorescent sensors of protein kinases: from basics to biomedical applications.</u></p> <p>Nhu Ngoc Van T1, Morris MC (2013). Prog Mol Biol Transl Sci. 113:217-74.</p>	<i>REVIEW</i>
<p><u>Identification of a hidden strain switch provides clues to an ancient structural mechanism in protein kinases.</u></p> <p>Oruganty, K., Talathi, N. S., Wood, Z. A. and Kannan, N. (2013). Proc Natl Acad Sci U S A 110: 924-929.</p>	<i>Aurora (Ser/Thr)</i>
<p><u>Design, synthesis and biological evaluation of new classes of thieno[3,2-d]pyrimidinone and thieno[1,2,3]triazine as inhibitor of vascular endothelial growth factor receptor-2 (VEGFR-2).</u></p> <p>Perspicace, E., Jouan-Hureau, V., Ragno, R., Ballante, F., Sartini, S., La Motta, C., Da Settimo, F., Chen, B., Kirsch, G., Schneider, S., Faivre, B. and Hesse, S. (2013). Eur J Med Chem 63: 765-781.</p>	<i>VEGFR-2/KDR (Tyr).</i>
<p><u>In-situ generation of differential sensors that fingerprint kinases and the cellular response to their expression.</u></p> <p>Zamora-Olivares, D., Kaoud, T. S., Dalby, K. N. and Anslyn, E. V. (2013). J Am Chem Soc 135: 14814- 14820.</p>	<i>MAPKs - ERK-1/2, JNK-3 & p38g (Ser/Thr)</i>
<p><u>Spatially coordinated kinase signaling regulates local axon degeneration.</u></p> <p>Chen, M., Maloney, J. A., Kallop, D. Y., Atwal, J. K., Tam, S. J., Baer, K., Kissel, H., Kaminker, J. S., Lewcock, J. W., Weimer, R. M. and Watts, R. J. (2012). J Neurosci 32: 13439-13453.</p>	<i>GSK-3 (Ser/Thr)</i>
<p><u>Redox modification of Akt mediated by the dopaminergic neurotoxin MPTP, in mouse midbrain, leads to down-regulation of pAkt.</u></p> <p>Durgadoss, L., Nidadavolu, P., Valli, R. K., Saeed, U., Mishra, M., Seth, P. and Ravindranath, V. (2012). FASEB J 26: 1473-1483.</p>	<i>AKT (Ser/Thr)</i>
<p><u>Targeting GSK3 from Ustilago maydis: type-II kinase inhibitors as potential antifungals.</u></p>	<i>GSK-3 (Ser/Thr)</i>

Publication	Enzyme
Grutter, C., Simard, J. R., Mayer-Wrangowski, S. C., Schreier, P. H., Perez-Martin, J., Richters, A., Getlik, M., Gutbrod, O., Braun, C. A., Beck, M. E. and Rauh, D. (2012). ACS Chem Biol 7: 1257-1267.	
<p><u>Biophysical and mechanistic insights into novel allosteric inhibitor of spleen tyrosine kinase.</u></p> <p>Hall, J., Aulabaugh, A., Rajamohan, F., Liu, S., Kaila, N., Wan, Z. K., Ryan, M., Magyar, R. and Qiu, X. (2012). J Biol Chem 287: 7717-7727.</p>	SYK (Tyr)
<p><u>Protein kinase Cdelta inactivation inhibits cellular proliferation and decreases survival in human neuroendocrine tumors.</u></p> <p>Chen, Z., Forman, L. W., Miller, K. A., English, B., Takashima, A., Bohacek, R. A., Williams, R. M. and Faller, D. V. (2011). Endocr Relat Cancer 18: 759-771.</p>	PKC-d (Ser/Thr)
<p><u>CHEK2 contribution to hereditary breast cancer in non-BRCA families.</u></p> <p>Desrichard, A., Bidet, Y., Uhrhammer, N. and Bignon, Y. J. (2011). Breast Cancer Res 13: R119.</p>	CHEK2 (Ser/Thr)
<p><u>Study of the PDK1/AKT signaling pathway using selective PDK1 inhibitors, HCS, and enhanced biochemical assays.</u></p> <p>Hofler, A., Nichols, T., Grant, S., Lingardo, L., Esposito, E. A., Gridley, S., Murphy, S. T., Kath, J. C., Cronin, C. N., Kraus, M., Alton, G., Xie, Z., Sutton, S., Gehring, M. and Ermolieff, J. (2011). Anal Biochem 414: 179-186.</p>	PDK1, AKT1 & 2. (Ser/Thr)
<p><u>The phytosulfokine (PSK) receptor is capable of guanylate cyclase activity and enabling cyclic GMP-dependent signaling in plants.</u></p> <p>Kwezi, L., Ruzvidzo, O., Wheeler, J. I., Govender, K., Iacuone, S., Thompson, P. E., Gehring, C. and Irving, H. R. (2011). J Biol Chem 286: 22580-22588.</p>	PSKR1 (Ser/Thr)
<p><u>Trypanosoma brucei glycogen synthase kinase-3, a target for antitrypanosomal drug development: a public-private partnership to identify novel leads.</u></p> <p>Oduor, R. O., Ojo, K. K., Williams, G. P., Bertelli, F., Mills, J., Maes, L., Pryde, D. C., Parkinson, T., Van Voorhis, W. C. and Holler, T. P. (2011). PLoS Negl Trop Dis 5: e1017.</p>	GSK-3 (HS & Tbru, Ser/Thr)
<p><u>Adiponectin inhibits osteoclastogenesis and bone resorption via APPL1-mediated suppression of Akt1.</u></p> <p>Tu, Q., Zhang, J., Dong, L. Q., Saunders, E., Luo, E., Tang, J. and Chen, J. (2011). J Biol Chem 286: 12542- 12553.</p>	AKT (Ser/Thr).
<p><u>The presynaptic component of the serotonergic system is required for clozapine's efficacy.</u></p> <p>Yadav, P. N., Abbas, A. I., Farrell, M. S., Setola, V., Sciaky, N., Huang, X. P., Kroeze, W. K., Crawford, L. K., Piel, D. A., Keiser, M. J., Irwin, J. J., Shoichet, B. K., Deneris, E. S., Gingrich, J., Beck, S. G. and Roth, B. L. (2011). Neuropsychopharmacology 36: 638-651.</p>	CHEK2 (Ser/Thr).
<p><u>Discovery and characterization of a cell-permeable, small-molecule c-Abl kinase activator that binds to the myristoyl binding site.</u></p> <p>Yang, J., Campobasso, N., Biju, M. P., Fisher, K., Pan, X. Q., Cottom, J., Galbraith, S., Ho, T., Zhang, H., Hong, X., Ward, P., Hofmann, G., Siegfried, B., Zappacosta, F., Washio, Y., Cao, P., Qu, J.,</p>	ABL (Tyr).

Publication	Enzyme
Bertrand, S., Wang, D. Y., Head, M. S., Li, H., Moores, S., Lai, Z., Johanson, K., Burton, G., Erickson-Miller, C., Simpson, G., Tummino, P., Copeland, R. A. and Oliff, A. (2011). Chem Biol 18: 177-186.	
<p><u>Fluorescent peptide assays for protein kinases.</u></p> <p>Devkota, A. K., Kaoud, T. S., Warthaka, M. and Dalby, K. N. (2010). Curr Protoc Mol Biol Chapter 18: Unit 18 17.</p>	REVIEW
<p><u>Characterization of irreversible kinase inhibitors by directly detecting covalent bond formation: a tool for dissecting kinase drug resistance.</u></p> <p>Kluter, S., Simard, J. R., Rode, H. B., Grutter, C., Pawar, V., Raaijmakers, H. C., Barf, T. A., Rabiller, M., van Otterlo, W. A. and Rauh, D. (2010). Chembiochem 11: 2557-2566.</p>	Src (Tyr), Covalent Inhibitors
<p><u>Swiprosin-1/EFhd2 controls B cell receptor signaling through the assembly of the B cell receptor, Syk, and phospholipase C gamma2 in membrane rafts.</u></p> <p>Kroczek, C., Lang, C., Brachs, S., Grohmann, M., Dutting, S., Schweizer, A., Nitschke, L., Feller, S. M., Jack, H. M. and Mielenz, D. (2010). J Immunol 184: 3665-3676.</p>	SYK (Ser/Thr).
<p><u>Characterization of PF-4708671, a novel and highly specific inhibitor of p70 ribosomal S6 kinase (S6K1).</u></p> <p>Pearce, L. R., Alton, G. R., Richter, D. T., Kath, J. C., Lingardo, L., Chapman, J., Hwang, C. and Alessi, D. R. (2010). Biochem J 431: 245-255.</p>	S6K1 (Ser/Thr).
<p><u>Protein kinase A regulates GDNF/RET-dependent but not GDNF/Retindependent ureteric bud outgrowth from the Wolffian duct.</u></p> <p>Tee, J. B., Choi, Y., Shah, M. M., Dnyanmote, A., Sweeney, D. E., Gallegos, T. F., Johkura, K., Ito, C., Bush, K. T. and Nigam, S. K. (2010). Dev Biol 347: 337-347.</p>	PKA (Ser/Thr).
<p><u>Role of DAB2IP in modulating epithelial-to-mesenchymal transition and prostate cancer metastasis.</u></p> <p>Xie, D., Gore, C., Liu, J., Pong, R. C., Mason, R., Hao, G., Long, M., Kabbani, W., Yu, L., Zhang, H., Chen, H., Sun, X., Boothman, D. A., Min, W. and Hsieh, J. T. (2010). Proc Natl Acad Sci U S A 107: 2485-2490.</p>	GSK-3b (Ser/Thr).
<p><u>Biochemical characterization of GSK1070916, a potent and selective inhibitor of Aurora B and Aurora C kinases with an extremely long residence time1.</u></p> <p>Anderson, K., Lai, Z., McDonald, O. B., Stuart, J. D., Nartey, E. N., Hardwicke, M. A., Newlander, K., Dhanak, D., Adams, J., Patrick, D., Copeland, R. A., Tummino, P. J. and Yang, J. (2009). Biochem J 420: 259-265.</p>	Aurora B & C (Ser/Thr), Covalent Inhibitors.
<p><u>Response and resistance to MEK inhibition in leukaemias initiated by hyperactive Ras.</u></p> <p>Lauchle, J. O., Kim, D., Le, D. T., Akagi, K., Crone, M., Krisman, K., Warner, K., Bonifas, J. M., Li, Q., Coakley, K. M., Diaz-Flores, E., Gorman, M., Przybranowski, S., Tran, M., Kogan, S. C., Roose, J. P., Copeland, N. G., Jenkins, N. A., Parada, L., Wolff, L., Sebolt-Leopold, J. and Shannon, K. (2009). Nature 461: 411-414.</p>	MAPKAPK2/MK2 (Ser/Thr)
<p><u>Microfluidic concentration-enhanced cellular kinase activity assay.</u></p>	MAPKAPK2/MK2 & PKA (Ser/Thr). Microfluidics

Publication	Enzyme
Lee, J. H., Cosgrove, B. D., Lauffenburger, D. A. and Han, J. (2009). J Am Chem Soc 131: 10340-10341.	
<u>Kinetic assay for characterization of spleen tyrosine kinase activity and inhibition with recombinant kinase and crude cell lysates.</u> Li, M., Luraghi, P., Amour, A., Qian, X. D., Carter, P. S., Clark, C. J., Deakin, A., Denyer, J., Hobbs, C. I., Surby, M., Patel, V. K. and Schaefer, E. M. (2009). Anal Biochem 384: 56-67.	SYK (Tyr).
<u>The endogenous inhibitor of Akt, CTMP, is critical to ischemia-induced neuronal death.</u> Miyawaki, T., Ofengeim, D., Noh, K. M., Latuszek-Barrantes, A., Hemmings, B. A., Follenzi, A. and Zukin, R. S. (2009). Nat Neurosci 12: 618-626.	AKT (Ser/Thr).
<u>Inhibition of angiogenesis by extracellular protein kinase A.</u> Szkudlarek, M., Bosio, R. M., Wu, Q. and Chin, K. V. (2009). A. Cancer Lett 283: 68-73.	PKA (Ser/Thr).
<u>Enzymatic characterization of c-Met receptor tyrosine kinase oncogenic mutants and kinetic studies with aminopyridine and triazolopyrazine inhibitors.</u> Timofeevski, S. L., McTigue, M. A., Ryan, K., Cui, J., Zou, H. Y., Zhu, J. X., Chau, F., Alton, G., Karlicek, S., Christensen, J. G. and Murray, B. W. (2009). Biochemistry 48: 5339-5349.	cMET (HGFR; Tyr)
<u>Design of a bioactive cell-penetrating peptide: when a transduction domain does more than transduce.</u> Ward, B., Seal, B. L., Brophy, C. M. and Panitch, A. (2009). J Pept Sci 15: 668-674.	MAPKAPK2/MK2 (Ser/Thr).
<u>Epidermal growth factor receptor cooperates with Src family kinases in acquired resistance to cetuximab.</u> Wheeler, D. L., Iida, M., Kruser, T. J., Nechrebecki, M. M., Dunn, E. F., Armstrong, E. A., Huang, S. and Harari, P. M. (2009). Cancer Biol Ther 8: 696-703.	Src-Family Kinases (Tyr), IP-kinase assays.
<u>Bacillus anthracis edema toxin suppresses human macrophage phagocytosis and cytoskeletal remodeling via the protein kinase A and exchange protein activated by cyclic AMP pathways.</u> Yeager, L. A., Chopra, A. K. and Peterson, J. W. (2009). Infect Immun 77: 2530-2543.	PKA (Ser/Thr).
<u>Syk tyrosine 317 negatively regulates osteoclast function via the ubiquitin-protein isopeptide ligase activity of Cbl.</u> Zou, W., Reeve, J. L., Zhao, H., Ross, F. P. and Teitelbaum, S. L. (2009). J Biol Chem 284: 18833-18839.	SYK (Tyr).
<u>Structure-based tailoring of compound libraries for high-throughput screening: discovery of novel EphB4 kinase inhibitors.</u> Kolb, P., Kipouros, C. B., Huang, D. and Caflisch, A. (2008). Proteins 73: 11-18.	EphB4 (Tyr).
<u>Homogenous fluorescent assays for characterizing small-molecule activators of AMP-activated protein kinase (AMPK).</u> Reichling, L. J., Riddle, S. M., Mei, B., Bruinsma, R., Goossens, T. A., Huwiler, K. G., Maffitt, M., Newport, A. M., Qian, X. D., Ruttimann-Johnson, C. and Vogel, K. W. (2008). Curr Chem Genomics 1: 34-42.	AMPK (Ser/Thr).

Publication	Enzyme
<p><u>Molecular mechanism of the Syk activation switch.</u> Tsang, E., Giannetti, A. M., Shaw, D., Dinh, M., Tse, J. K., Gandhi, S., Ho, H., Wang, S., Papp, E. and Bradshaw, J. M. (2008). J Biol Chem 283: 32650-32659.</p>	SYK (Tyr).
<p><u>Evidence for PI-3K-dependent migration of Th17-polarized cells in response to CCR2 and CCR6 agonists.</u> Webb, A., Johnson, A., Fortunato, M., Platt, A., Crabbe, T., Christie, M. I., Watt, G. F., Ward, S. G. and Jopling, L. A. (2008). J Leukoc Biol 84: 1202-1212.</p>	(Ser/Thr).
<p><u>Apolipoprotein E interrupts interleukin-1beta signaling in vascular smooth muscle cells.</u> Kawamura, A., Baitsch, D., Telgmann, R., Feuerborn, R., Weissen-Plenz, G., Hagedorn, C., Saku, K., BrandHerrmann, S. M., von Eckardstein, A., Assmann, G. and Nofer, J. R. (2007). Arterioscler Thromb Vasc Biol 27: 1610-1617.</p>	PKA (Ser/Thr).
<p><u>Overexpression of HMGA1 promotes anoikis resistance and constitutive Akt activation in pancreatic adenocarcinoma cells.</u> Liao, S. S., Jazag, A., Ito, K. and Whang, E. E. (2007). Br J Cancer 96: 993-1000.</p>	AKT (Ser/Thr).
<p><u>Steady state kinetics of spleen tyrosine kinase investigated by a real time fluorescence assay.</u> Papp, E., Tse, J. K., Ho, H., Wang, S., Shaw, D., Lee, S., Barnett, J., Swinney, D. C. and Bradshaw, J. M. (2007). Biochemistry 46: 15103-15114.</p>	SYK (Tyr).
<p><u>Selective mitogen activated protein kinase activity sensors through the application of directionally programmable D domain motifs.</u> Peterson, L. B., Yaffe, M. B., and Imperiali, B. (2014). Biochemistry 53, 5771- 5778.</p>	
<p><u>Interrogating signaling nodes involved in cellular transformations using kinase activity probes.</u> Stains, C. I., Tedford, N. C., Walkup, T. C., Lukovic, E., Goguen, B. N., Griffith, L. G., Lauffenburger, D. A., and Imperiali, B. (2012). Chem Biol 19, 210-217.</p>	
<p><u>A p38alpha-selective chemosensor for use in unfractionated cell lysates.</u> Stains, C. I., Lukovic, E., and Imperiali, B. (2011). ACS Chem Biol 6, 101-105.</p>	
<p><u>A rapid method for generation of selective Soxbased chemosensors of Ser/Thr kinases using combinatorial peptide libraries.</u> Gonzalez-Vera, J. A., Lukovic, E., and Imperiali, B. (2009). Chem Lett 19, 1258-1260.</p>	
<p><u>Synthesis of red-shifted 8-hydroxyquinoline derivatives using click chemistry and their incorporation into phosphorylation chemosensors.</u> Gonzalez-Vera, J. A., Lukovic, E., and Imperiali, B. (2009). J Org Chem 74, 7309-7314.</p>	
<p><u>Monitoring protein kinases in cellular media with highly selective chimeric reporters.</u> Lukovic, E., Vogel Taylor, E., and Imperiali, B. (2009). Angew Chem Int Ed Engl 48, 6828-6831.</p>	
<p><u>Recognition-domain focused chemosensors: versatile and efficient reporters of protein kinase activity.</u> Lukovic, E., Gonzalez-Vera, J. A., and Imperiali, B. (2008). J Am Chem Soc 130, 12821-12827.</p>	

Publication	Enzyme
<p><u>Optimal Sox-based fluorescent chemosensor design for serine/threonine protein kinases.</u> Shults, M. D., Carrico-Moniz, D., and Imperiali, B. (2006). Anal Biochem 352, 198-207.</p>	
<p><u>A multiplexed homogeneous fluorescence-based assay for protein kinase activity in cell lysates.</u> Shults, M. D., Janes, K. A., Lauffenburger, D. A., and Imperiali, B. (2005). Nat Methods 2, 277-283.</p>	
<p><u>Versatile fluorescence probes of protein kinase activity.</u> Shults, M. D., and Imperiali, B. (2003). J Am Chem Soc 125, 14248-14249.</p>	
<p><u>Derivatives of 8-hydroxy-2- methylquinoline are powerful prototypes for zinc sensors in biological systems.</u> Pearce, D. A., Jotterand, N., Carrico, I. S., and Imperiali, B. (2001). J Am Chem Soc 123, 5160- 5161.</p>	