102 Sox-Based Sensor Publications (2007-Present)

Part I - Customer Publications (88 Total)

1) Kawamura, A., Baitsch, D., Telgmann, R., Feuerborn, R., Weissen-Plenz, G., Hagedorn, C., Saku, K., Brand-Herrmann, S. M., von Eckardstein, A., Assmann, G. and Nofer, J. R. (2007). Apolipoprotein E interrupts interleukin-1beta signaling in vascular smooth muscle cells. Arterioscler Thromb Vasc Biol **27**: 1610-1617. http://www.ncbi.nlm.nih.gov/pubmed/17510469 **PKA (Ser/Thr)**.

2) Liau, S. S., Jazag, A., Ito, K. and Whang, E. E. (2007). Overexpression of HMGA1 promotes anoikis resistance and constitutive Akt activation in pancreatic adenocarcinoma cells. Br J Cancer **96**: 993-1000. http://www.ncbi.nlm.nih.gov/pubmed/17342093 **AKT (Ser/Thr)**.

3) Papp, E., Tse, J. K., Ho, H., Wang, S., Shaw, D., Lee, S., Barnett, J., Swinney, D. C. and Bradshaw, J. M. (2007). Steady state kinetics of spleen tyrosine kinase investigated by a real time fluorescence assay. Biochemistry **46**: 15103-15114. http://www.ncbi.nlm.nih.gov/pubmed/18052078 **SYK (Tyr)**.

4) Kolb, P., Kipouros, C. B., Huang, D. and Caflisch, A. (2008). Structure-based tailoring of compound libraries for high-throughput screening: discovery of novel EphB4 kinase inhibitors. Proteins **73**: 11-18. http://www.ncbi.nlm.nih.gov/pubmed/18384152 **EphB4 (Tyr)**.

5) 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). Homogenous fluorescent assays for characterizing small-molecule activators of AMP-activated protein kinase (AMPK). Curr Chem Genomics **1**: 34-42. http://www.ncbi.nlm.nih.gov/pubmed/20161826 **AMPK (Ser/Thr)**.

6) 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). Molecular mechanism of the Syk activation switch. J Biol Chem **283**: 32650-32659. http://www.ncbi.nlm.nih.gov/pubmed/18818202 **SYK (Tyr)**.

7) Webb, A., Johnson, A., Fortunato, M., Platt, A., Crabbe, T., Christie, M. I., Watt, G. F., Ward, S. G. and Jopling, L. A. (2008). Evidence for PI-3K-dependent migration of Th17-polarized cells in response to CCR2 and CCR6 agonists. J Leukoc Biol **84**: 1202-1212. http://www.ncbi.nlm.nih.gov/pubmed/18586982 **AKT (Ser/Thr)**.

8) 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). Biochemical characterization of GSK1070916, a potent and selective inhibitor of Aurora B and Aurora C kinases with an extremely long residence time1. Biochem J **420**: 259-265. http://www.ncbi.nlm.nih.gov/pubmed/19284385 **Aurora B & C (Ser/Thr), Covalent Inhibitors.**

9) 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). Response and resistance to MEK inhibition in leukaemias initiated by hyperactive Ras. Nature **461**: 411-414. http://www.ncbi.nlm.nih.gov/pubmed/19727076 **MAPKAPK2/MK2 (Ser/Thr)**.

10) Lee, J. H., Cosgrove, B. D., Lauffenburger, D. A. and Han, J. (2009). Microfluidic concentration-enhanced cellular kinase activity assay. J Am Chem Soc **131**: 10340-10341. http://www.ncbi.nlm.nih.gov/pubmed/19722608 **MAPKAPK2/MK2 & PKA (Ser/Thr). Microfluidics.**

11) 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). Kinetic assay for characterization of spleen tyrosine

kinase activity and inhibition with recombinant kinase and crude cell lysates. Anal Biochem **384**: 56-67. http://www.ncbi.nlm.nih.gov/pubmed/18762159 **SYK (Tyr)**.

12) Miyawaki, T., Ofengeim, D., Noh, K. M., Latuszek-Barrantes, A., Hemmings, B. A., Follenzi, A. and Zukin, R. S. (2009). The endogenous inhibitor of Akt, CTMP, is critical to ischemia-induced neuronal death. Nat Neurosci **12**: 618-626. http://www.ncbi.nlm.nih.gov/pubmed/19349976 **AKT (Ser/Thr)**.

13) Szkudlarek, M., Bosio, R. M., Wu, Q. and Chin, K. V. (2009). Inhibition of angiogenesis by extracellular protein kinase A. Cancer Lett **283**: 68-73. http://www.ncbi.nlm.nih.gov/pubmed/19368997 **PKA (Ser/Thr)**.

14) 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). Enzymatic characterization of c-Met receptor tyrosine kinase oncogenic mutants and kinetic studies with aminopyridine and triazolopyrazine inhibitors. Biochemistry **48**: 5339-5349. http://www.ncbi.nlm.nih.gov/pubmed/19459657 **cMET (HGFR; Tyr)**.

15) Ward, B., Seal, B. L., Brophy, C. M. and Panitch, A. (2009). Design of a bioactive cell-penetrating peptide: when a transduction domain does more than transduce. J Pept Sci **15**: 668-674. http://www.ncbi.nlm.nih.gov/pubmed/19691016 **MAPKAPK2/MK2 (Ser/Thr)**.

16) Wheeler, D. L., Iida, M., Kruser, T. J., Nechrebecki, M. M., Dunn, E. F., Armstrong, E. A., Huang, S. and Harari, P. M. (2009). Epidermal growth factor receptor cooperates with Src family kinases in acquired resistance to cetuximab. Cancer Biol Ther **8**: 696-703. http://www.ncbi.nlm.nih.gov/pubmed/19276677 **Src-Family Kinases (Tyr), IP-kinase assays**.

17) Yeager, L. A., Chopra, A. K. and Peterson, J. W. (2009). Bacillus anthracis edema toxin suppresses human macrophage phagocytosis and cytoskeletal remodeling via the protein kinase A and exchange protein activated by cyclic AMP pathways. Infect Immun **77**: 2530-2543. http://www.ncbi.nlm.nih.gov/pubmed/19307216 **PKA (Ser/Thr)**.

18) Zou, W., Reeve, J. L., Zhao, H., Ross, F. P. and Teitelbaum, S. L. (2009). Syk tyrosine 317 negatively regulates osteoclast function via the ubiquitin-protein isopeptide ligase activity of Cbl. J Biol Chem **284**: 18833-18839. http://www.ncbi.nlm.nih.gov/pubmed/19419964 **SYK (Tyr)**.

19) Devkota, A. K., Kaoud, T. S., Warthaka, M. and Dalby, K. N. (2010). Fluorescent peptide assays for protein kinases. Curr Protoc Mol Biol **Chapter 18**: Unit 18 17. http://www.ncbi.nlm.nih.gov/pubmed/20583097 **REVIEW**.

20) 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). Characterization of irreversible kinase inhibitors by directly detecting covalent bond formation: a tool for dissecting kinase drug resistance. Chembiochem **11**: 2557-2566. http://www.ncbi.nlm.nih.gov/pubmed/21080395 **Src (Tyr), Covalent Inhibitors.**

21) Kroczek, C., Lang, C., Brachs, S., Grohmann, M., Dutting, S., Schweizer, A., Nitschke, L., Feller, S. M., Jack, H. M. and Mielenz, D. (2010). Swiprosin-1/EFhd2 controls B cell receptor signaling through the assembly of the B cell receptor, Syk, and phospholipase C gamma2 in membrane rafts. J Immunol **184**: 3665-3676. http://www.ncbi.nlm.nih.gov/pubmed/20194721 **SYK (Ser/Thr)**.

22) Pearce, L. R., Alton, G. R., Richter, D. T., Kath, J. C., Lingardo, L., Chapman, J., Hwang, C. and Alessi, D. R. (2010). Characterization of PF-4708671, a novel and highly specific inhibitor of p70 ribosomal S6 kinase (S6K1). Biochem J **431**: 245-255. http://www.ncbi.nlm.nih.gov/pubmed/20704563 **S6K1 (Ser/Thr)**.

23) 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). Protein kinase A regulates GDNF/RET-dependent but not GDNF/Ret-independent ureteric bud outgrowth from the Wolffian duct. Dev Biol **347**: 337-347. http://www.ncbi.nlm.nih.gov/pubmed/20816800 **PKA (Ser/Thr)**.

24) 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). Role of DAB2IP in modulating epithelial-to-mesenchymal transition and prostate cancer metastasis. Proc Natl Acad Sci U S A **107**: 2485-2490. http://www.ncbi.nlm.nih.gov/pubmed/20080667 **GSK-3b (Ser/Thr)**.

25) Chen, Z., Forman, L. W., Miller, K. A., English, B., Takashima, A., Bohacek, R. A., Williams, R. M. and Faller, D. V. (2011). Protein kinase Cdelta inactivation inhibits cellular proliferation and decreases survival in human neuroendocrine tumors. Endocr Relat Cancer **18**: 759-771. http://www.ncbi.nlm.nih.gov/pubmed/21990324 **PKC-δ (Ser/Thr)**.

26) Desrichard, A., Bidet, Y., Uhrhammer, N. and Bignon, Y. J. (2011). CHEK2 contribution to hereditary breast cancer in non-BRCA families. Breast Cancer Res **13**: R119. http://www.ncbi.nlm.nih.gov/pubmed/22114986 **CHEK2 (Ser/Thr)**.

27) 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). Study of the PDK1/AKT signaling pathway using selective PDK1 inhibitors, HCS, and enhanced biochemical assays. Anal Biochem **414**: 179-186. http://www.ncbi.nlm.nih.gov/pubmed/21402045 **PDK1, AKT1 & 2. (Ser/Thr)**.

28) Kwezi, L., Ruzvidzo, O., Wheeler, J. I., Govender, K., Iacuone, S., Thompson, P. E., Gehring, C. and Irving, H. R. (2011). The phytosulfokine (PSK) receptor is capable of guanylate cyclase activity and enabling cyclic GMP-dependent signaling in plants. J Biol Chem **286**: 22580-22588. http://www.ncbi.nlm.nih.gov/pubmed/21504901 **PSKR1 (Ser/Thr)**.

29) 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). Trypanosoma brucei glycogen synthase kinase-3, a target for anti-trypanosomal drug development: a public-private partnership to identify novel leads. PLoS Negl Trop Dis **5**: e1017. http://www.ncbi.nlm.nih.gov/pubmed/21483717 **GSK-3 (HS & Tbru, Ser/Thr)**.

30) Tu, Q., Zhang, J., Dong, L. Q., Saunders, E., Luo, E., Tang, J. and Chen, J. (2011). Adiponectin inhibits osteoclastogenesis and bone resorption via APPL1-mediated suppression of Akt1. J Biol Chem **286**: 12542-12553. http://www.ncbi.nlm.nih.gov/pubmed/21300805 **AKT (Ser/Thr)**.

31) 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). The presynaptic component of the serotonergic system is required for clozapine's efficacy. Neuropsychopharmacology **36**: 638-651. http://www.ncbi.nlm.nih.gov/pubmed/21048700 **CHEK2 (Ser/Thr)**.

32) 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., 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). Discovery and characterization of a cell-permeable, small-molecule c-Abl kinase activator that binds to the myristoyl binding site. Chem Biol **18**: 177-186. http://www.ncbi.nlm.nih.gov/pubmed/21338916 **ABL (Tyr)**.

33) 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). Spatially coordinated kinase signaling regulates local axon degeneration. J Neurosci **32**: 13439-13453. http://www.ncbi.nlm.nih.gov/pubmed/23015435 **GSK-3 (Ser/Thr)**.

34) Durgadoss, L., Nidadavolu, P., Valli, R. K., Saeed, U., Mishra, M., Seth, P. and Ravindranath, V. (2012). Redox modification of Akt mediated by the dopaminergic neurotoxin MPTP, in mouse midbrain, leads to

down-regulation of pAkt. FASEB J **26**: 1473-1483. http://www.ncbi.nlm.nih.gov/pubmed/22198382 **AKT (Ser/Thr)**.

35) 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). Targeting GSK3 from Ustilago maydis: type-II kinase inhibitors as potential antifungals. ACS Chem Biol **7**: 1257-1267. http://www.ncbi.nlm.nih.gov/pubmed/22545924 **GSK-3 (Ser/Thr)**.

36) Hall, J., Aulabaugh, A., Rajamohan, F., Liu, S., Kaila, N., Wan, Z. K., Ryan, M., Magyar, R. and Qiu, X. (2012). Biophysical and mechanistic insights into novel allosteric inhibitor of spleen tyrosine kinase. J Biol Chem **287**: 7717-7727. http://www.ncbi.nlm.nih.gov/pubmed/22219190 **SYK (Tyr)**.

37) 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). Rapid discovery of a novel series of Abl kinase inhibitors by application of an integrated microfluidic synthesis and screening platform. J Med Chem **56**: 3033-3047. http://www.ncbi.nlm.nih.gov/pubmed/23441572 **ABL (Tyr)**, **Microfluidics.**

38) Evans, E. K., Tester, R., Aslanian, S., Karp, R., Sheets, M., Labenski, M. T., Witowski, S. R., Lounsbury, H., Chaturvedi, P., Mazdiyasni, H., Zhu, Z., Nacht, M., Freed, M. I., Petter, R. C., Dubrovskiy, A., Singh, J. and Westlin, W. F. (2013). Inhibition of Btk with CC-292 provides early pharmacodynamic assessment of activity in mice and humans. J Pharmacol Exp Ther **346**: 219-228. http://www.ncbi.nlm.nih.gov/pubmed/23709115 **BTK (Tyr)**, **Covalent Inhibitors.**

39) Grutter, C., Sreeramulu, S., Sessa, G. and Rauh, D. (2013). Structural characterization of the RLCK family member BSK8: a pseudokinase with an unprecedented architecture. J Mol Biol **425**: 4455-4467. http://www.ncbi.nlm.nih.gov/pubmed/23911552 **BSK5 & 8 (Ser/Thr)**.

40) 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). Discovery of novel insulin-like growth factor-1 receptor inhibitors with unique time-dependent binding kinetics. ACS Med Chem Lett **4**: 627-631. http://www.ncbi.nlm.nih.gov/pubmed/24900721 **IGF-1R (Tyr)**.

41) 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). Glucose sensor O-GlcNAcylation coordinates with phosphorylation to regulate circadian clock. Cell Metab **17**: 291-302. http://www.ncbi.nlm.nih.gov/pubmed/23395175 **GSK-3beta (Ser/Thr)**.

42) 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). Discovery and characterization of LY2784544, a small-molecule tyrosine kinase inhibitor of JAK2V617F. Blood Cancer J **3**: e109. http://www.ncbi.nlm.nih.gov/pubmed/23584399 **JAK2 (Tyr)**.

43) Nhu Ngoc Van T1, Morris MC (2013) Fluorescent sensors of protein kinases: from basics to biomedical applications. Prog Mol Biol Transl Sci. 113:217-74. https://www.ncbi.nlm.nih.gov/pubmed/23244792 **Review.**

44) Oruganty, K., Talathi, N. S., Wood, Z. A. and Kannan, N. (2013). Identification of a hidden strain switch provides clues to an ancient structural mechanism in protein kinases. Proc Natl Acad Sci U S A **110**: 924-929. http://www.ncbi.nlm.nih.gov/pubmed/23277537 **Aurora (Ser/Thr)**.

45) Perspicace, E., Jouan-Hureaux, 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). 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). Eur J Med Chem **63**: 765-781. http://www.ncbi.nlm.nih.gov/pubmed/23583911 **VEGFR-2/KDR (Tyr)**.

46) Zamora-Olivares, D., Kaoud, T. S., Dalby, K. N. and Anslyn, E. V. (2013). In-situ generation of differential sensors that fingerprint kinases and the cellular response to their expression. J Am Chem Soc **135**: 14814-14820. http://www.ncbi.nlm.nih.gov/pubmed/23991633 **MAPKs - ERK-1/2, JNK-3 & p38γ (Ser/Thr)**.

47) Akl, M. R., Ayoub, N. M., Mohyeldin, M. M., Busnena, B. A., Foudah, A. I., Liu, Y. Y. and Sayed, K. A. (2014). Olive phenolics as c-Met inhibitors: (-)-Oleocanthal attenuates cell proliferation, invasiveness, and tumor growth in breast cancer models. PLoS One **9**: e97622. http://www.ncbi.nlm.nih.gov/pubmed/24849787 **cMET/HGFR (Tyr)**.

48) Devkota, A. K., Warthaka, M., Edupuganti, R., Tavares, C. D., Johnson, W. H., Ozpolat, B., Cho, E. J. and Dalby, K. N. (2014). High-throughput screens for eEF-2 kinase. J Biomol Screen **19**: 445-452. http://www.ncbi.nlm.nih.gov/pubmed/24078616 **eEF-2K (Ser/Thr)**.

49) 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). Discovery of novel AKT inhibitors with enhanced anti-tumor effects in combination with the MEK inhibitor. PLoS One **9**: e100880. http://www.ncbi.nlm.nih.gov/pubmed/24978597 **AKT 1, 2 & 3 (Ser/Thr)**.

50) Edupuganti, R., Wang, Q., Tavares, C. D., Chitjian, C. A., Bachman, J. L., Ren, P., Anslyn, E. V. and Dalby, K. N. (2014). Synthesis and biological evaluation of pyrido[2,3-d]pyrimidine-2,4-dione derivatives as eEF-2K inhibitors. Bioorg Med Chem **22**: 4910-4916. http://www.ncbi.nlm.nih.gov/pubmed/25047940 **eEF-2K (Ser/Thr)**.

51) He, L., Liao, S. Y., Tan, C. P., Lu, Y. Y., Xu, C. X., Ji, L. N. and Mao, Z. W. (2014). Cyclometalated iridium(III)-beta-carboline complexes as potent autophagy-inducing agents. Chem Commun (Camb) **50**: 5611-5614. http://www.ncbi.nlm.nih.gov/pubmed/24728495 **CDK1 & 2 (Ser/Thr)**.

52) Keller, K. E., Doctor, Z. M., Dwyer, Z. W. and Lee, Y. S. (2014). SAICAR induces protein kinase activity of PKM2 that is necessary for sustained proliferative signaling of cancer cells. Mol Cell **53**: 700-709. http://www.ncbi.nlm.nih.gov/pubmed/24606918 **MAPKs - ERK1 & 2 (Ser/Thr)**.

53) Paris, D., Ait-Ghezala, G., Bachmeier, C., Laco, G., Beaulieu-Abdelahad, D., Lin, Y., Jin, C., Crawford, F. and Mullan, M. (2014). The spleen tyrosine kinase (Syk) regulates Alzheimer amyloid-beta production and Tau hyperphosphorylation. J Biol Chem **289**: 33927-33944. http://www.ncbi.nlm.nih.gov/pubmed/25331948 **SYK (Tyr)**.

54) Ramji, R., Wang, M., Bhagat, A. A., Tan Shao Weng, D., Thakor, N. V., Teck Lim, C. and Chen, C. H. (2014). Single cell kinase signaling assay using pinched flow coupled droplet microfluidics. Biomicrofluidics **8**: 034104. http://www.ncbi.nlm.nih.gov/pubmed/24926389 **EGFR (Tyr)**. **Microfluidics.**

55) Ressurreicao, M., De Saram, P., Kirk, R. S., Rollinson, D., Emery, A. M., Page, N. M., Davies, A. J. and Walker, A. J. (2014). Protein kinase C and extracellular signal-regulated kinase regulate movement, attachment, pairing and egg release in Schistosoma mansoni. PLoS Negl Trop Dis **8**: e2924. http://www.ncbi.nlm.nih.gov/pubmed/24921927 **PKC (Ser/Thr)**.

56) Sarkar, A., Kolitz, S., Lauffenburger, D. A. and Han, J. (2014). Microfluidic probe for single-cell analysis in adherent tissue culture. Nat Commun **5**: 3421. http://www.ncbi.nlm.nih.gov/pubmed/24594667 **AKT (Ser/Thr)**, **Microfluidics.**

57) 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). Structure-based optimization of tyrosine kinase inhibitor CLM3. Design, synthesis, functional evaluation, and molecular modeling studies. J Med Chem **57**: 1225-1235. http://www.ncbi.nlm.nih.gov/pubmed/24447248 **VEGFR2/KDR and RET (Tyr)**.

58) 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). Covalent EGFR inhibitor analysis reveals importance of reversible interactions to potency and mechanisms of drug resistance. Proc Natl Acad Sci U S A **111**: 173-178. http://www.ncbi.nlm.nih.gov/pubmed/24347635 **EGFR (Tyr)**, **Covalent Inhibitors.**

59) Silva, R. G., Geoghegan, K. F., Qiu, X. and Aulabaugh, A. (2014). A continuous and direct assay to monitor leucine-rich repeat kinase 2 activity. Anal Biochem **450**: 63-69. http://www.ncbi.nlm.nih.gov/pubmed/24463014 **LRRK2 (Ser/Thr)**.

60) Szalewski, D. A., Beck, J. R. and Stains, C. I. (2014). Design, synthesis, and evaluation of a selective chemosensor for leucine-rich repeat kinase 2. Bioorg Med Chem Lett **24**: 5648-5651. http://www.ncbi.nlm.nih.gov/pubmed/25467152 **LRRK2 (Ser/Thr)**.

61) 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). In vitro and in vivo characterization of irreversible mutant-selective EGFR inhibitors that are wild-type sparing. Mol Cancer Ther **13**: 1468-1479. http://www.ncbi.nlm.nih.gov/pubmed/24723450 **EGFR (Tyr)**, **Covalent Inhibitors.**

62) Zamora-Olivares, D., Kaoud, T. S., Jose, J., Ellington, A., Dalby, K. N. and Anslyn, E. V. (2014). Differential sensing of MAP kinases using SOX-peptides. Angew Chem Int Ed Engl **53**: 14064-14068. http://www.ncbi.nlm.nih.gov/pubmed/25319433 **MAPKs, ERK1/2, JNK1/2/3, and p38αβδγ (Ser/Thr)**.

63) Beck, J. R., Zhou, X., Casey, G. R. and Stains, C. I. (2015). Design and evaluation of a real-time activity probe for focal adhesion kinase. Anal Chim Acta **897**: 62-68. http://www.ncbi.nlm.nih.gov/pubmed/26515006 **FAK (Tyr)**.

64) 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). First Selective Small Molecule Inhibitor of FGFR4 for the Treatment of Hepatocellular Carcinomas with an Activated FGFR4 Signaling Pathway. Cancer Discov **5**: 424-437. http://www.ncbi.nlm.nih.gov/pubmed/25776529 **FGFR4 (Tyr)**, **Covalent Inhibitors.**

65) Kelly, M. I., Bechtel, T. J., Reddy, D. R., Hankore, E. D., Beck, J. R. and Stains, C. I. (2015). A real-time, fluorescence-based assay for Rho-associated protein kinase activity. Anal Chim Acta **891**: 284-290. http://www.ncbi.nlm.nih.gov/pubmed/26388388 **ROCK (Ser/Thr)**.

66) Kuzmic, P., Solowiej, J. and Murray, B. W. (2015). An algebraic model for the kinetics of covalent enzyme inhibition at low substrate concentrations. Anal Biochem **484**: 82-90. http://www.ncbi.nlm.nih.gov/pubmed/25433146 **EGFR (Tyr)**, **Covalent Inhibitors.**

67) Liu, Q., Wang, J. and Boyd, B. J. (2015). Peptide-based biosensors. Talanta **136**: 114-127. http://www.ncbi.nlm.nih.gov/pubmed/25702993 **REVIEW**.

68) 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). Cyclin-dependent kinase 5 regulates degranulation in

human eosinophils. Immunology **144**: 641-648. http://www.ncbi.nlm.nih.gov/pubmed/25346443 **CDK5 (Ser/Thr)**

69) Wang, Y. and Ma, H. (2015). Protein kinase profiling assays: a technology review. Drug Discov Today Technol **18**: 1-8. http://www.ncbi.nlm.nih.gov/pubmed/26723886 **REVIEW**.

70) Warthaka, M., Adelmann, 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). Quantification of a Pharmacodynamic ERK End Point in Melanoma Cell Lysates: Toward Personalized Precision Medicine. ACS Med Chem Lett **6**: 47-52. http://www.ncbi.nlm.nih.gov/pubmed/25589929 **MAPKs - ERK1& 2**.

71) Beck, J. R., Lawrence, A., Tung, A. S., Harris, E. N. and Stains, C. I. (2016). Interrogating Endogenous Protein Phosphatase Activity with Rationally Designed Chemosensors. ACS Chem Biol **11**: 284-290. http://www.ncbi.nlm.nih.gov/pubmed/26580981 **PTP1B (Tyr Phosphatase)**.

72) Beck JR, Truong T, Stains CI. (2016). Temporal Analysis of PP2A Phosphatase Activity During Insulin Stimulation Using a Direct Activity Probe. ACS Chem Biol. **16**;11(12):3284-3288. https://www.ncbi.nlm.nih.gov/pubmed/27805358 **PP2A (Ser/Thr Phosphatase)**.

73) Cheng, Z., Guo, Y., Yang, Y., Kan, J., Dai, S., Helian, M., Li, B., Xu, J. and Liu, C. (2016). Nitidine chloride suppresses epithelial-to-mesenchymal transition in osteosarcoma cell migration and invasion through Akt/GSK-3beta/Snail signaling pathway. Oncol Rep. **36**(2):1023-9. http://www.ncbi.nlm.nih.gov/pubmed/27279040 **GSK-3beta (Ser/Thr)**.

74) Zaytsev, A. V., Segura-Pena, D., Godzi, M., Calderon, A., Ballister, E. R., Stamatov, R., Mayo, A. M., Peterson, L., Black, B. E., Ataullakhanov, F. I., Lampson, M. A. and Grishchuk, E. L. (2016). Bistability of a coupled Aurora B kinase-phosphatase system in cell division. Elife **5**:e10644. http://www.ncbi.nlm.nih.gov/pubmed/26765564 **Aurora A & B (Ser/Thr)**.

75) 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). 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. J Med Chem. **59**: 2005-24. https://www.ncbi.nlm.nih.gov/pubmed/26756222 **EGFR & Mutants (Tyr), Covalent Inhibitors.**

76) Scotcher J, Prysyazhna O, Boguslavskyi 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) Disulfide-activated protein kinase G Iα regulates cardiac diastolic relaxation and fine-tunes the Frank-Starling response. Nat Commun. 7:13187(1-11). https://www.ncbi.nlm.nih.gov/pubmed/27782102 **PKG (Ser/Thr)**.

77) Oleksandra Prysyazhna, Joseph Robert Burgoyne, Jenna Scotcher, Steven Grover, David Kass, and Philip Eaton (2016). SIGNAL TRANSDUCTION: Phosphodiesterase 5 Inhibition Limits Doxorubicin-induced Heart Failure by Attenuating Protein Kinase G Iα Oxidation. J. Biol. Chem., 291: 17427 - 17436. https://www.ncbi.nlm.nih.gov/pubmed/27342776 **PKG (Ser/Thr)**.

78) Victor Muleya, Claudius Marondedze, Janet I. Wheeler, Ludivine Thomas, Yee-Fong Mok, Michael D.W. Griffin, David T. Manallack, Lusisizwe Kwezi, Kathryn S. Lilley, Christoph Gehring, and Helen R. Irving

(2016). Phosphorylation of the dimeric cytoplasmic domain of the phytosulfokine receptor, PSKR1**.** Biochem. J., 473: 3081 - 3098. https://www.ncbi.nlm.nih.gov/pubmed/27487840 **PSKR1 (Ser/Thr)**.

79) Reytor González ML, Cornell-Kennon S, Schaefer E, Kuzmič P (2017). An algebraic model to determine substrate kinetic parameters by global nonlinear fit of progress curves. Anal Biochem. 518:16-24. https://www.ncbi.nlm.nih.gov/pubmed/27823930 **EGFR (Tyr)**.

80) 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) Discovery of N-((3R,4R)-4-Fluoro-1-(6-((3-methoxy-1-methyl-1H-pyrazol-4-yl)amino)-9-methyl-9H-purin-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. J Med Chem. 60(7):3002-3019. https://www.ncbi.nlm.nih.gov/pubmed/28287730 **EGFR (Tyr) wild-type & oncogenic mutants: exon 19 deletion (Del), L858R, and double mutants T790M/L858R and T790M/Del), Covalent Inhibitors.**

81) Cuozzo JW, Centrella PA, Gikunju D, Habeshian S, Hupp CD, Keefe AD, Sigel EA, Soutter HH, Thomson HA, Zhang Y, Clark MA. (2017). Discovery of a Potent BTK Inhibitor with a Novel Binding Mode by Using Parallel Selections with a DNA-Encoded Chemical Library. Chembiochem. 18(9):864-871. https://www.ncbi.nlm.nih.gov/pubmed/28056160 **BTK (Tyr).**

82) Beck JR, Harris EN, Stains CI (2017) Quantification of Cell Signaling Networks Using Kinase Activity Chemosensors. Methods Mol Biol. 1636:61-70. https://www.ncbi.nlm.nih.gov/pubmed/28730472 **Review.**

83) Cann ML, McDonald IM, East MP, Johnson GL, Graves LM. (2017) Measuring Kinase Activity-A Global Challenge. J Cell Biochem. 118(11):3595-3606. **Review.**

84) Casey GR, Stains CI. (2018). Interrogating Protein Phosphatases with Chemical Activity Probes. Chemistry. 24(31):7810-7824. https://www.ncbi.nlm.nih.gov/pubmed/29338103 **Review, Phosphatases.**

85) Antenucci L, Hytönen VP, Ylänne J. (2018) Phosphorylated immunoreceptor tyrosine-based activation motifs and integrin cytoplasmic domains activate spleen tyrosine kinase via distinct mechanisms. J Biol Chem. 293(13):4591-4602. https://www.ncbi.nlm.nih.gov/pubmed/29440271 **Syk (Tyr).**

86) Broughton K, Korski K, Echeagaray O, Adamson R, Dembitsky W, Lu Z, Schaefer E, Sussman MA. Safety profiling of genetically engineered Pim-1 kinase overexpression for oncogenicity risk in human c-kit+ cardiac interstitial cells. Gene Ther. 2019 26(7-8):324-337. https://www.ncbi.nlm.nih.gov/pubmed/31239537 **AKT1-3, CDK1/3-6, CK1, ERK1/2, JNK1-3, p38α/β/γ/δ, PIM1, SGK1-3 (Ser/Thr).**

87) Zhai X, Ward R, Doig P, Argyrou A (2020) Insight into the Therapeutic Selectivity of the Irreversible EGFR Tyrosine Kinase Inhibitor Osimertinib through Enzyme Kinetic Studies**.** Biochemistry 59(14):1428-1441. https://pubmed.ncbi.nlm.nih.gov/32207968/ **EGFR (Tyr) wild-type & oncogenic mutants, Covalent Inhibitors.**

88) Lahiani A, Haham-Geula D, Lankri D, Cornell-Kennon S, Schaefer EM, Tsvelikhovsky D, Lazarovici P (2020) Neurotropic activity and safety of methylene-cycloalkylacetate (MCA) derivative 3-(3-allyl-2-methylenecyclohexyl) propanoic acid. ACS Chem Neurosci. 11(17):2577-2589. https://pubmed.ncbi.nlm.nih.gov/32667774/ **EGFR (Tyr)**

89) Albert Liclican, Loredana Serafini, Weimei Xing, Gregg Czerwieniec, Bart Steiner, Ting Wang, Katherine M Brendza, Justin D Lutz, Kathleen S Keegan, Adrian S Ray, Brian E Schultz, Roman Sakowicz, Joy Y Feng

(2020) Biochemical characterization of tirabrutinib and other irreversible inhibitors of Bruton's tyrosine kinase reveals differences in on - and off - target inhibition. Biochim Biophys Acta Gen Sub. 1864(4):129531. https://pubmed.ncbi.nlm.nih.gov/31953125/ **BTK (Tyr), Covalent Inhibitors.**

Part II: Sox-Based Sensor Publications from the Imperiali Lab MIT (12 Total)

1) Shults, M. D., and Imperiali, B. (2003) Versatile fluorescence probes of protein kinase activity. J Am Chem Soc 125, 14248-14249
2) Shults, M. D., Janes, K. A., Lauffenburger, D. A., and Imperiali, B. (2005) A multiplexed homogeneous fluorescence-based assay for protein kinase activity in cell lysates. Nat Methods 2, 277-283
3) Pearce, D. A., Jotterand, N., Carrico, I. S., and Imperiali, B. (2001) Derivatives of 8-hydroxy-2-methylquinoline are powerful prototypes for zinc sensors in biological systems. J Am Chem Soc 123, 5160-5161
4) Shults, M. D., Carrico-Moniz, D., and Imperiali, B. (2006) Optimal Sox-based fluorescent chemosensor design for serine/threonine protein kinases. Anal Biochem 352, 198-207
5) Lukovic, E., Gonzalez-Vera, J. A., and Imperiali, B. (2008) Recognition-domain focused chemosensors: versatile and efficient reporters of protein kinase activity. J Am Chem Soc 130, 12821-12827
6) Stains, C. I., Lukovic, E., and Imperiali, B. (2011) A p38alpha-selective chemosensor for use in unfractionated cell lysates. ACS Chem Biol 6, 101-105
7) Stains, C. I., Tedford, N. C., Walkup, T. C., Lukovic, E., Goguen, B. N., Griffith, L. G., Lauffenburger, D. A., and Imperiali, B. (2012) Interrogating signaling nodes involved in cellular transformations using kinase activity probes. Chem Biol 19, 210-217
8) Gonzalez-Vera, J. A., Lukovic, E., and Imperiali, B. (2009) A rapid method for generation of selective Sox-based chemosensors of Ser/Thr kinases using combinatorial peptide libraries. Bioorg Med Chem Lett 19, 1258-1260
9) Gonzalez-Vera, J. A., Lukovic, E., and Imperiali, B. (2009) Synthesis of red-shifted 8-hydroxyquinoline derivatives using click chemistry and their incorporation into phosphorylation chemosensors. J Org Chem 74, 7309-7314
10) Lukovic, E., Vogel Taylor, E., and Imperiali, B. (2009) Monitoring protein kinases in cellular media with highly selective chimeric reporters. Angew Chem Int Ed Engl 48, 6828-6831
11) Garai, A., Zeke, A., Gogl, G., Toro, I., Fordos, F., Blankenburg, H., Barkai, T., Varga, J., Alexa, A., Emig, D., Albrecht, M., and Remenyi, A. (2012) Specificity of linear motifs that bind to a common mitogen-activated protein kinase docking groove. Sci Signal 5, ra74
12) Peterson, L. B., Yaffe, M. B., and Imperiali, B. (2014) Selective mitogen activated protein kinase activity sensors through the application of directionally programmable D domain motifs. Biochemistry 53, 5771-5778

A summary called **"Perspectives on the Development of the PhosphoSens® Kinase Assay Technology"**, written by Barbara Imperiali, Department of Chemistry and Biology, MIT, is available upon request.