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2008

Rozenfeld, R.; Devi, L. A.; Regulation of CB₁ cannabinoid receptor trafficking by the adaptor protein AP-3. *FASEB* **2008**.

Takabe, K.; Paugh, S. W.; Milstien, S.; Spiegel, S.; "Inside-Out" Signaling of Sphingosine-1-Phosphate: Therapeutic Targets. *Pharmacol Rev.* **2008**.

Tegos, G. P.; Masago, K.; Aziz, F.; Higginbotham, A.; Stermitz, F. R.; Hamblin, M. R.; Inhibitors of bacterial multidrug efflux pumps potentiate antimicrobial photoinactivation. *AAC* **2008**.

Lisk, G.; Pain, M.; Gluzman, I. Y.; Kambhampati, S.; Furuya, T.; Su, X.; Fay, M. P.; Goldberg, D. E.; Desai, S. A.; Changes in PSAC Reduce Leupeptin Uptake and Can Confer Drug Resistance in *P. falciparum*-Infected Erythrocytes. *PNAS* **2008**.

Christian, M.; Hannah, W. B.; Lüthen, H.; Jones, A. M.; Identification of auxins by a chemical genomics approach. *J. Exp. Botany* **2008**.

Kostka, M.; Högen, T.; Danzer, K. M.; Levin, J.; Habeck, M.; Wirth, A.; Wagner, R.; Glabe, C. G.; Finger, S.; Heinzelmann, U.; Garidel, P.; Duan, W.; Ross, C. A.; Kretschmar, H.; Giese, A.; Single-particle characterization of iron-induced pore-forming α -synuclein oligomers. *J. of Bio. Chem.* **2008**.

Yu, P. B.; Hong, C. C.; Sachidanandan, C.; Babitt, J. L.; Deng, D. Y.; Hoyng, S. A.; Lin, H. Y.; Bloch, K. D.; Peterson, R. T.; Dorsomorphin inhibits BMP signals required for embryogenesis and iron metabolism. *Nature Chem. Bio.* **2008**, 4, 33-41.

Chen, X.; Zhong, S.; Zhu, X.; Dziegielewska, B.; Ellenberger, T.; Wilson, G. M.; Mackerell, Jr., A. D.; Tomkinson, A. E.; Rational Design of Human DNA Ligase Inhibitors that Target Cellular DNA Replication and Repair. *Cancer Res.* **2008**, 68, 3169-3177.

Kravchenko, J. E.; Ilyinskaya, G. V.; Komarov, P. G.; Agapova, L. S.; Kochetkov, D. V.; Strom, E.; Frolova, E. I.; Kovriga, I.; Gudkov, A. V.; Feinstein, E.; Chumakov, P. M.; Small-molecule RETRA suppresses mutant p53-bearing cancer cells through a p73-dependent salvage pathway. *PNAS* **2008**, 105 (17), 6302-6307.

Wang, Q.; Li, L.; Ye, Y.; Inhibition of p97-dependent Protein Degradation by Eeyarestatin I. *J. Biol. Chem.* **2008**, 283 (12), 7445-7454.

Sadek, H.; Hannack, B.; Choe, E.; Wang, E.; Latif, S.; Garry, M. G.; Garry, D. J.; Longgood, J.; Frantz, D. E.; Olson, E. N.; Hsieh, J.; Schneider, J. W.; Cardiogenic small molecules that enhance myocardial repair by stem cells. *PNAS* **2008**, 105 (16), 6063-6068.

Neugebauer, R. C.; Uchiechowska, U.; Meier, R.; Hruby, H.; Valkov, V.; Verdin, E.; Sippl, W.; Jung, M.; Structure-Activity Studies on Splitomicin Derivatives as Sirtuin Inhibitors and Computational Prediction of Binding Mode. *J. Med Chem.* **2008**, 51, 1203-1213.

Dupré, A.; Boyer-Chatenet, L.; Sattler, R. M.; Modi, A. P.; Lee, J.; Nicolette, M. L.; Kopelovich, L.; Jasin, M.; Baer, R.; Paull, T. T.; Gautier, J.; A forward chemical genetic screen reveals an inhibitor of the Mre11-Rad50-Nbs1 complex. *Nature Chem. Bio.* **2008**, 4 (2), 119-125.

Handeli, S.; Simon, J. A.; A small-molecule inhibitor of Tcf/ β -catenin signaling down-regulates PPAR and PPAR activities. *Mol. Cancer Therap.* **2008**, 7, 521-529.

Montes, M.; Braud, E.; Miteva, M. A.; Goddard, M.; Mondésert, O.; Kolb, S.; Brun, M.; Ducommun, B.; Garbay, C.; Villoutreix, B. O.; Receptor-Based Virtual Ligand Screening for the Identification of Novel CDC25 Phosphatase Inhibitors. *J. Chem. Inf. Model.* **2008**, 48, 157-165.

Herschhorn, A.; Oz-Gleenberg, I.; Hizi, A.; Mechanism of Inhibition of HIV-1 Reverse Transcriptase by the Novel Broad-Range DNA Polymerase Inhibitor N-[2-[4-(Aminosulfonyl)phenyl]ethyl]-2-(2-thienyl)acetamide.; *Biochemistry* **2008**, 47, 490-502.

Mao, H.; Thakur, C. S.; Chattopadhyay, S.; Silverman, R. H.; Gudkov, A.; Banerjee, A. K.; Inhibition of human parainfluenza virus type 3 infection by novel small molecules. *Antiviral Res.* **2008**, 77 (2), 83-94.

Boyce, M.; Py, B. F.; Ryazanov, A. G.; Minden, J. S.; Long, K.; Ma, D.; Yuan, J.; A pharmacoproteomic approach implicates eukaryotic elongation factor 2 kinase in ER stress-induced cell death. *Cell Death and Differentiation* **2008**, 15, 589-599.

2007

Outeiro, T. F.; Kontopoulos, E.; Altman, S.; Kufareva, I.; Strathearn, K. E.; Amore, A. M.; Volk, C. B.; Maxwell, M. M.; Rochet, J. C.; McLean, P. J.; Young, A. B.; Abagyan, R.; Feany, M. B.; Hyman, B. T.; Kazantsev, A.; Sirtuin 2 inhibitors rescue α -synuclein-mediated toxicity in models of Parkinson's disease. *Science* **2007**, 317, 516-519.

Xiong, Q.; Sun, H.; Li, M.; Zinc pyrithione-mediated activation of voltage-gated KCNQ potassium channels rescues epileptogenic mutants. *Nature Chem. Bio.* **2007**, 3, 287-296.

Garske, A. L.; Smith, B. C.; Denu, J. M.; Linking SIRT2 to Parkinson's Disease. *ACS Chem. Bio.* **2007**, 2 (8), 529-532.

Denault, J.; Drag, M.; Salvesen, G. S.; Alves, J.; Heidt, A. B.; Deveraux, Q.; Harris, J. L.; Small molecules not direct activators of caspases. *Nature Chem. Bio.* **2007**, 3 (9), 519.

Shirey, J.K.; Xiang, Z.; Orton, D.; Brady, A.E.; Johnson, K.A.; Williams, R.; Ayala, J.E.; Rodriguez, A.L.; Wess, J.; Weaver, D.; Niswender, C.M.; Conn, P.J.; An allosteric potentiator of M4 mAChR modulates hippocampal synaptic transmission. *Nature Chem. Bio.* **2007**, 4 (1), 42-50.

Zhao, Y.; Chow, T.F.; Puckrin, R.S.; Alfred, S.E.; Korir, A.K.; Larive, C.K.; Cutler, S.R.; Chemical genetic interrogation of natural variation uncovers a molecule that is glycoactivated. *Nature Chem. Bio.* **2007**, 3 (11), 716-721.

Hu, Q.; Shattock, R.; Novel Antiviral Agents Targeting HIV Entry and Transmission. *Virologica Sinica* **2007**, 22 (6), 451-461.

Yang, Y.; Kitagaki, J.; Dai, R.; Tsai, Y. C.; Lorick, K. L.; Ludwig, R. L.; Pierre, S. A.; Jensen, J. P.; Davydov, I. V.; Oberoi, P.; Li, C. H.; Kenten, J. H.; Beutler, J. A.; Vousden, K. H.; Weissman, A. M.; Inhibitors of Ubiquitin-Activating Enzyme (E1), a New Class of Potential Cancer Therapeutics. *Cancer Res.* **2007**, *67* (19), 9472-9481.

Richardson, R. D.; Smith, J. W.; Novel antagonists of the thioesterase domain of human fatty acid synthase.; *Mol Cancer Ther.* **2007**, *6* (7), 2120-2126.

Hirayama, K.; Aoki, S.; Nishikawa, K.; Matsumoto, T.; Wada, K.; Identification of novel chemical inhibitors for ubiquitin C-terminal hydrolase-L3 by virtual screening. *Bioorg. Med. Chem.* **2007**, *15*, 6810-6818.

Huang, M.; Xie, S.; Ma, Z.; Huang, Q.; Nan, F.; Ye, Q.; Inhibition of Monometalated Methionine Aminopeptidase: Inhibitor Discovery and Crystallographic Analysis.; *J. Med. Chem.* **2007**, *50*, 5735-5742.

McNamara, C. R.; Mandel-Brehm, J.; Bautista, D. M.; Siemens, J.; Deranian, K. L.; Zhao, M.; Hayward, N. J.; Chong, J. A.; Julius, D.; Moran, M. M.; Fanger, C. M.; TRPA1 mediates formalin-induced pain. *PNAS* **2007**, *104* (33), 13525-13530.

Lemaire, G.; Benod, C.; Nahoum, V.; Pillon, A.; Boussioux, A.; Guichou, J.; Subra, G.; Pascussi, J.; Bourguet, W.; Chavanieu, A.; Balaguer, P.; Discovery of a Highly Active Ligand of Human Pregnane X Receptor: A Case Study from Pharmacophore Modeling and Virtual Screening to "In Vivo" Biological Activity. *Mol Pharm* **2007**, *72* (3), 572-581.

Kimple, A. J.; Willard, F. S.; Giguère, P. M.; Johnston, C. A.; Mocanu, V.; Siderovski, D. P.; The RGS protein inhibitor CCG-4986 is a covalent modifier of the RGS4 G α -interaction face. *BBA-Proteins & Proteomics* **2007**, *1774* (9), 1213-1220.

Vidal, D.; Blobel, J.; Pérez, Y.; Thormann, M.; Pons, M.; Structure-based discovery of new small molecule inhibitors of low molecular weight protein tyrosine phosphatase. *Eur. J. of Med. Chem.* **2007**, *42*, 1-7.

Viaud, J.; Zeghouf, M.; Barelli, H.; Zeeh, J.; Padilla, A.; Guibert, B.; Chardin, P.; Royer, C. A.; Cherfils, J.; Chavanieu, A.; Structure-based discovery of an inhibitor of Arf activation by Sec7 domains through targeting of protein-protein complexes. *PNAS* **2007**, *104* (25), 10370-10375.

Roman, D. L.; Talbot, J. N.; Roof, R. A.; Sunahara, R. K.; Traynor, J. R.; Neubig, R. R.; Identification of Small-Molecule Inhibitors of RGS4 Using a High-Throughput Flow Cytometry Protein Interaction Assay. *Mol. Pharmacol.* **2007**, *71* (1), 169-175.

Schiffer, N. W.; Broadley, S. A.; Hirschberger, T.; Tavan, P.; Kretschmar, H. A.; Giese, A.; Haass, C.; Hartl, F. U.; Schmid, B.; Identification of Anti-prion Compounds as Efficient Inhibitors of Polyglutamine Protein Aggregation in a Zebrafish Model. *J. of Bio. Chem.* **2007**, *282* (12), 9195-9203.

2006

Li, R.; Xue, L.; Zhu, T.; Jiang, Q.; Cui, X.; Yan, Z.; McGee, D.; Wang, J.; Gantla, V. R.; Pickens, J. C.; McGrath, D.; Chucholowski, A.; Morris, S. W.; Webb, T. R.; Design and Synthesis of 5-Aryl-pyridone-carboxamides as Inhibitors of Anaplastic Lymphoma Kinase. *J. Med. Chem.* **2006**, *49*, 1006-1015.

Watanabe, M.; Houten, S. M.; Matak, C.; Christoffolete, M. A.; Kim, B. W.; Sato, H.; Messaddeq, N.; Harney, J. W.; Ezaki, O.; Kodama, T.; Schoonjans, K.; Bianco, A. C.; Auwerx, J.; Bile acids induce energy expenditure by promoting intracellular thyroid hormone activation. *Nature* **2006**, *439*, 484-489.

Bodner, R. A.; Outeiro, T. F.; Altmann, S.; Maxwell, M. M.; Cho, S. H.; Hyman, B. T.; McLean, P. J.; Young, A. B.; Housman, D. E.; Kazantsev, A. G.; Pharmacological promotion of inclusion formation: a therapeutic approach for Huntington's and Parkinson's diseases. *PNAS* **2006**, *103* (11), 4246-4251.

Kwok, T. C. Y.; Ricker, N.; Fraser, R.; Chan, A. W.; Burns, A.; Stanley, E. F.; McCourt, P.; Cutler, S. R.; Roy, P. J. ; A small-molecule screen in *C. elegans* yields a new calcium channel antagonist. *Nature* **2006**, *441*, 91-95.

Kim, S.; Henry, E. C.; Kim, D.; Kim, Y.; Shin, K. J.; Han, M. S.; Lee, T. G.; Kang, J.; Gasiewicz, T. A.; Ryu, S. H.; Suh, P.; Novel Compound 2-Methyl-2H-pyrazole-3-carboxylic Acid (2-methyl-4-o-tolylazo-phenyl)-amide (CH-223191) Prevents 2,3,7,8-TCDD-Induced Toxicity by Antagonizing the Aryl Hydrocarbon Receptor. *Mol. Pharmacol.* **2006**, 69, 1871-1878.

Williamson, L.; Raess, N. A.; Caldelari, R.; Zakher, A.; de Bruin, A.; Posthaus, H.; Bolli, R.; Hunziker, T.; Suter, M. M.; Müller, E. J.; Pemphigus vulgaris identifies plakoglobin as key suppressor of c-Myc in the skin. *EMBO* **2006**, 25, 3298-3309.

Salvador-Recatala, V.; Kim, Y.; Zaks-Makhina, E.; Levitan, E. S.; Voltage-Gated K⁺ Channel Block by Catechol Derivatives: Defining Nonselective and Selective Pharmacophores. *J. Pharmacol. Exp. Therap.* **2006**, 319, 758-764.

Schön, A.; Madani, N.; Klein, J. C.; Hubicki, A.; Ng, D.; Yang, X.; Smith, III, A. B.; Sodroski, J.; Freire, E.; Thermodynamics of Binding of a Low-Molecular-Weight CD4 Mimetic to HIV-1 gp120. *Biochemistry* **2006**, 45 (36), 10973-10980.

De La Fuente, R.; Sonawane, N. D.; Arumainayagam, D.; Verkman, A. S.; Small molecules with antimicrobial activity against *E. coli* and *P. aeruginosa* identified by high-throughput screening. *British J. of Pharmacol.* **2006**, 149, 551-559.

Söderberg, O., Gullberg, M.; Jarvius, M.; Ridderstråle, K.; Leuchowius, K.; Jarvius, J.; Wester, K.; Hydbring, P.; Bahram, F.; Larsson, L.; Landegren, U.; Direct observation of individual endogenous protein complexes in situ by proximity ligation. *Nature Methods* **2006**, 3, 995-1000.

Burns, A. R.; Kwok, T. C. Y.; Howard, A.; Houston, E.; Johanson, K.; Chan, A.; Cutler, S. R.; McCourt, P.; Roy, P. J.; High-throughput screening of small molecules for bioactivity and target identification in *Caenorhabditis elegans*. *Nature Protocols* **2006**, 1 (4), 1906-1914.

Huang, C.; Harootunian, A.; Maher, M. P.; Quan, C.; Raj, C. D.; McCormack, K.; Numann, R.; Negulescu, P. A.; González, J. E.; Characterization of voltage-gated sodium-channel blockers by electrical stimulation and fluorescence detection of membrane potential. *Nature Bio.* **2006**, 24, 439-446.

Putt, K. S.; Chen, G. W.; Pearson, J. M.; Sandhorst, J. S.; Hoagland, M. S.; Kwon, J.; Hwang, S.; Jin, H.; Churchwell, M. I.; Cho, M.; Doerge, D. R.; Helferich, W. G.; Hergenrother, P. J.; Small-molecule activation of procaspase-3 to caspase-3 as a personalized anticancer strategy. *Nature Chem. Bio.* **2006**, 2 (10), 543-550.

Murphy, G. J.; Mostoslavsky, G.; Kotton, D. N.; Mulligan, R. C.; Exogenous control of mammalian gene expression via modulation of translational termination. *Nature Med.* **2006**, 12 (9), 1093-1099.

Ducker, C. E.; Griffel, L. K.; Smith, R. A.; Keller, S. N.; Zhuang, Y.; Xia, Z.; Diller, J. D.; Smith, C. D.; Discovery and characterization of inhibitors of human palmitoyl acyltransferases. *Mol. Cancer Ther.* **2006**, 5 (7), 1647-1659.

Strom, E.; Sathe, S.; Komarov, P. G.; Chernova, O. B.; Pavlovskaya, I.; Shyshynova, I.; Bosykh, D. A.; Burdelya, L. G.; Macklis, R. M.; Skaliter, R.; Komarova, E. A.; Gudkov, A. V.; Small-molecule inhibitor p53 binding to mitochondria protects mice from gamma radiation. *Nature Chem. Bio.* **2006**.

Verheij, H. J.; Leadlikeness and structural diversity of synthetic screening libraries. *Mol. Diversity* **2006**, 10 (3), 377-388.

Robert, F.; Kapp, L. D.; Khan, S. N.; Acker, M. G.; Kowitz, S.; Kazemi, S.; Kaufman, R. J.; Merrick, W. C.; Koromilas, A. E.; Lorsch, J. R.; Pelletier, J.; Initiation of Protein Synthesis by Hepatitis C Virus is Refractory to Reduced eIF2 · GTP · Met-tRNA^{Met} Ternary Complex Availability. *Mol. Biol. Cell.* **2006**, 17, 4632-4644.

Macia, E.; Ehrlich, M.; Massol, R.; Boucrot, E.; Brunner, C.; Kirchhausen, T.; Dynasore, a Cell-Permeable Inhibitor of Dynamin. *Dev. Cell.* **2006**, 10 (6), 839-850.

2005

Kang, M.; Lisk, G.; Hollingworth, S.; Baylor, S. M.; Desai, S. A.; Malaria Parasites Are Rapidly Killed by Dantrolene Derivatives Specific for the Plasmodial Surface Anion Channel. *Mol. Pharmacol.* **2005**, 68, 34-40.

Zhao, Q.; Maa, L.; Jiang, S.; Lub, H.; Li, S.; Heb, Y.; Strick, N.; Neamatid, N.; Debnatha, A. K.; Identification of N-phenyl-N'-(2,2,6,6-tetramethyl-piperidin-4-yl)-oxalamides as a new class of HIV-1 entry inhibitors that prevent gp120 binding to CD4. *Virology* **2005**, 339 (2), 213-225.

Stern, H. M.; Murphey, R. D.; Shepard, J. L.; Amatruda, J. F.; Straub, C. T.; Pfaff, K. L.; Weber, G.; Tallarico, J. A.; King, R. W.; Zon, L. I.; Small molecules that delay S phase suppress a zebrafish *bmyb* mutant. *Nature Chem. Bio.* **2005**, 1 (7), 366-370.

Gilmour, R.; Foster, J. E.; Sheng, Q.; McClain, J. R.; Riley, A.; Sun, P.; Ng, W.; Yan, D.; Nicas, T. I.; Henry, K.; Winkler, M. E.; New Class of Competitive Inhibitor of Bacterial Histidine Kinases. *J. of Bacteriology* **2005**, 187 (23), 8196-8200.

Gurova, K. V.; Hill, J. E.; Guo, C.; Prokvolit, A.; Burdelya, L. G.; Samoylova, E.; Khodyakova, A. V.; Ganapathi, R.; Ganapathi, M.; Tararova, N. D.; Bosykh, D.; Lvovskiy, D.; Webb, T. R.; Stark, G. R.; Gudkov, A. V.; Small molecules that reactivate p53 in renal cell carcinoma reveal a NF- κ B-dependent mechanism of p53 suppression in tumors. *PNAS* **2005**, 102 (48), 17448-17453.

Kang, S.; Li, H.; Rao, A.; Hogan, P. G.; Inhibition of the Calcineurin-NFAT Interaction by Small Organic Molecules Reflects Binding at an Allosteric Site. *J. of Biological Chemistry* **2005**, 280 (45), 37698-37706.

Hung, D. T.; Shakhnovich, E. A.; Pierson, E.; Mekalanos, J. J.; Small-Molecule Inhibitor of *Vibrio Cholerae* Virulence and Intestinal Colonization. *Science* **2005**, 310, 670-674.

Bertsch, U.; Winklhofer, K. F.; Hirschberger, T.; Bieschke, J.; Weber, P.; Hartl, F. U.; Tavan, P.; Tatzelt, J.; Kretzschmar, H. A.; Giese, A.; Systematic Identification of Antiprion Drugs by High-Throughput Screening Based on Scanning for Intensely Fluorescent Targets. *J. of Virology* **2005**, 79 (12), 7785-7791.

Zhang, X.; Smith, D. L.; Meriin, A. B.; Engemann, S.; Russel, D. E.; Roark, M.; Washington, S. L.; Maxwell, M. M.; Marsh, J. L.; Thompson, L. M.; Wanker, E. E.; Young, A. B.; Housman, D. E.; Bates, G. P.; Sherman, M. Y.; Kazantsev, A. G.; A potent small molecule inhibits polyglutamine aggregation in Huntington's disease neurons and suppresses neurodegeneration *in vivo*. *PNAS* **2005**, 102 (3), 892-897.

Ason, B.; Knauss, D. J.; Balke, A. M.; Merkel, G.; Skalka, A.; Reznikoff, W. S.; Targeting Tn5 Transposase Identifies Human Immunodeficiency Virus Type 1 Inhibitors. *Antimicrobial Agents and Chemotherapy* **2005**, 49 (5), 2035-2043.

Surpin, M.; Rojas-Pierce, M.; Carter, C.; Hicks, G. R.; Vasquez, J.; Raikhel, N. V.; The power of chemical genomics to study the link between endomembrane system components and the gravitropic response. *PNAS* **2005**, 102 (13), 4902-4907.

Huynh, C.; Andrews, N. W.; The small chemical vacuolin-1 alters the morphology of lysosomes without inhibiting Ca²⁺-regulated exocytosis. *EMBO* **2005**, 6 (9), 843-847.

Dai, X.; Hayashi, K.; Nozaki, H.; Cheng, Y.; Zhao, Y.; Genetic and chemical analyses of the action mechanisms of sirtinol in *Arabidopsis*. *PNAS* **2005**, 102 (8), 3129-3134.

Degterev, A.; Huang, Z.; Boyce, M.; Li, Y.; Jagtap, P.; Mizushima, N.; Cuny, G. D.; Mitchison, T. J.; Moskowitz, M. A.; Yuan, J.; Chemical inhibitor of nonapoptotic cell death with therapeutic potential for ischemic brain injury. *Nature Chem. Bio.* **2005**, 1 (2), 112-119.

Boyce, M.; Bryant, K. F.; Jousse, C.; Long, K.; Harding, H. P.; Scheuner, D.; Kaufman, R. J.; Ma, D.; Coen, D. M.; Ron, D.; Yuan, J.; A Selective Inhibitor of eIF2 α Dephosphorylation Protects Cells from ER Stress. *Science* **2005**, 307, 935-939.

Zon, L. I.; Peterson, R. T.; *In Vivo* Drug Discovery in the Zebrafish. *Nature Reviews Drug Discovery* **2005**, *4*, 35-44.

2004

Im, I.; Webb, T. R.; Gong, Y.; Kim, J.; Kim, Y.; Solid-Phase Synthesis of Tetrahydro-1,4-benzodiazepine-2-one Derivatives as a α -Turn Peptidomimetic Library. *J. Comb. Chem.* **2004**, *6*, 207-213.

Zaks-Makhina, E.; Kim, Y.; Aizenman, E.; Levitan, E. S.; Novel Neuroprotective K⁺ Channel Inhibitor Identified by High-Throughput Screening in Yeast. *Mol. Pharmacol.* **2004**, *65*, 214-219.

Wu, S.; Zhu, H.; Gu, J.; Zhang, L.; Teraishi, F.; Davis, J. J.; Jacob, D. A.; Fang, B.; Induction of Apoptosis and Down-Regulation of Bcl-XL in Cancer Cells by a Novel Small Molecule, 2[[3-(2,3-Dichlorophenoxy)propyl]amino]ethanol. *Cancer Res.* **2004**, *64*, 1110-1113.

Zhu, H.; Zhang, L.; Wu, S.; Teraishi, F.; Davis, J. J.; Jacob, D.; Fang, B.; Induction of S-phase arrest and p21 overexpression by a small molecule 2[[3-(2,3-dichlorophenoxy)propyl] amino]ethanol in correlation with activation of ERK. *Oncogene* **2004**, *23*, 4984-4992.

Peterson, J. R.; Bickford, L. C.; Morgan, D.; Kim, A. S.; Ouerfelli, O.; Kirschner, M. W.; Rosen, M. K.; Chemical inhibition of N-WASP by stabilization of a native autoinhibited conformation. *Nat. Struct. Mol. Biol.* **2004**, *11* (8), 747-755.

Jiang, S.; Lu, H.; Liu, S.; Zhao, Q.; He, Y.; Debnath, A. K.; N-Substituted Pyrrole Derivatives as Novel Human Immunodeficiency Virus Type 1 Entry Inhibitors That Interfere with the gp41 Six-Helix Bundle Formation and Block Virus Fusion. *Antimicrobial Agents and Chemotherapy* **2004**, *48* (11), 4349-4359.

Desai, P. V.; Patny, A.; Sabnis, Y.; Tekwani, B.; Gut, J.; Rosenthal, P.; Srivastava, A.; Avery, M.; Identification of Novel Parasitic Cysteine Protease Inhibitors Using Virtual Screening. 1. The ChemBridge Database. *Journal of Medicinal Chemistry* **2004**, *47* (26), 6609-6615.

Fiebigler, E.; Hirsch, C.; Vyas, J. M.; Gordon, E.; Ploegh, H. L.; Tortorella, D.; Dissection of the Dislocation Pathway for Type I Membrane Proteins with a New Small Molecule Inhibitor, Eeyarestatin. *Molecular Biology of the Cell* **2004**, *15*, 1635-1646.

Harrison, B. C.; Roberts, C. R.; Hood, D. B.; Sweeney, M.; Gould, J. M.; Bush, E. W.; McKinsey, T. A.; The CRM1 Nuclear Export Receptor Controls Pathological Cardiac Gene Expression. *Molecular and Cellular Biology* **2004**, *24* (24), 10636-10649.

Min, D.; Tan, W.; Mrksich, M.; Chemical Screening by mass spectrometry to identify inhibitors of anthrax lethal factor. *Nature Biotechnology* **2004**, *22* (6), 717-723.

Margolis, J.; Plowman, G. D.; Overcoming the gridlock in discovery research. *Nature Biotechnology* **2004**, *22* (5), 522-524.

Peterson, R.T.; Shaw, S. Y.; Peterson, T. A.; Milan, D. J.; Zhong, T. P.; Schreiber, S. L.; MacRae, C. A.; Fishman, M. C.; Chemical suppression of a genetic mutation in a zebrafish model of aortic coarctation. *Nature Biotechnology* **2004**, *22* (5), 595-599.

Kao, R. Y.; Tsui, W. H.W.; Lee, T. S.W.; Tanner, J. A.; Watt, R. M.; Huang, J.; Hu, L.; Chen, G.; Chen, Z.; Zhang, L.; He, T.; Chan, K.; Tse, H.; To, A. P.C.; Ng, L. W.Y.; Wong, B. C.W.; Tsoi, H.; Yang, D.; Ho, D. D.; Yuen, K.; Identification of Novel Small-Molecule Inhibitors of Severe Acute Respiratory Syndrome-Associated Coronavirus by Chemical Genetics. *Chemistry & Biology* **2004**, *11*, 1293-1299.

Lee, Y.; Bergson, P.; He, W. S.; Mrksich, M.; Tang, W.; Discovery of a Small Molecule that Inhibits the Interaction of Anthrax Edema Factor with Its Cellular Activator, Calmodulin. *Chemistry & Biology* **2004**, *11*, 1139-1146.

Armstrong, J. I.; Yuan, S.; Dale, J. M.; Tanner, V. N.; Theologis, A.; Identification of inhibitors of auxin transcriptional activation by means of chemical genetics in *Arabidopsis*. *PNAS* **2004**, 101 (41), 14978-14983.

Margalit, D. N.; Romberg, L.; Mets, R. B.; Hebert, A. M.; Mitchison, T. J.; Kirschner, M. W.; RayChaudhuri, D.; Targeting cell division: Small-molecule inhibitors of FtsZ GTPase perturb cytokinetic ring assembly and induce bacterial lethality. *PNAS* **2004**, 101 (32), 11821-11826.

Nieland, T. J. F.; Feng, Y.; Brown, J. X.; Chuang, T. D.; Buckett, P. D.; Wang, J.; Xie, X.; McGraw, T. E.; Kirchhausen, T.; Wessling-Resnick, M.; Chemical Genetic Screening Identifies Sulfonamides That Raise Organellar pH and Interfere with Membrane Traffic. *Traffic* **2004**, 5, 478-492.

Won, J.; Chang, S.; Oh, S.; Kim, T. K.; Small-molecule-based identification of dynamic assembly of E2F-pocket protein-histone deacetylase complex for telomerase regulation in human cells. *PNAS* **2004**, 101 (31), 11328-11333.

Soltero-Higgin, M.; Carlson, E. E.; Phillips, J. H.; Kiessling, L. L.; Identification of Inhibitors for UDP-Galactopyranose Mutase. *J. Am. Chem. Soc.* **2004**, 126, 15924.

Soltero-Higgin, M.; Carlson, E. E.; Phillips, J. H.; Kiessling, L. L.; Identification of Inhibitors for UDP-Galactopyranose Mutase. *J. Am. Chem. Soc.* **2004**, 126, 10532-10533.

Venkatesh, N.; Feng, Y.; DeDecker, B.; Yacono, P.; Golan, D.; Mitchison, T.; McKeon, F.; Chemical genetics to identify NFAT inhibitors: Potential of targeting calcium mobilization in immunosuppression. *PNAS* **2004**, 101 (24), 8969-8974.

Cerny, J.; Feng, Y.; Yu, A.; Miyake, K.; Borgonovo, B.; Klumperman, J.; Meldolesi, J.; McNeil, P. L.; Kirchhausen, T.; The small chemical vacuolin-1 inhibits Ca²⁺-dependent lysosomal exocytosis but not cell resealing. *EMBO* **2004**, 5 (9), 883-888.

Nieland, T. J. F.; Chroni, A.; Fitzgerald, M. L.; Maliga, Z.; Zannis, V. I. Kirchhausen, T.; Krieger, M.; Cross-inhibition of SR-BI and ABCA1-mediated cholesterol transport by the small molecules BLT-4 and glyburide. *J. of Lipid Research* **2004**, 45, 1256-1265.

Cheng, D.; Yadav, N.; King, R. W.; Swanson, M. S.; Weinstein, E. J.; Bedford, M. T.; Small Molecule Regulators of Protein Arginine Methyltransferases. *Journal of Biological Chemistry* **2004**, 279 (23), 23892-23899.

Zouhar, J.; Hicks, G. R.; Raikhel, N. V.; Sorting inhibitors (Sortins): Chemical compounds to study vacuolar sorting in *Arabidopsis*. *PNAS* **2004**, 101 (25), 9497-9501.

Bush, E.; Fielitz, J.; Melvin, L.; Martinez-Arnold, M.; McKinsey, T. A.; Plichta, R.; Olson, E. N.; A small molecular activity of cardiac hypertrophy uncovered in a chemical screen for modifiers of the calcineurin signaling pathway. *PNAS* **2004**, 101, 2870-2875.

Baurin, N.; Baker, R.; Richardson, C.; Chen, I.; Foloppe, N.; Potter, A.; Jordan, A.; Roughley, S.; Parratt, M.; Greaney, P.; Morley, D.; Hubbard, R.E.; Drug-like Annotation and Duplicate Analysis of a 23-Supplier Chemical Database Totalling 2.7 Million Compounds. *J. Chem. Inf. Comput. Sci.* **2004**, 44, 643-651.

2003

Chianelli, D.; Kim, Y.; Lvovskiy, D.; Webb, T. R.; Application of a Novel Design Paradigm to Generate General Nonpeptide Combinatorial Scaffolds Mimicking Beta Turns: Synthesis of Ligands for Somatostatin Receptors. *Bioorg. & Med. Chem.* **2003**, 11 (23), 5059-5068.

Kau, T. R.; Schroeder, F.; Ramaswamy, S.; Wojciechowski, C. L.; Zhao, J. J.; Roberts, T. M.; Clardy, J.; Sellers, W. R.; Silver, P. A.; A chemical genetic screen identifies inhibitors of regulated nuclear export of a Forkhead transcription factor in PTEN-deficient tumor cells. *Cancer Cell* **2003**, 4, 463-476.

Fulco, M.; Schlitz, R. L.; Iezzi, S.; King, M. T.; Zhao, P.; Kashiwaya, Y.; Hoffman, E.; Veech, R. L.; Sartorelli, V.; Sir2 Regulates Skeletal Muscle Differentiation as a Potential Sensor of the Redox State. *Molecular Cell* **2003**, 12, 51-62.

Koller, K. M.; Haggarty, S. J.; Perkins, B. D.; Leykin, I.; Wong, J. C.; Ming-Chih, J. K.; Schreiber, S. L.; Chemical Genetic Modifier Screens: Small Molecule Trichostatin Suppressors as Probes of Intracellular Histone and Tubulin Acetylation. *Chemistry & Biology* **2003**, 10, 397-410.

French, K.J.; Schrecengost, R.S.; Lee, B.D.; Zhuang, Y.; Smith, S.N.; Eberly, J.L.; Yun, J.K.; Smith, C.D.; Discovery and Evaluation of Inhibitors of Human Sphingosine Kinase. *Cancer Research* **2003**, 63, 5962-5969.

Jenkins, J. L.; Shapiro, R.; Identification of Small-Molecule Inhibitors of Human Angiogenin and Characterization of Their Binding Interactions Guided by Computational Docking. *Biochemistry* **2003**, 42, 6674-6687.

Yin, X.; Giap, C.; Lazo, J. S.; Prochownik, E. V.; Low molecular weight inhibitors of Myc-Max interaction and function. *Oncogene* **2003**, 22, 6151-6159.

Bae, Y.; Lee, T. G.; Park, J. C.; Hur, J. H.; Kim, Y.; Heo, K.; Kwak, J.; Suh, P.; Ryu, S. H.; Identification of a Compound That Directly Stimulates Phospholipase C Activity. *Molecular Pharmacology* **2003**, 63, 1043-1050.

Feng, Y.; Yu, S.; Lasell, T. K. R.; Jadhav, A. P.; Macia, E.; Chardin, P.; Melancon, P.; Roth, M.; Mitchison, T.; Kirchhausen, T.; Exo1: A new chemical inhibitor of the exocytic pathway. *PNAS* **2003**, 100 (11), 6469-6474.

Windsor, B.; Roux, S. J.; Lloyd, A.; Multiherbicide tolerance conferred by AtPgp1 and apyrase overexpression in *Arabidopsis thaliana*. *Nature Biotechnology* **2003**, 21, 428-433.

Webb, T. R.; Lvovskiy, D.; Kim, S. A.; Ji, X.; Melman, N.; Linden, J.; Jacobson, K. A.; Quinazolines as Adenosine Receptor Antagonists: SAR and Selectivity for A(2B) Receptors. *Bioorganic & Medicinal Chemistry* **2003**, 11 (1), 77-85.

Jenkins, J. L.; Kao, R. Y.; Shapiro, R.; Virtual Screening to Enrich Hit Lists from High-Throughput Screening: A Case Study on Small-Molecule Inhibitors of Angiogenin. *Proteins: Structure, Function, and Genetics* **2003**, 50 (1), 81-93.

2002

Ma, T.; Thiagarajah, J. R.; Yang, H.; Sonawane, N. D.; Folli, C.; Galiotta, L. J. V.; Verkman, A. S.; Thiazolidinone CFTR inhibitor identified by high-throughput screening blocks cholera toxin-induced intestinal fluid secretion. *The Journal of Clinical Investigation* **2002**, 110 (11), 1651-1658.

Nieland, T. J. F.; Penman, M.; Dori, L.; Krieger, M.; Kirchhausen, T.; Discovery of chemical inhibitors of the selective transfer of lipids mediated by the HDL receptor SR-BI. *PNAS* **2002**, 99 (24), 15422-15427.

Windsor, J. B.; Thomas, C.; Hurley, L.; Roux, S.J.; Lloyd, A.M.; Automated Colorimetric Screen for Apyrase Inhibitors. *BioTechniques* **2002**, 33, 1024-1030.

Chen, J. K.; Taipale, J.; Young, K. E.; Maiti, T.; Beachy, P. A.; Small molecule modulation of Smoothed activity. *PNAS* **2002**, 99 (22), 14071-14076.

Ma, T.; Vetrivel, L.; Yang, H.; Pedemonte, N.; Zegarra-Moran, O.; Galiotta, L. J. V.; Verkman, A. S.; High-affinity Activators of Cystic Fibrosis Transmembrane Conductance Regulator (CFTR) Chloride Conductance Identified by High-throughput Screening. *The Journal of Biological Chemistry* **2002**, 277 (40), 37235-37241.

Kao, R. Y. T.; Jenkins, J. L.; Olson, K. A.; Key, M. E.; Fett, J. W.; Shapiro, R.; A small-molecule inhibitor of the ribonucleolytic activity of human angiogenin that possesses antitumor activity. *PNAS* **2002**, 99 (15), 10066-71.

Sohn, T. A.; Bansal, R.; Su, G. H.; Murphy, K. M.; Kern, S. E.; High-throughput measurement of the Tp53 response to anticancer drugs and random compounds using a stably integrated. *Carcinogenesis* **2002**, 23 (6), 949-957.

Cheung, A.; Dantzig, J. A.; Hollingworth, S.; Baylor, S. M.; Goldman, Y.E.; Mitchison, T. J.; Straight, A. F.; A small-molecule inhibitor of skeletal muscle myosin II. *Nature Cell Biology* **2002**, 4, 83-84.

2001

Kondratov, R.V.; Komarov, P.G.; Becker, Y.; Ewenson, A.; Gudkov, A.; Small molecules that dramatically alter multidrug resistance phenotype by modulating the substrate specificity of *P*-glycoprotein. *PNAS* **2001**, 98 (24), 14078-83.

Peterson, R.T.; Mably, J.D.; Chen, J.; Fishman, M.C.; Convergence of distinct pathways to heart patterning revealed by the small molecule concentramide and the mutation *heart-and-soul*. *Current Biology* **2001**, 11, 1481-1491.

Torrance, C.J.; Agrawal, V.; Vogelstein, B.; Kinzler, K.W.; Use of Isogenic Human Cancers Cells for High-throughput Screening and Drug Discovery. *Nature Biotechnology* **2001**, 19, 940-945.

Sohn, T. A.; Su, G. H.; Ryu, B.; Yeo, C. J.; Kern, S. E.; High-Throughput Drug Screening of the DPC4 Tumor-Suppressor Pathway in Human Pancreatic Cancer Cells. *Annals of Surgery* **2001**, 233 (5), 696-703.

Grozinger, C. M.; Chao, E.D.; Blackwell, H.E.; Moazed, D.; Schreiber, S.L.; Identification of a Class of Small Molecule Inhibitors of the Sirtuin Family of NAD-dependent Deacetylases by Phenotypic Screening. *J. Bio. Chem.* **2001**, 276 (42), 38837.

Degterev, A.; Lugovskoy, A.; Cardone, M.; Mulley, B.; Wagner, G.; Mitchison, T.; Yuan, J.; Identification of Small-Molecule Inhibitors of Interaction between the BH3 Domain and Bcl-x_L. *Nature Cell Biology* **2001**, 3, 173-82.

2000

Peterson, R.; Link, B.A.; Dowling, J.E.; Schreiber, S.L.; Small Molecule Developmental Screens Reveal the Logic and Timing of Vertebrate Development. *PNAS* **2000**, 97, 12965-69.

Kim, T.; Kim, T.Y.; Lee, W.G.; Yim, J.; Kim, T.K.; Signaling Pathways to the Assembly of an Interferon-B Enhanceosome. *J. Biol. Chem.* **2000**, 275, 16910-17.

Su, G.H.; Taylor, A.S.; Byungwoo, R.; Kern, S.E.; A Novel Histone Deacetylase Inhibitor Identified by High-throughput Transcriptional Screening of a Compound Library. *Cancer Research* **2000**, 60, 3137-42.

1999

Mayer, T. U.; Kapoor, T.M.; Haggarty, S.J.; King, R.W.; Schreiber, S.L.; Mitchison, T.J.; Small Molecule Inhibitor of Mitotic Spindle Bipolarity Identified in a Phenotype-based Screen. *Science* **1999**, 286, 971-74.

Komarov, P. G.; Komarova, E. A.; Kondratov, R. V.; Christov-Tselkov, K.; Coon, J. S.; Chernov, M. V.; Gudkov, A. V.; A Chemical Inhibitor of p53 that Protects Mice from the Side Effects of Cancer Therapy. *Science* **1999**, 285, 1733-37.

Markham, P.N.; Westhaus, E.; Klyachko, K.; Johnson, M.E.; Neyfakh, A.A.; Multiple Novel Inhibitors of the NorA Multidrug Transporter of *Staphylococcus aureus*. *Antimicrobial Agents and Chemotherapy* **1999**, 43, 2404-08.

Stockwell, B.R.; Hardwick, J.S.; Tong, J.K.; Schreiber, S.L.; Chemical genetic and genomic approaches reveal a role for copper in specific gene activation. *J. of Amer. Chem. Society* **1999**, 121, 10662-10663.

Webb, T. R.; Melman, N.; Lvovskiy, D.; Ji, X.; Jacobson, K. A.; The Utilization of a Unified Pharmacore Query in the Discovery of New Antagonists of the Adenosine Receptor Family. *Bioorg. Med. Chem. Lett.* **1999**, 10, 31-34.