Stanford

Nathanael S. Gray

Krishnan-Shah Family Professor

Chemical and Systems Biology

Bio

BIO

Nathanael Gray is the Krishnan-Shah Family Professor of Chemical and Systems Biology at Stanford, Co-Director of Cancer Drug Discovery Co-Leader of the Cancer Therapeutics Research Program, Member of Chem-H, and Program Leader for Small Molecule Drug Discovery for the Innovative Medicines Accelerator (IMA). His research utilizes the tools of synthetic chemistry, protein biochemistry, and cancer biology to discover and validate new strategies for the inhibition of anti-cancer targets. Dr. Gray's research has had broad impact in the areas of kinase inhibitor design and in circumventing drug resistance.

Dr. Gray received his PhD in organic chemistry from the University of California at Berkeley in 1999 after receiving his BS degree with the highest honor award from the same institution in 1995. After completing his PhD, Dr. Gray was recruited to the newly established Genomics Institute of the Novartis Research Foundation (GNF) in San Diego, California. During his six year stay at GNF, Dr. Gray became the director of biological chemistry where he supervised a group of over fifty researchers integrating chemical, biological and pharmacological approaches towards the development of new experimental drugs. Some of the notable accomplishments of Dr. Gray's team at GNF include: discovery of the first allosteric inhibitors of wild-type and mutant forms of BCR-ABL which resulted in clinical development of ABL001; discovery of the first selective inhibitors of the Anaplastic Lymphoma Kinase (ALK), an achievement that led to the development of now FDA-approved drugs such as ceritinib (LDK378) for the treatment of EML4-ALK expressing non-small cell lung cancer (NSCLC); and discovery that sphingosine-1-phosphate receptor-1 (S1P1) is the pharmacologically relevant target of the immunosuppressant drug Fingomilod (FTY720) followed by the development of Siponimod (BAF312), which is currently used for the treatment of multiple sclerosis.

In 2006, Dr. Gray returned to academia as a faculty member at the Dana Farber Cancer Institute and Harvard Medical School in Boston. There, he has established a discovery chemistry group that focuses on developing first-in-class inhibitors for newly emerging biological targets, including resistant alleles of existing targets, as well as inhibitors of well-validated targets, such as Her3 and RAS, that have previously been considered recalcitrant to small molecule drug development. Dr. Gray's team developed covalent inhibitors of the T790M mutant of EGFR inspired the development of Osimertinib (AZD9291), now FDA approved for treatment of patients with relapsed lung cancer due to resistance to first generation EGFR inhibitors. Dr. Gray has also developed structure-based, generalized approaches for designing drugs to overcome one of the most common mechanisms of resistance observed against most kinase inhibitor drugs, mutation of the so-called "gatekeeper" residue, which has been observed in resistance to drugs targeting BCR-ABL, c-KIT and PDGFR.

In 2021, Dr. Gray joined Stanford University where he has joined the Stanford Cancer Institute, Chem-H and the Innovative Medicines Accelerator (IMA) to spur the development of prototype drugs.

These contributions have been recognized through numerous awards including the National Science Foundation's Career award in 2007, the Damon Runyon Foundation Innovator award in 2008, the American Association for Cancer Research for Team Science in 2010 and for Outstanding Achievement in 2011 and the American Chemical Society award for Biological Chemistry in 2011, and the Nancy Lurie Marks endowed professorship in 2015 and the Paul Marks Prize in 2019, and the Hope Funds for Cancer Research in 2023.

ACADEMIC APPOINTMENTS

- Professor, Chemical and Systems Biology
- Member, Bio-X
- Institute Scholar, Sarafan ChEM-H
- Member, Stanford Cancer Institute

Teaching

COURSES

2023-24

- Research Seminar: CSB 270 (Aut, Win, Spr)

2022-23

- Research Seminar: CSB 270 (Aut, Win, Spr)

STANFORD ADVISEES

Doctoral Dissertation Reader (AC)

Ben Kraemer, Daniel Navarrete

Postdoctoral Faculty Sponsor

Xianmixinuer Abulaiti, Woong Sub Byun, Brendan Dwyer, Qixiang Geng, Sai Gourisankar, Muhammad Hassan, Fen Jiang, Ji Hyeon Kim, Huiqi Ni, Md Abdullah Al Noman, Tian Qiu, Roman Sarott, Jaylissa Torres Robles, Yaning Wang, Zhe Zhuang

Doctoral Dissertation Advisor (AC)

Leyna Duong, Ryan Golden, Zixuan Jiang, Basel Karim, Meredith Nix, Hlib Razumkov, Jianing Zhong, Xijun Zhu

Doctoral Dissertation Co-Advisor (AC)

Amy Cho, Michelle Tang, Riley Togashi

Publications

PUBLICATIONS

- **Reciprocal antagonism of PIN1-APC/CCDH1 governs mitotic protein stability and cell cycle entry.** *Nature communications*
  Ke, S., Dang, F., Wang, L., Chen, J. Y., Naik, M. T., Li, W., Thavamani, A., Kim, N., Naik, N. M., Sui, H., Tang, W., Qiu, C., Koikawa, et al
  2024; 15 (1): 3220

- **Targeting DCAF5 suppresses SMARCB1-mutant cancer by stabilizing SWI/SNF.** *Nature*
  Radko-Juettner, S., Yue, H., Myers, J. A., Carter, R. D., Robertson, A. N., Mittal, P., Zhu, Z., Hansen, B. S., Donovan, K. A., Hunkeler, M., Rosikiewicz, W., Wu, Z., McReynolds, et al
  2024

- **The dual HCK/BTK inhibitor KIN-8194 impairs growth and integrin-mediated adhesion of BTKi-resistant mantle cell lymphoma.** *Leukemia*
  Lantermans, H. C., Ma, F., Kuil, A., van Kesteren, S., Yasinoglu, S., Yang, G., Buhrlage, S. J., Wang, J., Gray, N. S., Kersten, M. J., Treon, S. P., Pals, S. T., Spaargaren, et al
  2024

- **Multiomic profiling of breast cancer cells uncovers stress MAPK-associated sensitivity to AKT degradation.** *Science signaling*
  Erickson, E. C., You, I., Perry, G., Dugourd, A., Donovan, K. A., Crafter, C., Johannes, J. W., Williamson, S., Moss, J. I., Ros, S., Ziegler, R. E., Barry, S. T., Fischer, et al
  2024; 17 (825): eadf2670

- **Molecular Bidents with Two Electrophilic Warheads as a New Pharmacological Modality** *ACS CENTRAL SCIENCE*
  Li, Z., Jiang, J., Ficarro, S. B., Beyett, T. S., To, C., Tavares, I., Zhu, Y., Li, J., Eck, M. J., Janne, P. A., Marto, J. A., Zhang, T., Che, et al
  2024

- **ZNL0325, a Pyrazolopyrimidine-Based Covalent Probe, Demonstrates an Alternative Binding Mode for Kinases.** *Journal of medicinal chemistry*
  Li, Z., Lu, W., Beyett, T. S., Ficarro, S. B., Jiang, J., Tse, J., Kim, A. Y., Marto, J. A., Che, J., Jänne, P. A., Eck, M. J., Zhang, T., Gray, et al
  2024

- **Discovery of Potent Antimalarial Type II Kinase Inhibitors with Selectivity over Human Kinases.** *Journal of medicinal chemistry*
  Wang, L., Bohmer, M. J., Wang, J., Nardella, F., Calla, J., Laureano De Souza, M., Schindler, K. A., Montejo, L., Mittal, N., Rocamora, F., Treat, M., Charlton, J. T., Tumwebaze, et al
  2024

- **Functional Dissection of Cellular Programs to Uncover Novel Gene Dependencies in AML**
  Ellegast, J. M., Alexe, G., Baniya, S., Hamze, A., Taillon, A., Adane, B., Conway, A., Zhang, T., Gray, N. S., Armstrong, S. A., Stegmaier, K.
  AMER SOC HEMATOLOGY.2023

- **Exploration of the Tunability of BRD4 Degradation by DCAF16 Trans-labelling Covalent Glues.** *bioRxiv : the preprint server for biology*
  Hassan, M. M., Li, Y. D., Ma, M. W., Teng, M., Byun, W. S., Puvar, K., Lumpkin, R., Sandoval, B., Rutter, J. C., Jin, C. Y., Wang, M. Y., Xu, S., Schmoker, et al
  2023

- **Chemical Specification of E3 Ubiquitin Ligase Engagement by Cysteine-Reactive Chemistry.** *Journal of the American Chemical Society*
  Sarott, R. C., You, I., Li, Y. D., Toenjes, S. T., Donovan, K. A., Seo, P., Ordonez, M., Byun, W. S., Hassan, M. M., Wachter, F., Chouchani, E. T., S#abicki, M., Fischer, et al
  2023

- **Genome-Wide CRISPR Screens Identify Multiple Synthetic Lethal Targets That Enhance KRASG12C Inhibitor Efficacy.** *Cancer research*
  Mukhopadhyay, S., Huang, H. Y., Lin, Z., Ranieri, M., Li, S., Sahu, S., Liu, Y., Ban, Y., Guidry, K., Hu, H., Lopez, A., Sherman, F., Tan, et al
  2023

- **Proteomics-Based Discovery of First-in-Class Chemical Probes for Programmed Cell Death Protein 2 (PDCD2).** *Angewandte Chemie (International ed. in English)*
  Ji, W., Byun, W. S., Lu, W., Zhu, X., Donovan, K. A., Dwyer, B., Che, J., Yuan, L., Abulaiti, X., Corsello, S. M., Fischer, E. S., Zhang, T., Gray, et al
  2023: e202308292

- **Author Correction: Rewiring cancer drivers to activate apoptosis.** *Nature*
  Gourisankar, S., Krokhotin, A., Ji, W., Liu, X., Chang, C. Y., Kim, S. H., Li, Z., Wenderski, W., Simanauskaite, J. M., Yang, H., Vogel, H., Zhang, T., Green, et al
  2023

- **Development of a Highly Potent and Selective Degrader of LRRK2.** *Bioorganic & medicinal chemistry letters*
  Hatcher, J. M., Zwirek, M., Sarhan, A. R., Vatsan, P. S., Tonelli, F., Alessi, D. R., Davies, P., Gray, N. S.
  2023: 129449

- **Targeted kinase degradation via the KLHDC2 ubiquitin E3 ligase.** *Cell chemical biology*
  Kim, Y., Seo, P., Jeon, E., You, I., Hwang, K., Kim, N., Tse, J., Bae, J., Choi, H., Hinshaw, S. M., Gray, N. S., Sim, T.
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- **Rewiring cancer drivers to activate apoptosis.** *Nature*
  Gourisankar, S., Krokhotin, A., Ji, W., Liu, X., Chang, C., Kim, S. H., Li, Z., Wenderski, W., Simanauskaite, J. M., Yang, H., Vogel, H., Zhang, T., Green, et al
  2023

- **The rise of degrader drugs.** *Cell chemical biology*
  Teng, M., Gray, N. S.
  2023

- **New scaffolds for type II JAK2 inhibitors overcome the acquired G993A resistance mutation.** *Cell chemical biology*
  Arwood, M. L., Liu, Y., Harkins, S. K., Weinstock, D. M., Yang, L., Stevenson, K. E., Plana, O. D., Dong, J., Cirka, H., Jones, K. L., Virtanen, A. T., Gupta, D. G., Ceas, et al
  2023

- **Development of Mutant-Selective Allosteric EGFR Inhibitors for Drug-Resistant Lung Cancer**
  Beyett, T., To, C., Heppner, D. E., Gero, T. W., Gray, N. S., Scott, D. A., Janne, P. A., Eck, M. J.
  AMER SOC PHARMACOLOGY EXPERIMENTAL THERAPEUTICS.2023

- **Genome-scale functional genomics identify genes preferentially essential for multiple myeloma cells compared to other neoplasias** *NATURE CANCER*
  Simoes, R., Shirasaki, R., Downey-Kopyscinski, S. L., Matthews, G. M., Barwick, B. G., Gupta, V. A., Dupere-Richer, D., Yamano, S., Hu, Y., Sheffer, M., Dhimolea, E., Dashevsky, O., Gandolfi, et al
  2023; 4 (5): 754-+

- **Development and characterization of selective FAK inhibitors and PROTACs with in vivo activity.** *Chembiochem : a European journal of chemical biology*
  Koide, E., Mohardt, M. L., Doctor, Z. M., Yang, A., Hao, M., Donovan, K. A., Kuismi, C. C., Nelson, A. J., Abell, K., Aguiar, M., Che, J., Stokes, M. P., Zhang, et al
  2023: e202300141

- **Catalytic Degraders Effectively Address Kinase Site Mutations in EML4-ALK Oncogenic Fusions.** *Journal of medicinal chemistry*
  Gao, Y., Jiang, B., Kim, H., Berberich, M. J., Che, J., Donovan, K. A., Hatcher, J. M., Huerta, F., Kwiatkowski, N. P., Liu, Y., Liuni, P. P., Metivier, R. J., Murali, et al
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- **USP9X mediates an acute adaptive response to MAPK suppression in pancreatic cancer but creates multiple actionable therapeutic vulnerabilities.** *Cell reports. Medicine*
  Perurena, N., Lock, R., Davis, R. A., Raghavan, S., Pilla, N. F., Ng, R., Loi, P., Guild, C. J., Miller, A. L., Sicinska, E., Cleary, J. M., Rubinson, D. A., Wolpin, et al
  2023: 101007

- **ITK degradation to block T cell receptor signaling and overcome therapeutic resistance in T cell lymphomas.** *Cell chemical biology*
  Jiang, B., Weinstock, D. M., Donovan, K. A., Sun, H. W., Wolfe, A., Amaka, S., Donaldson, N. L., Wu, G., Jiang, Y., Wilcox, R. A., Fischer, E. S., Gray, N. S., Wu, et al
  2023

- **Structure-Based Design of Y-Shaped Covalent TEAD Inhibitors.** *Journal of medicinal chemistry*
  Lu, W., Fan, M., Ji, W., Tse, J., You, I., Ficarro, S. B., Tavares, I., Che, J., Kim, A. Y., Zhu, X., Boghossian, A., Rees, M. G., Ronan, et al
  2023

- **Shining light on reprogramming Tregs for cancer therapy.** *Cell chemical biology*
  Wang, E. S., Gray, N. S.
  2023; 30 (3): 231-233

- **Human Polo-like Kinase Inhibitors as Antiplasmodials.** *ACS infectious diseases*
  Bohmer, M. J., Wang, J., Istvan, E. S., Luth, M. R., Collins, J. E., Huttlin, E. L., Wang, L., Mittal, N., Hao, M., Kwiatkowski, N. P., Gygi, S. P., Chakrabarti, R., Deng, et al
  2023

- **Targeting the Dark Lipid Kinase PIP4K2C with aPotent and Selective Binder and Degrader.** *Angewandte Chemie (International ed. in English)*
  Teng, M., Jiang, J., Wang, E. S., Geng, Q., Toenjes, S. T., Donovan, K. A., Mageed, N., Yue, H., Nowak, R. P., Wang, J., Manz, T. D., Fischer, E. S., Cantley, et al
  2023: e202302364

- **CDK7 controls E2F- and MYC-driven proliferative and metabolic vulnerabilities in multiple myeloma.** *Blood*
  Yao, Y., Fong Ng, J., Park, W. D., Samur, M. K., Morelli, E., Encinas, J., Chyra, Z., Xu, Y., Derebail, S., Epstein, C. B., Nabet, B., Chesi, M., Gray, et al
  2023

- **Development of a Covalent Inhibitor of c-Jun N-Terminal Protein Kinase (JNK) 2/3 with Selectivity over JNK1.** *Journal of medicinal chemistry*
  Lu, W., Liu, Y., Gao, Y., Geng, Q., Gurbani, D., Li, L., Ficarro, S. B., Meyer, C. J., Sinha, D., You, I., Tse, J., He, Z., Ji, et al
  2023

- **Depletion of creatine phosphagen energetics with a covalent creatine kinase inhibitor.** *Nature chemical biology*
  Darabedian, N., Ji, W., Fan, M., Lin, S., Seo, H. S., Vinogradova, E. V., Yaron, T. M., Mills, E. L., Xiao, H., Senkane, K., Huntsman, E. M., Johnson, J. L., Che, et al
  2023

- **Reciprocal inhibition of PIN1 and APC/CCDH1 controls timely G1/S transition and creates therapeutic vulnerability.** *Research square*
  Ke, S., Dang, F., Wang, L., Chen, J. Y., Naik, M. T., Thavamani, A., Liu, Y., Li, W., Kim, N., Naik, N. M., Sui, H., Tang, W., Qiu, et al

2023

- **The ETS transcription factor ETV6 constrains the transcriptional activity of EWS-FLI to promote Ewing sarcoma** *NATURE CELL BIOLOGY*
  Lu, D. Y., Ellegast, J. M., Ross, K. N., Malone, C. F., Lin, S., Mabe, N. W., Dharia, N. V., Meyer, A., Conway, A., Su, A. H., Selich-Anderson, J., Taslim, C., Byrum, et al
  2023: 285-297

- **Advancing targeted protein degrader discovery by measuring cereblon engagement in cells.** *Methods in enzymology*
  Zerfas, B. L., Huerta, F., Liu, H., Du, G., Gray, N. S., Jones, L. H., Nowak, R. P.
  2023; 681: 169-188

- **Template-assisted covalent modification of DCAF16 underlies activity of BRD4 molecular glue degraders** *BioRxiv - preprint*
  Li, Y., Ma, M. W., Hassan, M. M., et al
  2023

- **Development of potent and selective degraders of PI5P4Kgamma.** *European journal of medicinal chemistry*
  Ji, W., Wang, E. S., Manz, T. D., Jiang, J., Donovan, K. A., Abulaiti, X., Fischer, E. S., Cantley, L. C., Zhang, T., Gray, N. S.
  2022; 247: 115027

- **Development and Utility of a PAK1-Selective Degrader.** *Journal of medicinal chemistry*
  Chow, H., Karchugina, S., Groendyke, B. J., Toenjes, S., Hatcher, J., Donovan, K. A., Fischer, E. S., Abalakov, G., Faezov, B., Dunbrack, R., Gray, N. S., Chernoff, J.
  2022

- **Transcriptional Antagonism by CDK8 Inhibition Improves Therapeutic Efficacy of MEK Inhibitors.** *Cancer research*
  Malone, C. F., Kim, M., Alexe, G., Engel, K., Forman, A. B., Robichaud, A., Saur Conway, A., Goodale, A., Meyer, A., Khalid, D., Thayakumar, A., Hatcher, J. M., Gray, et al
  2022

- **Therapeutic efficacy of selective CDK7 inhibition in pancreatic cancer mediated by induction of R-loop formation, DNA replication stress and genomic instability**
  Yang, A., Jiang, J., Li, Z., Kapner, K. S., Feng, H., Lowder, K. E., Kuljanin, M., Johnson, W., Uribe, G., Neggers, J. E., Liu, S., Zhang, T., Decaprio, et al
  AMER ASSOC CANCER RESEARCH.2022: 38

- **Covalent disruptor of YAP-TEAD association suppresses defective hippo signaling.** *eLife*
  Fan, M., Lu, W., Che, J., Kwiatkowski, N. P., Gao, Y., Seo, H., Ficarro, S. B., Gokhale, P. C., Liu, Y., Geffken, E. A., Lakhani, J., Song, K., Kuljanin, et al
  2022; 11

- **Acute pharmacological degradation of ERK5 does not inhibit cellular immune response or proliferation.** *Cell chemical biology*
  You, I., Donovan, K. A., Krupnick, N. M., Boghossian, A. S., Rees, M. G., Ronan, M. M., Roth, J. A., Fischer, E. S., Wang, E. S., Gray, N. S.
  2022

- **Anti-SARS-CoV-2 Activity of Targeted Kinase Inhibitors: Repurposing Clinically Available Drugs for COVID-19 Therapy.** *Journal of medical virology*
  Boytz, R., Slabicki, M., Ramaswamy, S., Patten, J. J., Zou, C., Meng, C., Hurst, B. L., Wang, J., Nowak, R. P., Yang, P. L., Sattler, M., Stone, R. M., Griffin, et al
  2022

- **Cereblon covalent modulation through structure-based design of histidine targeting chemical probes.** *RSC chemical biology*
  Cruite, J. T., Dann, G. P., Che, J., Donovan, K. A., Ferrao, S., Ficarro, S. B., Fischer, E. S., Gray, N. S., Huerta, F., Kong, N. R., Liu, H., Marto, J. A., Metivier, et al
  2022; 3 (9): 1105-1110

- **Exploring the target scope of KEAP1 E3 ligase-based PROTACs.** *Cell chemical biology*
  Du, G., Jiang, J., Henning, N. J., Safaee, N., Koide, E., Nowak, R. P., Donovan, K. A., Yoon, H., You, I., Yue, H., Eleuteri, N. A., He, Z., Li, et al
  2022

- **Redirecting the Neo-Substrate Specificity of Cereblon-Targeting PROTACs to Helios.** *ACS chemical biology*
  Verano, A. L., You, I., Donovan, K. A., Mageed, N., Yue, H., Nowak, R. P., Fischer, E. S., Wang, E. S., Gray, N. S.
  2022

- **PRM-LIVE Accelerates Target Class-based Selectivity Profiling of Small Molecule Inhibitors**
  Zhu, H., Ficarro, S. B., Alexander, W. M., Fleming, L. E., Adelmant, G., Zhang, T., Willetts, M., Decker, J., Brehmer, S., Krause, M., East, M. P., Gray, N. S., Johnson, et al

ELSEVIER.2022: S80

- **Targeting transcription in heart failure via CDK7/12/13 inhibition.** *Nature communications*
  Hsu, A., Duan, Q., Day, D. S., Luo, X., McMahon, S., Huang, Y., Feldman, Z. B., Jiang, Z., Zhang, T., Liang, Y., Alexanian, M., Padmanabhan, A., Brown, et al
  2022; 13 (1): 4345

- **Quinazolinones as allosteric fourth-generation EGFR inhibitors for the treatment of NSCLC** *BIOORGANIC & MEDICINAL CHEMISTRY LETTERS*
  Gero, T. W., Heppner, D. E., Beyett, T. S., To, C., Azevedo, S. C., Jang, J., Bunnell, T., Feru, F., Li, Z., Shin, B., Soroko, K. M., Gokhale, P. C., Gray, et al
  2022; 68: 128718

- **Temporal resolution of gene derepression and proteome changes upon PROTAC-mediated degradation of BCL11A protein in erythroid cells.** *Cell chemical biology*
  Mehta, S., Buyanbat, A., Kai, Y., Karayel, O., Goldman, S. R., Seruggia, D., Zhang, K., Fujiwara, Y., Donovan, K. A., Zhu, Q., Yang, H., Nabet, B., Gray, et al
  2022

- **Cereblon covalent modulation through structure-based design of histidine targeting chemical probes** *RSC CHEMICAL BIOLOGY*
  Cruite, J. T., Dann, G. P., Che, J., Donovan, K. A., Ferrao, S., Ficarro, S. B., Fischer, E. S., Gray, N. S., Huerta, F., Kong, N. R., Liu, H., Marto, J. A., Metivier, et al
  2022

- **Transcriptional antagonism by CDK8 inhibition improves therapeutic efficacy of MEK inhibitors**
  Malone, C. F., Kim, M., Alexe, G., Forman, A. B., Robichaud, A., Conway, A., Goodale, A., Hatcher, J. M., Gray, N. S., Piccioni, F., Stegmaier, K.
  AMER ASSOC CANCER RESEARCH.2022

- **Tuning microtubule dynamics to enhance cancer therapy by modulating FER-mediated CRMP2 phosphorylation (vol 9, 476, 2018)** *NATURE COMMUNICATIONS*
  Zheng, Y., Sethi, R., Mangala, L. S., Taylor, C., Goldsmith, J., Wang, M., Masuda, K., Carrami, E. M., Mannion, D., Miranda, F., Herrero-Gonzalez, S., Hellner, K., Chen, et al
  2022; 13 (1): 3352

- **Publication Criteria and Requirements for Studies on Protein Kinase Inhibitors-What Is Expected? ("It is pretty easy to make a bad kinase inhibitor")** *JOURNAL OF MEDICINAL CHEMISTRY*
  Laufer, S., Bajorath, J., Gehringer, M., Gray, N., Frye, S., Lindsley, C. W.
  2022; 65 (10): 6973-6974

- **The Dawn of Allosteric BCR-ABL1 Drugs: From a Phenotypic Screening Hit to an Approved Drug.** *Journal of medicinal chemistry*
  Teng, M., Luskin, M. R., Cowan-Jacob, S. W., Ding, Q., Fabbro, D., Gray, N. S.
  2022

- **Molecular basis for cooperative binding and synergy of ATP-site and allosteric EGFR inhibitors.** *Nature communications*
  Beyett, T. S., To, C., Heppner, D. E., Rana, J. K., Schmoker, A. M., Jang, J., De Clercq, D. J., Gomez, G., Scott, D. A., Gray, N. S., Janne, P. A., Eck, M. J.
  2022; 13 (1): 2530

- **Synthesis and Structure-Activity relationships of cyclin-dependent kinase 11 inhibitors based on a diaminothiazole scaffold.** *European journal of medicinal chemistry*
  Li, Z., Ishida, R., Liu, Y., Wang, J., Li, Y., Gao, Y., Jiang, J., Che, J., Sheltzer, J. M., Robers, M. B., Zhang, T., Westover, K. D., Nabet, et al
  2022; 238: 114433

- **A preclinical platform for assessing antitumor effects and systemic toxicities of cancer drug targets.** *Proceedings of the National Academy of Sciences of the United States of America*
  Li, X., Huang, C. H., Sánchez-Rivera, F. J., Kennedy, M. C., Tschaharganeh, D. F., Morris, J. P., Montinaro, A., O'Rourke, K. P., Banito, A., Wilkinson, J. E., Chen, C. C., Ho, Y. J., Dow, et al
  2022; 119 (17): e2110557119

- **Selective Macrocyclic Inhibitors of DYRK1A/B.** *ACS medicinal chemistry letters*
  Powell, C. E., Hatcher, J. M., Jiang, J., Vatsan, P. S., Che, J., Gray, N. S.
  2022; 13 (4): 577-585

- **An allosteric inhibitor against the therapy-resistant mutant forms of EGFR in non-small cell lung cancer.** *Nature cancer*
  To, C., Beyett, T. S., Jang, J., Feng, W. W., Bahcall, M., Haikala, H. M., Shin, B. H., Heppner, D. E., Rana, J. K., Leeper, B. A., Soroko, K. M., Poitras, M. J., Gokhale, et al
  2022

- **Unleashing cell-intrinsic inflammation as a strategy to kill AML blasts.** *Cancer discovery*
  Ellegast, J. M., Alexe, G., Hamze, A., Lin, S., Uckelmann, H. J., Rauch, P. J., Pimkin, M., Ross, L. S., Dharia, N. V., Robichaud, A. L., Saur Conway, A., Khalid, D., Perry, et al
  2022

- **A new role for the SRC family kinase HCK as a driver of SYK activation in MYD88 mutated lymphomas.** *Blood advances*
  Munshi, M., Liu, X., Kofides, A., Tsakmaklis, N., Guerrera, M. L., Hunter, Z. R., Palomba, M. L., Argyropoulos, K. V., Patterson, C. J., Canning, A. G., Meid, K. E., Gustine, J., Branagan, et al
  2022

- **Discovery and Optimization of Tau Targeted Protein Degraders Enabled by Patient Induced Pluripotent Stem Cells-Derived Neuronal Models of Tauopathy** *FRONTIERS IN CELLULAR NEUROSCIENCE*
  Silva, M., Nandi, G., Donovan, K. A., Cai, Q., Berry, B. C., Nowak, R. P., Fischer, E. S., Gray, N. S., Ferguson, F. M., Haggarty, S. J.
  2022; 16: 801179

- **Novel Macrocyclic Peptidomimetics Targeting the Polo-Box Domain of Polo-Like Kinase 1.** *Journal of medicinal chemistry*
  Ryu, S., Park, J., Ham, Y. J., Lim, D. C., Kwiatkowski, N. P., Kim, D., Bhunia, D., Kim, N. D., Yaffe, M. B., Son, W., Kim, N., Choi, T., Swain, et al
  1800

- **A novel HER2-selective kinase inhibitor is effective in HER2 mutant and amplified non-small cell lung cancer.** *Cancer research*
  Son, J., Jang, J., Beyett, T. S., Eum, Y., Haikala, H. M., Verano, A., Lin, M., Hatcher, J. M., Kwiatkowski, N. P., Eser, P. Ö., Poitras, M. J., Wang, S., Xu, et al
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