

# Stefan Knapp

## List of Publications by Year in Descending Order

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The third column is the impact factor (IF) of the journal, and the fourth column is the number of citations of the article.

302  
papers

20,101  
citations

72  
h-index

136  
g-index

340  
ext. papers

24,211  
ext. citations

9.7  
avg, IF

6.8  
L-index

| #   | Paper   | IF   | Citations |
|-----|---|------|-----------|
| 302 | TDP-43 Modulation by Tau-Tubulin Kinase 1 Inhibitors: A New Avenue for Future Amyotrophic Lateral Sclerosis Therapy.. <i>Journal of Medicinal Chemistry</i> , <b>2022</b> ,   | 8.3  | 2         |
| 301 | DNA topoisomerase inhibition with the HIF inhibitor acriflavine promotes transcription of lncRNAs in endothelial cells.. <i>Molecular Therapy - Nucleic Acids</i> , <b>2022</b> , 27, 1023-1035                           | 10.7 | 1         |
| 300 | Resistance to kinase inhibition through shortened target engagement.. <i>Molecular and Cellular Oncology</i> , <b>2022</b> , 9, 2029999   | 1.2  |           |
| 299 | Nanobodies as allosteric modulators of Parkinson's disease-associated LRRK2.. <i>Proceedings of the National Academy of Sciences of the United States of America</i> , <b>2022</b> , 119,                                 | 11.5 | 2         |
| 298 | Synthesis and biological evaluation of Haspin inhibitors: Kinase inhibitory potency and cellular activity.. <i>European Journal of Medicinal Chemistry</i> , <b>2022</b> , 236, 114369                                    | 6.8  | 0         |
| 297 | Development of novel urea-based ATM kinase inhibitors with subnanomolar cellular potency and high kinome selectivity.. <i>European Journal of Medicinal Chemistry</i> , <b>2022</b> , 235, 114234                         | 6.8  | 0         |
| 296 | Pharmacological targeting of MTHFD2 suppresses acute myeloid leukemia by inducing thymidine depletion and replication stress.. <i>Nature Cancer</i> , <b>2022</b> , 3, 156-172  | 15.4 | 2         |
| 295 | Enabling pseudokinases as potential drug targets.. <i>Methods in Enzymology</i> , <b>2022</b> , 667, 663-683  | 1.7  |           |
| 294 | BET bromodomain inhibitors.. <i>Current Opinion in Chemical Biology</i> , <b>2022</b> , 68, 102148  | 9.7  | 4         |
| 293 | LRRK2 dynamics analysis identifies allosteric control of the crosstalk between its catalytic domains.. <i>PLoS Biology</i> , <b>2022</b> , 20, e3001427   | 9.7  | 4         |
| 292 | Calcium/calmodulin-dependent protein kinase kinase 2 regulates hepatic fuel metabolism.. <i>Molecular Metabolism</i> , <b>2022</b> , 101513   | 8.8  | 0         |
| 291 | Novel, highly potent PROTACs targeting AURORA-A kinase. <i>Current Research in Chemical Biology</i> , <b>2022</b> , 100032  |      | 0         |
| 290 | Mutation in Abl kinase with altered drug-binding kinetics indicates a novel mechanism of imatinib resistance. <i>Proceedings of the National Academy of Sciences of the United States of America</i> , <b>2021</b> , 118, | 11.5 | 6         |
| 289 | Nanopore Enzymology to Study Protein Kinases and Their Inhibition by Small Molecules. <i>Methods in Molecular Biology</i> , <b>2021</b> , 2186, 95-114  | 1.4  |           |
| 288 | The Transcriptional Repressor Orphan Nuclear Receptor TLX Is Responsive to Xanthines.. <i>ACS Pharmacology and Translational Science</i> , <b>2021</b> , 4, 1794-1807   | 5.9  | 2         |
| 287 | Closoantel is an allosteric inhibitor of human Taspase1.. <i>iScience</i> , <b>2021</b> , 24, 103524  | 6.1  | 0         |
| 286 | Structure-Based Design of Dual Partial Peroxisome Proliferator-Activated Receptor $\alpha$ Agonists/Soluble Epoxide Hydrolase Inhibitors. <i>Journal of Medicinal Chemistry</i> , <b>2021</b> , 64, 17259-17276           | 8.3  | 1         |

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| 285 | Inhibitors of the Hippo Pathway Kinases STK3/MST2 and STK4/MST1 Have Utility for the Treatment of Acute Myeloid Leukemia. <i>Journal of Medicinal Chemistry</i> , <b>2021</b> ,                                | 8.3  | 1  |
| 284 | Selective BH3 mimetics synergize with BET inhibition to induce mitochondrial apoptosis in rhabdomyosarcoma cells.. <i>Neoplasia</i> , <b>2021</b> , 24, 109-119  | 6.4  | 1  |
| 283 | Structure and Inhibitor Binding Characterization of Oncogenic MLLT1 Mutants. <i>ACS Chemical Biology</i> , <b>2021</b> , 16, 571-578   | 4.9  | 2  |
| 282 | Structural Insights into Plasticity and Discovery of Remdesivir Metabolite GS-441524 Binding in SARS-CoV-2 Macrodomein. <i>ACS Medicinal Chemistry Letters</i> , <b>2021</b> , 12, 603-609                     | 4.3  | 17 |
| 281 | 7-(2-Anilinopyrimidin-4-yl)-1-benzazepin-2-ones Designed by a "Cut and Glue" Strategy Are Dual Aurora A/VEGF-R Kinase Inhibitors. <i>Molecules</i> , <b>2021</b> , 26,   | 4.8  | 1  |
| 280 | Demonstrating Ligandability of the LC3A and LC3B Adapter Interface. <i>Journal of Medicinal Chemistry</i> , <b>2021</b> , 64, 3720-3746  | 8.3  | 4  |
| 279 | Development of a potent and selective chemical probe for the pleiotropic kinase CK2. <i>Cell Chemical Biology</i> , <b>2021</b> , 28, 546-558.e10  | 8.2  | 14 |
| 278 | Oxaprozin Analogues as Selective RXR Agonists with Superior Properties and Pharmacokinetics. <i>Journal of Medicinal Chemistry</i> , <b>2021</b> , 64, 5123-5136   | 8.3  | 2  |
| 277 | Highly selective inhibitors of protein kinases CLK and HIPK with the furo[3,2-b]pyridine core. <i>European Journal of Medicinal Chemistry</i> , <b>2021</b> , 215, 113299                                      | 6.8  | 6  |
| 276 | A Chemical Toolbox for Labeling and Degrading Engineered Cas Proteins. <i>Jacs Au</i> , <b>2021</b> , 1, 777-785   |      | 1  |
| 275 | Structure-kinetic relationship reveals the mechanism of selectivity of FAK inhibitors over PYK2. <i>Cell Chemical Biology</i> , <b>2021</b> , 28, 686-698.e7   | 8.2  | 13 |
| 274 | Exploiting vulnerabilities of SWI/SNF chromatin remodelling complexes for cancer therapy. <i>Oncogene</i> , <b>2021</b> , 40, 3637-3654  | 9.2  | 8  |
| 273 | Endogenous vitamin E metabolites mediate allosteric PPAR $\alpha$ activation with unprecedented co-regulatory interactions. <i>Cell Chemical Biology</i> , <b>2021</b> , 28, 1489-1500.e8                      | 8.2  | 8  |
| 272 | Large-Scale Recombinant Production of the SARS-CoV-2 Proteome for High-Throughput and Structural Biology Applications. <i>Frontiers in Molecular Biosciences</i> , <b>2021</b> , 8, 653148                     | 5.6  | 12 |
| 271 | Design, Synthesis, and Evaluation of WD-Repeat-Containing Protein 5 (WDR5) Degraders. <i>Journal of Medicinal Chemistry</i> , <b>2021</b> , 64, 10682-10710  | 8.3  | 9  |
| 270 | C81-evoked inhibition of the TNFR1-NFB pathway during inflammatory processes for stabilization of the impaired vascular endothelial barrier for leukocytes. <i>FASEB Journal</i> , <b>2021</b> , 35, e21656    | 0.9  | 1  |
| 269 | Propranolol Activates the Orphan Nuclear Receptor TLX to Counteract Proliferation and Migration of Glioblastoma Cells. <i>Journal of Medicinal Chemistry</i> , <b>2021</b> , 64, 8727-8738                     | 8.3  | 3  |
| 268 | Conformation and dynamics of the kinase domain drive subcellular location and activation of LRRK2. <i>Proceedings of the National Academy of Sciences of the United States of America</i> , <b>2021</b> , 118, | 11.5 | 11 |

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|-----|---|------|----|
| 267 | Structure-Based Design of Selective Salt-Inducible Kinase Inhibitors. <i>Journal of Medicinal Chemistry</i> , <b>2021</b> , 64, 8142-8160   | 8.3  | 4  |
| 266 | Synthetic Opportunities and Challenges for Macrocyclic Kinase Inhibitors. <i>Journal of Medicinal Chemistry</i> , <b>2021</b> , 64, 7991-8009   | 8.3  | 5  |
| 265 | Conformational plasticity of the ULK3 kinase domain. <i>Biochemical Journal</i> , <b>2021</b> , 478, 2811-2823  | 3.8  | 2  |
| 264 | The Small-Molecule Inhibitor MRIA9 Reveals Novel Insights into the Cell Cycle Roles of SIK2 in Ovarian Cancer Cells. <i>Cancers</i> , <b>2021</b> , 13,                                       | 6.6  | 1  |
| 263 | Addressing a Trapped High-Energy Water: Design and Synthesis of Highly Potent Pyrimidoindole-Based Glycogen Synthase Kinase-3 Inhibitors. <i>Journal of Medicinal Chemistry</i> , <b>2021</b> | 8.3  | 3  |
| 262 | Modulating Androgen Receptor-Driven Transcription in Prostate Cancer with Selective CDK9 Inhibitors. <i>Cell Chemical Biology</i> , <b>2021</b> , 28, 134-147.e14                             | 8.2  | 13 |
| 261 | Mapping the Endothelial Cell -Sulphydrome Highlights the Crucial Role of Integrin Sulphydration in Vascular Function. <i>Circulation</i> , <b>2021</b> , 143, 935-948                         | 16.7 | 20 |
| 260 | Integrated analysis of Shank1 PDZ interactions with C-terminal and internal binding motifs. <i>Current Research in Structural Biology</i> , <b>2021</b> , 3, 41-50                            | 2.8  | 3  |
| 259 | The Kinase Chemogenomic Set (KCGS): An Open Science Resource for Kinase Vulnerability Identification. <i>International Journal of Molecular Sciences</i> , <b>2021</b> , 22,                  | 6.3  | 18 |
| 258 | Combined Cardioprotective and Adipocyte Browning Effects Promoted by the Eutomer of Dual sEH/PPAR Modulator. <i>Journal of Medicinal Chemistry</i> , <b>2021</b> , 64, 2815-2828              | 8.3  | 4  |
| 257 | Deciphering the LRRK code: LRRK1 and LRRK2 phosphorylate distinct Rab proteins and are regulated by diverse mechanisms. <i>Biochemical Journal</i> , <b>2021</b> , 478, 553-578               | 3.8  | 8  |
| 256 | Trends in kinase drug discovery: targets, indications and inhibitor design. <i>Nature Reviews Drug Discovery</i> , <b>2021</b> , 20, 839-861  | 64.1 | 62 |
| 255 | Controlling the Covalent Reactivity of a Kinase Inhibitor with Light. <i>Angewandte Chemie - International Edition</i> , <b>2021</b> , 60, 20178-20183  | 16.4 | 8  |
| 254 | Controlling the Covalent Reactivity of a Kinase Inhibitor with Light. <i>Angewandte Chemie</i> , <b>2021</b> , 133, 20340-20345   | 16.4 | 8  |
| 253 | Discovery of a Potent Dual SLK/STK10 Inhibitor Based on a Maleimide Scaffold. <i>Journal of Medicinal Chemistry</i> , <b>2021</b> , 64, 13259-13278   | 8.3  | 0  |
| 252 | Development of a Selective Dual Discoidin Domain Receptor (DDR)/p38 Kinase Chemical Probe. <i>Journal of Medicinal Chemistry</i> , <b>2021</b> , 64, 13451-13474                              | 8.3  | 0  |
| 251 | Design and Development of a Chemical Probe for Pseudokinase Ca/calmodulin-Dependent Ser/Thr Kinase. <i>Journal of Medicinal Chemistry</i> , <b>2021</b> , 64, 14358-14376                     | 8.3  | 0  |
| 250 | Single tracer-based protocol for broad-spectrum kinase profiling in live cells with NanoBRET. <i>STAR Protocols</i> , <b>2021</b> , 2, 100822   | 1.4  | 1  |

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| 249 | Drugging the "Undruggable" MYCN Oncogenic Transcription Factor: Overcoming Previous Obstacles to Impact Childhood Cancers. <i>Cancer Research</i> , <b>2021</b> , 81, 1627-1632  | 10.1 | 7  |
| 248 | How to Separate Kinase Inhibition from Undesired Monoamine Oxidase A Inhibition-The Development of the DYRK1A Inhibitor AnnH75 from the Alkaloid Harmine. <i>Molecules</i> , <b>2020</b> , 25,   | 4.8  | 6  |
| 247 | Discovery of a Novel Class of Covalent Dual Inhibitors Targeting the Protein Kinases BMX and BTK. <i>International Journal of Molecular Sciences</i> , <b>2020</b> , 21,   | 6.3  | 6  |
| 246 | Structure of LRRK2 in Parkinson's disease and model for microtubule interaction. <i>Nature</i> , <b>2020</b> , 588, 344-349  | 50.4 | 60 |
| 245 | Radiolabeled cCPE Peptides for SPECT Imaging of Claudin-4 Overexpression in Pancreatic Cancer. <i>Journal of Nuclear Medicine</i> , <b>2020</b> , 61, 1756-1763  | 8.9  | 4  |
| 244 | Therapeutic targeting of p300/CBP HAT domain for the treatment of NUT midline carcinoma. <i>Oncogene</i> , <b>2020</b> , 39, 4770-4779   | 9.2  | 16 |
| 243 | Function, Structure and Topology of Protein Kinases. <i>Topics in Medicinal Chemistry</i> , <b>2020</b> , 1-24   | 0.4  | 3  |
| 242 | Discovery of Highly Selective Inhibitors of Calmodulin-Dependent Kinases That Restore Insulin Sensitivity in the Diet-Induced Obesity Mouse Model. <i>Journal of Medicinal Chemistry</i> , <b>2020</b> , 63, 6784-6801   | 8.3  | 4  |
| 241 | Bioisosteric Replacement of Arylamide-Linked Spine Residues with -Acylhydrazones and Selenophenes as a Design Strategy to Novel Dibenzosuberone Derivatives as Type I 1/2 p38 $\beta$ MAP Kinase Inhibitors. <i>Journal of Medicinal Chemistry</i> , <b>2020</b> , 63, 7347-7354 | 8.3  | 5  |
| 240 | Backbone resonance assignments of the catalytic and regulatory domains of Ca/calmodulin-dependent protein kinase 1D. <i>Biomolecular NMR Assignments</i> , <b>2020</b> , 14, 221-225   | 0.7  |    |
| 239 | A Highly Selective Chemical Probe for Activin Receptor-like Kinases ALK4 and ALK5. <i>ACS Chemical Biology</i> , <b>2020</b> , 15, 862-870   | 4.9  | 7  |
| 238 | Co-inhibition of BET proteins and PI3K $\beta$ triggers mitochondrial apoptosis in rhabdomyosarcoma cells. <i>Oncogene</i> , <b>2020</b> , 39, 3837-3852   | 9.2  | 7  |
| 237 | Quantifying Target Occupancy of Small Molecules Within Living Cells. <i>Annual Review of Biochemistry</i> , <b>2020</b> , 89, 557-581  | 29.1 | 20 |
| 236 | Characterization of a dual BET/HDAC inhibitor for treatment of pancreatic ductal adenocarcinoma. <i>International Journal of Cancer</i> , <b>2020</b> , 147, 2847-2861   | 7.5  | 16 |
| 235 | Structural Insights into Pseudokinase Domains of Receptor Tyrosine Kinases. <i>Molecular Cell</i> , <b>2020</b> , 79, 390-405.e7   | 17.6 | 30 |
| 234 | l-Thyroxin and the Nonclassical Thyroid Hormone TETRAC Are Potent Activators of PPAR $\gamma$ . <i>Journal of Medicinal Chemistry</i> , <b>2020</b> , 63, 6727-6740  | 8.3  | 12 |
| 233 | A Selective Modulator of Peroxisome Proliferator-Activated Receptor $\beta$ with an Unprecedented Binding Mode. <i>Journal of Medicinal Chemistry</i> , <b>2020</b> , 63, 4555-4561  | 8.3  | 3  |
| 232 | Effects of epigenetic pathway inhibitors on corticotroph tumour AtT20 cells. <i>Endocrine-Related Cancer</i> , <b>2020</b> , 27, 163-174   | 5.7  | 3  |

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|-----|---|------|----|
| 231 | Identification of molecular targets for the targeted treatment of gastric cancer using dasatinib. <i>Oncotarget</i> , <b>2020</b> , 11, 535-549   | 3.3  | 15 |
| 230 | Decoding the Papain Inhibitor from as Being Hydroxylated Chymostatin Derivatives: Purification, Structure Analysis, and Putative Biosynthetic Pathway. <i>Journal of Natural Products</i> , <b>2020</b> , 83, 2983-2995   | 4.9  | 1  |
| 229 | The novel dual BET/HDAC inhibitor TW09 mediates cell death by mitochondrial apoptosis in rhabdomyosarcoma cells. <i>Cancer Letters</i> , <b>2020</b> , 486, 46-57   | 9.9  | 10 |
| 228 | Activation by substoichiometric inhibition. <i>Proceedings of the National Academy of Sciences of the United States of America</i> , <b>2020</b> , 117, 1414-1418   | 11.5 | 5  |
| 227 | Nucleotide Binding, Evolutionary Insights, and Interaction Partners of the Pseudokinase Unc-51-like Kinase 4. <i>Structure</i> , <b>2020</b> , 28, 1184-1196.e6   | 5.2  | 9  |
| 226 | Aminothiazolones as potent, selective and cell active inhibitors of the PIM kinase family. <i>Bioorganic and Medicinal Chemistry</i> , <b>2020</b> , 28, 115724   | 3.4  | 1  |
| 225 | Optimization of pyrazolo[1,5-a]pyrimidines lead to the identification of a highly selective casein kinase 2 inhibitor. <i>European Journal of Medicinal Chemistry</i> , <b>2020</b> , 208, 112770   | 6.8  | 6  |
| 224 | PROTAC-mediated degradation reveals a non-catalytic function of AURORA-A kinase. <i>Nature Chemical Biology</i> , <b>2020</b> , 16, 1179-1188   | 11.7 | 31 |
| 223 | Selective targeting of the $\alpha$ and DFG-out pocket in p38 MAPK. <i>European Journal of Medicinal Chemistry</i> , <b>2020</b> , 208, 112721  | 6.8  | 7  |
| 222 | Design of new disubstituted imidazo[1,2- <i>b</i> ]pyridazine derivatives as selective Haspin inhibitors. Synthesis, binding mode and anticancer biological evaluation. <i>Journal of Enzyme Inhibition and Medicinal Chemistry</i> , <b>2020</b> , 35, 1840-1853               | 5.6  | 3  |
| 221 | Development of a chemical probe against NUDT15. <i>Nature Chemical Biology</i> , <b>2020</b> , 16, 1120-1128  | 11.7 | 5  |
| 220 | The orphan nuclear receptor Nurr1 is responsive to non-steroidal anti-inflammatory drugs. <i>Communications Chemistry</i> , <b>2020</b> , 3,  | 6.3  | 14 |
| 219 | A Chemical Probe for Dark Kinase STK17B Derives Its Potency and High Selectivity through a Unique P-Loop Conformation. <i>Journal of Medicinal Chemistry</i> , <b>2020</b> , 63, 14626-14646  | 8.3  | 3  |
| 218 | Pan-SMARCA/PB1 Bromodomain Inhibitors and Their Role in Regulating Adipogenesis. <i>Journal of Medicinal Chemistry</i> , <b>2020</b> , 63, 14680-14699  | 8.3  | 6  |
| 217 | Design, Synthesis, and Characterization of an Orally Active Dual-Specific ULK1/2 Autophagy Inhibitor that Synergizes with the PARP Inhibitor Olaparib for the Treatment of Triple-Negative Breast Cancer. <i>Journal of Medicinal Chemistry</i> , <b>2020</b> , 63, 14609-14625 | 8.3  | 5  |
| 216 | Catalytic Domain Plasticity of MKK7 Reveals Structural Mechanisms of Allosteric Activation and Diverse Targeting Opportunities. <i>Cell Chemical Biology</i> , <b>2020</b> , 27, 1285-1295.e4   | 8.2  | 8  |
| 215 | DFG-1 Residue Controls Inhibitor Binding Mode and Affinity, Providing a Basis for Rational Design of Kinase Inhibitor Selectivity. <i>Journal of Medicinal Chemistry</i> , <b>2020</b> , 63, 10224-10234  | 8.3  | 12 |
| 214 | p63 uses a switch-like mechanism to set the threshold for induction of apoptosis. <i>Nature Chemical Biology</i> , <b>2020</b> , 16, 1078-1086  | 11.7 | 9  |

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|-----|--|------|----|
| 213 | Kinase Domain Is a Dynamic Hub for Driving LRRK2 Allosterity. <i>Frontiers in Molecular Neuroscience</i> , <b>2020</b> , 13, 538219  | 6.1  | 7  |
| 212 | Crystal Structure and Inhibitor Identifications Reveal Targeting Opportunity for the Atypical MAPK Kinase ERK3. <i>International Journal of Molecular Sciences</i> , <b>2020</b> , 21,   | 6.3  | 2  |
| 211 | Comparative structural analyses and nucleotide-binding characterization of the four KH domains of FUBP1. <i>Scientific Reports</i> , <b>2020</b> , 10, 13459   | 4.9  | 1  |
| 210 | Next-generation epigenetic inhibitors. <i>Science</i> , <b>2020</b> , 368, 367-368   | 33.3 | 12 |
| 209 | Discovery of the First in Vivo Active Inhibitors of the Soluble Epoxide Hydrolase Phosphatase Domain. <i>Journal of Medicinal Chemistry</i> , <b>2019</b> , 62, 8443-8460  | 8.3  | 7  |
| 208 | New pyrido[3,4-g]quinazoline derivatives as CLK1 and DYRK1A inhibitors: synthesis, biological evaluation and binding mode analysis. <i>European Journal of Medicinal Chemistry</i> , <b>2019</b> , 166, 304-317                        | 6.8  | 18 |
| 207 | Synthesis and Structure-Activity Relationships of 3,5-Disubstituted-pyrrolo[2,3- b]pyridines as Inhibitors of Adaptor-Associated Kinase 1 with Antiviral Activity. <i>Journal of Medicinal Chemistry</i> , <b>2019</b> , 62, 5810-5831 | 8.3  | 23 |
| 206 | A chemical toolbox for the study of bromodomains and epigenetic signaling. <i>Nature Communications</i> , <b>2019</b> , 10, 1915   | 17.4 | 43 |
| 205 | Leveraging Compound Promiscuity to Identify Targetable Cysteines within the Kinome. <i>Cell Chemical Biology</i> , <b>2019</b> , 26, 818-829.e9  | 8.2  | 26 |
| 204 | Designing Dual Inhibitors of Anaplastic Lymphoma Kinase (ALK) and Bromodomain-4 (BRD4) by Tuning Kinase Selectivity. <i>Journal of Medicinal Chemistry</i> , <b>2019</b> , 62, 2618-2637   | 8.3  | 33 |
| 203 | SGC-GAK-1: A Chemical Probe for Cyclin G Associated Kinase (GAK). <i>Journal of Medicinal Chemistry</i> , <b>2019</b> , 62, 2830-2836  | 8.3  | 30 |
| 202 | A Novel Biphenyl-based Chemotype of Retinoid X Receptor Ligands Enables Subtype and Heterodimer Preferences. <i>ACS Medicinal Chemistry Letters</i> , <b>2019</b> , 10, 1346-1352  | 4.3  | 6  |
| 201 | High-Throughput Purification of Protein Kinases from Escherichia coli and Insect Cells. <i>Methods in Molecular Biology</i> , <b>2019</b> , 2025, 191-202  | 1.4  | 3  |
| 200 | Fast Iterative Synthetic Approach toward Identification of Novel Highly Selective p38 MAP Kinase Inhibitors. <i>Journal of Medicinal Chemistry</i> , <b>2019</b> , 62, 10757-10782   | 8.3  | 10 |
| 199 | Lessons from LIMK1 enzymology and their impact on inhibitor design. <i>Biochemical Journal</i> , <b>2019</b> , 476, 3197-3209  | 3.8  | 3  |
| 198 | Conservation of structure, function and inhibitor binding in UNC-51-like kinase 1 and 2 (ULK1/2). <i>Biochemical Journal</i> , <b>2019</b> , 476, 875-887  | 3.8  | 19 |
| 197 | [-Annulated Halogen-Substituted Indoles as Potential DYRK1A Inhibitors. <i>Molecules</i> , <b>2019</b> , 24,   | 4.8  | 11 |
| 196 | Structural Insights into Interaction Mechanisms of Alternative Piperazine-urea YEATS Domain Binders in MLLT1. <i>ACS Medicinal Chemistry Letters</i> , <b>2019</b> , 10, 1661-1666   | 4.3  | 15 |

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| 195 | An Activity-Based Probe Targeting Non-Catalytic, Highly Conserved Amino Acid Residues within Bromodomains. <i>Angewandte Chemie</i> , <b>2019</b> , 131, 1019-1024   | 3.6  | 3   |
| 194 | Single-Molecule Protein Phosphorylation and Dephosphorylation by Nanopore Enzymology. <i>ACS Nano</i> , <b>2019</b> , 13, 633-641  | 16.7 | 29  |
| 193 | Furo[3,2-b]pyridine: A Privileged Scaffold for Highly Selective Kinase Inhibitors and Effective Modulators of the Hedgehog Pathway. <i>Angewandte Chemie - International Edition</i> , <b>2019</b> , 58, 1062-1066 | 16.4 | 18  |
| 192 | Oocyte DNA damage quality control requires consecutive interplay of CHK2 and CK1 to activate p63. <i>Nature Structural and Molecular Biology</i> , <b>2018</b> , 25, 261-269                                       | 17.6 | 66  |
| 191 | Large-scale analysis of water stability in bromodomain binding pockets with grand canonical Monte Carlo. <i>Communications Chemistry</i> , <b>2018</b> , 1,  | 6.3  | 38  |
| 190 | Identifying Small-Molecule Binding Sites for Epigenetic Proteins at Domain-Domain Interfaces. <i>ChemMedChem</i> , <b>2018</b> , 13, 1051-1057   | 3.7  | 6   |
| 189 | Halogen-Aromatic $\pi$ -Interactions Modulate Inhibitor Residence Times. <i>Angewandte Chemie - International Edition</i> , <b>2018</b> , 57, 7220-7224  | 16.4 | 31  |
| 188 | Tuning microtubule dynamics to enhance cancer therapy by modulating FER-mediated CRMP2 phosphorylation. <i>Nature Communications</i> , <b>2018</b> , 9, 476  | 17.4 | 31  |
| 187 | Halogenaromatische $\pi$ -Wechselwirkungen modulieren die Verweilzeit von Inhibitoren. <i>Angewandte Chemie</i> , <b>2018</b> , 130, 7338-7343   | 3.6  | 1   |
| 186 | Co-targeting of BET proteins and HDACs as a novel approach to trigger apoptosis in rhabdomyosarcoma cells. <i>Cancer Letters</i> , <b>2018</b> , 428, 160-172  | 9.9  | 24  |
| 185 | A Pseudo-Kinase Double Act. <i>Structure</i> , <b>2018</b> , 26, 527-528   | 5.2  | 0   |
| 184 | Quantitative Characterization of Bivalent Probes for a Dual Bromodomain Protein, Transcription Initiation Factor TFIID Subunit 1. <i>Biochemistry</i> , <b>2018</b> , 57, 2140-2149                                | 3.2  | 13  |
| 183 | Discovery of a novel allosteric inhibitor scaffold for polyadenosine-diphosphate-ribose polymerase 14 (PARP14) macrodomain 2. <i>Bioorganic and Medicinal Chemistry</i> , <b>2018</b> , 26, 2965-2972              | 3.4  | 20  |
| 182 | Das Cysteinom der Proteinkinasen als Zielstruktur in der Arzneistoffentwicklung. <i>Angewandte Chemie</i> , <b>2018</b> , 130, 4456-4470   | 3.6  | 8   |
| 181 | The Cysteinome of Protein Kinases as a Target in Drug Development. <i>Angewandte Chemie - International Edition</i> , <b>2018</b> , 57, 4372-4385  | 16.4 | 110 |
| 180 | Chemoproteomics and Chemical Probes for Target Discovery. <i>Trends in Biotechnology</i> , <b>2018</b> , 36, 1275-1285   | 5.1  | 57  |
| 179 | Targeting Pim Kinases and DAPK3 to Control Hypertension. <i>Cell Chemical Biology</i> , <b>2018</b> , 25, 1195-1207.e82  | 8.2  | 5   |
| 178 | BRAF/MAPK and GSK3 signaling converges to control MITF nuclear export. <i>Proceedings of the National Academy of Sciences of the United States of America</i> , <b>2018</b> , 115, E8668-E8677                     | 11.5 | 28  |



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|-----|--|------|-----|
| 177 | An AKAP-Lbc-RhoA interaction inhibitor promotes the translocation of aquaporin-2 to the plasma membrane of renal collecting duct principal cells. <i>PLoS ONE</i> , <b>2018</b> , 13, e0191423   | 3.7  | 22  |
| 176 | Mammary molecular portraits reveal lineage-specific features and progenitor cell vulnerabilities. <i>Journal of Cell Biology</i> , <b>2018</b> , 217, 2951-2974  | 7.3  | 20  |
| 175 | Quantitative, Wide-Spectrum Kinase Profiling in Live Cells for Assessing the Effect of Cellular ATP on Target Engagement. <i>Cell Chemical Biology</i> , <b>2018</b> , 25, 206-214.e11   | 8.2  | 109 |
| 174 | Innenrücktitelbild: Das Cysteinom der Proteinkinasen als Zielstruktur in der Arzneistoffentwicklung (Angew. Chem. 16/2018). <i>Angewandte Chemie</i> , <b>2018</b> , 130, 4517-4517  | 3.6  |     |
| 173 | Structure-Based Approach toward Identification of Inhibitory Fragments for Eleven-Nineteen-Leukemia Protein (ENL). <i>Journal of Medicinal Chemistry</i> , <b>2018</b> , 61, 10929-10934   | 8.3  | 20  |
| 172 | Discovery of an MLLT1/3 YEATS Domain Chemical Probe. <i>Angewandte Chemie - International Edition</i> , <b>2018</b> , 57, 16302-16307  | 16.4 | 32  |
| 171 | Binding Kinetics Survey of the Drugged Kinome. <i>Journal of the American Chemical Society</i> , <b>2018</b> , 140, 15774-15782  | 16.4 | 35  |
| 170 | Structure of a glutamine donor mimicking inhibitory peptide shaped by the catalytic cleft of microbial transglutaminase. <i>FEBS Journal</i> , <b>2018</b> , 285, 4684-4694  | 5.7  | 7   |
| 169 | Development, Optimization, and Structure-Activity Relationships of Covalent-Reversible JAK3 Inhibitors Based on a Tricyclic Imidazo[5,4- d]pyrrolo[2,3- b]pyridine Scaffold. <i>Journal of Medicinal Chemistry</i> , <b>2018</b> , 61, 5350-5366 | 8.3  | 27  |
| 168 | Donated chemical probes for open science. <i>ELife</i> , <b>2018</b> , 7,  | 8.9  | 48  |
| 167 | Molecular structures of cdc2-like kinases in complex with a new inhibitor chemotype. <i>PLoS ONE</i> , <b>2018</b> , 13, e0196761  | 3.7  | 14  |
| 166 | The BET inhibitor JQ1 selectively impairs tumour response to hypoxia and downregulates CA9 and angiogenesis in triple negative breast cancer. <i>Oncogene</i> , <b>2017</b> , 36, 122-132  | 9.2  | 93  |
| 165 | Identification of CLK1 Inhibitors by a Fragment-linking Based Virtual Screening. <i>Molecular Informatics</i> , <b>2017</b> , 36, 1600123  | 3.8  | 1   |
| 164 | Design of a Biased Potent Small Molecule Inhibitor of the Bromodomain and PHD Finger-Containing (BRPF) Proteins Suitable for Cellular and in Vivo Studies. <i>Journal of Medicinal Chemistry</i> , <b>2017</b> , 60, 668-680                     | 8.3  | 28  |
| 163 | A Specific and Covalent JNK-1 Ligand Selected from an Encoded Self-Assembling Chemical Library. <i>Chemistry - A European Journal</i> , <b>2017</b> , 23, 8152-8155  | 4.8  | 41  |
| 162 | Hyperactive locomotion in a model is a functional readout for the synaptic abnormalities underlying fragile X syndrome. <i>Science Signaling</i> , <b>2017</b> , 10,   | 8.8  | 18  |
| 161 | Androgen Receptor Deregulation Drives Bromodomain-Mediated Chromatin Alterations in Prostate Cancer. <i>Cell Reports</i> , <b>2017</b> , 19, 2045-2059   | 10.6 | 72  |
| 160 | CBP/p300 Bromodomains Regulate Amyloid-like Protein Aggregation upon Aberrant Lysine Acetylation. <i>Cell Chemical Biology</i> , <b>2017</b> , 24, 9-23  | 8.2  | 27  |

|     |   |      |    |
|-----|---|------|----|
| 159 | Predictions of Ligand Selectivity from Absolute Binding Free Energy Calculations. <i>Journal of the American Chemical Society</i> , <b>2017</b> , 139, 946-957  | 16.4 | 94 |
| 158 | Discovery of a PCAF Bromodomain Chemical Probe. <i>Angewandte Chemie - International Edition</i> , <b>2017</b> , 56, 827-831  | 16.4 | 58 |
| 157 | Discovery of a Selective Allosteric Inhibitor Targeting Macrodomain 2 of Polyadenosine-Diphosphate-Ribose Polymerase 14. <i>ACS Chemical Biology</i> , <b>2017</b> , 12, 2866-2874  | 4.9  | 25 |
| 156 | Progress towards a public chemogenomic set for protein kinases and a call for contributions. <i>PLoS ONE</i> , <b>2017</b> , 12, e0181585   | 3.7  | 81 |
| 155 | Characterization of a highly selective inhibitor of the Aurora kinases. <i>Bioorganic and Medicinal Chemistry Letters</i> , <b>2017</b> , 27, 4405-4408   | 2.9  | 5  |
| 154 | Selective Targeting of Bromodomains of the Bromodomain-PHD Fingers Family Impairs Osteoclast Differentiation. <i>ACS Chemical Biology</i> , <b>2017</b> , 12, 2619-2630   | 4.9  | 20 |
| 153 | Design of a Chemical Probe for the Bromodomain and Plant Homeodomain Finger-Containing (BRPF) Family of Proteins. <i>Journal of Medicinal Chemistry</i> , <b>2017</b> , 60, 6998-7011   | 8.3  | 20 |
| 152 | NEK1 kinase domain structure and its dynamic protein interactome after exposure to Cisplatin. <i>Scientific Reports</i> , <b>2017</b> , 7, 5445   | 4.9  | 14 |
| 151 | F NMR isotropic chemical shift for efficient screening of fluorinated fragments which are racemates and/or display multiple conformers. <i>Magnetic Resonance in Chemistry</i> , <b>2017</b> , 55, 1091-1095  | 2.1  | 7  |
| 150 | DYRK1B mutations associated with metabolic syndrome impair the chaperone-dependent maturation of the kinase domain. <i>Scientific Reports</i> , <b>2017</b> , 7, 6420   | 4.9  | 14 |
| 149 | Statistical Analysis on the Performance of Molecular Mechanics Poisson-Boltzmann Surface Area versus Absolute Binding Free Energy Calculations: Bromodomains as a Case Study. <i>Journal of Chemical Information and Modeling</i> , <b>2017</b> , 57, 2203-2221 | 6.1  | 69 |
| 148 | Pharmacoproteomic characterisation of human colon and rectal cancer. <i>Molecular Systems Biology</i> , <b>2017</b> , 13, 951   | 12.2 | 28 |
| 147 | Structures of PGAM5 Provide Insight into Active Site Plasticity and Multimeric Assembly. <i>Structure</i> , <b>2017</b> , 25, 1089-1099.e3  | 5.2  | 16 |
| 146 | Alternative splicing promotes tumour aggressiveness and drug resistance in African American prostate cancer. <i>Nature Communications</i> , <b>2017</b> , 8, 15921  | 17.4 | 53 |
| 145 | BRD4 localization to lineage-specific enhancers is associated with a distinct transcription factor repertoire. <i>Nucleic Acids Research</i> , <b>2017</b> , 45, 127-141  | 20.1 | 64 |
| 144 | The MAPK Pathway Regulates Intrinsic Resistance to BET Inhibitors in Colorectal Cancer. <i>Clinical Cancer Research</i> , <b>2017</b> , 23, 2027-2037   | 12.9 | 41 |
| 143 | Dietary Compound Resveratrol Is a Pan-BET Bromodomain Inhibitor. <i>Nutrients</i> , <b>2017</b> , 9,  | 6.7  | 9  |
| 142 | Atad2 is a generalist facilitator of chromatin dynamics in embryonic stem cells. <i>Journal of Molecular Cell Biology</i> , <b>2016</b> , 8, 349-62   | 6.3  | 43 |

|     |   |      |     |
|-----|---|------|-----|
| 141 | Discovery and Characterization of GSK2801, a Selective Chemical Probe for the Bromodomains BAZ2A and BAZ2B. <i>Journal of Medicinal Chemistry</i> , <b>2016</b> , 59, 1410-24   | 8.3  | 108 |
| 140 | Emerging Target Families: Intractable Targets. <i>Handbook of Experimental Pharmacology</i> , <b>2016</b> , 232, 43-58  | 8.2  | 8   |
| 139 | Salt-Inducible Kinase 2 Couples Ovarian Cancer Cell Metabolism with Survival at the Adipocyte-Rich Metastatic Niche. <i>Cancer Cell</i> , <b>2016</b> , 30, 273-289   | 24.3 | 92  |
| 138 | Thermodynamic properties of leukotriene A hydrolase inhibitors. <i>Bioorganic and Medicinal Chemistry</i> , <b>2016</b> , 24, 5243-5248   | 3.4  | 10  |
| 137 | Promiscuous targeting of bromodomains by bromosporine identifies BET proteins as master regulators of primary transcription response in leukemia. <i>Science Advances</i> , <b>2016</b> , 2, e1600760   | 14.3 | 64  |
| 136 | An Unusual Binding Model of the Methyl 9-Anilinothiazolo[5,4-f]quinazoline-2-carbimidates (EHT 1610 and EHT 5372) Confers High Selectivity for Dual-Specificity Tyrosine Phosphorylation-Regulated Kinases. <i>Journal of Medicinal Chemistry</i> , <b>2016</b> , 59, 10315-10321 | 8.3  | 25  |
| 135 | Cardiac myosin light chain is phosphorylated by Ca <sup>2+</sup> /calmodulin-dependent and -independent kinase activities. <i>Proceedings of the National Academy of Sciences of the United States of America</i> , <b>2016</b> , 113, E3824-33                                   | 11.5 | 25  |
| 134 | Discovery of pyrido[3,4-g]quinazoline derivatives as CMGC family protein kinase inhibitors: Design, synthesis, inhibitory potency and X-ray co-crystal structure. <i>European Journal of Medicinal Chemistry</i> , <b>2016</b> , 118, 170-7                                       | 6.8  | 24  |
| 133 | Discovery of a Chemical Tool Inhibitor Targeting the Bromodomains of TRIM24 and BRPF. <i>Journal of Medicinal Chemistry</i> , <b>2016</b> , 59, 1642-7  | 8.3  | 68  |
| 132 | The Intersection of Structural and Chemical Biology - An Essential Synergy. <i>Cell Chemical Biology</i> , <b>2016</b> , 23, 173-182  | 8.2  | 14  |
| 131 | Epigenomic regulation of oncogenesis by chromatin remodeling. <i>Oncogene</i> , <b>2016</b> , 35, 4423-36   | 9.2  | 64  |
| 130 | Structure of the Human Protein Kinase ZAK in Complex with Vemurafenib. <i>ACS Chemical Biology</i> , <b>2016</b> , 11, 1595-602   | 4.9  | 11  |
| 129 | Accurate calculation of the absolute free energy of binding for drug molecules. <i>Chemical Science</i> , <b>2016</b> , 7, 207-218  | 9.4  | 169 |
| 128 | Structure-Based Design of an in Vivo Active Selective BRD9 Inhibitor. <i>Journal of Medicinal Chemistry</i> , <b>2016</b> , 59, 4462-75   | 8.3  | 127 |
| 127 | Structure-Based Identification of Inhibitory Fragments Targeting the p300/CBP-Associated Factor Bromodomain. <i>Journal of Medicinal Chemistry</i> , <b>2016</b> , 59, 1648-53  | 8.3  | 34  |
| 126 | Comprehensive characterization of the Published Kinase Inhibitor Set. <i>Nature Biotechnology</i> , <b>2016</b> , 34, 95-103  | 44.5 | 191 |
| 125 | Effect of BET Missense Mutations on Bromodomain Function, Inhibitor Binding and Stability. <i>PLoS ONE</i> , <b>2016</b> , 11, e0159180   | 3.7  | 14  |
| 124 | Quality control in oocytes by p63 is based on a spring-loaded activation mechanism on the molecular and cellular level. <i>ELife</i> , <b>2016</b> , 5,   | 8.9  | 31  |

|     |   |      |     |
|-----|---|------|-----|
| 123 | Novel p38 $\beta$ MAP kinase inhibitors identified from yoctoReactor DNA-encoded small molecule library. <i>MedChemComm</i> , <b>2016</b> , 7, 1332-1339  | 5    | 54  |
| 122 | BET inhibition as a new strategy for the treatment of gastric cancer. <i>Oncotarget</i> , <b>2016</b> , 7, 43997-44012  | 3.3  | 35  |
| 121 | Selective JAK3 Inhibitors with a Covalent Reversible Binding Mode Targeting a New Induced Fit Binding Pocket. <i>Cell Chemical Biology</i> , <b>2016</b> , 23, 1335-1340  | 8.2  | 62  |
| 120 | Identification of a Chemical Probe for Family VIII Bromodomains through Optimization of a Fragment Hit. <i>Journal of Medicinal Chemistry</i> , <b>2016</b> , 59, 4800-11   | 8.3  | 52  |
| 119 | Co-crystal structures of the protein kinase haspin with bisubstrate inhibitors. <i>Acta Crystallographica Section F, Structural Biology Communications</i> , <b>2016</b> , 72, 339-45   | 1.1  | 5   |
| 118 | Mapping the chemical chromatin reactivation landscape identifies BRD4-TAF1 cross-talk. <i>Nature Chemical Biology</i> , <b>2016</b> , 12, 504-10  | 11.7 | 32  |
| 117 | Family-wide Structural Analysis of Human Numb-Associated Protein Kinases. <i>Structure</i> , <b>2016</b> , 24, 401-11   | 5.2  | 84  |
| 116 | Identification and Development of 2,3-Dihydropyrrolo[1,2-a]quinazolin-5(1H)-one Inhibitors Targeting Bromodomains within the Switch/Sucrose Nonfermenting Complex. <i>Journal of Medicinal Chemistry</i> , <b>2016</b> , 59, 5095-101                         | 8.3  | 35  |
| 115 | Discovery and Optimization of a Selective Ligand for the Switch/Sucrose Nonfermenting-Related Bromodomains of Polybromo Protein-1 by the Use of Virtual Screening and Hydration Analysis. <i>Journal of Medicinal Chemistry</i> , <b>2016</b> , 59, 8787-8803 | 8.3  | 32  |
| 114 | Development of Selective CBP/P300 Benzoxazepine Bromodomain Inhibitors. <i>Journal of Medicinal Chemistry</i> , <b>2016</b> , 59, 8889-8912   | 8.3  | 43  |
| 113 | Mechanism of TAp73 inhibition by $\beta$ p63 and structural basis of p63/p73 hetero-tetramerization. <i>Cell Death and Differentiation</i> , <b>2016</b> , 23, 1930-1940  | 12.7 | 22  |
| 112 | Discovery of New Bromodomain Scaffolds by Biosensor Fragment Screening. <i>ACS Medicinal Chemistry Letters</i> , <b>2016</b> , 7, 1213-1218   | 4.3  | 14  |
| 111 | Preclinical target validation using patient-derived cells. <i>Nature Reviews Drug Discovery</i> , <b>2015</b> , 14, 149-50  | 64.1 | 40  |
| 110 | Design and synthesis of potent and selective inhibitors of BRD7 and BRD9 bromodomains. <i>MedChemComm</i> , <b>2015</b> , 6, 1381-1386  | 5    | 52  |
| 109 | The promise and peril of chemical probes. <i>Nature Chemical Biology</i> , <b>2015</b> , 11, 536-41   | 11.7 | 523 |
| 108 | Probing the epigenome. <i>Nature Chemical Biology</i> , <b>2015</b> , 11, 542-5   | 11.7 | 29  |
| 107 | Type II Inhibitors Targeting CDK2. <i>ACS Chemical Biology</i> , <b>2015</b> , 10, 2116-25  | 4.9  | 53  |
| 106 | LP99: Discovery and Synthesis of the First Selective BRD7/9 Bromodomain Inhibitor. <i>Angewandte Chemie - International Edition</i> , <b>2015</b> , 54, 6217-21   | 16.4 | 113 |

|     |  |      |     |
|-----|--|------|-----|
| 105 | 10-iodo-11H-indolo[3,2-c]quinoline-6-carboxylic acids are selective inhibitors of DYRK1A. <i>Journal of Medicinal Chemistry</i> , <b>2015</b> , 58, 3131-43  | 8.3  | 70  |
| 104 | Selective Inhibitors of Cyclin G Associated Kinase (GAK) as Anti-Hepatitis C Agents. <i>Journal of Medicinal Chemistry</i> , <b>2015</b> , 58, 3393-410  | 8.3  | 44  |
| 103 | Structure enabled design of BAZ2-ICR, a chemical probe targeting the bromodomains of BAZ2A and BAZ2B. <i>Journal of Medicinal Chemistry</i> , <b>2015</b> , 58, 2553-9   | 8.3  | 74  |
| 102 | The ins and outs of selective kinase inhibitor development. <i>Nature Chemical Biology</i> , <b>2015</b> , 11, 818-21  | 11.7 | 174 |
| 101 | CBP30, a selective CBP/p300 bromodomain inhibitor, suppresses human Th17 responses. <i>Proceedings of the National Academy of Sciences of the United States of America</i> , <b>2015</b> , 112, 10768-73   | 11.5 | 150 |
| 100 | Differential Recognition Preferences of the Three Src Homology 3 (SH3) Domains from the Adaptor CD2-associated Protein (CD2AP) and Direct Association with Ras and Rab Interactor 3 (RIN3). <i>Journal of Biological Chemistry</i> , <b>2015</b> , 290, 25275-92 | 5.4  | 24  |
| 99  | Generation of a Selective Small Molecule Inhibitor of the CBP/p300 Bromodomain for Leukemia Therapy. <i>Cancer Research</i> , <b>2015</b> , 75, 5106-5119  | 10.1 | 155 |
| 98  | PIM kinase-responsive microsecond-lifetime photoluminescent probes based on selenium-containing heteroaromatic tricycle. <i>RSC Advances</i> , <b>2015</b> , 5, 96750-96757  | 3.7  | 8   |
| 97  | Defined PEG smears as an alternative approach to enhance the search for crystallization conditions and crystal-quality improvement in reduced screens. <i>Acta Crystallographica Section D: Biological Crystallography</i> , <b>2015</b> , 71, 1627-39           |      | 35  |
| 96  | Pim Kinase Inhibitors Evaluated with a Single-Molecule Engineered Nanopore Sensor. <i>Angewandte Chemie - International Edition</i> , <b>2015</b> , 54, 8154-9   | 16.4 | 20  |
| 95  | Selective targeting of the BRG/PB1 bromodomains impairs embryonic and trophoblast stem cell maintenance. <i>Science Advances</i> , <b>2015</b> , 1, e1500723   | 14.3 | 76  |
| 94  | Molecular basis of histone tail recognition by human TIP5 PHD finger and bromodomain of the chromatin remodeling complex NoRC. <i>Structure</i> , <b>2015</b> , 23, 80-92  | 5.2  | 44  |
| 93  | Bisubstrate inhibitor approach for targeting mitotic kinase Haspin. <i>Bioconjugate Chemistry</i> , <b>2015</b> , 26, 225-34   | 6.3  | 10  |
| 92  | Copper is required for oncogenic BRAF signalling and tumorigenesis. <i>Nature</i> , <b>2014</b> , 509, 492-6   | 50.4 | 288 |
| 91  | Dual kinase-bromodomain inhibitors for rationally designed polypharmacology. <i>Nature Chemical Biology</i> , <b>2014</b> , 10, 305-12   | 11.7 | 251 |
| 90  | Targeting bromodomains: epigenetic readers of lysine acetylation. <i>Nature Reviews Drug Discovery</i> , <b>2014</b> , 13, 337-56  | 64.1 | 880 |
| 89  | Structure of cyclin G-associated kinase (GAK) trapped in different conformations using nanobodies. <i>Biochemical Journal</i> , <b>2014</b> , 459, 59-69   | 3.8  | 36  |
| 88  | Exploration of type II binding mode: A privileged approach for kinase inhibitor focused drug discovery?. <i>ACS Chemical Biology</i> , <b>2014</b> , 9, 1230-41  | 4.9  | 266 |

|    |   |      |     |
|----|---|------|-----|
| 87 | A series of potent CREBBP bromodomain ligands reveals an induced-fit pocket stabilized by a cation- $\pi$ interaction. <i>Angewandte Chemie - International Edition</i> , <b>2014</b> , 53, 6126-30 | 16.4 | 94  |
| 86 | [1,2,4]triazolo[4,3-a]phthalazines: inhibitors of diverse bromodomains. <i>Journal of Medicinal Chemistry</i> , <b>2014</b> , 57, 462-76  | 8.3  | 75  |
| 85 | Discovery of BET bromodomain inhibitors and their role in target validation. <i>MedChemComm</i> , <b>2014</b> , 5, 288-296  | 5    | 31  |
| 84 | Structure-based approaches towards identification of fragments for the low-druggability ATAD2 bromodomain. <i>MedChemComm</i> , <b>2014</b> , 5, 1843-1848  | 5    | 41  |
| 83 | Machine-assisted synthesis of modulators of the histone reader BRD9 using flow methods of chemistry and frontal affinity chromatography. <i>MedChemComm</i> , <b>2014</b> , 5, 540-546              | 5    | 38  |
| 82 | Recently targeted kinases and their inhibitors-the path to clinical trials. <i>Current Opinion in Pharmacology</i> , <b>2014</b> , 17, 58-63  | 5.1  | 45  |
| 81 | Modulation of the chromatin phosphoproteome by the Haspin protein kinase. <i>Molecular and Cellular Proteomics</i> , <b>2014</b> , 13, 1724-40  | 7.6  | 25  |
| 80 | Discovery and optimization of small-molecule ligands for the CBP/p300 bromodomains. <i>Journal of the American Chemical Society</i> , <b>2014</b> , 136, 9308-19                                    | 16.4 | 198 |
| 79 | Assessing cellular efficacy of bromodomain inhibitors using fluorescence recovery after photobleaching. <i>Epigenetics and Chromatin</i> , <b>2014</b> , 7, 14                                      | 5.8  | 58  |
| 78 | A unique inhibitor binding site in ERK1/2 is associated with slow binding kinetics. <i>Nature Chemical Biology</i> , <b>2014</b> , 10, 853-60   | 11.7 | 135 |
| 77 | Selective Targeting of Protein Interactions Mediated by BET Bromodomains <b>2014</b> , 295-308  |      | 2   |
| 76 | Identification of a major determinant for serine-threonine kinase phosphoacceptor specificity. <i>Molecular Cell</i> , <b>2014</b> , 53, 140-7  | 17.6 | 64  |
| 75 | The structural basis of PI3K cancer mutations: from mechanism to therapy. <i>Cancer Research</i> , <b>2014</b> , 74, 641-6  | 10.1 | 39  |
| 74 | A comparison of protein kinases inhibitor screening methods using both enzymatic activity and binding affinity determination. <i>PLoS ONE</i> , <b>2014</b> , 9, e98800                             | 3.7  | 47  |
| 73 | Stereospecific targeting of MTH1 by (S)-crizotinib as an anticancer strategy. <i>Nature</i> , <b>2014</b> , 508, 222-7  | 50.4 | 272 |
| 72 | Targeting Aberrant Self-Renewal of Leukemic Cells with a Novel CBP/p300 Bromodomain Inhibitor. <i>Blood</i> , <b>2014</b> , 124, 3750-3750  | 2.2  | 1   |
| 71 | The design and synthesis of 5- and 6-isoxazolylbenzimidazoles as selective inhibitors of the BET bromodomains. <i>MedChemComm</i> , <b>2013</b> , 4, 140-144  | 5    | 58  |
| 70 | Structures of Down syndrome kinases, DYRKs, reveal mechanisms of kinase activation and substrate recognition. <i>Structure</i> , <b>2013</b> , 21, 986-96   | 5.2  | 99  |

|    |   |      |     |
|----|---|------|-----|
| 69 | Mechanism and consequence of the autoactivation of p38 $\beta$ mitogen-activated protein kinase promoted by TAB1. <i>Nature Structural and Molecular Biology</i> , <b>2013</b> , 20, 1182-90  | 17.6 | 69  |
| 68 | Stochastic detection of Pim protein kinases reveals electrostatically enhanced association of a peptide substrate. <i>Proceedings of the National Academy of Sciences of the United States of America</i> , <b>2013</b> , 110, E4417-26 | 11.5 | 41  |
| 67 | A public-private partnership to unlock the untargeted kinome. <i>Nature Chemical Biology</i> , <b>2013</b> , 9, 3-6   | 11.7 | 119 |
| 66 | Bromo-deaza-SAH: a potent and selective DOT1L inhibitor. <i>Bioorganic and Medicinal Chemistry</i> , <b>2013</b> , 21, 1787-1794  | 3.4  | 54  |
| 65 | Novel Inverse Binding Mode of Indirubin Derivatives Yields Improved Selectivity for DYRK Kinases. <i>ACS Medicinal Chemistry Letters</i> , <b>2013</b> , 4, 22-26   | 4.3  | 57  |
| 64 | Discovery of novel small-molecule inhibitors of BRD4 using structure-based virtual screening. <i>Journal of Medicinal Chemistry</i> , <b>2013</b> , 56, 8073-88   | 8.3  | 100 |
| 63 | Targeting low-druggability bromodomains: fragment based screening and inhibitor design against the BAZ2B bromodomain. <i>Journal of Medicinal Chemistry</i> , <b>2013</b> , 56, 10183-7   | 8.3  | 85  |
| 62 | PFI-1, a highly selective protein interaction inhibitor, targeting BET Bromodomains. <i>Cancer Research</i> , <b>2013</b> , 73, 3336-46   | 10.1 | 191 |
| 61 | Small-molecule modulators for epigenetics targets. <i>ChemMedChem</i> , <b>2013</b> , 8, 1885-91  | 3.7  | 19  |
| 60 | Selective bisubstrate inhibitors with sub-nanomolar affinity for protein kinase Pim-1. <i>ChemMedChem</i> , <b>2013</b> , 8, 909-13   | 3.7  | 17  |
| 59 | Testis specific gene expression drives disease progression and Rituximab resistance in lymphoma. <i>EMBO Molecular Medicine</i> , <b>2013</b> , 5, 1149-50  | 12   |     |
| 58 | RVX-208, an inhibitor of BET transcriptional regulators with selectivity for the second bromodomain. <i>Proceedings of the National Academy of Sciences of the United States of America</i> , <b>2013</b> , 110, 19754-9                | 11.5 | 305 |
| 57 | Stimulation of Hepatic Apolipoprotein A-I Production by Novel Thieno-Triazolodiazepines: Roles of the Classical Benzodiazepine Receptor, PAF Receptor, and Bromodomain Binding. <i>Lipid Insights</i> , <b>2013</b> , 6, 47-54          | 1    | 13  |
| 56 | Benzodiazepines and benzotriazepines as protein interaction inhibitors targeting bromodomains of the BET family. <i>Bioorganic and Medicinal Chemistry</i> , <b>2012</b> , 20, 1878-86  | 3.4  | 90  |
| 55 | 7,8-dichloro-1-oxo- $\beta$ -carbolines as a versatile scaffold for the development of potent and selective kinase inhibitors with unusual binding modes. <i>Journal of Medicinal Chemistry</i> , <b>2012</b> , 55, 403-13              | 8.3  | 56  |
| 54 | Small-molecule inhibition of BRDT for male contraception. <i>Cell</i> , <b>2012</b> , 150, 673-84   | 56.2 | 277 |
| 53 | Crystal structure of human aurora B in complex with INCENP and VX-680. <i>Journal of Medicinal Chemistry</i> , <b>2012</b> , 55, 7841-8   | 8.3  | 55  |
| 52 | Identification of a chemical probe for bromo and extra C-terminal bromodomain inhibition through optimization of a fragment-derived hit. <i>Journal of Medicinal Chemistry</i> , <b>2012</b> , 55, 9831-7                               | 8.3  | 157 |

|    |  |      |      |
|----|--|------|------|
| 51 | Analysis of conditions affecting auto-phosphorylation of human kinases during expression in bacteria. <i>Protein Expression and Purification</i> , <b>2012</b> , 81, 136-143   | 2    | 27   |
| 50 | Progress in the development and application of small molecule inhibitors of bromodomain-acetyl-lysine interactions. <i>Journal of Medicinal Chemistry</i> , <b>2012</b> , 55, 9393-413   | 8.3  | 140  |
| 49 | The bromodomain interaction module. <i>FEBS Letters</i> , <b>2012</b> , 586, 2692-704  | 3.8  | 267  |
| 48 | Histone recognition and large-scale structural analysis of the human bromodomain family. <i>Cell</i> , <b>2012</b> , 149, 214-31   | 56.2 | 1054 |
| 47 | Selectivity, cocrystal structures, and neuroprotective properties of leucettines, a family of protein kinase inhibitors derived from the marine sponge alkaloid leucettamine B. <i>Journal of Medicinal Chemistry</i> , <b>2012</b> , 55, 9312-30  | 8.3  | 146  |
| 46 | Kinase inhibitor selectivity profiling using differential scanning fluorimetry. <i>Methods in Molecular Biology</i> , <b>2012</b> , 795, 109-18  | 1.4  | 100  |
| 45 | Druggability analysis and structural classification of bromodomain acetyl-lysine binding sites. <i>Journal of Medicinal Chemistry</i> , <b>2012</b> , 55, 7346-59  | 8.3  | 224  |
| 44 | A small-molecule inhibitor of Haspin alters the kinetochore functions of Aurora B. <i>Journal of Cell Biology</i> , <b>2012</b> , 199, 269-84  | 7.3  | 74   |
| 43 | Structure of the bone morphogenetic protein receptor ALK2 and implications for fibrodysplasia ossificans progressiva. <i>Journal of Biological Chemistry</i> , <b>2012</b> , 287, 36990-8  | 5.4  | 128  |
| 42 | Bromodomain-peptide displacement assays for interactome mapping and inhibitor discovery. <i>Molecular BioSystems</i> , <b>2011</b> , 7, 2899-908   |      | 117  |
| 41 | Crystal structures of ABL-related gene (ABL2) in complex with imatinib, tozasertib (VX-680), and a type I inhibitor of the triazole carbothioamide class. <i>Journal of Medicinal Chemistry</i> , <b>2011</b> , 54, 2359-67  | 8.3  | 28   |
| 40 | DNA damage in oocytes induces a switch of the quality control factor TAp63 from dimer to tetramer. <i>Cell</i> , <b>2011</b> , 144, 566-76   | 56.2 | 93   |
| 39 | Leucettines, a class of potent inhibitors of cdc2-like kinases and dual specificity, tyrosine phosphorylation regulated kinases derived from the marine sponge leucettamine B: modulation of alternative pre-RNA splicing. <i>Journal of Medicinal Chemistry</i> , <b>2011</b> , 54, 4172-86 | 8.3  | 107  |
| 38 | Specific CLK inhibitors from a novel chemotype for regulation of alternative splicing. <i>Chemistry and Biology</i> , <b>2011</b> , 18, 67-76  |      | 154  |
| 37 | High-throughput kinase profiling: a more efficient approach toward the discovery of new kinase inhibitors. <i>Chemistry and Biology</i> , <b>2011</b> , 18, 868-79   |      | 88   |
| 36 | 3,5-dimethylisoxazoles act as acetyl-lysine-mimetic bromodomain ligands. <i>Journal of Medicinal Chemistry</i> , <b>2011</b> , 54, 6761-70   | 8.3  | 177  |
| 35 | Bromodomains as therapeutic targets. <i>Expert Reviews in Molecular Medicine</i> , <b>2011</b> , 13, e29   | 6.7  | 327  |
| 34 | Selective inhibition of BET bromodomains. <i>Nature</i> , <b>2010</b> , 468, 1067-73   | 50.4 | 2725 |



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|----|--|------|-----|
| 33 | The (un)targeted cancer kinome. <i>Nature Chemical Biology</i> , <b>2010</b> , 6, 166-169  | 11.7 | 234 |
| 32 | Small-molecule kinase inhibitors provide insight into Mps1 cell cycle function. <i>Nature Chemical Biology</i> , <b>2010</b> , 6, 359-68   | 11.7 | 178 |
| 31 | Structure and functional characterization of the atypical human kinase haspin. <i>Proceedings of the National Academy of Sciences of the United States of America</i> , <b>2009</b> , 106, 20198-203                           | 11.5 | 115 |
| 30 | Structure of the pseudokinase VRK3 reveals a degraded catalytic site, a highly conserved kinase fold, and a putative regulatory binding site. <i>Structure</i> , <b>2009</b> , 17, 128-38                                      | 5.2  | 156 |
| 29 | Kinase domain insertions define distinct roles of CLK kinases in SR protein phosphorylation. <i>Structure</i> , <b>2009</b> , 17, 352-62   | 5.2  | 81  |
| 28 | Large-scale structural analysis of the classical human protein tyrosine phosphatome. <i>Cell</i> , <b>2009</b> , 136, 352-63   | 56.2 | 344 |
| 27 | Activation segment dimerization: a mechanism for kinase autophosphorylation of non-consensus sites. <i>EMBO Journal</i> , <b>2008</b> , 27, 704-14   | 13   | 128 |
| 26 | Structure of the human protein kinase MPSK1 reveals an atypical activation loop architecture. <i>Structure</i> , <b>2008</b> , 16, 115-24  | 5.2  | 30  |
| 25 | Structural coupling of SH2-kinase domains links Fes and Abl substrate recognition and kinase activation. <i>Cell</i> , <b>2008</b> , 134, 793-803  | 56.2 | 171 |
| 24 | Linear motif atlas for phosphorylation-dependent signaling. <i>Science Signaling</i> , <b>2008</b> , 1, ra2  | 8.8  | 342 |
| 23 | Insights for the development of specific kinase inhibitors by targeted structural genomics. <i>Drug Discovery Today</i> , <b>2007</b> , 12, 365-72   | 8.8  | 57  |
| 22 | Crystal Structures of the p21-activated kinases PAK4, PAK5, and PAK6 reveal catalytic domain plasticity of active group II PAKs. <i>Structure</i> , <b>2007</b> , 15, 201-13   | 5.2  | 95  |
| 21 | Activation segment exchange: a common mechanism of kinase autophosphorylation?. <i>Trends in Biochemical Sciences</i> , <b>2007</b> , 32, 351-6  | 10.3 | 78  |
| 20 | Structural analysis identifies imidazo[1,2-b]pyridazines as PIM kinase inhibitors with in vitro antileukemic activity. <i>Cancer Research</i> , <b>2007</b> , 67, 6916-24  | 10.1 | 166 |
| 19 | A systematic interaction map of validated kinase inhibitors with Ser/Thr kinases. <i>Proceedings of the National Academy of Sciences of the United States of America</i> , <b>2007</b> , 104, 20523-8                          | 11.5 | 286 |
| 18 | Inhibition of protein-protein interactions: the discovery of druglike beta-catenin inhibitors by combining virtual and biophysical screening. <i>Proteins: Structure, Function and Bioinformatics</i> , <b>2006</b> , 64, 60-7 | 4.2  | 158 |
| 17 | Structural basis of inhibitor specificity of the human protooncogene proviral insertion site in moloney murine leukemia virus (PIM-1) kinase. <i>Journal of Medicinal Chemistry</i> , <b>2005</b> , 48, 7604-14                | 8.3  | 131 |
| 16 | Structure and substrate specificity of the Pim-1 kinase. <i>Journal of Biological Chemistry</i> , <b>2005</b> , 280, 41675-82  | 5.2  | 147 |

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|----|---|-----|-----|
| 15 | NMR-Based screening with competition water-ligand observed via gradient spectroscopy experiments: detection of high-affinity ligands. <i>Journal of Medicinal Chemistry</i> , <b>2002</b> , 45, 2610-4                                | 8.3 | 106 |
| 14 | Thermal unfolding of small proteins with SH3 domain folding pattern. <i>Proteins: Structure, Function and Bioinformatics</i> , <b>1998</b> , 31, 309-19   | 4.2 | 49  |
| 13 | Thermodynamic characterization of non-sequence-specific DNA-binding by the Sso7d protein from <i>Sulfolobus solfataricus</i> . <i>Journal of Molecular Biology</i> , <b>1998</b> , 276, 775-86  | 6.5 | 79  |
| 12 | Crystal structure of glutamate dehydrogenase from the hyperthermophilic eubacterium <i>Thermotoga maritima</i> at 3.0 Å resolution. <i>Journal of Molecular Biology</i> , <b>1997</b> , 267, 916-32                                   | 6.5 | 142 |
| 11 | Thermal unfolding of the DNA-binding protein Sso7d from the hyperthermophile <i>Sulfolobus solfataricus</i> . <i>Journal of Molecular Biology</i> , <b>1996</b> , 264, 1132-44  | 6.5 | 87  |
| 10 | Crystallization and crystal packing of recombinant 3 (or 17) beta-hydroxysteroid dehydrogenase from <i>Comamonas testosteroni</i> ATTC 11996. <i>FEBS Journal</i> , <b>1996</b> , 236, 144-8  |     | 13  |
| 9  | Crystallization and preliminary crystallographic analysis of an amylopullulanase from the hyperthermophilic archaeon <i>Pyrococcus woesei</i> . <i>Proteins: Structure, Function and Bioinformatics</i> , <b>1995</b> , 23, 595-7     | 4.2 | 9   |
| 8  | Preliminary crystallographic analysis of an extremely thermostable glutamate dehydrogenase from the archaeon <i>Pyrococcus woesei</i> . <i>Acta Crystallographica Section D: Biological Crystallography</i> , <b>1995</b> , 51, 395-8 |     | 1   |
| 7  | Unc-51-Like Kinase 3 (ULK3) In Complex With Bosutinib   |     | 2   |
| 6  | SGC-GAK-1: a chemical probe for cyclin G associated kinase (GAK)  |     | 1   |
| 5  | The Kinase Chemogenomic Set (KCGS): An open science resource for kinase vulnerability identification  |     | 4   |
| 4  | Parkinson Disease-linked LRRK2 structure and model for microtubule interaction  |     | 9   |
| 3  | A structure-based approach towards identification of inhibitory fragments for eleven-nineteen-leukemia protein (ENL) YEATS domain   |     | 1   |
| 2  | Structural insights into interaction mechanisms of alternative piperazine-urea YEATS domain binders in MLLT1  |     | 1   |
| 1  | Mutation in Abl kinase with altered drug binding kinetics indicates a novel mechanism of imatinib resistance  |     | 1   |