Panagis Filippakopoulos

List of Publications by Year
in descending order

94 papers	14,919 citations	26630 56 h-index	42399 92 g-index
102 all docs	102 docs citations	102 times ranked	18645 citing authors

#	Article	IF	Citations
1	Selective inhibition of BET bromodomains. Nature, 2010, 468, 1067-1073.	27.8	3,456
2	Histone Recognition and Large-Scale Structural Analysis of the Human Bromodomain Family. Cell, 2012, 149, 214-231.	28.9	1,368
3	Targeting bromodomains: epigenetic readers of lysine acetylation. Nature Reviews Drug Discovery, 2014, 13, 337-356.	46.4	1,044
4	Functions of bromodomain-containing proteins and their roles in homeostasis and cancer. Nature Reviews Molecular Cell Biology, 2017, 18, 246-262.	37.0	444
5	Large-Scale Structural Analysis of the Classical Human Protein Tyrosine Phosphatome. Cell, 2009, 136, 352-363.	28.9	421
6	RVX-208, an inhibitor of BET transcriptional regulators with selectivity for the second bromodomain. Proceedings of the National Academy of Sciences of the United States of America, 2013, 110, 19754-19759.	7.1	391
7	Bromodomains as therapeutic targets. Expert Reviews in Molecular Medicine, 2011, 13, e29.	3.9	368
8	Small-Molecule Inhibition of BRDT for Male Contraception. Cell, 2012, 150, 673-684.	28.9	353
9	The bromodomain interaction module. FEBS Letters, 2012, 586, 2692-2704.	2.8	325
10	Dual kinase-bromodomain inhibitors for rationally designed polypharmacology. Nature Chemical Biology, 2014, 10, 305-312.	8.0	296
11	Discovery and Optimization of Small-Molecule Ligands for the CBP/p300 Bromodomains. Journal of the American Chemical Society, 2014, 136, 9308-9319.	13.7	244
12	Structurally Sophisticated Octahedral Metal Complexes as Highly Selective Protein Kinase Inhibitors. Journal of the American Chemical Society, 2011, 133, 5976-5986.	13.7	218
13	PFI-1, a Highly Selective Protein Interaction Inhibitor, Targeting BET Bromodomains. Cancer Research, 2013, 73, 3336-3346.	0.9	218
14	3,5-Dimethylisoxazoles Act As Acetyl-lysine-mimetic Bromodomain Ligands. Journal of Medicinal Chemistry, 2011, 54, 6761-6770.	6.4	204
15	Small-molecule kinase inhibitors provide insight into Mps1 cell cycle function. Nature Chemical Biology, 2010, 6, 359-368.	8.0	201
16	Generation of a Selective Small Molecule Inhibitor of the CBP/p300 Bromodomain for Leukemia Therapy. Cancer Research, 2015, 75, 5106-5119.	0.9	193
17	Structural Coupling of SH2-Kinase Domains Links Fes and Abl Substrate Recognition and Kinase Activation. Cell, 2008, 134, 793-803.	28.9	190
18	Identification of a Chemical Probe for Bromo and Extra C-Terminal Bromodomain Inhibition through Optimization of a Fragment-Derived Hit. Journal of Medicinal Chemistry, 2012, 55, 9831-9837.	6.4	184

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19	Structural Analysis Identifies Imidazo[1,2-b]Pyridazines as PIM Kinase Inhibitors with In vitro Antileukemic Activity. Cancer Research, 2007, 67, 6916-6924.	0.9	183
20	Selectivity, Cocrystal Structures, and Neuroprotective Properties of Leucettines, a Family of Protein Kinase Inhibitors Derived from the Marine Sponge Alkaloid Leucettamine B. Journal of Medicinal Chemistry, 2012, 55, 9312-9330.	6.4	174
21	Specific CLK Inhibitors from a Novel Chemotype for Regulation of Alternative Splicing. Chemistry and Biology, 2011, 18, 67-76.	6.0	173
22	Structure and functional characterization of the atypical human kinase haspin. Proceedings of the National Academy of Sciences of the United States of America, 2009, 106, 20198-20203.	7.1	144
23	Bromodomain-peptide displacement assays for interactome mapping and inhibitor discovery. Molecular BioSystems, 2011, 7, 2899.	2.9	136
24	Beating the odds: BETs in disease. Trends in Biochemical Sciences, 2015, 40, 468-479.	7.5	135
25	Interactome Rewiring Following Pharmacological Targeting of BET Bromodomains. Molecular Cell, 2019, 73, 621-638.e17.	9.7	135
26	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. Journal of Medicinal Chemistry, 2011, 54, 4172-4186.	6.4	130
27	Structures of Down Syndrome Kinases, DYRKs, Reveal Mechanisms of Kinase Activation and Substrate Recognition. Structure, 2013, 21, 986-996.	3.3	127
28	Optimization of 3,5-Dimethylisoxazole Derivatives as Potent Bromodomain Ligands. Journal of Medicinal Chemistry, 2013, 56, 3217-3227.	6.4	125
29	Discovery of Novel Small-Molecule Inhibitors of BRD4 Using Structure-Based Virtual Screening. Journal of Medicinal Chemistry, 2013, 56, 8073-8088.	6.4	116
30	The crystal structure of human GLRX5: ironâ€“sulfur cluster co-ordination, tetrameric assembly and monomer activity. Biochemical Journal, 2011, 433, 303-311.	3.7	115
31	Benzodiazepines and benzotriazepines as protein interaction inhibitors targeting bromodomains of the BET family. Bioorganic and Medicinal Chemistry, 2012, 20, 1878-1886.	3.0	112
32	Selective targeting of the BRG/PB1 bromodomains impairs embryonic and trophoblast stem cell maintenance. Science Advances, 2015, 1, e1500723.	10.3	112
33	A Series of Potent CREBBP Bromodomain Ligands Reveals an Inducedâ€Fit Pocket Stabilized by a Cationâ€“Ï€ Interaction. Angewandte Chemie - International Edition, 2014, 53, 6126-6130.	13.8	108
34	Crystal Structures of the p21-Activated Kinases PAK4, PAK5, and PAK6 Reveal Catalytic Domain Plasticity of Active Group II PAKs. Structure, 2007, 15, 201-213.	3.3	105
35	High-Throughput Kinase Profiling: A More Efficient Approach toward the Discovery of New Kinase Inhibitors. Chemistry and Biology, 2011, 18, 868-879.	6.0	105
36	SH2 domains: modulators of nonreceptor tyrosine kinase activity. Current Opinion in Structural Biology, 2009, 19, 643-649.	5.7	99

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37	Structural and Functional Characterization of the Human Protein Kinase ASK1. Structure, 2007, 15, 1215-1226.	3.3	98
38	Identification of a Major Determinant for Serine-Threonine Kinase Phosphoacceptor Specificity. Molecular Cell, 2014, 53, 140-147.	9.7	91
39	Promiscuous targeting of bromodomains by bromosporine identifies BET proteins as master regulators of primary transcription response in leukemia. Science Advances, 2016, 2, e1600760.	10.3	90
40	Multivalent Histone and DNA Engagement by a PHD/BRD/PWWP Triple Reader Cassette Recruits ZMYND8 to K14ac-Rich Chromatin. Cell Reports, 2016, 17, 2724-2737.	6.4	86
41	Epigenetic targeting of bromodomain protein BRD4 counteracts cancer cachexia and prolongs survival. Nature Communications, 2017, 8, 1707.	12.8	86
42	Similar Biological Activities of Two Isostructural Ruthenium and Osmium Complexes. Chemistry - A European Journal, 2008, 14, 4816-4822.	3.3	85
43	Synthesis, Kinase Inhibitory Potencies, and in Vitro Antiproliferative Evaluation of New Pim Kinase Inhibitors. Journal of Medicinal Chemistry, 2009, 52, 6369-6381.	6.4	85
44	Constitutively Active ALK2 Receptor Mutants Require Type II Receptor Cooperation. Molecular and Cellular Biology, 2013, 33, 2413-2424.	2.3	85
45	A chemical toolbox for the study of bromodomains and epigenetic signaling. Nature Communications, 2019, 10, 1915.	12.8	85
46	[1,2,4]Triazolo[4,3-a]phthalazines: Inhibitors of Diverse Bromodomains. Journal of Medicinal Chemistry, 2014, 57, 462-476.	6.4	84
47	A TFEB nuclear export signal integrates amino acid supply and glucose availability. Nature Communications, 2018, 9, 2685.	12.8	84
48	BET inhibition disrupts transcription but retains enhancer-promoter contact. Nature Communications, 2021, 12, 223.	12.8	84
49	Identification of a Chemical Probe for Family VIII Bromodomains through Optimization of a Fragment Hit. Journal of Medicinal Chemistry, 2016, 59, 4800-4811.	6.4	79
50	Dual Targeting of Bromodomain and Extraterminal Domain Proteins, and WNT or MAPK Signaling, Inhibits c-MYC Expression and Proliferation of Colorectal Cancer Cells. Molecular Cancer Therapeutics, 2016, 15, 1217-1226.	4.1	71
51	Nut Directs p300-Dependent, Genome-Wide H4 Hyperacetylation in Male Germ Cells. Cell Reports, 2018, 24, 3477-3487.e6.	6.4	69
52	Novel Inverse Binding Mode of Indirubin Derivatives Yields Improved Selectivity for DYRK Kinases. ACS Medicinal Chemistry Letters, 2013, 4, 22-26.	2.8	65
53	7,8-Dichloro-1-oxo-Î²-carbolines as a Versatile Scaffold for the Development of Potent and Selective Kinase Inhibitors with Unusual Binding Modes. Journal of Medicinal Chemistry, 2012, 55, 403-413.	6.4	64
54	Structural Basis for Par-4 Recognition by the SPRY Domain- and SOCS Box-Containing Proteins SPSB1, SPSB2, and SPSB4. Journal of Molecular Biology, 2010, 401, 389-402.	4.2	63

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55	The design and synthesis of 5- and 6-isoxazolylbenzimidazoles as selective inhibitors of the BET bromodomains. MedChemComm, 2013, 4, 140-144.	3.4	63
56	9H-Purine Scaffold Reveals Induced-Fit Pocket Plasticity of the BRD9 Bromodomain. Journal of Medicinal Chemistry, 2015, 58, 2718-2736.	6.4	63
57	Molecular Basis of Histone Tail Recognition by Human TIP5 PHD Finger and Bromodomain of the Chromatin Remodeling Complex NoRC. Structure, 2015, 23, 80-92.	3.3	59
58	Extremely Tight Binding of a Ruthenium Complex to Glycogen Synthase Kinase 3. ChemBioChem, 2008, 9, 2933-2936.	2.6	58
59	New potent dual inhibitors of CK2 and Pim kinases: discovery and structural insights. FASEB Journal, 2010, 24, 3171-3185.	0.5	55
60	Small molecule inhibitors reveal an indispensable scaffolding role of <scp>RIPK</scp> 2 in <scp>NOD</scp> 2 signaling. EMBO Journal, 2018, 37, .	7.8	55
61	BRAF/MAPK and GSK3 signaling converges to control MITF nuclear export. Proceedings of the National Academy of Sciences of the United States of America, 2018, 115, E8668-E8677.	7.1	50
62	The C-terminal extension landscape of naturally presented HLA-I ligands. Proceedings of the National Academy of Sciences of the United States of America, 2018, 115, 5083-5088.	7.1	48
63	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. Journal of Medicinal Chemistry, 2016, 59, 8787-8803.	6.4	41
64	MOB1 Mediated Phospho-recognition in the Core Mammalian Hippo Pathway. Molecular and Cellular Proteomics, 2017, 16, 1098-1110.	3.8	39
65	Tuning Transcription Factor Availability through Acetylation-Mediated Genomic Redistribution. Molecular Cell, 2020, 79, 472-487.e10.	9.7	38
66	BETs inhibition attenuates oxidative stress and preserves muscle integrity in Duchenne muscular dystrophy. Nature Communications, 2020, 11, 6108.	12.8	36
67	Development and preclinical validation of a novel covalent ubiquitin receptor Rpn13 degrader in multiple myeloma. Leukemia, 2019, 33, 2685-2694.	7.2	34
68	Small-Molecule Inhibitors of the c-Fes Protein-Tyrosine Kinase. Chemistry and Biology, 2012, 19, 529-540.	6.0	32
69	Direct interaction between the PRDM3 and PRDM16 tumor suppressors and the NuRD chromatin remodeling complex. Nucleic Acids Research, 2019, 47, 1225-1238.	14.5	32
70	A Tail-Based Mechanism Drives Nucleosome Demethylation by the LSD2/NPAC Multimeric Complex. Cell Reports, 2019, 27, 387-399.e7.	6.4	31
71	Structures of PGAM5 Provide Insight into Active Site Plasticity and Multimeric Assembly. Structure, 2017, 25, 1089-1099.e3.	3.3	27
72	BRD4 methylation by the methyltransferase SETD6 regulates selective transcription to control mRNA translation. Science Advances, 2021, 7, .	10.3	23

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73	BET Inhibition Upregulates SIRT1 and Alleviates Inflammatory Responses. ChemBioChem, 2015, 16, 1997-2001.	2.6	21
74	Structural Basis for Recruitment of DAPK1 to the KLHL20 E3 Ligase. Structure, 2019, 27, 1395-1404.e4.	3.3	21
75	Next-generation epigenetic inhibitors. Science, 2020, 368, 367-368.	12.6	20
76	BET bromodomain ligands: Probing the WPF shelf to improve BRD4 bromodomain affinity and metabolic stability. Bioorganic and Medicinal Chemistry, 2018, 26, 2937-2957.	3.0	19
77	Controlling Intramolecular Interactions in the Design of Selective, High-Affinity Ligands for the CREBBP Bromodomain. Journal of Medicinal Chemistry, 2021, 64, 10102-10123.	6.4	17
78	Dissecting the Role of BET Bromodomain Proteins BRD2 and BRD4 in Human NK Cell Function. Frontiers in Immunology, 2021, 12, 626255.	4.8	15
79	Stimulation of Hepatic Apolipoprotein A-I Production by Novel Thieno-Triazolodiazepines: Roles of the Classical Benzodiazepine Receptor, PAF Receptor, and Bromodomain Binding. Lipid Insights, 2013, 6, LPI.S13258.	1.0	14
80	SPOTing Acetyl-Lysine Dependent Interactions. Microarrays (Basel, Switzerland), 2015, 4, 370-388.	1.4	13
81	What Is the BET on Solid Tumors?. Journal of Clinical Oncology, 2018, 36, 3040-3042.	1.6	10
82	The therapeutic potential of acetyl-lysine and methyl-lysine effector domains. Drug Discovery Today: Therapeutic Strategies, 2012, 9, e101-e110.	0.5	9
83	Identification of a PGXPP degron motif in dishevelled and structural basis for its binding to the E3 ligase KLHL12. Open Biology, 2020, 10, 200041.	3.6	9
84	Emerging tools to investigate bromodomain functions. Methods, 2020, 184, 40-52.	3.8	7
85	Crystal Structure and Inhibitor Identifications Reveal Targeting Opportunity for the Atypical MAPK Kinase ERK3. International Journal of Molecular Sciences, 2020, 21, 7953.	4.1	7
86	Synthesis and Biological Investigation of (+)-JD1, an Organometallic BET Bromodomain Inhibitor. Organometallics, 2020, 39, 408-416.	2.3	6
87	Discovery of Novel BRD4 Ligand Scaffolds by Automated Navigation of the Fragment Chemical Space. Journal of Medicinal Chemistry, 2021, 64, 17887-17900.	6.4	6
88	Effects of epigenetic pathway inhibitors on corticotroph tumour AtT20 cells. Endocrine-Related Cancer, 2020, 27, 163-174.	3.1	5
89	Evaluation of linker length effects on a BET bromodomain probe. Chemical Communications, 2019, 55, 10128-10131.	4.1	2
90	Genome-Wide Profiling of Molecular Recognition of Histone PTMs. , 2015, , 173-183.		1

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91	Probing BRD Inhibition Substituent Effects in Bulky Analogues of (+)â€JQ1. Helvetica Chimica Acta, 2021, 104, e2000214.	1.6	1
92	Structural Genomics and Drug Discovery for Chromatin-Related Protein Complexes Involved in Histone Tail Recognition. , 2014, , 211-225.		1
93	Bromodomains as Anticancer Targets. , 2016, , 239-271.		0
94	BET mechanisms in cancer. , 2020, , 101-142.		0