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	Dissecting the Role of BET Bromodomain Proteins BRD2 and BRD4 in Human NK Cell Function. Frontiers in Immunology, 2021, 12, 626255.	4.8	15
2	Probing BRD Inhibition Substituent Effects in Bulky Analogues of (+)â€JQ1. Helvetica Chimica Acta, 2021, 104, e2000214.	1.6	1
3	BRD4 methylation by the methyltransferase SETD6 regulates selective transcription to control mRNA translation. Science Advances, 2021, 7, .	10.3	23
4	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
5	BET inhibition disrupts transcription but retains enhancer-promoter contact. Nature Communications, 2021, 12, 223.	12.8	84
6	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
7	Emerging tools to investigate bromodomain functions. Methods, 2020, 184, 40-52.	3.8	7
8	Synthesis and Biological Investigation of (+)-JD1, an Organometallic BET Bromodomain Inhibitor. Organometallics, 2020, 39, 408-416.	2.3	6
9	BETs inhibition attenuates oxidative stress and preserves muscle integrity in Duchenne muscular dystrophy. Nature Communications, 2020, 11, 6108.	12.8	36
10	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
11	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
12	Tuning Transcription Factor Availability through Acetylation-Mediated Genomic Redistribution. Molecular Cell, 2020, 79, 472-487.e10.	9.7	38
13	Next-generation epigenetic inhibitors. Science, 2020, 368, 367-368.	12.6	20
14	Effects of epigenetic pathway inhibitors on corticotroph tumour AtT20 cells. Endocrine-Related Cancer, 2020, 27, 163-174.	3.1	5
15	BET mechanisms in cancer. , 2020, , 101-142.		0
16	Evaluation of linker length effects on a BET bromodomain probe. Chemical Communications, 2019, 55, 10128-10131.	4.1	2
17	Structural Basis for Recruitment of DAPK1 to the KLHL20 E3 Ligase. Structure, 2019, 27, 1395-1404.e4.	3.3	21
18	A chemical toolbox for the study of bromodomains and epigenetic signaling. Nature Communications, 2019, 10, 1915.	12.8	85

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19	Development and preclinical validation of a novel covalent ubiquitin receptor Rpn13 degrader in multiple myeloma. Leukemia, 2019, 33, 2685-2694.	7.2	34
20	A Tail-Based Mechanism Drives Nucleosome Demethylation by the LSD2/NPAC Multimeric Complex. Cell Reports, 2019, 27, 387-399.e7.	6.4	31
21	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
22	Interactome Rewiring Following Pharmacological Targeting of BET Bromodomains. Molecular Cell, 2019, 73, 621-638.e17.	9.7	135
23	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
24	What Is the BET on Solid Tumors?. Journal of Clinical Oncology, 2018, 36, 3040-3042.	1.6	10
25	Nut Directs p300-Dependent, Genome-Wide H4 Hyperacetylation in Male Germ Cells. Cell Reports, 2018, 24, 3477-3487.e6.	6.4	69
26	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
27	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
28	A TFEB nuclear export signal integrates amino acid supply and glucose availability. Nature Communications, 2018, 9, 2685.	12.8	84
29	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
30	MOB1 Mediated Phospho-recognition in the Core Mammalian Hippo Pathway. Molecular and Cellular Proteomics, 2017, 16, 1098-1110.	3.8	39
31	Functions of bromodomain-containing proteins and their roles in homeostasis and cancer. Nature Reviews Molecular Cell Biology, 2017, 18, 246-262.	37.0	444
32	Epigenetic targeting of bromodomain protein BRD4 counteracts cancer cachexia and prolongs survival. Nature Communications, 2017, 8, 1707.	12.8	86
33	Structures of PGAM5 Provide Insight into Active Site Plasticity and Multimeric Assembly. Structure, 2017, 25, 1089-1099.e3.	3.3	27
34	Bromodomains as Anticancer Targets. , 2016, , 239-271.		0
35	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
36	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

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37	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
38	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
39	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
40	SPOTing Acetyl-Lysine Dependent Interactions. Microarrays (Basel, Switzerland), 2015, 4, 370-388.	1.4	13
41	Genome-Wide Profiling of Molecular Recognition of Histone PTMs. , 2015, , 173-183.		1
42	BET Inhibition Upregulates SIRT1 and Alleviates Inflammatory Responses. ChemBioChem, 2015, 16, 1997-2001.	2.6	21
43	Selective targeting of the BRG/PB1 bromodomains impairs embryonic and trophoblast stem cell maintenance. Science Advances, 2015, 1, e1500723.	10.3	112
44	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
45	Beating the odds: BETs in disease. Trends in Biochemical Sciences, 2015, 40, 468-479.	7.5	135
46	9H-Purine Scaffold Reveals Induced-Fit Pocket Plasticity of the BRD9 Bromodomain. Journal of Medicinal Chemistry, 2015, 58, 2718-2736.	6.4	63
47	Generation of a Selective Small Molecule Inhibitor of the CBP/p300 Bromodomain for Leukemia Therapy. Cancer Research, 2015, 75, 5106-5119.	0.9	193
48	Dual kinase-bromodomain inhibitors for rationally designed polypharmacology. Nature Chemical Biology, 2014, 10, 305-312.	8.0	296
49	Targeting bromodomains: epigenetic readers of lysine acetylation. Nature Reviews Drug Discovery, 2014, 13, 337-356.	46.4	1,044
50	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
51	[1,2,4]Triazolo[4,3-a]phthalazines: Inhibitors of Diverse Bromodomains. Journal of Medicinal Chemistry, 2014, 57, 462-476.	6.4	84
52	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
53	Identification of a Major Determinant for Serine-Threonine Kinase Phosphoacceptor Specificity. Molecular Cell, 2014, 53, 140-147.	9.7	91
54	Structural Genomics and Drug Discovery for Chromatin-Related Protein Complexes Involved in Histone Tail Recognition. , 2014, , 211-225.		1

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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	Structures of Down Syndrome Kinases, DYRKs, Reveal Mechanisms of Kinase Activation and Substrate Recognition. Structure, 2013, 21, 986-996.	3.3	127
57	Constitutively Active ALK2 Receptor Mutants Require Type II Receptor Cooperation. Molecular and Cellular Biology, 2013, 33, 2413-2424.	2.3	85
58	Optimization of 3,5-Dimethylisoxazole Derivatives as Potent Bromodomain Ligands. Journal of Medicinal Chemistry, 2013, 56, 3217-3227.	6.4	125
59	Novel Inverse Binding Mode of Indirubin Derivatives Yields Improved Selectivity for DYRK Kinases. ACS Medicinal Chemistry Letters, 2013, 4, 22-26.	2.8	65
60	Discovery of Novel Small-Molecule Inhibitors of BRD4 Using Structure-Based Virtual Screening. Journal of Medicinal Chemistry, 2013, 56, 8073-8088.	6.4	116
61	PFI-1, a Highly Selective Protein Interaction Inhibitor, Targeting BET Bromodomains. Cancer Research, 2013, 73, 3336-3346.	0.9	218
62	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
63	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
64	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
65	Small-Molecule Inhibition of BRDT for Male Contraception. Cell, 2012, 150, 673-684.	28.9	353
66	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
67	The bromodomain interaction module. FEBS Letters, 2012, 586, 2692-2704.	2.8	325
68	Histone Recognition and Large-Scale Structural Analysis of the Human Bromodomain Family. Cell, 2012, 149, 214-231.	28.9	1,368
69	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
70	The therapeutic potential of acetyl-lysine and methyl-lysine effector domains. Drug Discovery Today: Therapeutic Strategies, 2012, 9, e101-e110.	0.5	9
71	Small-Molecule Inhibitors of the c-Fes Protein-Tyrosine Kinase. Chemistry and Biology, 2012, 19, 529-540.	6.0	32
72	Benzodiazepines and benzotriazepines as protein interaction inhibitors targeting bromodomains of the BET family. Bioorganic and Medicinal Chemistry, 2012, 20, 1878-1886.	3.0	112

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73	3,5-Dimethylisoxazoles Act As Acetyl-lysine-mimetic Bromodomain Ligands. Journal of Medicinal Chemistry, 2011, 54, 6761-6770.	6.4	204
74	Structurally Sophisticated Octahedral Metal Complexes as Highly Selective Protein Kinase Inhibitors. Journal of the American Chemical Society, 2011, 133, 5976-5986.	13.7	218
75	Bromodomain-peptide displacement assays for interactome mapping and inhibitor discovery. Molecular BioSystems, 2011, 7, 2899.	2.9	136
76	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
77	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
78	Specific CLK Inhibitors from a Novel Chemotype for Regulation of Alternative Splicing. Chemistry and Biology, 2011, 18, 67-76.	6.0	173
79	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
80	Bromodomains as therapeutic targets. Expert Reviews in Molecular Medicine, 2011, 13, e29.	3.9	368
81	Selective inhibition of BET bromodomains. Nature, 2010, 468, 1067-1073.	27.8	3,456
82	Small-molecule kinase inhibitors provide insight into Mps1 cell cycle function. Nature Chemical Biology, 2010, 6, 359-368.	8.0	201
83	New potent dual inhibitors of CK2 and Pim kinases: discovery and structural insights. FASEB Journal, 2010, 24, 3171-3185.	0.5	55
84	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
85	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
86	SH2 domains: modulators of nonreceptor tyrosine kinase activity. Current Opinion in Structural Biology, 2009, 19, 643-649.	5.7	99
87	Large-Scale Structural Analysis of the Classical Human Protein Tyrosine Phosphatome. Cell, 2009, 136, 352-363.	28.9	421
88	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
89	Extremely Tight Binding of a Ruthenium Complex to Glycogen Synthase Kinase 3. ChemBioChem, 2008, 9, 2933-2936.	2.6	58
90	Similar Biological Activities of Two Isostructural Ruthenium and Osmium Complexes. Chemistry - A European Journal, 2008, 14, 4816-4822.	3.3	85

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91	Structural Coupling of SH2-Kinase Domains Links Fes and Abl Substrate Recognition and Kinase Activation. Cell, 2008, 134, 793-803.	28.9	190
92	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
93	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
94	Structural and Functional Characterization of the Human Protein Kinase ASK1. Structure, 2007, 15, 1215-1226.	3.3	98