Paul Brennan

List of Publications by Year
in descending order

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118 papers	7,951 citations	47 h-index	85 g-index
135 all docs	135 docs citations	135 times ranked	10583 citing authors

#	Article	IF	Citations
1	The promise and peril of chemical probes. Nature Chemical Biology, 2015, 11, 536-541.	8.0	698
2	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
3	Phosphatidylinositol 3-Kinase Couples the Interleukin-2 Receptor to the Cell Cycle Regulator E2F. Immunity, 1997, 7, 679-689.	14.3	383
4	K2P channel gating mechanisms revealed by structures of TREK-2 and a complex with Prozac. Science, 2015, 347, 1256-1259.	12.6	255
5	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
6	PFI-1, a Highly Selective Protein Interaction Inhibitor, Targeting BET Bromodomains. Cancer Research, 2013, 73, 3336-3346.	0.9	218
7	Rapid Covalent-Probe Discovery by Electrophile-Fragment Screening. Journal of the American Chemical Society, 2019, 141, 8951-8968.	13.7	213
8	CBP30, a selective CBP/p300 bromodomain inhibitor, suppresses human Th17 responses. Proceedings of the National Academy of Sciences of the United States of America, 2015, 112, 10768-10773.	7.1	200
9	Generation of a Selective Small Molecule Inhibitor of the CBP/p300 Bromodomain for Leukemia Therapy. Cancer Research, 2015, 75, 5106-5119.	0.9	193
10	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
11	Recent Progress in Histone Demethylase Inhibitors. Journal of Medicinal Chemistry, 2016, 59, 1308-1329.	6.4	165
12	Regulation of an Activated S6 Kinase 1 Variant Reveals a Novel Mammalian Target of Rapamycin Phosphorylation Site. Journal of Biological Chemistry, 2002, 277, 20104-20112.	3.4	160
13	Progress in the Development and Application of Small Molecule Inhibitors of Bromodomainâ€“Acetyl-lysine Interactions. Journal of Medicinal Chemistry, 2012, 55, 9393-9413.	6.4	160
14	A genetics-led approach defines the drug target landscape of 30 immune-related traits. Nature Genetics, 2019, 51, 1082-1091.	21.4	157
15	Structural analysis of human KDM5B guides histone demethylase inhibitor development. Nature Chemical Biology, 2016, 12, 539-545.	8.0	155
16	5-Carboxy-8-hydroxyquinoline is a broad spectrum 2-oxoglutarate oxygenase inhibitor which causes iron translocation. Chemical Science, 2013, 4, 3110.	7.4	142
17	LP99: Discovery and Synthesis of the First Selective BRD7/9 Bromodomain Inhibitor. Angewandte Chemie - International Edition, 2015, 54, 6217-6221.	13.8	137
18	Fragment-based hit identification: thinking in 3D. Drug Discovery Today, 2013, 18, 1221-1227.	6.4	132

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19	p70^{s6k} Integrates Phosphatidylinositol 3-Kinase and Rapamycin-Regulated Signals for E2F Regulation in T Lymphocytes. Molecular and Cellular Biology, 1999, 19, 4729-4738.	2.3	131
20	Plant Growth Regulator Daminozide Is a Selective Inhibitor of Human KDM2/7 Histone Demethylases. Journal of Medicinal Chemistry, 2012, 55, 6639-6643.	6.4	125
21	Optimization of 3,5-Dimethylisoxazole Derivatives as Potent Bromodomain Ligands. Journal of Medicinal Chemistry, 2013, 56, 3217-3227.	6.4	125
22	Highly selective inhibition of histone demethylases by de novo macrocyclic peptides. Nature Communications, 2017, 8, 14773.	12.8	124
23	A poised fragment library enables rapid synthetic expansion yielding the first reported inhibitors of PHIP(2), an atypical bromodomain. Chemical Science, 2016, 7, 2322-2330.	7.4	120
24	Selective targeting of the BRG/PB1 bromodomains impairs embryonic and trophoblast stem cell maintenance. Science Advances, 2015, 1, e1500723.	10.3	112
25	Potent and Selective KDM5 Inhibitor Stops Cellular Demethylation of H3K4me3 at Transcription Start Sites and Proliferation of MM1S Myeloma Cells. Cell Chemical Biology, 2017, 24, 371-380.	5.2	111
26	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
27	Inflammatory Signaling by NOD-RIPK2 Is Inhibited by Clinically Relevant Type II Kinase Inhibitors. Chemistry and Biology, 2015, 22, 1174-1184.	6.0	101
28	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
29	Targeting the Small GTPase Superfamily through Their Regulatory Proteins. Angewandte Chemie - International Edition, 2020, 59, 6342-6366.	13.8	87
30	Discovery of a Chemical Tool Inhibitor Targeting the Bromodomains of TRIM24 and BRPF. Journal of Medicinal Chemistry, 2016, 59, 1642-1647.	6.4	86
31	A chemical toolbox for the study of bromodomains and epigenetic signaling. Nature Communications, 2019, 10, 1915.	12.8	85
32	[1,2,4]Triazolo[4,3-a]phthalazines: Inhibitors of Diverse Bromodomains. Journal of Medicinal Chemistry, 2014, 57, 462-476.	6.4	84
33	Soluble TLR2 Reduces Inflammation without Compromising Bacterial Clearance by Disrupting TLR2 Triggering. Journal of Immunology, 2009, 183, 506-517.	0.8	83
34	8-Substituted Pyrido[3,4-d]pyrimidin-4(3H)-one Derivatives As Potent, Cell Permeable, KDM4 (JMJD2) and KDM5 (JARID1) Histone Lysine Demethylase Inhibitors. Journal of Medicinal Chemistry, 2016, 59, 1388-1409.	6.4	83
35	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
36	ALK2 inhibitors display beneficial effects in preclinical models of ACVR1 mutant diffuse intrinsic pontine glioma. Communications Biology, 2019, 2, 156.	4.4	73

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37	Discovery of a PCAF Bromodomain Chemical Probe. Angewandte Chemie - International Edition, 2017, 56, 827-831.	13.8	69
38	The design and synthesis of 5- and 6-isoxazolylbenzimidazoles as selective inhibitors of the BET bromodomains. MedChemComm, 2013, 4, 140-144.	3.4	63
39	Design and synthesis of potent and selective inhibitors of BRD7 and BRD9 bromodomains. MedChemComm, 2015, 6, 1381-1386.	3.4	63
40	Total Synthesis of Rapamycin. Chemistry - A European Journal, 2009, 15, 2874-2914.	3.3	60
41	Discovery of an MLLT1/3 YEATS Domain Chemical Probe. Angewandte Chemie - International Edition, 2018, 57, 16302-16307.	13.8	58
42	LP99: Discovery and Synthesis of the First Selective BRD7/9 Bromodomain Inhibitor. Angewandte Chemie, 2015, 127, 6315-6319.	2.0	55
43	Involvement of phosphoinositide 3-kinase and Rac in membrane ruffling induced by IL-2 in T cells. European Journal of Immunology, 1998, 28, 1877-1885.	2.9	52
44	Total Synthesis of Rapamycin. Angewandte Chemie - International Edition, 2007, 46, 591-597.	13.8	52
45	The structural basis of fatty acid elongation by the ELOVL elongases. Nature Structural and Molecular Biology, 2021, 28, 512-520.	8.2	52
46	Chemical probes and inhibitors of bromodomains outside the BET family. MedChemComm, 2016, 7, 2246-2264.	3.4	51
47	Identification and Development of 2,3-Dihydropyrrolo[1,2-a]quinazolin-5(1H)-one Inhibitors Targeting Bromodomains within the Switch/Sucrose Nonfermenting Complex. Journal of Medicinal Chemistry, 2016, 59, 5095-5101.	6.4	49
48	Development of Selective CBP/P300 Benzoxazepine Bromodomain Inhibitors. Journal of Medicinal Chemistry, 2016, 59, 8889-8912.	6.4	49
49	Halogenâ€“Aromatic Ïâ€…Interactions Modulate Inhibitor Residence Times. Angewandte Chemie - International Edition, 2018, 57, 7220-7224.	13.8	45
50	BET inhibition as a new strategy for the treatment of gastric cancer. Oncotarget, 2016, 7, 43997-44012.	1.8	44
51	Machine-assisted synthesis of modulators of the histone reader BRD9 using flow methods of chemistry and frontal affinity chromatography. MedChemComm, 2014, 5, 540-546.	3.4	42
52	Selective Targeting of Bromodomains of the Bromodomain-PHD Fingers Family Impairs Osteoclast Differentiation. ACS Chemical Biology, 2017, 12, 2619-2630.	3.4	41
53	BRD3 and BRD4 BET Bromodomain Proteins Differentially Regulate Skeletal Myogenesis. Scientific Reports, 2017, 7, 6153.	3.3	41
54	Assessing histone demethylase inhibitors in cells: lessons learned. Epigenetics and Chromatin, 2017, 10, 9.	3.9	40

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55	Structure-Based Identification of Inhibitory Fragments Targeting the p300/CBP-Associated Factor Bromodomain. Journal of Medicinal Chemistry, 2016, 59, 1648-1653.	6.4	39
56	Target 2035 â€“ update on the quest for a probe for every protein. RSC Medicinal Chemistry, 2022, 13, 13-21.	3.9	39
57	Design of a Biased Potent Small Molecule Inhibitor of the Bromodomain and PHD Finger-Containing (BRPF) Proteins Suitable for Cellular and in Vivo Studies. Journal of Medicinal Chemistry, 2017, 60, 668-680.	6.4	38
58	Mild, calcium catalysed Beckmann rearrangements. Chemical Communications, 2018, 54, 654-657.	4.1	38
59	Multiparameter Optimization in CNS Drug Discovery: Design of Pyrimido[4,5-d]azepines as Potent 5-Hydroxytryptamine 2C (5-HT_{2C}) Receptor Agonists with Exquisite Functional Selectivity over 5-HT_{2A} and 5-HT_{2B} Receptors. Journal of Medicinal Chemistry, 2014, 57, 5258-5269.	6.4	36
60	Betti reaction enables efficient synthesis of 8-hydroxyquinoline inhibitors of 2-oxoglutarate oxygenases. Chemical Communications, 2015, 51, 15458-15461.	4.1	35
61	Structure-Based Approach toward Identification of Inhibitory Fragments for Eleven-Nineteen-Leukemia Protein (ENL). Journal of Medicinal Chemistry, 2018, 61, 10929-10934.	6.4	33
62	Inhibition of Histone H3K27 Demethylases Inactivates Brachyury (TBXT) and Promotes Chordoma Cell Death. Cancer Research, 2020, 80, 4540-4551.	0.9	33
63	Optimisation of a triazolopyridine based histone demethylase inhibitor yields a potent and selective KDM2A (FBXL11) inhibitor. MedChemComm, 2014, 5, 1879-1886.	3.4	32
64	Structure-Based Design of Highly Selective Inhibitors of the CREB Binding Protein Bromodomain. Journal of Medicinal Chemistry, 2017, 60, 5349-5363.	6.4	32
65	Discovery of a Highly Selective Cellâ€Active Inhibitor of the Histone Lysine Demethylases KDM2/7. Angewandte Chemie - International Edition, 2017, 56, 15555-15559.	13.8	32
66	A Chemical Probe for Tudor Domain Protein Spindlin1 to Investigate Chromatin Function. Journal of Medicinal Chemistry, 2019, 62, 9008-9025.	6.4	30
67	Combinatorial Synthetic Design. Solution and Polymer-Supported Synthesis of Heterocycles via Intramolecular Aza Dielsâ˜Alder and Imino Alcohol Cyclizations. ACS Combinatorial Science, 2002, 4, 516-522.	3.3	29
68	A general and mild two-step procedure for the synthesis of aryl and heteroaryl sulfonamides from the corresponding iodides. Tetrahedron Letters, 2011, 52, 820-823.	1.4	29
69	Male sex chromosomal complement exacerbates the pathogenicity of Th17 cells in a chronic model of central nervous system autoimmunity. Cell Reports, 2021, 34, 108833.	6.4	29
70	Advances and challenges in understanding histone demethylase biology. Current Opinion in Chemical Biology, 2016, 33, 151-159.	6.1	28
71	Design of a Chemical Probe for the Bromodomain and Plant Homeodomain Finger-Containing (BRPF) Family of Proteins. Journal of Medicinal Chemistry, 2017, 60, 6998-7011.	6.4	28
72	Exploring the role of water in molecular recognition: predicting protein ligandability using a combinatorial search of surface hydration sites. Journal of Physics Condensed Matter, 2016, 28, 344007.	1.8	27

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73	Discovery of a novel allosteric inhibitor scaffold for polyadenosine-diphosphate-ribose polymerase 14 (PARP14) macrodomain 2. Bioorganic and Medicinal Chemistry, 2018, 26, 2965-2972.	3.0	25
74	Structural Insights into Interaction Mechanisms of Alternative Piperazine-urea YEATS Domain Binders in MLLT1. ACS Medicinal Chemistry Letters, 2019, 10, 1661-1666.	2.8	23
75	Bench-Stable Transfer Reagent Facilitates the Generation of Trifluoromethyl-sulfonimidamides. Journal of Organic Chemistry, 2018, 83, 9510-9516.	3.2	22
76	Design, Synthesis and Characterization of Covalent KDM5 Inhibitors. Angewandte Chemie - International Edition, 2019, 58, 515-519.	13.8	22
77	Discovery of a Potent and Selective Fragment-like Inhibitor of Methyllysine Reader Protein Spindlin 1 (SPIN1). Journal of Medicinal Chemistry, 2019, 62, 8996-9007.	6.4	20
78	Structure of the Human Protein Kinase ZAK in Complex with Vemurafenib. ACS Chemical Biology, 2016, 11, 1595-1602.	3.4	19
79	An Activityâ€Based Probe Targeting Nonâ€Catalytic, Highly Conserved Amino Acid Residues within Bromodomains. Angewandte Chemie - International Edition, 2019, 58, 1007-1012.	13.8	16
80	Application of the intramolecular azomethine imine cycloaddition to the construction of a novel, orthogonally protected spirodiamino acid scaffold. Tetrahedron Letters, 1999, 40, 2907-2908.	1.4	15
81	Discovery of a novel azepine series of potent and selective 5-HT2C agonists as potential treatments for urinary incontinence. Bioorganic and Medicinal Chemistry Letters, 2009, 19, 4999-5003.	2.2	15
82	Synthesis of carbohydrate derivatives using solid-phase work-up and scavenging techniques. Organic and Biomolecular Chemistry, 2003, 1, 2029.	2.8	14
83	Potent and selective Î±1A adrenoceptor partial agonistsâ€"Novel imidazole frameworks. Bioorganic and Medicinal Chemistry Letters, 2009, 19, 3118-3121.	2.2	14
84	Pyrimido[4,5-d]azepines as potent and selective 5-HT2C receptor agonists: Design, synthesis, and evaluation of PF-3246799 as a treatment for urinary incontinence. Bioorganic and Medicinal Chemistry Letters, 2011, 21, 2715-2720.	2.2	13
85	Development of chemical probes for the bromodomains of BRD7 and BRD9. Drug Discovery Today: Technologies, 2016, 19, 73-80.	4.0	13
86	The SGC beyond structural genomics: redefining the role of 3D structures by coupling genomic stratification with fragment-based discovery. Essays in Biochemistry, 2017, 61, 495-503.	4.7	12
87	C8-substituted pyrido[3,4-d]pyrimidin-4(3H)-ones: Studies towards the identification of potent, cell penetrant Jumonji C domain containing histone lysine demethylase 4 subfamily (KDM4) inhibitors, compound profiling in cell-based target engagement assays. European Journal of Medicinal Chemistry, 2019, 177, 316-337.	5.5	12
88	RHO to the DOCK for GDP disembarking: Structural insights into the DOCK GTPase nucleotide exchange factors. Journal of Biological Chemistry, 2021, 296, 100521.	3.4	12
89	Discovery of Pyrrolo[3,2-d]pyrimidin-4-one Derivatives as a New Class of Potent and Cell-Active Inhibitors of P300/CBP-Associated Factor Bromodomain. Journal of Medicinal Chemistry, 2019, 62, 4526-4542.	6.4	11
90	Deregulation of Chromosome Segregation and Cancer. Annual Review of Cancer Biology, 2020, 4, 257-278.	4.5	11

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91	TargetDB: A target information aggregation tool and tractability predictor. PLoS ONE, 2020, 15, e0232644.	2.5	11
92	Chemogenomics for drug discovery: clinical molecules from open access chemical probes. RSC Chemical Biology, 2021, 2, 759-795.	4.1	11
93	Discovery of a PCAF Bromodomain Chemical Probe. Angewandte Chemie, 2017, 129, 845-849.	2.0	10
94	Identifying Smallâ€Molecule Binding Sites for Epigenetic Proteins at Domainâ€“Domain Interfaces. ChemMedChem, 2018, 13, 1051-1057.	3.2	10
95	The therapeutic potential of acetyl-lysine and methyl-lysine effector domains. Drug Discovery Today: Therapeutic Strategies, 2012, 9, e101-e110.	0.5	9
96	Target Identification Using Chemical Probes. Methods in Enzymology, 2018, 610, 27-58.	1.0	9
97	Inhibition of the SUV4-20 H1 histone methyltransferase increases frataxin expression in Friedreich's ataxia patient cells. Journal of Biological Chemistry, 2020, 295, 17973-17985.	3.4	8
98	Kalirin as a Novel Treatment Target for Cognitive Dysfunction in Schizophrenia. CNS Drugs, 2022, 36, 1-16.	5.9	8
99	An Activityâ€Based Probe Targeting Nonâ€Catalytic, Highly Conserved Amino Acid Residues within Bromodomains. Angewandte Chemie, 2019, 131, 1019-1024.	2.0	7
100	Synthesis and Biological Investigation of (+)-JD1, an Organometallic BET Bromodomain Inhibitor. Organometallics, 2020, 39, 408-416.	2.3	6
101	Fragment Screening Reveals Starting Points for Rational Design of Galactokinase 1 Inhibitors to Treat Classic Galactosemia. ACS Chemical Biology, 2021, 16, 586-595.	3.4	6
102	A tandem asymmetric synthesis approach for the efficient preparation of enantiomerically pure 9-(hydroxyethyl) anthracene. Tetrahedron: Asymmetry, 2011, 22, 253-255.	1.8	5
103	Covalent fragment-based ligand screening approaches for identification of novel ubiquitin proteasome system modulators. Biological Chemistry, 2022, 403, 391-402.	2.5	5
104	Deciphering the true antiproliferative target of an MK2 activation inhibitor in glioblastoma. Cell Death and Disease, 2016, 7, e2069-e2069.	6.3	3
105	Nivolumab and immuneâ€mediated colitis. Clinical Case Reports (discontinued), 2019, 7, 644-647.	0.5	3
106	Imaging articular cartilage in osteoarthritis using targeted peptide radiocontrast agents. PLoS ONE, 2022, 17, e0268223.	2.5	2
107	Design, Synthesis and Characterization of Covalent KDM5 Inhibitors. Angewandte Chemie, 2019, 131, 525-529.	2.0	1
108	Entdeckung einer chemischen Sonde fÃ¼r MLLT1/3â€YEATSâ€DomÃnen. Angewandte Chemie, 2018, 130, 16540-16545.	2.0	1

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109	Inhibitors of JmjC-Containing Histone Demethylases. Topics in Medicinal Chemistry, 2019, , 221-253.	0.8	1
110	Targeting der kleinen GTPasen Ã¼ber ihre regulatorischen Proteine. Angewandte Chemie, 2020, 132, 6402-6428.	2.0	1
111	Deliberately Losing Control of Câ^'H Activation Processes in the Design of Smallâ€Moleculeâ€Fragment Arrays Targeting Peroxisomal Metabolism. ChemMedChem, 2020, 15, 2513-2520.	3.2	1
112	Novel Starting Points for Human Glycolate Oxidase Inhibitors, Revealed by Crystallography-Based Fragment Screening. Frontiers in Chemistry, 2022, 10, .	3.6	1
113	RÃ¼cktitelbild: Discovery of a PCAF Bromodomain Chemical Probe (Angew. Chem. 3/2017). Angewandte Chemie, 2017, 129, 928-928.	2.0	0
114	Discovery of a Highly Selective Cellâ€Active Inhibitor of the Histone Lysine Demethylases KDM2/7. Angewandte Chemie, 2017, 129, 15761-15765.	2.0	0
115	TargetDB: A target information aggregation tool and tractability predictor. , 2020, 15, e0232644.		0
116	TargetDB: A target information aggregation tool and tractability predictor. , 2020, 15, e0232644.		0
117	TargetDB: A target information aggregation tool and tractability predictor. , 2020, 15, e0232644.		0
118	TargetDB: A target information aggregation tool and tractability predictor. , 2020, 15, e0232644.		0