Alessio Ciulli

List of Publications by Year
in descending order

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113 papers	8,460 citations	50276 46 h-index	48315 88 g-index
143 all docs	143 docs citations	143 times ranked	6781 citing authors

#	Article	IF	Citations
1	Structural basis of PROTAC cooperative recognition for selective protein degradation. Nature Chemical Biology, 2017, 13, 514-521.	8.0	758
2	Selective Small Molecule Induced Degradation of the BET Bromodomain Protein BRD4. ACS Chemical Biology, 2015, 10, 1770-1777.	3.4	744
3	Targeting the von Hippelâ€“Lindau E3 Ubiquitin Ligase Using Small Molecules To Disrupt the VHL/HIF-1Î± Interaction. Journal of the American Chemical Society, 2012, 134, 4465-4468.	13.7	382
4	BAF complex vulnerabilities in cancer demonstrated via structure-based PROTAC design. Nature Chemical Biology, 2019, 15, 672-680.	8.0	335
5	Structure-Guided Design and Optimization of Small Molecules Targeting the Proteinâ€“Protein Interaction between the von Hippelâ€“Lindau (VHL) E3 Ubiquitin Ligase and the Hypoxia Inducible Factor (HIF) Alpha Subunit with in Vitro Nanomolar Affinities. Journal of Medicinal Chemistry, 2014, 57, 8657-8663.	6.4	287
6	Iterative Design and Optimization of Initially Inactive Proteolysis Targeting Chimeras (PROTACs) Identify VZ185 as a Potent, Fast, and Selective von Hippelâ€“Lindau (VHL) Based Dual Degrader Probe of BRD9 and BRD7. Journal of Medicinal Chemistry, 2019, 62, 699-726.	6.4	230
7	Smallâ€Molecule Inhibitors of the Interaction between the E3 Ligase VHL and HIF1Î±. Angewandte Chemie - International Edition, 2012, 51, 11463-11467.	13.8	220
8	SPR-Measured Dissociation Kinetics of PROTAC Ternary Complexes Influence Target Degradation Rate. ACS Chemical Biology, 2019, 14, 361-368.	3.4	212
9	Homo-PROTACs: bivalent small-molecule dimerizers of the VHL E3 ubiquitin ligase to induce self-degradation. Nature Communications, 2017, 8, 830.	12.8	184
10	Targeting Cullinâ€“RING E3 ubiquitin ligases for drug discovery: structure, assembly and small-molecule modulation. Biochemical Journal, 2015, 467, 365-386.	3.7	168
11	Potent and selective chemical probe of hypoxic signalling downstream of HIF-Î± hydroxylation via VHL inhibition. Nature Communications, 2016, 7, 13312.	12.8	167
12	Molecular recognition of ternary complexes: a new dimension in the structure-guided design of chemical degraders. Essays in Biochemistry, 2017, 61, 505-516.	4.7	163
13	Dissecting Fragment-Based Lead Discovery at the von Hippel-Lindau Protein:Hypoxia Inducible Factor 1Î± Protein-Protein Interface. Chemistry and Biology, 2012, 19, 1300-1312.	6.0	162
14	Impact of Target Warhead and Linkage Vector on Inducing Protein Degradation: Comparison of Bromodomain and Extra-Terminal (BET) Degraders Derived from Triazolodiazepine (JQ1) and Tetrahydroquinoline (I-BET726) BET Inhibitor Scaffolds. Journal of Medicinal Chemistry, 2018, 61, 504-513.	6.4	161
15	E3 Ligase Ligands for PROTACs: How They Were Found and How to Discover New Ones. SLAS Discovery, 2021, 26, 484-502.	2.7	154
16	Structureâ€Based Design of a Macrocyclic PROTAC. Angewandte Chemie - International Edition, 2020, 59, 1727-1734.	13.8	150
17	Cyclic and Macrocyclic Peptides as Chemical Tools To Recognise Protein Surfaces and Probe Proteinâ€“Protein Interactions. ChemMedChem, 2016, 11, 787-794.	3.2	141
18	Probing Hot Spots at Proteinâ^'Ligand Binding Sites:â€‰ A Fragment-Based Approach Using Biophysical Methods. Journal of Medicinal Chemistry, 2006, 49, 4992-5000.	6.4	140

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19	Application of Fragment Growing and Fragment Linking to the Discovery of Inhibitors of Mycobacterium tuberculosis Pantothenate Synthetase. Angewandte Chemie - International Edition, 2009, 48, 8452-8456.	13.8	138
20	Bromodomain-peptide displacement assays for interactome mapping and inhibitor discovery. Molecular BioSystems, 2011, 7, 2899.	2.9	136
21	A bump-and-hole approach to engineer controlled selectivity of BET bromodomain chemical probes. Science, 2014, 346, 638-641.	12.6	128
22	Trivalent PROTACs enhance protein degradation via combined avidity and cooperativity. Nature Chemical Biology, 2021, 17, 1157-1167.	8.0	108
23	Group-Based Optimization of Potent and Cell-Active Inhibitors of the von Hippelâ€“Lindau (VHL) E3 Ubiquitin Ligase: Structureâ€“Activity Relationships Leading to the Chemical Probe (2S,4R)-1-((S)-2-(1-Cyanocyclopropanecarboxamido)-3,3-dimethylbutanoyl)-4-hydroxy-N-(4-(4-methylthiazol (VH298). Journal of Medicinal Chemistry, 2018, 61, 599-618.	6.4	106
24	Crystal Structure of the Cul2-Rbx1-EloBC-VHL Ubiquitin Ligase Complex. Structure, 2017, 25, 901-911.e3.	3.3	105
25	3-Fluoro-4-hydroxyprolines: Synthesis, Conformational Analysis, and Stereoselective Recognition by the VHL E3 Ubiquitin Ligase for Targeted Protein Degradation. Journal of the American Chemical Society, 2018, 140, 9299-9313.	13.7	102
26	Highly Selective PTK2 Proteolysis Targeting Chimeras to Probe Focal Adhesion Kinase Scaffolding Functions. Journal of Medicinal Chemistry, 2019, 62, 2508-2520.	6.4	99
27	Fragment-based approaches to enzyme inhibition. Current Opinion in Biotechnology, 2007, 18, 489-496.	6.6	98
28	Integrated biophysical approach to fragment screening and validation for fragment-based lead discovery. Proceedings of the National Academy of Sciences of the United States of America, 2013, 110, 12984-12989.	7.1	97
29	Cereblon versus VHL: Hijacking E3 ligases against each other using PROTACs. Bioorganic and Medicinal Chemistry, 2019, 27, 2466-2479.	3.0	97
30	Targeting Low-Druggability Bromodomains: Fragment Based Screening and Inhibitor Design against the BAZ2B Bromodomain. Journal of Medicinal Chemistry, 2013, 56, 10183-10187.	6.4	92
31	Rapid and Reversible Knockdown of Endogenously Tagged Endosomal Proteins via an Optimized HaloPROTAC Degrader. ACS Chemical Biology, 2019, 14, 882-892.	3.4	88
32	Bifunctional chemical probes inducing proteinâ€“protein interactions. Current Opinion in Chemical Biology, 2019, 52, 145-156.	6.1	83
33	Understanding and Improving the Membrane Permeability of VH032-Based PROTACs. ACS Medicinal Chemistry Letters, 2020, 11, 1732-1738.	2.8	83
34	Salicylate Biosynthesis: Overexpression, Purification, and Characterization of Irp9, a Bifunctional Salicylate Synthase from Yersinia enterocolitica. Journal of Bacteriology, 2005, 187, 5061-5066.	2.2	82
35	Recognition of substrate degrons by E3 ubiquitin ligases and modulation by small-molecule mimicry strategies. Current Opinion in Structural Biology, 2017, 44, 101-110.	5.7	74
36	Optimization of the Interligand Overhauser Effect for Fragment Linking: Application to Inhibitor Discovery against Mycobacterium tuberculosis Pantothenate Synthetase. Journal of the American Chemical Society, 2010, 132, 4544-4545.	13.7	71

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37	Application of Fragment Screening and Merging to the Discovery of Inhibitors of the Mycobacterium tuberculosis Cytochromeâ€…P450 CYP121. Angewandte Chemie - International Edition, 2012, 51, 9311-9316.	13.8	69
38	Design and Characterization of SGK3-PROTAC1, an Isoform Specific SGK3 Kinase PROTAC Degrader. ACS Chemical Biology, 2019, 14, 2024-2034.	3.4	67
39	Amide-to-Ester Substitution as a Strategy for Optimizing PROTAC Permeability and Cellular Activity. Journal of Medicinal Chemistry, 2021, 64, 18082-18101.	6.4	61
40	Biophysical Screening for the Discovery of Small-Molecule Ligands. Methods in Molecular Biology, 2013, 1008, 357-388.	0.9	59
41	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
42	Inhibition of Mycobacterium tuberculosis Pantothenate Synthetase by Analogues of the Reaction Intermediate. ChemBioChem, 2008, 9, 2606-2611.	2.6	56
43	NMR approaches in structure-based lead discovery: Recent developments and new frontiers for targeting multi-protein complexes. Progress in Biophysics and Molecular Biology, 2014, 116, 101-112.	2.9	54
44	Is NMR Fragment Screening Fine-Tuned to Assess Druggability of Proteinâ€“Protein Interactions?. ACS Medicinal Chemistry Letters, 2014, 5, 23-28.	2.8	50
45	A Fragmentâ€Based Approach to Probing Adenosine Recognition Sites by Using Dynamic Combinatorial Chemistry. ChemBioChem, 2009, 10, 2772-2779.	2.6	47
46	Selectivity on-target of bromodomain chemical probes by structure-guided medicinal chemistry and chemical biology. Future Medicinal Chemistry, 2016, 8, 1655-1680.	2.3	47
47	New molecular and therapeutic insights into canine diffuse large B-cell lymphoma elucidates the role of the dog as a model for human disease. Haematologica, 2019, 104, e256-e259.	3.5	43
48	Inducible Degradation of Target Proteins through a Tractable Affinity-Directed Protein Missile System. Cell Chemical Biology, 2020, 27, 1164-1180.e5.	5.2	42
49	New Synthetic Routes to Triazolo-benzodiazepine Analogues: Expanding the Scope of the Bump-and-Hole Approach for Selective Bromo and Extra-Terminal (BET) Bromodomain Inhibition. Journal of Medicinal Chemistry, 2016, 59, 1492-1500.	6.4	41
50	Driving E3 Ligase Substrate Specificity for Targeted Protein Degradation: Lessons from Nature and the Laboratory. Annual Review of Biochemistry, 2022, 91, 295-319.	11.1	41
51	Crystal Structure of Escherichia coli Ketopantoate Reductase in a Ternary Complex with NADP+ and Pantoate Bound. Journal of Biological Chemistry, 2007, 282, 8487-8497.	3.4	39
52	Structural investigation of inhibitor designs targeting 3-dehydroquinate dehydratase from the shikimate pathway of Mycobacterium tuberculosis. Biochemical Journal, 2011, 436, 729-739.	3.7	39
53	Target 2035 â€“ update on the quest for a probe for every protein. RSC Medicinal Chemistry, 2022, 13, 13-21.	3.9	39
54	Development of BromoTag: A â€œBump-and-Holeâ€â€“PROTAC System to Induce Potent, Rapid, and Selective Degradation of Tagged Target Proteins. Journal of Medicinal Chemistry, 2021, 64, 15477-15502.	6.4	37

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55	Transforming targeted cancer therapy with PROTACs: A forward-looking perspective. Current Opinion in Pharmacology, 2021, 57, 175-183.	3.5	36
56	The Crystal Structure of Escherichia coli Ketopantoate Reductase with NADP+ Bound,. Biochemistry, 2005, 44, 8930-8939.	2.5	34
57	Optimization of a â€œbump-and-holeâ€•approach to allele-selective BET bromodomain inhibition. Chemical Science, 2018, 9, 2452-2468.	7.4	34
58	Building ubiquitination machineries: E3 ligase multi-subunit assembly and substrate targeting by PROTACs and molecular glues. Current Opinion in Structural Biology, 2021, 67, 110-119.	5.7	33
59	Mechanistic and Structural Features of PROTAC Ternary Complexes. Methods in Molecular Biology, 2021, 2365, 79-113.	0.9	32
60	Structural basis of molecular recognition of helical histone H3 tail by PHD finger domains. Biochemical Journal, 2017, 474, 1633-1651.	3.7	31
61	Allosteric Targeting of the Fanconi Anemia Ubiquitin-Conjugating Enzyme Ube2T by Fragment Screening. Journal of Medicinal Chemistry, 2017, 60, 4093-4098.	6.4	30
62	Optimization of Inhibitors of Mycobacterium tuberculosis Pantothenate Synthetase Based on Group Efficiency Analysis. ChemMedChem, 2016, 11, 38-42.	3.2	27
63	Targeting non-canonical activation of GLI1 by the SOX2-BRD4 transcriptional complex improves the efficacy of HEDGEHOG pathway inhibition in melanoma. Oncogene, 2021, 40, 3799-3814.	5.9	27
64	Brd4â€Brd2 isoform switching coordinates pluripotent exit and Smad2â€dependent lineage specification. EMBO Reports, 2017, 18, 1108-1122.	4.5	26
65	Targeting Ligandable Pockets on Plant Homeodomain (PHD) Zinc Finger Domains by a Fragment-Based Approach. ACS Chemical Biology, 2018, 13, 915-921.	3.4	25
66	Multimeric Complexes among Ankyrin-Repeat and SOCS-box Protein 9 (ASB9), ElonginBC, and Cullin 5: Insights into the Structure and Assembly of ECS-type Cullin-RING E3 Ubiquitin Ligases. Biochemistry, 2013, 52, 5236-5246.	2.5	24
67	Biophysical Studies on Interactions and Assembly of Full-size E3 Ubiquitin Ligase. Journal of Biological Chemistry, 2015, 290, 4178-4191.	3.4	24
68	Chemical genetics approaches for selective intervention in epigenetics. Current Opinion in Chemical Biology, 2016, 33, 186-194.	6.1	24
69	Binding Hotspots of BAZ2B Bromodomain: Histone Interaction Revealed by Solution NMR Driven Docking. Biochemistry, 2014, 53, 6706-6716.	2.5	23
70	The biochemical properties of the two Arabidopsis thaliana isochorismate synthases. Biochemical Journal, 2017, 474, 1579-1590.	3.7	23
71	Structural insights into substrate recognition by the SOCS2 E3 ubiquitin ligase. Nature Communications, 2019, 10, 2534.	12.8	23
72	Surface Probing by Fragment-Based Screening and Computational Methods Identifies Ligandable Pockets on the von Hippelâ€“Lindau (VHL) E3 Ubiquitin Ligase. Journal of Medicinal Chemistry, 2018, 61, 7387-7393.	6.4	21

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73	Targeting epigenetic reader domains by chemical biology. Current Opinion in Chemical Biology, 2020, 57, 82-94.	6.1	20
74	Investigation of the mycobacterial enzyme HsaD as a potential novel target for antiâ€tubercular agents using a fragmentâ€based drug design approach. British Journal of Pharmacology, 2017, 174, 2209-2224.	5.4	19
75	Targeting epigenetic modulators using PROTAC degraders: Current status and future perspective. Bioorganic and Medicinal Chemistry Letters, 2022, 63, 128653.	2.2	18
76	Targeting Bacillosamine Biosynthesis in Bacterial Pathogens: Development of Inhibitors to a Bacterial Amino-Sugar Acetyltransferase from Campylobacter jejuni. Journal of Medicinal Chemistry, 2017, 60, 2099-2118.	6.4	17
77	Mind the Metal: A Fragment Library-Derived Zinc Impurity Binds the E2 Ubiquitin-Conjugating Enzyme Ube2T and Induces Structural Rearrangements. Journal of Medicinal Chemistry, 2017, 60, 8183-8191.	6.4	17
78	Serendipitous SAD Solution for DMSO-Soaked SOCS2-ElonginC-ElonginB Crystals Using Covalently Incorporated Dimethylarsenic: Insights into Substrate Receptor Conformational Flexibility in Cullin RING Ligases. PLoS ONE, 2015, 10, e0131218.	2.5	16
79	Spy vs. spy: selecting the best reporter for ¹⁹F NMR competition experiments. Chemical Communications, 2019, 55, 1482-1485.	4.1	16
80	Recent advances in synthetic and medicinal chemistry of phosphotyrosine and phosphonate-based phosphotyrosine analogues. RSC Medicinal Chemistry, 2021, 12, 8-23.	3.9	16
81	RNA-seq analysis of PHD and VHL inhibitors reveals differences and similarities to the hypoxia response.. Wellcome Open Research, 2019, 4, 17.	1.8	14
82	Expression and high yield production of the catalytic domain of matrix metalloproteinase 12 and of an active mutant with increased solubility. Journal of Molecular Catalysis A, 2003, 204-205, 401-408.	4.8	13
83	Thioamide substitution to probe the hydroxyproline recognition of VHL ligands. Bioorganic and Medicinal Chemistry, 2018, 26, 2992-2995.	3.0	13
84	Structureâ€Based Design of a Macrocyclic PROTAC. Angewandte Chemie, 2020, 132, 1744-1751.	2.0	13
85	Von Hippelâ€“Lindau (VHL) small-molecule inhibitor binding increases stability and intracellular levels of VHL protein. Journal of Biological Chemistry, 2021, 297, 100910.	3.4	13
86	Biophysical tools to monitor enzymeâ€“ligand interactions of enzymes involved in vitamin biosynthesis. Biochemical Society Transactions, 2005, 33, 767-771.	3.4	12
87	Coenzyme biosynthesis: enzyme mechanism, structure and inhibition. Natural Product Reports, 2007, 24, 1009.	10.3	12
88	New class of molecule targets proteins outside cells for degradation. Nature, 2020, 584, 193-194.	27.8	12
89	Translating PROTAC chemical series optimization into functional outcomes underlying BRD7 and BRD9 protein degradation. Current Research in Chemical Biology, 2021, 1, 100009.	2.9	11
90	Development of NanoLuc-targeting protein degraders and a universal reporter system to benchmark tag-targeted degradation platforms. Nature Communications, 2022, 13, 2073.	12.8	11

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91	Biophysical characterization of laforinâ€“carbohydrate interaction. Biochemical Journal, 2016, 473, 335-345.	3.7	10
92	Structureâ€Guided Design of Peptides as Tools to Probe the Proteinâ€“Protein Interaction between Cullinâ€2 and Elonginâ€…BC Substrate Adaptor in Cullin RING E3 Ubiquitin Ligases. ChemMedChem, 2017, 12, 1491-1496.	3.2	10
93	Protein degradation for drug discovery. Drug Discovery Today: Technologies, 2019, 31, 1-3.	4.0	10
94	A beginnerâ€™s guide to PROTACs and targeted protein degradation. Biochemist, 2021, 43, 74-79.	0.5	10
95	pH-tuneable binding of 2â€²-phospho-ADP-ribose to ketopantoate reductase: a structural and calorimetric study. Acta Crystallographica Section D: Biological Crystallography, 2007, 63, 171-178.	2.5	9
96	Nucleophile Selectivity of Chorismate-Utilizing Enzymes. ChemBioChem, 2007, 8, 622-624.	2.6	8
97	Estimating the cooperativity of PROTAC-induced ternary complexes using ¹⁹F NMR displacement assay. RSC Medicinal Chemistry, 2021, 12, 1765-1770.	3.9	8
98	Synthesis and Biological Investigation of (+)-JD1, an Organometallic BET Bromodomain Inhibitor. Organometallics, 2020, 39, 408-416.	2.3	6
99	SMARCA4 biology in alveolar rhabdomyosarcoma. Oncogene, 2022, 41, 1647-1656.	5.9	6
100	MST and TRIC Technology to Reliably Study PROTAC Binary and Ternary Binding in Drug Development. Methods in Molecular Biology, 2021, 2365, 115-133.	0.9	5
101	Effects of meta-substitution on aggregation in the cubanes [SnNR]4 {R = [2-Me-5-MeOC6H3], [2,5-(MeO)2C6H3] and [3,5-(MeO)2C6H3]}. Dalton Transactions RSC, 2002, , 1046-1050.	2.3	4
102	Fragment-Based Drug Discovery in Academia: Experiences From a Tuberculosis Programme. NATO Science for Peace and Security Series A: Chemistry and Biology, 2009, , 21-36.	0.5	4
103	Stereoselective synthesis of allele-specific BET inhibitors. Organic and Biomolecular Chemistry, 2020, 18, 7533-7539.	2.8	4
104	Automated Determination of Nuclear Magnetic Resonance Chemical Shift Perturbations in Ligand Screening Experiments: The PICASSO Web Server. Journal of Chemical Information and Modeling, 2021, , .	5.4	4
105	Gram-Scale Laboratory Synthesis of TC AC 28, a High-Affinity BET Bromodomain Ligand. ACS Omega, 2017, 2, 4328-4332.	3.5	3
106	DUB be good to me. Nature Chemical Biology, 2022, 18, 358-359.	8.0	3
107	The bromodomain and extra-terminal domain degrader MZ1 exhibits preclinical anti-tumoral activity in diffuse large B-cell lymphoma of the activated B cell-like type. Exploration of Targeted Anti-tumor Therapy, 2021, 2, 586-601.	0.8	3
108	Chapter 16. Discovery and Extrapolation of Fragment Structures towards Drug Design. RSC Biomolecular Sciences, 2007, , 293-318.	0.4	1

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109	PO-449 Optimisation of an AlphaLISA assay for the characterisation of PROTAC-induced ternary complexes within cell lysates. ESMO Open, 2018, 3, A198.	4.5	1
110	Switching domains. Nature Chemical Biology, 2016, 12, 659-660.	8.0	0
111	Targeted Protein Degradation with Small Molecules: How PROTACs Work. Proceedings (mdpi), 2019, 22, .	0.2	0
112	Editorial overview: Hot targets and new modalities. Current Opinion in Chemical Biology, 2021, 62, A1-A3.	6.1	0
113	The 2 nd Alpine Winter Conference on Medicinal and Synthetic Chemistry. ChemMedChem, 2021, 16, 2417-2423.	3.2	0