Tad A Holak

List of Publications by Year
in descending order

86 papers	5,479 citations	94433 37 h-index	82547 72 g-index
93 all docs	93 docs citations	93 times ranked	4938 citing authors

#	Article	IF	Citations
1	Structure of the Complex of Human Programmed Death 1, PD-1, and Its Ligand PD-L1. Structure, 2015, 23, 2341-2348.	3.3	399
2	Structural basis for small molecule targeting of the programmed death ligand 1 (PD-L1). Oncotarget, 2016, 7, 30323-30335.	1.8	297
3	Chalcone Derivatives Antagonize Interactions between the Human Oncoprotein MDM2 and p53â€. Biochemistry, 2001, 40, 336-344.	2.5	279
4	Structural Biology of the Immune Checkpoint Receptor PD-1 and Its Ligands PD-L1/PD-L2. Structure, 2017, 25, 1163-1174.	3.3	253
5	Small-Molecule Inhibitors of the Programmed Cell Death-1/Programmed Death-Ligand 1 (PD-1/PD-L1) Interaction via Transiently Induced Protein States and Dimerization of PD-L1. Journal of Medicinal Chemistry, 2017, 60, 5857-5867.	6.4	242
6	Structure of the Stapled p53 Peptide Bound to Mdm2. Journal of the American Chemical Society, 2012, 134, 103-106.	13.7	222
7	Small-molecule inhibitors of PD-1/PD-L1 immune checkpoint alleviate the PD-L1-induced exhaustion of T-cells. Oncotarget, 2017, 8, 72167-72181.	1.8	221
8	Structures of low molecular weight inhibitors bound to MDMX and MDM2 reveal new approaches for p53-MDMX/MDM2 antagonist drug discovery. Cell Cycle, 2010, 9, 1104-1111.	2.6	217
9	Structure of the human Mdmx protein bound to the p53 tumor suppressor transactivation domain. Cell Cycle, 2008, 7, 2441-2443.	2.6	182
10	The Structureâ€Based Design of Mdm2/Mdmxâ€“p53 Inhibitors Gets Serious. Angewandte Chemie - International Edition, 2011, 50, 2680-2688.	13.8	150
11	Robust Generation of Lead Compounds for Proteinâ€“Protein Interactions by Computational and MCR Chemistry: p53/Hdm2 Antagonists. Angewandte Chemie - International Edition, 2010, 49, 5352-5356.	13.8	136
12	Molecular Basis for the Inhibition of p53 by Mdmx. Cell Cycle, 2007, 6, 2386-2392.	2.6	132
13	Bioactive Macrocyclic Inhibitors of the PDâ€1/PDâ€L1 Immune Checkpoint. Angewandte Chemie - International Edition, 2017, 56, 13732-13735.	13.8	131
14	Immune Checkpoint PDâ€1/PDâ€L1: Is There Life Beyond Antibodies?. Angewandte Chemie - International Edition, 2018, 57, 4840-4848.	13.8	109
15	NMR indicates that the small molecule RITA does not block p53-MDM2 binding in vitro. Nature Medicine, 2005, 11, 1135-1136.	30.7	106
16	Development of the Inhibitors That Target the PD-1/PD-L1 Interactionâ€”A Brief Look at Progress on Small Molecules, Peptides and Macrocycles. Molecules, 2019, 24, 2071.	3.8	106
17	A patent review on PD-1/PD-L1 antagonists: small molecules, peptides, and macrocycles (2015-2018). Expert Opinion on Therapeutic Patents, 2018, 28, 665-678.	5.0	105
18	CA-170 â€“ A Potent Small-Molecule PD-L1 Inhibitor or Not?. Molecules, 2019, 24, 2804.	3.8	103

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19	High affinity interaction of the p53 peptide-analogue with human Mdm2 and Mdmx. Cell Cycle, 2009, 8, 1176-1184.	2.6	98
20	Enabling Large-Scale Design, Synthesis and Validation of Small Molecule Protein-Protein Antagonists. PLoS ONE, 2012, 7, e32839.	2.5	90
21	Inhibitors of programmed cell death 1 (PD-1): a patent review (2010-2015). Expert Opinion on Therapeutic Patents, 2016, 26, 973-977.	5.0	89
22	Application of NMR in Structural Proteomics. Structure, 2002, 10, 1613-1618.	3.3	86
23	The repeating segments of the F-actin cross-linking gelation factor (ABP-120) have an immunoglobulin-like fold. Nature Structural Biology, 1997, 4, 223-230.	9.7	75
24	Monitoring the Effects of Antagonists on Proteinâ^'Protein Interactions with NMR Spectroscopy. Journal of the American Chemical Society, 2005, 127, 13220-13226.	13.7	74
25	Design, Synthesis, Evaluation, and Structural Studies of C₂-Symmetric Small Molecule Inhibitors of Programmed Cell Death-1/Programmed Death-Ligand 1 Proteinâ€"Protein Interaction. Journal of Medicinal Chemistry, 2019, 62, 7250-7263.	6.4	71
26	The p53-MDM2/MDMX axis â€" A chemotype perspective. MedChemComm, 2011, 2, 246.	3.4	68
27	Mdm2 and MdmX inhibitors for the treatment of cancer: a patent review (2011 â€" present). Expert Opinion on Therapeutic Patents, 2013, 23, 425-448.	5.0	64
28	1,4â€Thienodiazepineâ€2,5â€diones via MCR (I): Synthesis, Virtual Space and p53â€Mdm2 Activity. Chemical Biology and Drug Design, 2010, 76, 116-129.	3.2	63
29	Programmed Deathâ€1: Therapeutic Success after More than 100â€...Years of Cancer Immunotherapy. Angewandte Chemie - International Edition, 2014, 53, 2286-2288.	13.8	62
30	Transient Protein States in Designing Inhibitors of the MDM2-p53 Interaction. Structure, 2013, 21, 2143-2151.	3.3	57
31	Isoquinolinâ€1â€one Inhibitors of the MDM2â€"p53 Interaction. ChemMedChem, 2008, 3, 1118-1128.	3.2	51
32	Exhaustive Fluorine Scanning toward Potent p53â€"Mdm2 Antagonists. ChemMedChem, 2012, 7, 49-52.	3.2	50
33	Prolonged Idasanutlin (RG7388) Treatment Leads to the Generation of p53-Mutated Cells. Cancers, 2018, 10, 396.	3.7	49
34	Di-bromo-Based Small-Molecule Inhibitors of the PD-1/PD-L1 Immune Checkpoint. Journal of Medicinal Chemistry, 2020, 63, 11271-11285.	6.4	45
35	Human and mouse PD-L1: similar molecular structure, but different druggability profiles. IScience, 2021, 24, 101960.	4.1	45
36	NMR characterization of structure, backbone dynamics, and glutathione binding of the human macrophage migration inhibitory factor (MIF). Protein Science, 1996, 5, 2095-2103.	7.6	44

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37	An NMR-Based Antagonist Induced Dissociation Assay for Targeting the Ligandâ"Protein and Proteinâ"Protein Interactions in Competition Binding Experiments. Journal of Medicinal Chemistry, 2007, 50, 4382-4387.	6.4	43
38	Terphenyl-Based Small-Molecule Inhibitors of Programmed Cell Death-1/Programmed Death-Ligand 1 Proteinâ€"Protein Interaction. Journal of Medicinal Chemistry, 2021, 64, 11614-11636.	6.4	42
39	Lithocholic Acid Hydroxyamide Destabilizes Cyclin D1 and Induces G 0 /G 1 Arrest by Inhibiting Deubiquitinase USP2a. Cell Chemical Biology, 2017, 24, 458-470.e18.	5.2	41
40	Discovery of Highly Potent p53-MDM2 Antagonists and Structural Basis for Anti-Acute Myeloid Leukemia Activities. ACS Chemical Biology, 2014, 9, 802-811.	3.4	38
41	Twoâ€Step Synthesis of Complex Artificial Macrocyclic Compounds. Angewandte Chemie - International Edition, 2017, 56, 10725-10729.	13.8	37
42	Rapid and Efficient Hydrophilicity Tuning of p53/mdm2 Antagonists. ACS Combinatorial Science, 2009, 11, 631-639.	3.3	34
43	Robust NMR Screening for Lead Compounds Using Tryptophan-Containing Proteins. Journal of the American Chemical Society, 2009, 131, 7500-7501.	13.7	32
44	2,3â€²-Bis(1â€²H-indole) heterocycles: New p53/MDM2/MDMX antagonists. Bioorganic and Medicinal Chemistry Letters, 2015, 25, 5661-5666.	2.2	32
45	A Unique Mdm2-Binding Mode of the 3-Pyrrolin-2-one- and 2-Furanone-Based Antagonists of the p53-Mdm2 Interaction. ACS Chemical Biology, 2016, 11, 3310-3318.	3.4	31
46	The conserved ubiquitin-like protein Hub1 plays a critical role in splicing in human cells. Journal of Molecular Cell Biology, 2014, 6, 312-323.	3.3	30
47	Rational design and synthesis of 1,5-disubstituted tetrazoles as potent inhibitors of the MDM2-p53 interaction. European Journal of Medicinal Chemistry, 2017, 126, 384-407.	5.5	30
48	A therapeutic patent overview of MDM2/X-targeted therapies (2014â€"2018). Expert Opinion on Therapeutic Patents, 2019, 29, 151-170.	5.0	30
49	Design, Synthesis, and Biological Evaluation of Imidazopyridines as PD-1/PD-L1 Antagonists. ACS Medicinal Chemistry Letters, 2021, 12, 768-773.	2.8	30
50	1,4,5-Trisubstituted Imidazole-Based p53â€"MDM2/MDMX Antagonists with Aliphatic Linkers for Conjugation with Biological Carriers. Journal of Medicinal Chemistry, 2017, 60, 4234-4244.	6.4	29
51	Multicomponent Peptide Stapling as a Diversityâ€Driven Tool for the Development of Inhibitors of Proteinâ€"Protein Interactions. Angewandte Chemie - International Edition, 2020, 59, 5235-5241.	13.8	29
52	Artificial Macrocycles as Potent p53â€"MDM2 Inhibitors. ACS Medicinal Chemistry Letters, 2017, 8, 1025-1030.	2.8	28
53	NMR structural characterization of the CDK inhibitor p19INK4d. FEBS Letters, 1997, 401, 127-132.	2.8	25
54	Helping the Released Guardian: Drug Combinations for Supporting the Anticancer Activity of HDM2 (MDM2) Antagonists. Cancers, 2019, 11, 1014.	3.7	25

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55	Identification of small-molecule inhibitors of USP2a. European Journal of Medicinal Chemistry, 2018, 150, 261-267.	5.5	24
56	Sequence-specific 1H, 13C, and 15N assignment of the human melanoma inhibitory activity (MIA) protein. Journal of Biomolecular NMR, 2000, 17, 87-88.	2.8	21
57	Ultrasensitive electrochemical determination of the cancer biomarker protein sPD-L1 based on a BMS-8-modified gold electrode. Bioelectrochemistry, 2021, 139, 107742.	4.6	18
58	PD-L1 Inhibitors: Different Classes, Activities, and Mechanisms of Action. International Journal of Molecular Sciences, 2021, 22, 11797.	4.1	18
59	Discovery of novel dual inhibitors against Mdm2 and Mdmx proteins by in silico approaches and binding assay. Life Sciences, 2016, 145, 240-246.	4.3	17
60	NMR 15 N relaxation of the insulin-like growth factor (IGF)-binding domain of IGF binding protein-5 (IGFBP-5) determined free in solution and in complex with IGF-II. FEBS Journal, 2001, 268, 1058-1065.	0.2	14
61	Sequence-specific 1H, 15N, and 13C assignment of the N-terminal domain of the human oncoprotein MDM2 that binds to p53. Journal of Biomolecular NMR, 2000, 17, 91-92.	2.8	13
62	Bioactive Macrocyclic Inhibitors of the PDâ€1/PDâ€L1 Immune Checkpoint. Angewandte Chemie, 2017, 129, 13920-13923.	2.0	13
63	A fluorinated indoleâ€based <scp>MDM</scp>2 antagonist selectively inhibits the growth of p53^{wt} osteosarcoma cells. FEBS Journal, 2019, 286, 1360-1374.	4.7	13
64	Anti-CD44 DNA Aptamers Selectively Target Cancer Cells. Nucleic Acid Therapeutics, 2020, 30, 289-298.	3.6	13
65	NMR Screening for Lead Compounds Using Tryptophan-Mutated Proteins. Journal of Medicinal Chemistry, 2008, 51, 5035-5042.	6.4	12
66	Robust refocusing of 13C magnetization in multidimensional NMR experiments by adiabatic fast passage pulses. , 1999, 15, 331-334.		11
67	Systematic â€˜foldamerizationâ€™ of peptide inhibiting p53-MDM2/X interactions by the incorporation of trans- or cis-2-aminocyclopentanecarboxylic acid residues. European Journal of Medicinal Chemistry, 2020, 208, 112814.	5.5	11
68	Competition NMR for Detection of Hit/Lead Inhibitors of Proteinâ€“Protein Interactions. Molecules, 2020, 25, 3017.	3.8	11
69	Design of indole- and MCR-based macrocycles as p53-MDM2 antagonists. Beilstein Journal of Organic Chemistry, 2019, 15, 513-520.	2.2	10
70	Twoâ€Step Synthesis of Complex Artificial Macrocyclic Compounds. Angewandte Chemie, 2017, 129, 10865-10869.	2.0	9
71	Hitting on the move: Targeting intrinsically disordered protein states of the MDM2-p53 interaction. European Journal of Medicinal Chemistry, 2019, 182, 111588.	5.5	9
72	Jetzt wird es ernst: strukturbasiertes Design von Mdm2/Mdmxâ€p53â€Inhibitoren. Angewandte Chemie, 2011, 123, 2732-2741.	2.0	7

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73	Imaging of Clear Cell Renal Carcinoma with Immune Checkpoint Targeting Aptamer-Based Probe. Pharmaceuticals, 2022, 15, 697.	3.8	7
74	Conformations and Conformational Processes of Hexahydrobenzazocines by NMR and DFT Studies. Journal of Organic Chemistry, 2015, 80, 9231-9239.	3.2	6
75	Multicomponent Peptide Stapling as a Diversityâ€Driven Tool for the Development of Inhibitors of Proteinâ€“Protein Interactions. Angewandte Chemie, 2020, 132, 5273-5279.	2.0	6
76	Mechanism of MyD88S mediated signal termination. Cell Communication and Signaling, 2022, 20, 10.	6.5	6
77	Crystal structure of the FAS1 domain of the hyaluronic acid receptor stabilin-2. Acta Crystallographica Section D: Structural Biology, 2018, 74, 695-701.	2.3	5
78	Optimized Inhibitors of MDM2 via an Attempted Proteinâ€Templated Reductive Amination. ChemMedChem, 2020, 15, 370-375.	3.2	5
79	Macrocyclic Peptide Inhibitor of PDâ€1/PDâ€L1 Immune Checkpoint. Advanced Therapeutics, 2021, 4, 2000195.	3.2	5
80	Structural Characterization of a Macrocyclic Peptide Modulator of the PD-1/PD-L1 Immune Checkpoint Axis. Molecules, 2021, 26, 4848.	3.8	5
81	Biphenyl Ether Analogs Containing Pomalidomide as Small-Molecule Inhibitors of the Programmed Cell Death-1/Programmed Cell Death-Ligand 1 Interaction. Molecules, 2022, 27, 3454.	3.8	5
82	Der Immuncheckpoint PDâ€1/PDâ€L1: Gibt es Therapieoptionen jenseits der AntikÃ¶rper?. Angewandte Chemie, 2018, 130, 4932-4940.	2.0	4
83	NMR fragment-based screening for development of the CD44-binding small molecules. Bioorganic Chemistry, 2019, 82, 284-289.	4.1	3
84	A fragment-based approach identifies an allosteric pocket that impacts malate dehydrogenase activity. Communications Biology, 2021, 4, 949.	4.4	2
85	NMR structural characterization of the N-terminal domain of the adenylyl cyclase-associated protein (CAP) from Dictyostelium discoideum. , 2004, 29, 73.		1
86	Analysis tools for single-monomer measurements of self-assembly processes. Scientific Reports, 2022, 12, 4682.	3.3	1