Tad A Holak

List of Publications by Year in descending order

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94381 82499 5,479 86 37 72 h-index citations g-index papers 93 93 93 4938 docs citations times ranked citing authors all docs

#	Article	IF	CITATIONS
1	Mechanism of MyD88S mediated signal termination. Cell Communication and Signaling, 2022, 20, 10.	2.7	6
2	Analysis tools for single-monomer measurements of self-assembly processes. Scientific Reports, 2022, 12, 4682.	1.6	1
3	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.	1.7	5
4	Imaging of Clear Cell Renal Carcinoma with Immune Checkpoint Targeting Aptamer-Based Probe. Pharmaceuticals, 2022, 15, 697.	1.7	7
5	Macrocyclic Peptide Inhibitor of PDâ€1/PDâ€11 Immune Checkpoint. Advanced Therapeutics, 2021, 4, 2000195.	1.6	5
6	Human and mouse PD-L1: similar molecular structure, but different druggability profiles. IScience, 2021, 24, 101960.	1.9	45
7	Design, Synthesis, and Biological Evaluation of Imidazopyridines as PD-1/PD-L1 Antagonists. ACS Medicinal Chemistry Letters, 2021, 12, 768-773.	1.3	30
8	Ultrasensitive electrochemical determination of the cancer biomarker protein sPD-L1 based on a BMS-8-modified gold electrode. Bioelectrochemistry, 2021, 139, 107742.	2.4	18
9	Terphenyl-Based Small-Molecule Inhibitors of Programmed Cell Death-1/Programmed Death-Ligand 1 Protein–Protein Interaction. Journal of Medicinal Chemistry, 2021, 64, 11614-11636.	2.9	42
10	Structural Characterization of a Macrocyclic Peptide Modulator of the PD-1/PD-L1 Immune Checkpoint Axis. Molecules, 2021, 26, 4848.	1.7	5
11	A fragment-based approach identifies an allosteric pocket that impacts malate dehydrogenase activity. Communications Biology, 2021, 4, 949.	2.0	2
12	PD-L1 Inhibitors: Different Classes, Activities, and Mechanisms of Action. International Journal of Molecular Sciences, 2021, 22, 11797.	1.8	18
13	Optimized Inhibitors of MDM2 via an Attempted Proteinâ€Templated Reductive Amination. ChemMedChem, 2020, 15, 370-375.	1.6	5
14	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.	2.6	11
15	Di-bromo-Based Small-Molecule Inhibitors of the PD-1/PD-L1 Immune Checkpoint. Journal of Medicinal Chemistry, 2020, 63, 11271-11285.	2.9	45
16	Anti-CD44 DNA Aptamers Selectively Target Cancer Cells. Nucleic Acid Therapeutics, 2020, 30, 289-298.	2.0	13
17	Competition NMR for Detection of Hit/Lead Inhibitors of Protein–Protein Interactions. Molecules, 2020, 25, 3017.	1.7	11
18	Multicomponent Peptide Stapling as a Diversityâ€Driven Tool for the Development of Inhibitors of Protein–Protein Interactions. Angewandte Chemie, 2020, 132, 5273-5279.	1.6	6

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19	Multicomponent Peptide Stapling as a Diversityâ€Driven Tool for the Development of Inhibitors of Protein–Protein Interactions. Angewandte Chemie - International Edition, 2020, 59, 5235-5241.	7.2	29
20	Hitting on the move: Targeting intrinsically disordered protein states of the MDM2-p53 interaction. European Journal of Medicinal Chemistry, 2019, 182, 111588.	2.6	9
21	CA-170 – A Potent Small-Molecule PD-L1 Inhibitor or Not?. Molecules, 2019, 24, 2804.	1.7	103
22	Design, Synthesis, Evaluation, and Structural Studies of <i>C</i> ₂ -Symmetric Small Molecule Inhibitors of Programmed Cell Death-1/Programmed Death-Ligand 1 Protein–Protein Interaction. Journal of Medicinal Chemistry, 2019, 62, 7250-7263.	2.9	71
23	Helping the Released Guardian: Drug Combinations for Supporting the Anticancer Activity of HDM2 (MDM2) Antagonists. Cancers, 2019, 11, 1014.	1.7	25
24	A fluorinated indoleâ€based <scp>MDM</scp> 2 antagonist selectively inhibits the growth of p53 ^{wt} osteosarcoma cells. FEBS Journal, 2019, 286, 1360-1374.	2.2	13
25	Development of the Inhibitors That Target the PD-1/PD-L1 Interaction—A Brief Look at Progress on Small Molecules, Peptides and Macrocycles. Molecules, 2019, 24, 2071.	1.7	106
26	A therapeutic patent overview of MDM2/X-targeted therapies (2014–2018). Expert Opinion on Therapeutic Patents, 2019, 29, 151-170.	2.4	30
27	Design of indole- and MCR-based macrocycles as p53-MDM2 antagonists. Beilstein Journal of Organic Chemistry, 2019, 15, 513-520.	1.3	10
28	NMR fragment-based screening for development of the CD44-binding small molecules. Bioorganic Chemistry, 2019, 82, 284-289.	2.0	3
29	Identification of small-molecule inhibitors of USP2a. European Journal of Medicinal Chemistry, 2018, 150, 261-267.	2.6	24
30	Immune Checkpoint PDâ€1/PDâ€L1: Is There Life Beyond Antibodies?. Angewandte Chemie - International Edition, 2018, 57, 4840-4848.	7.2	109
31	Der Immuncheckpoint PDâ€1/PDâ€11: Gibt es Therapieoptionen jenseits der Antikörper?. Angewandte Chemie, 2018, 130, 4932-4940.	1.6	4
32	Crystal structure of the FAS1 domain of the hyaluronic acid receptor stabilin-2. Acta Crystallographica Section D: Structural Biology, 2018, 74, 695-701.	1.1	5
33	Prolonged Idasanutlin (RG7388) Treatment Leads to the Generation of p53-Mutated Cells. Cancers, 2018, 10, 396.	1.7	49
34	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.	2.4	105
35	1,4,5-Trisubstituted Imidazole-Based p53–MDM2/MDMX Antagonists with Aliphatic Linkers for Conjugation with Biological Carriers. Journal of Medicinal Chemistry, 2017, 60, 4234-4244.	2.9	29
36	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.	2.9	242

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37	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.	2.5	41
38	Bioactive Macrocyclic Inhibitors of the PDâ€1/PDâ€1 Immune Checkpoint. Angewandte Chemie, 2017, 129, 13920-13923.	1.6	13
39	Artificial Macrocycles as Potent p53–MDM2 Inhibitors. ACS Medicinal Chemistry Letters, 2017, 8, 1025-1030.	1.3	28
40	Bioactive Macrocyclic Inhibitors of the PDâ€1/PDâ€1 Immune Checkpoint. Angewandte Chemie - International Edition, 2017, 56, 13732-13735.	7.2	131
41	Two‣tep Synthesis of Complex Artificial Macrocyclic Compounds. Angewandte Chemie, 2017, 129, 10865-10869.	1.6	9
42	Twoâ€Step Synthesis of Complex Artificial Macrocyclic Compounds. Angewandte Chemie - International Edition, 2017, 56, 10725-10729.	7.2	37
43	Structural Biology of the Immune Checkpoint Receptor PD-1 and Its Ligands PD-L1/PD-L2. Structure, 2017, 25, 1163-1174.	1.6	253
44	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.	2.6	30
45	Small-molecule inhibitors of PD-1/PD-L1 immune checkpoint alleviate the PD-L1-induced exhaustion of T-cells. Oncotarget, 2017, 8, 72167-72181.	0.8	221
46	Structural basis for small molecule targeting of the programmed death ligand 1 (PD-L1). Oncotarget, 2016, 7, 30323-30335.	0.8	297
47	Inhibitors of programmed cell death 1 (PD-1): a patent review (2010-2015). Expert Opinion on Therapeutic Patents, 2016, 26, 973-977.	2.4	89
48	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.	1.6	31
49	Discovery of novel dual inhibitors against Mdm2 and Mdmx proteins by in silico approaches and binding assay. Life Sciences, 2016, 145, 240-246.	2.0	17
50	Structure of the Complex of Human Programmed Death 1, PD-1, and Its Ligand PD-L1. Structure, 2015, 23, 2341-2348.	1.6	399
51	Conformations and Conformational Processes of Hexahydrobenzazocines by NMR and DFT Studies. Journal of Organic Chemistry, 2015, 80, 9231-9239.	1.7	6
52	2,3′-Bis(1′H-indole) heterocycles: New p53/MDM2/MDMX antagonists. Bioorganic and Medicinal Chemistry Letters, 2015, 25, 5661-5666.	1.0	32
53	The conserved ubiquitin-like protein Hub1 plays a critical role in splicing in human cells. Journal of Molecular Cell Biology, 2014, 6, 312-323.	1.5	30
54	Discovery of Highly Potent p53-MDM2 Antagonists and Structural Basis for Anti-Acute Myeloid Leukemia Activities. ACS Chemical Biology, 2014, 9, 802-811.	1.6	38

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55	Programmed Deathâ€1: Therapeutic Success after More than 100â€Years of Cancer Immunotherapy. Angewandte Chemie - International Edition, 2014, 53, 2286-2288.	7.2	62
56	Transient Protein States in Designing Inhibitors of the MDM2-p53 Interaction. Structure, 2013, 21, 2143-2151.	1.6	57
57	Mdm2 and MdmX inhibitors for the treatment of cancer: a patent review (2011 – present). Expert Opinion on Therapeutic Patents, 2013, 23, 425-448.	2.4	64
58	Structure of the Stapled p53 Peptide Bound to Mdm2. Journal of the American Chemical Society, 2012, 134, 103-106.	6.6	222
59	Enabling Large-Scale Design, Synthesis and Validation of Small Molecule Protein-Protein Antagonists. PLoS ONE, 2012, 7, e32839.	1.1	90
60	Exhaustive Fluorine Scanning toward Potent p53–Mdm2 Antagonists. ChemMedChem, 2012, 7, 49-52.	1.6	50
61	The p53-MDM2/MDMX axis – A chemotype perspective. MedChemComm, 2011, 2, 246.	3.5	68
62	Jetzt wird es ernst: strukturbasiertes Design von Mdm2/Mdmxâ€p53â€Inhibitoren. Angewandte Chemie, 2011, 123, 2732-2741.	1.6	7
63	The Structureâ€Based Design of Mdm2/Mdmx–p53 Inhibitors Gets Serious. Angewandte Chemie - International Edition, 2011, 50, 2680-2688.	7.2	150
64	Robust Generation of Lead Compounds for Protein–Protein Interactions by Computational and MCR Chemistry: p53/Hdm2 Antagonists. Angewandte Chemie - International Edition, 2010, 49, 5352-5356.	7.2	136
65	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.	1.5	63
66	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.	1.3	217
67	High affinity interaction of the p53 peptide-analogue with human Mdm2 and Mdmx. Cell Cycle, 2009, 8, 1176-1184.	1.3	98
68	Rapid and Efficient Hydrophilicity Tuning of p53/mdm2 Antagonists. ACS Combinatorial Science, 2009, 11, 631-639.	3.3	34
69	Robust NMR Screening for Lead Compounds Using Tryptophan-Containing Proteins. Journal of the American Chemical Society, 2009, 131, 7500-7501.	6.6	32
70	Isoquinolinâ€1â€one Inhibitors of the MDM2–p53 Interaction. ChemMedChem, 2008, 3, 1118-1128.	1.6	51
71	NMR Screening for Lead Compounds Using Tryptophan-Mutated Proteins. Journal of Medicinal Chemistry, 2008, 51, 5035-5042.	2.9	12
72	Structure of the human Mdmx protein bound to the p53 tumor suppressor transactivation domain. Cell Cycle, 2008, 7, 2441-2443.	1.3	182

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73	Molecular Basis for the Inhibition of p53 by Mdmx. Cell Cycle, 2007, 6, 2386-2392.	1.3	132
74	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.	2.9	43
75	NMR indicates that the small molecule RITA does not block p53-MDM2 binding in vitro. Nature Medicine, 2005, 11, 1135-1136.	15.2	106
76	Monitoring the Effects of Antagonists on Proteinâ^Protein Interactions with NMR Spectroscopy. Journal of the American Chemical Society, 2005, 127, 13220-13226.	6.6	74
77	NMR structural characterization of the N-terminal domain of the adenylyl cyclase-associated protein (CAP) from Dictyostelium discoideum., 2004, 29, 73.		1
78	Application of NMR in Structural Proteomics. Structure, 2002, 10, 1613-1618.	1.6	86
79	Chalcone Derivatives Antagonize Interactions between the Human Oncoprotein MDM2 and p53â€. Biochemistry, 2001, 40, 336-344.	1.2	279
80	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
81	Sequence-specific 1H, 13C, and 15N assignment of the human melanoma inhibitory activity (MIA) protein. Journal of Biomolecular NMR, 2000, 17, 87-88.	1.6	21
82	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.	1.6	13
83	Robust refocusing of 13C magnetization in multidimensional NMR experiments by adiabatic fast passage pulses. , 1999, 15, 331-334.		11
84	NMR structural characterization of the CDK inhibitor p19INK4d. FEBS Letters, 1997, 401, 127-132.	1.3	25
85	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
86	NMR characterization of structure, backbone dynamics, and glutathione binding of the human macrophage migration inhibitory factor (MIF). Protein Science, 1996, 5, 2095-2103.	3.1	44