Apirat Chaikuad

List of Publications by Year
in descending order

117 papers	4,789 citations	101496 36 h-index	114418 63 g-index
133 all docs	133 docs citations	133 times ranked	7560 citing authors

#	Article	IF	Citations
1	Inhibitors of the Hippo Pathway Kinases STK3/MST2 and STK4/MST1 Have Utility for the Treatment of Acute Myeloid Leukemia. Journal of Medicinal Chemistry, 2022, 65, 1352-1369.	2.9	18
2	Target 2035 â€“ update on the quest for a probe for every protein. RSC Medicinal Chemistry, 2022, 13, 13-21.	1.7	39
3	TDP-43 Modulation by Tau-Tubulin Kinase 1 Inhibitors: A New Avenue for Future Amyotrophic Lateral Sclerosis Therapy. Journal of Medicinal Chemistry, 2022, 65, 1585-1607.	2.9	20
4	Design of a Potent TLX Agonist by Rational Fragment Fusion. Journal of Medicinal Chemistry, 2022, 65, 2288-2296.	2.9	8
5	Development of the First Covalent Monopolar Spindle Kinase 1 (MPS1/TTK) Inhibitor. Journal of Medicinal Chemistry, 2022, 65, 3173-3192.	2.9	9
6	Image-Based Annotation of Chemogenomic Libraries for Phenotypic Screening. Molecules, 2022, 27, 1439.	1.7	19
7	Kinase domain autophosphorylation rewires the activity and substrate specificity of CK1 enzymes. Molecular Cell, 2022, 82, 2006-2020.e8.	4.5	12
8	Synthesis and biological evaluation of Haspin inhibitors: Kinase inhibitory potency and cellular activity. European Journal of Medicinal Chemistry, 2022, 236, 114369.	2.6	7
9	A Consensus Compound/Bioactivity Dataset for Data-Driven Drug Design and Chemogenomics. Molecules, 2022, 27, 2513.	1.7	10
10	Kinase Domain Autophosphorylation Rewires the Activity and Substrate Specificity of CK1 Enzymes. FASEB Journal, 2022, 36, .	0.2	1
11	Designed Ankyrin Repeat Proteins as a tool box for analyzing p63. Cell Death and Differentiation, 2022, 29, 2445-2458.	5.0	3
12	Combined Cardioprotective and Adipocyte Browning Effects Promoted by the Eutomer of Dual sEH/PPARÎ³ Modulator. Journal of Medicinal Chemistry, 2021, 64, 2815-2828.	2.9	7
13	Structure and Inhibitor Binding Characterization of Oncogenic MLLT1 Mutants. ACS Chemical Biology, 2021, 16, 571-578.	1.6	8
14	Structural Insights into Plasticity and Discovery of Remdesivir Metabolite GS-441524 Binding in SARS-CoV-2 Macrodomain. ACS Medicinal Chemistry Letters, 2021, 12, 603-609.	1.3	29
15	7-(2-Anilinopyrimidin-4-yl)-1-benzazepin-2-ones Designed by a â€œCut and Glueâ€•Strategy Are Dual Aurora A/VEGF-R Kinase Inhibitors. Molecules, 2021, 26, 1611.	1.7	3
16	Demonstrating Ligandability of the LC3A and LC3B Adapter Interface. Journal of Medicinal Chemistry, 2021, 64, 3720-3746.	2.9	22
17	Oxaprozin Analogues as Selective RXR Agonists with Superior Properties and Pharmacokinetics. Journal of Medicinal Chemistry, 2021, 64, 5123-5136.	2.9	15
18	Highly selective inhibitors of protein kinases CLK and HIPK with the furo[3,2-b]pyridine core. European Journal of Medicinal Chemistry, 2021, 215, 113299.	2.6	12

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19	Endogenous vitamin E metabolites mediate allosteric PPARÎ³ activation with unprecedented co-regulatory interactions. Cell Chemical Biology, 2021, 28, 1489-1500.e8.	2.5	19
20	Large-Scale Recombinant Production of the SARS-CoV-2 Proteome for High-Throughput and Structural Biology Applications. Frontiers in Molecular Biosciences, 2021, 8, 653148.	1.6	29
21	Propranolol Activates the Orphan Nuclear Receptor TLX to Counteract Proliferation and Migration of Glioblastoma Cells. Journal of Medicinal Chemistry, 2021, 64, 8727-8738.	2.9	10
22	Crystal Structure-Guided Design of Bisubstrate Inhibitors and Photoluminescent Probes for Protein Kinases of the PIM Family. Molecules, 2021, 26, 4353.	1.7	7
23	Controlling the Covalent Reactivity of a Kinase Inhibitor with Light. Angewandte Chemie - International Edition, 2021, 60, 20178-20183.	7.2	23
24	Controlling the Covalent Reactivity of a Kinase Inhibitor with Light. Angewandte Chemie, 2021, 133, 20340-20345.	1.6	2
25	Design and Development of a Chemical Probe for Pseudokinase Ca2+/calmodulin-Dependent Ser/Thr Kinase. Journal of Medicinal Chemistry, 2021, 64, 14358-14376.	2.9	3
26	Assessing reversible and irreversible binding effects of kinase covalent inhibitors through ADP-Glo assays. STAR Protocols, 2021, 2, 100717.	0.5	1
27	Discovery of a Potent and Highly Isoform-Selective Inhibitor of the Neglected Ribosomal Protein S6 Kinase Beta 2 (S6K2). Cancers, 2021, 13, 5133.	1.7	5
28	The Transcriptional Repressor Orphan Nuclear Receptor TLX Is Responsive to Xanthines. ACS Pharmacology and Translational Science, 2021, 4, 1794-1807.	2.5	7
29	Structure-Based Design of Dual Partial Peroxisome Proliferator-Activated Receptor Î³ Agonists/Soluble Epoxide Hydrolase Inhibitors. Journal of Medicinal Chemistry, 2021, 64, 17259-17276.	2.9	10
30	Selective targeting of the Î±C and DFG-out pocket in p38 MAPK. European Journal of Medicinal Chemistry, 2020, 208, 112721.	2.6	12
31	Design of new disubstituted imidazo[1,2-b]pyridazine derivatives as selective Haspin inhibitors. Synthesis, binding mode and anticancer biological evaluation. Journal of Enzyme Inhibition and Medicinal Chemistry, 2020, 35, 1840-1853.	2.5	14
32	The orphan nuclear receptor Nurr1 is responsive to non-steroidal anti-inflammatory drugs. Communications Chemistry, 2020, 3, .	2.0	29
33	A Chemical Probe for Dark Kinase STK17B Derives Its Potency and High Selectivity through a Unique P-Loop Conformation. Journal of Medicinal Chemistry, 2020, 63, 14626-14646.	2.9	17
34	Comprehensive Set of Tertiary Complex Structures and Palmitic Acid Binding Provide Molecular Insights into Ligand Design for RXR Isoforms. International Journal of Molecular Sciences, 2020, 21, 8457.	1.8	13
35	Design, Synthesis, and Characterization of an Orally Active Dual-Specific ULK1/2 Autophagy Inhibitor that Synergizes with the PARP Inhibitor Olaparib for the Treatment of Triple-Negative Breast Cancer. Journal of Medicinal Chemistry, 2020, 63, 14609-14625.	2.9	30
36	Catalytic Domain Plasticity of MKK7 Reveals Structural Mechanisms of Allosteric Activation and Diverse Targeting Opportunities. Cell Chemical Biology, 2020, 27, 1285-1295.e4.	2.5	19

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37	DFG-1 Residue Controls Inhibitor Binding Mode and Affinity, Providing a Basis for Rational Design of Kinase Inhibitor Selectivity. Journal of Medicinal Chemistry, 2020, 63, 10224-10234.	2.9	26
38	p63 uses a switch-like mechanism to set the threshold for induction of apoptosis. Nature Chemical Biology, 2020, 16, 1078-1086.	3.9	28
39	Crystal Structure and Inhibitor Identifications Reveal Targeting Opportunity for the Atypical MAPK Kinase ERK3. International Journal of Molecular Sciences, 2020, 21, 7953.	1.8	7
40	Comparative structural analyses and nucleotide-binding characterization of the four KH domains of FUBP1. Scientific Reports, 2020, 10, 13459.	1.6	3
41	How to Separate Kinase Inhibition from Undesired Monoamine Oxidase A Inhibitionâ€"The Development of the DYRK1A Inhibitor AnnH75 from the Alkaloid Harmine. Molecules, 2020, 25, 5962.	1.7	10
42	Discovery of a Novel Class of Covalent Dual Inhibitors Targeting the Protein Kinases BMX and BTK. International Journal of Molecular Sciences, 2020, 21, 9269.	1.8	16
43	Chemical Starting Matter for HNF4Î± Ligand Discovery and Chemogenomics. International Journal of Molecular Sciences, 2020, 21, 7895.	1.8	12
44	Radiolabeled cCPE Peptides for SPECT Imaging of Claudin-4 Overexpression in Pancreatic Cancer. Journal of Nuclear Medicine, 2020, 61, 1756-1763.	2.8	13
45	Hepatitis Delta Virus histone mimicry drives the recruitment of chromatin remodelers for viral RNA replication. Nature Communications, 2020, 11, 419.	5.8	19
46	<scp>l</scp>-Thyroxin and the Nonclassical Thyroid Hormone TETRAC Are Potent Activators of PPARÎ³. Journal of Medicinal Chemistry, 2020, 63, 6727-6740.	2.9	26
47	A Selective Modulator of Peroxisome Proliferator-Activated Receptor Î³ with an Unprecedented Binding Mode. Journal of Medicinal Chemistry, 2020, 63, 4555-4561.	2.9	5
48	A Novel Biphenyl-based Chemotype of Retinoid X Receptor Ligands Enables Subtype and Heterodimer Preferences. ACS Medicinal Chemistry Letters, 2019, 10, 1346-1352.	1.3	10
49	Fast Iterative Synthetic Approach toward Identification of Novel Highly Selective p38 MAP Kinase Inhibitors. Journal of Medicinal Chemistry, 2019, 62, 10757-10782.	2.9	18
50	Discovery of the First in Vivo Active Inhibitors of the Soluble Epoxide Hydrolase Phosphatase Domain. Journal of Medicinal Chemistry, 2019, 62, 8443-8460.	2.9	19
51	Leveraging Compound Promiscuity to Identify Targetable Cysteines within the Kinome. Cell Chemical Biology, 2019, 26, 818-829.e9.	2.5	43
52	Genetic, structural, and functional analysis of pathogenic variations causing methylmalonyl-CoA epimerase deficiency. Biochimica Et Biophysica Acta - Molecular Basis of Disease, 2019, 1865, 1265-1272.	1.8	13
53	Conservation of structure, function and inhibitor binding in UNC-51-like kinase 1 and 2 (ULK1/2). Biochemical Journal, 2019, 476, 875-887.	1.7	37
54	[b]-Annulated Halogen-Substituted Indoles as Potential DYRK1A Inhibitors. Molecules, 2019, 24, 4090.	1.7	15

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55	Structural Insights into Interaction Mechanisms of Alternative Piperazine-urea YEATS Domain Binders in MLLT1. ACS Medicinal Chemistry Letters, 2019, 10, 1661-1666.	1.3	23
56	Structural consequences of BMPR2 kinase domain mutations causing pulmonary arterial hypertension. Scientific Reports, 2019, 9, 18351.	1.6	9
57	Furo[3,2â€b]pyridine: A Privileged Scaffold for Highly Selective Kinase Inhibitors and Effective Modulators of the Hedgehog Pathway. Angewandte Chemie, 2019, 131, 1074-1078.	1.6	32
58	Furo[3,2â€b]pyridine: A Privileged Scaffold for Highly Selective Kinase Inhibitors and Effective Modulators of the Hedgehog Pathway. Angewandte Chemie - International Edition, 2019, 58, 1062-1066.	7.2	38
59	Lessons from LIMK1 enzymology and their impact on inhibitor design. Biochemical Journal, 2019, 476, 3197-3209.	1.7	14
60	Halogenâ€“Aromatic Ï€â€…Interactions Modulate Inhibitor Residence Times. Angewandte Chemie - International Edition, 2018, 57, 7220-7224.	7.2	45
61	Halogenaromatische Ï€â€Wechselwirkungen modulieren die Verweilzeit von Inhibitoren. Angewandte Chemie, 2018, 130, 7338-7343.	1.6	1
62	Das Cysteinom der Proteinkinasen als Zielstruktur in der Arzneistoffentwicklung. Angewandte Chemie, 2018, 130, 4456-4470.	1.6	9
63	The Cysteinome of Protein Kinases as a Target in Drug Development. Angewandte Chemie - International Edition, 2018, 57, 4372-4385.	7.2	173
64	InnenrÃ¼cktitelbild: Das Cysteinom der Proteinkinasen als Zielstruktur in der Arzneistoffentwicklung (Angew. Chem. 16/2018). Angewandte Chemie, 2018, 130, 4517-4517.	1.6	0
65	Structure-Based Approach toward Identification of Inhibitory Fragments for Eleven-Nineteen-Leukemia Protein (ENL). Journal of Medicinal Chemistry, 2018, 61, 10929-10934.	2.9	33
66	Discovery of an MLLT1/3 YEATS Domain Chemical Probe. Angewandte Chemie - International Edition, 2018, 57, 16302-16307.	7.2	58
67	Entdeckung einer chemischen Sonde fÃ¼r MLLT1/3â€YEATSâ€ÐomÃnen. Angewandte Chemie, 2018, 130, 16540-16545.	1.6	1
68	Development, Optimization, and Structureâ€“Activity Relationships of Covalent-Reversible JAK3 Inhibitors Based on a Tricyclic Imidazo[5,4-d]pyrrolo[2,3-b]pyridine Scaffold. Journal of Medicinal Chemistry, 2018, 61, 5350-5366.	2.9	46
69	Molecular structures of cdc2-like kinases in complex with a new inhibitor chemotype. PLoS ONE, 2018, 13, e0196761.	1.1	21
70	Identification of CLK1â€‰Inhibitors by a Fragmentâ€“linking Based Virtual Screening. Molecular Informatics, 2017, 36, 1600123.	1.4	2
71	Development of Potent, Selective SRPK1 Inhibitors as Potential Topical Therapeutics for Neovascular Eye Disease. ACS Chemical Biology, 2017, 12, 825-832.	1.6	78
72	RÃ¼cktitelbild: Discovery of a PCAF Bromodomain Chemical Probe (Angew. Chem. 3/2017). Angewandte Chemie, 2017, 129, 928-928.	1.6	0

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73	A Specific and Covalent JNKâ€1 Ligand Selected from an Encoded Selfâ€Assembling Chemical Library. Chemistry - A European Journal, 2017, 23, 8152-8155.	1.7	54
74	Discovery of a PCAF Bromodomain Chemical Probe. Angewandte Chemie, 2017, 129, 845-849.	1.6	10
75	Discovery of a PCAF Bromodomain Chemical Probe. Angewandte Chemie - International Edition, 2017, 56, 827-831.	7.2	69
76	Isoform-Selective ATAD2 Chemical Probe with Novel Chemical Structure and Unusual Mode of Action. ACS Chemical Biology, 2017, 12, 2730-2736.	1.6	69
77	Characterization of a highly selective inhibitor of the Aurora kinases. Bioorganic and Medicinal Chemistry Letters, 2017, 27, 4405-4408.	1.0	10
78	Structures of PGAM5 Provide Insight into Active Site Plasticity and Multimeric Assembly. Structure, 2017, 25, 1089-1099.e3.	1.6	27
79	Selective JAK3 Inhibitors with a Covalent Reversible Binding Mode Targeting a New Induced Fit Binding Pocket. Cell Chemical Biology, 2016, 23, 1335-1340.	2.5	96
80	Co-crystal structures of the protein kinase haspin with bisubstrate inhibitors. Acta Crystallographica Section F, Structural Biology Communications, 2016, 72, 339-345.	0.4	10
81	Discovery of New Bromodomain Scaffolds by Biosensor Fragment Screening. ACS Medicinal Chemistry Letters, 2016, 7, 1213-1218.	1.3	18
82	Structural Basis of Intracellular TGF-Î² Signaling: Receptors and Smads. Cold Spring Harbor Perspectives in Biology, 2016, 8, a022111.	2.3	65
83	An Unusual Binding Model of the Methyl 9-Anilinothiazolo[5,4-f] quinazoline-2-carbimidates (EHT 1610 and EHT 5372) Confers High Selectivity for Dual-Specificity Tyrosine Phosphorylation-Regulated Kinases. Journal of Medicinal Chemistry, 2016, 59, 10315-10321.	2.9	35
84	Discovery of pyrido[3,4-g]quinazoline derivatives as CMGC family protein kinase inhibitors: Design, synthesis, inhibitory potency and X-ray coâ€“crystal structure. European Journal of Medicinal Chemistry, 2016, 118, 170-177.	2.6	34
85	Structure-Based Identification of Inhibitory Fragments Targeting the p300/CBP-Associated Factor Bromodomain. Journal of Medicinal Chemistry, 2016, 59, 1648-1653.	2.9	39
86	Atad2 is a generalist facilitator of chromatin dynamics in embryonic stem cells. Journal of Molecular Cell Biology, 2016, 8, 349-362.	1.5	76
87	Discovery and Characterization of GSK2801, a Selective Chemical Probe for the Bromodomains BAZ2A and BAZ2B. Journal of Medicinal Chemistry, 2016, 59, 1410-1424.	2.9	133
88	Novel p38Î± MAP kinase inhibitors identified from yoctoReactor DNA-encoded small molecule library. MedChemComm, 2016, 7, 1332-1339.	3.5	68
89	Defined PEG smears as an alternative approach to enhance the search for crystallization conditions and crystal-quality improvement in reduced screens. Acta Crystallographica Section D: Biological Crystallography, 2015, 71, 1627-1639.	2.5	45
90	A core of kinase-regulated interactomes defines the neoplastic MDSC lineage. Oncotarget, 2015, 6, 27160-27175.	0.8	51

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91	Bisubstrate Inhibitor Approach for Targeting Mitotic Kinase Haspin. Bioconjugate Chemistry, 2015, 26, 225-234.	1.8	18
92	Small Molecules Dorsomorphin and LDN-193189 Inhibit Myostatin/GDF8 Signaling and Promote Functional Myoblast Differentiation. Journal of Biological Chemistry, 2015, 290, 3390-3404.	1.6	46
93	A small molecule targeting ALK1 prevents Notch cooperativity and inhibits functional angiogenesis. Angiogenesis, 2015, 18, 209-217.	3.7	53
94	10-Iodo-11H-indolo[3,2-c]quinoline-6-carboxylic Acids Are Selective Inhibitors of DYRK1A. Journal of Medicinal Chemistry, 2015, 58, 3131-3143.	2.9	87
95	Selective Inhibitors of Cyclin G Associated Kinase (GAK) as Anti-Hepatitis C Agents. Journal of Medicinal Chemistry, 2015, 58, 3393-3410.	2.9	54
96	Structure Enabled Design of BAZ2-ICR, A Chemical Probe Targeting the Bromodomains of BAZ2A and BAZ2B. Journal of Medicinal Chemistry, 2015, 58, 2553-2559.	2.9	90
97	The ins and outs of selective kinase inhibitor development. Nature Chemical Biology, 2015, 11, 818-821.	3.9	220
98	Copper is required for oncogenic BRAF signalling and tumorigenesis. Nature, 2014, 509, 492-496.	13.7	425
99	Structure of cyclin G-associated kinase (GAK) trapped in different conformations using nanobodies. Biochemical Journal, 2014, 459, 59-69.	1.7	56
100	Structure-based approaches towards identification of fragments for the low-druggability ATAD2 bromodomain. MedChemComm, 2014, 5, 1843-1848.	3.5	46
101	Modulation of the Chromatin Phosphoproteome by the Haspin Protein Kinase. Molecular and Cellular Proteomics, 2014, 13, 1724-1740.	2.5	37
102	A unique inhibitor binding site in ERK1/2 is associated with slow binding kinetics. Nature Chemical Biology, 2014, 10, 853-860.	3.9	187
103	Mechanism and consequence of the autoactivation of p38Î± mitogen-activated protein kinase promoted by TAB1. Nature Structural and Molecular Biology, 2013, 20, 1182-1190.	3.6	95
104	Targeting Low-Druggability Bromodomains: Fragment Based Screening and Inhibitor Design against the BAZ2B Bromodomain. Journal of Medicinal Chemistry, 2013, 56, 10183-10187.	2.9	92
105	Structural Basis for Cul3 Protein Assembly with the BTB-Kelch Family of E3 Ubiquitin Ligases. Journal of Biological Chemistry, 2013, 288, 7803-7814.	1.6	227
106	Structural basis for Cul3 protein assembly with the BTB-Kelch family of E3 ubiquitin ligases.. Journal of Biological Chemistry, 2013, 288, 28304.	1.6	3
107	A New Class of Small Molecule Inhibitor of BMP Signaling. PLoS ONE, 2013, 8, e62721.	1.1	219
108	Structure of the Bone Morphogenetic Protein Receptor ALK2 and Implications for Fibrodysplasia Ossificans Progressiva. Journal of Biological Chemistry, 2012, 287, 36990-36998.	1.6	159

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109	Structural and Kinetic Evidence That Catalytic Reaction of Human UDP-glucose 6-Dehydrogenase Involves Covalent Thiohemiacetal and Thioester Enzyme Intermediates. Journal of Biological Chemistry, 2012, 287, 2119-2129.	1.6	27
110	Structure of human aspartyl aminopeptidase complexed with substrate analogue: insight into catalytic mechanism, substrate specificity and M18 peptidase family. BMC Structural Biology, 2012, 12, 14.	2.3	29
111	Structure and kinetic characterization of human sperm-specific glyceraldehyde-3-phosphate dehydrogenase, GAPDS. Biochemical Journal, 2011, 435, 401-409.	1.7	29
112	Structure and Mechanism of Human UDP-glucose 6-Dehydrogenase. Journal of Biological Chemistry, 2011, 286, 23877-23887.	1.6	58
113	Conformational plasticity of glycogenin and its maltosaccharide substrate during glycogen biogenesis. Proceedings of the National Academy of Sciences of the United States of America, 2011, 108, 21028-21033.	3.3	49
114	UDP-glucose dehydrogenase: structure and function of a potential drug target. Biochemical Society Transactions, 2010, 38, 1378-1385.	1.6	58
115	Structural Comparison of Human Mammalian Ste20-Like Kinases. PLoS ONE, 2010, 5, e11905.	1.1	46
116	Conservation of structure and activity in Plasmodium purine nucleoside phosphorylases. BMC Structural Biology, 2009, 9, 42.	2.3	15
117	Structure of Lactate Dehydrogenase fromPlasmodium vivax:Â Complexes with NADH and APADHâ€. Biochemistry, 2005, 44, 16221-16228.	1.2	47