James R Kiefer

List of Publications by Year in descending order

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44 papers 3,619 citations

201674 27 h-index 243625 44 g-index

44 all docs 44 docs citations

times ranked

44

5309 citing authors

#	Article	IF	CITATIONS
1	Visualizing DNA replication in a catalytically active Bacillus DNA polymerase crystal. Nature, 1998, 391, 304-307.	27.8	561
2	An inhibitor of KDM5 demethylases reduces survival of drug-tolerant cancer cells. Nature Chemical Biology, 2016, 12, 531-538.	8.0	269
3	A Novel Mechanism of Cyclooxygenase-2 Inhibition Involving Interactions with Ser-530 and Tyr-385. Journal of Biological Chemistry, 2003, 278, 45763-45769.	3.4	257
4	The novel benzopyran class of selective cyclooxygenase-2 inhibitors. Part 2: The second clinical candidate having a shorter and favorable human half-life. Bioorganic and Medicinal Chemistry Letters, 2010, 20, 7159-7163.	2.2	250
5	Structural insights into the stereochemistry of the cyclooxygenase reaction. Nature, 2000, 405, 97-101.	27.8	222
6	Structural and Thermodynamic Characterization of the TYK2 and JAK3 Kinase Domains in Complex with CP-690550 and CMP-6. Journal of Molecular Biology, 2010, 400, 413-433.	4.2	201
7	Molecular Basis for Cyclooxygenase Inhibition by the Non-steroidal Anti-inflammatory Drug Naproxen. Journal of Biological Chemistry, 2010, 285, 34950-34959.	3.4	175
8	Crystal structure of a thermostable Bacillus DNA polymerase I large fragment at 2.1 \tilde{A} resolution. Structure, 1997, 5, 95-108.	3.3	156
9	Structural basis for dual-mode inhibition of the ABC transporter MsbA. Nature, 2018, 557, 196-201.	27.8	125
10	Diving into the Water: Inducible Binding Conformations for BRD4, TAF1(2), BRD9, and CECR2 Bromodomains. Journal of Medicinal Chemistry, 2016, 59, 5391-5402.	6.4	95
11	Orally Active MMP-1 Sparing α-Tetrahydropyranyl and α-Piperidinyl Sulfone Matrix Metalloproteinase (MMP) Inhibitors with Efficacy in Cancer, Arthritis, and Cardiovascular Disease. Journal of Medicinal Chemistry, 2010, 53, 6653-6680.	6.4	89
12	Fragment-Based Discovery of a Selective and Cell-Active Benzodiazepinone CBP/EP300 Bromodomain Inhibitor (CPI-637). ACS Medicinal Chemistry Letters, 2016, 7, 531-536.	2.8	87
13	Minor Structural Change to Tertiary Sulfonamide RORc Ligands Led to Opposite Mechanisms of Action. ACS Medicinal Chemistry Letters, 2015, 6, 276-281.	2.8	74
14	Development of a Potent, Specific CDK8 Kinase Inhibitor Which Phenocopies CDK8/19 Knockout Cells. ACS Medicinal Chemistry Letters, 2016, 7, 223-228.	2.8	73
15	High Resolution Crystal Structure of the Catalytic Domain of ADAMTS-5 (Aggrecanase-2). Journal of Biological Chemistry, 2008, 283, 1501-1507.	3.4	72
16	Discovery of a Potent and Selective in Vivo Probe (GNE-272) for the Bromodomains of CBP/EP300. Journal of Medicinal Chemistry, 2016, 59, 10549-10563.	6.4	69
17	Observing Translesion Synthesis of an Aromatic Amine DNA Adduct by a High-fidelity DNA Polymerase. Journal of Biological Chemistry, 2004, 279, 50280-50285.	3.4	65
18	Characterization of Celecoxib and Valdecoxib Binding to Cyclooxygenase. Molecular Pharmacology, 2003, 63, 870-877.	2.3	61

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19	Structure of mammalian poly(ADP-ribose) glycohydrolase reveals a flexible tyrosine clasp as a substrate-binding element. Nature Structural and Molecular Biology, 2012, 19, 653-656.	8.2	60
20	2-(6-Phenyl-1H-indazol-3-yl)-1H-benzo[d]imidazoles: Design and synthesis of a potent and isoform selective PKC-ζ inhibitor. Bioorganic and Medicinal Chemistry Letters, 2009, 19, 908-911.	2.2	57
21	Post-translational regulation of RORγt—A therapeutic target for the modulation of interleukin-17-mediated responses in autoimmune diseases. Cytokine and Growth Factor Reviews, 2016, 30, 1-17.	7.2	54
22	A three-step kinetic mechanism for selective inhibition of cyclo-oxygenase-2 by diarylheterocyclic inhibitors. Biochemical Journal, 2001, 357, 709.	3.7	46
23	From a novel HTS hit to potent, selective, and orally bioavailable KDM5 inhibitors. Bioorganic and Medicinal Chemistry Letters, 2017, 27, 2974-2981.	2.2	46
24	The novel benzopyran class of selective cyclooxygenase-2 inhibitors-part I: The first clinical candidate. Bioorganic and Medicinal Chemistry Letters, 2010, 20, 7155-7158.	2.2	44
25	Design and Development of a Series of Potent and Selective Type II Inhibitors of CDK8. ACS Medicinal Chemistry Letters, 2016, 7, 595-600.	2.8	44
26	Lead optimization of a pyrazolo[1,5-a]pyrimidin-7(4H)-one scaffold to identify potent, selective and orally bioavailable KDM5 inhibitors suitable for in vivo biological studies. Bioorganic and Medicinal Chemistry Letters, 2016, 26, 4036-4041.	2.2	44
27	Inhibition of bromodomain-containing protein 9 for the prevention of epigenetically-defined drug resistance. Bioorganic and Medicinal Chemistry Letters, 2017, 27, 3534-3541.	2.2	28
28	A reversed sulfonamide series of selective RORc inverse agonists. Bioorganic and Medicinal Chemistry Letters, 2014, 24, 5769-5776.	2.2	27
29	Water molecules in protein–ligand interfaces. Evaluation of software tools and SAR comparison. Journal of Computer-Aided Molecular Design, 2019, 33, 307-330.	2.9	25
30	Discovery of an Oral Potent Selective Inhibitor of Hematopoietic Prostaglandin D Synthase (HPGDS). ACS Medicinal Chemistry Letters, 2010, 1, 59-63.	2.8	22
31	The kinase IRAK4 promotes endosomal TLR and immune complex signaling in B cells and plasmacytoid dendritic cells. Science Signaling, 2020, 13, .	3.6	22
32	Development of Potent and Selective Pyrazolopyrimidine IRAK4 Inhibitors. Journal of Medicinal Chemistry, 2019, 62, 6223-6240.	6.4	21
33	Expression, purification, characterization and crystallization of non- and phosphorylated states of JAK2 and JAK3 kinase domain. Protein Expression and Purification, 2010, 69, 54-63.	1.3	20
34	Investigation of the binding pocket of human hematopoietic prostaglandin (PG) D2 synthase (hH-PGDS): A tale of two waters. Bioorganic and Medicinal Chemistry Letters, 2012, 22, 3795-3799.	2.2	20
35	Design and evaluation of 1,7-naphthyridones as novel KDM5 inhibitors. Bioorganic and Medicinal Chemistry Letters, 2016, 26, 4492-4496.	2.2	19
36	Improved Crystallographic Structures Using Extensive Combinatorial Refinement. Structure, 2013, 21, 1923-1930.	3.3	18

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37	GNE-886: A Potent and Selective Inhibitor of the Cat Eye Syndrome Chromosome Region Candidate 2 Bromodomain (CECR2). ACS Medicinal Chemistry Letters, 2017, 8, 737-741.	2.8	18
38	Discovery of Potent Benzolactam IRAK4 Inhibitors with Robust in Vivo Activity. ACS Medicinal Chemistry Letters, 2020, 11, 327-333.	2.8	16
39	Structure analysis reveals the flexibility of the ADAMTSâ€5 active site. Protein Science, 2011, 20, 735-744.	7.6	14
40	Stereochemical Differences in Fluorocyclopropyl Amides Enable Tuning of Btk Inhibition and Off-Target Activity. ACS Medicinal Chemistry Letters, 2020, 11, 1588-1597.	2.8	12
41	MMP-13 selective alpha-sulfone hydroxamates: Identification of selective P1′ amides. Bioorganic and Medicinal Chemistry Letters, 2011, 21, 2823-2825.	2.2	11
42	MMP-13 selective α-sulfone hydroxamates: A survey of P1′ heterocyclic amide isosteres. Bioorganic and Medicinal Chemistry Letters, 2011, 21, 2820-2822.	2.2	11
43	Trastuzumab does not bind rat or mouse ErbB2/neu: implications for selection of non-clinical safety models for trastuzumab-based therapeutics. Breast Cancer Research and Treatment, 2022, 191, 303-317.	2.5	10
44	Structure of human ADAM-8 catalytic domain complexed with batimastat. Acta Crystallographica Section F: Structural Biology Communications, 2012, 68, 616-621.	0.7	9