

Spiros Liras

List of Publications by Year in descending order

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75
papers

6,045
citations

71102

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76
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docs citations

93
times ranked

7080
citing authors

#	ARTICLE	IF	CITATIONS
1	The Future of Peptide-based Drugs. <i>Chemical Biology and Drug Design</i> , 2013, 81, 136-147.	3.2	1,483
2	On-resin N-methylation of cyclic peptides for discovery of orally bioavailable scaffolds. <i>Nature Chemical Biology</i> , 2011, 7, 810-817.	8.0	318
3	Enantioselective Intramolecular Cyclopropanations of Allylic and Homoallylic Diazoacetates and Diazoacetamides Using Chiral Dirhodium(II) Carboxamide Catalysts. <i>Journal of the American Chemical Society</i> , 1995, 117, 5763-5775.	13.7	227
4	Discovery of a Novel Class of Phosphodiesterase 10A Inhibitors and Identification of Clinical Candidate 2-[4-(1-Methyl-4-pyridin-4-yl-1H-pyrazol-3-yl)-phenoxy]methyl]-quinoline (PF-2545920) for the Treatment of Schizophrenia. Coordinates of the PDE10A crystal structures have been deposited in the Protein Data Bank for compound 1 (3HQW), 2 (3HQY), 3 (3HQW) and 9 (3HR1). <i>Journal of Medicinal Chemistry</i> , 2009, 52, 5188-5196.	6.4	195
5	Comparative Helicity of Cyclic Pentapeptides in Water. <i>Angewandte Chemie - International Edition</i> , 2014, 53, 6965-6969.	13.8	153
6	Rational design and synthesis of an orally bioavailable peptide guided by NMR amide temperature coefficients. <i>Proceedings of the National Academy of Sciences of the United States of America</i> , 2014, 111, 17504-17509.	7.1	130
7	Receptor-Mediated Delivery of CRISPR-Cas9 Endonuclease for Cell-Type-Specific Gene Editing. <i>Journal of the American Chemical Society</i> , 2018, 140, 6596-6603.	13.7	127
8	Improving on Nature: Making a Cyclic Heptapeptide Orally Bioavailable. <i>Angewandte Chemie - International Edition</i> , 2014, 53, 12059-12063.	13.8	123
9	Optimizing PK properties of cyclic peptides: the effect of side chain substitutions on permeability and clearance. <i>MedChemComm</i> , 2012, 3, 1282-1289.	3.4	120
10	Discovery of a Series of 6,7-Dimethoxy-4-pyrrolidylquinazoline PDE10A Inhibitors. <i>Journal of Medicinal Chemistry</i> , 2007, 50, 182-185.	6.4	113
11	Probing the Physicochemical Boundaries of Cell Permeability and Oral Bioavailability in Lipophilic Macrocycles Inspired by Natural Products. <i>Journal of Medicinal Chemistry</i> , 2015, 58, 4581-4589.	6.4	112
12	Nonclassical Size Dependence of Permeation Defines Bounds for Passive Adsorption of Large Drug Molecules. <i>Journal of Medicinal Chemistry</i> , 2017, 60, 1665-1672.	6.4	112
13	Fmoc-Based Synthesis of Disulfide-Rich Cyclic Peptides. <i>Journal of Organic Chemistry</i> , 2014, 79, 5538-5544.	3.2	110
14	Discovery of (S)-6-(3-Cyclopentyl-2-(4-(trifluoromethyl)-1H-imidazol-1-yl)propanamido)nicotinic Acid as a Hepatoselective Glucokinase Activator Clinical Candidate for Treating Type 2 Diabetes Mellitus. <i>Journal of Medicinal Chemistry</i> , 2012, 55, 1318-1333.	6.4	105
15	Selective stalling of human translation through small-molecule engagement of the ribosome nascent chain. <i>PLoS Biology</i> , 2017, 15, e2001882.	5.6	104
16	A Mild Method for the Preparation of 1,3,4-Oxadiazoles: Triflic Anhydride Promoted Cyclization of Diacylhydrazines. <i>Synthetic Communications</i> , 2000, 30, 437-443.	2.1	100
17	Enantio- and Diastereoselectivity in the Intramolecular Cyclopropanation of Secondary Allylic Diazoacetates. <i>Journal of the American Chemical Society</i> , 1994, 116, 4493-4494.	13.7	95
18	Use of 3D Properties to Characterize Beyond Rule-of-5 Property Space for Passive Permeation. <i>Journal of Chemical Information and Modeling</i> , 2012, 52, 882-890.	5.4	90

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19	Highly selective enantiomer differentiation in intramolecular cyclopropanation reactions of racemic secondary allylic diazoacetates.. Journal of the American Chemical Society, 1995, 117, 11021-11022.	13.7	88
20	Applications of Vinylogous Mannich Reactions. Total Syntheses of the Ergot Alkaloids Rugulovasines A and B and Setoclavine. Journal of the American Chemical Society, 2001, 123, 5918-5924.	13.7	88
21	Donated chemical probes for open science. ELife, 2018, 7, .	6.0	80
22	Exploring experimental and computational markers of cyclic peptides: Charting islands of permeability. European Journal of Medicinal Chemistry, 2015, 97, 202-213.	5.5	76
23	Cyclopropanes as conformationally restricted peptide isosteres. Design and synthesis of novel collagenase inhibitors. Tetrahedron, 1993, 49, 3521-3532.	1.9	75
24	Design and Discovery of 6-[(3 <i>S</i> ,4 <i>S</i>)-4-Methyl-1-(pyrimidin-2-ylmethyl)pyrrolidin-3-yl]-1-(tetrahydro-2 <i>H</i> -pyran-4-yl)-1,5-dihydro-4 <i>H</i> -pyrazolo[4,3- <i>d</i>]pyridin-2(1 <i>H</i>)-one (PF-04447943), a Selective Brain Penetrant PDE9A Inhibitor for the Treatment of Cognitive Disorders. Journal of Medicinal Chemistry, 2012, 55, 9045-9054.	6.4	71
25	Peptide to Peptoid Substitutions Increase Cell Permeability in Cyclic Hexapeptides. Organic Letters, 2015, 17, 2928-2931.	4.6	71
26	Efficient Liver Targeting by Polyvalent Display of a Compact Ligand for the Asialoglycoprotein Receptor. Journal of the American Chemical Society, 2017, 139, 3528-3536.	13.7	71
27	An Approach to the Skeleton of the Securinega Alkaloids. The Total Synthesis of (±)-Securinine. Organic Letters, 2001, 3, 703-706.	4.6	70
28	Identification of a Brain Penetrant PDE9A Inhibitor Utilizing Prospective Design and Chemical Enablement as a Rapid Lead Optimization Strategy. Journal of Medicinal Chemistry, 2009, 52, 7946-7949.	6.4	67
29	Structural basis for selective stalling of human ribosome nascent chain complexes by a drug-like molecule. Nature Structural and Molecular Biology, 2019, 26, 501-509.	8.2	67
30	Design and Synthesis of Truncated EGF-A Peptides that Restore LDL-R Recycling in the Presence of PCSK9 In Vitro. Chemistry and Biology, 2014, 21, 284-294.	6.0	63
31	Designing glucokinase activators with reduced hypoglycemia risk: discovery of N,N-dimethyl-5-(2-methyl-6-((5-methylpyrazin-2-yl)-carbamoyl)benzofuran-4-yloxy)pyrimidine-2-carboxamide as a clinical candidate for the treatment of type 2 diabetes mellitus. MedChemComm, 2011, 2, 828.	3.4	62
32	Biaryl-Bridged Macrocyclic Peptides: Conformational Constraint via Carbogenic Fusion of Natural Amino Acid Side Chains. Journal of Organic Chemistry, 2012, 77, 3099-3114.	3.2	55
33	Cyclic Penta- and Hexaleucine Peptides without N-Methylation Are Orally Absorbed. ACS Medicinal Chemistry Letters, 2014, 5, 1148-1151.	2.8	55
34	Discovery of Potent and Orally Bioavailable Macrocyclic Peptide Peptoid Hybrid CXCR7 Modulators. Journal of Medicinal Chemistry, 2017, 60, 9653-9663.	6.4	54
35	Medicinal Chemistry Design Principles for Liver Targeting Through OATP Transporters. Current Topics in Medicinal Chemistry, 2013, 13, 857-866.	2.1	51
36	Functionalization of Aromatic Amino Acids via Direct C-H Activation: Generation of Versatile Building Blocks for Accessing Novel Peptide Space. Organic Letters, 2010, 12, 3870-3873.	4.6	50

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37	Application of Structure-Based Drug Design and Parallel Chemistry to Identify Selective, Brain Penetrant, In Vivo Active Phosphodiesterase 9A Inhibitors. <i>Journal of Medicinal Chemistry</i> , 2012, 55, 9055-9068.	6.4	50
38	Enantioselective, rhodium catalyzed intramolecular cyclopropanations of homoallylic diazoacetates.. <i>Tetrahedron Letters</i> , 1992, 33, 6727-6730.	1.4	49
39	Novel applications of vinylogous Mannich reactions. Total synthesis of rugulovasines A and B. <i>Journal of the American Chemical Society</i> , 1993, 115, 10450-10451.	13.7	49
40	Use of Structure-Based Design to Discover a Potent, Selective, In Vivo Active Phosphodiesterase 10A Inhibitor Lead Series for the Treatment of Schizophrenia. <i>Journal of Medicinal Chemistry</i> , 2011, 54, 4536-4547.	6.4	47
41	Design and Discovery of a Selective Small Molecule μ Opioid Antagonist (2-Methyl- <i>N</i> -(2-(pyrrolidin-1-ylsulfonyl)biphenyl-4-yl)methyl)propan-1-amine, PF-4455242). <i>Journal of Medicinal Chemistry</i> , 2011, 54, 5868-5877.	6.4	46
42	A convergent method for the stereoselective synthesis of trisubstituted alkenes. <i>Journal of Organic Chemistry</i> , 1992, 57, 2523-2525.	3.2	44
43	Novel Approach to the Zaragozaic Acids. Enantioselective Total Synthesis of 6,7-Dideoxysqualestatin H5. <i>Journal of Organic Chemistry</i> , 2002, 67, 4200-4208.	3.2	41
44	Organic synthesis using bridgehead carbocations and bridgehead enones. <i>Chemical Reviews</i> , 1989, 89, 1591-1598.	47.7	38
45	Short Hydrophobic Peptides with Cyclic Constraints Are Potent Glucagon-like Peptide-1 Receptor (GLP-1R) Agonists. <i>Journal of Medicinal Chemistry</i> , 2015, 58, 4080-4085.	6.4	38
46	Small Molecule Proprotein Convertase Subtilisin/Kexin Type 9 (PCSK9) Inhibitors: Hit to Lead Optimization of Systemic Agents. <i>Journal of Medicinal Chemistry</i> , 2018, 61, 5704-5718.	6.4	37
47	Translational Diffusion of Cyclic Peptides Measured Using Pulsed-Field Gradient NMR. <i>Journal of Physical Chemistry B</i> , 2014, 118, 11129-11136.	2.6	35
48	Liver-Targeted Small Molecule Inhibitors of Proprotein Convertase Subtilisin/Kexin Type 9 Synthesis. <i>Angewandte Chemie - International Edition</i> , 2017, 56, 16218-16222.	13.8	35
49	Small-molecule phosphodiesterase probes: discovery of potent and selective CNS-penetrable quinoxaline inhibitors of PDE1. <i>MedChemComm</i> , 2014, 5, 1290-1296.	3.4	31
50	PF-07059013: A Noncovalent Modulator of Hemoglobin for Treatment of Sickle Cell Disease. <i>Journal of Medicinal Chemistry</i> , 2021, 64, 326-342.	6.4	29
51	Design and Synthesis of Diazatricyclodecane Agonists of the G-Protein-Coupled Receptor 119. <i>Journal of Medicinal Chemistry</i> , 2013, 56, 301-319.	6.4	28
52	Macrocyclizations for Medicinal Chemistry: Synthesis of Druglike Macrocycles by High-Concentration Ullmann Coupling. <i>Journal of Organic Chemistry</i> , 2012, 77, 11079-11090.	3.2	27
53	Permeability of Cyclic Peptide Macrocycles and Cyclotides and Their Potential as Therapeutics. <i>ACS Medicinal Chemistry Letters</i> , 2019, 10, 1026-1032.	2.8	24
54	An Efficient Synthesis of Bridged Heterocycles from an Ir(I) Bis-Amination/Ring-Closing Metathesis Sequence. <i>Organic Letters</i> , 2012, 14, 4802-4805.	4.6	22

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55	Chiral Sulfoxide-Induced Single Turn Peptide $\hat{\pm}$ -Helicity. <i>Scientific Reports</i> , 2016, 6, 38573.	3.3	22
56	Organosilicon radical-induced cyclization reactions. <i>Tetrahedron Letters</i> , 1990, 31, 5265-5268.	1.4	20
57	Cyclic alpha-conotoxin peptidomimetic chimeras as potent GLP-1R agonists. <i>European Journal of Medicinal Chemistry</i> , 2015, 103, 175-184.	5.5	20
58	Comparative pharmacokinetic profile of cyclosporine (CsA) with a decapeptide and a linear analogue. <i>Organic and Biomolecular Chemistry</i> , 2017, 15, 2501-2506.	2.8	20
59	Ring Closing Metathesis Mediated Synthesis of 4a-Aryloxodecahydroisoquinolines, Intermediates in the Preparation of Novel Opiates. <i>Organic Letters</i> , 2001, 3, 3483-3486.	4.6	19
60	Helixconstraints and amino acid substitution in GLP-1 increase cAMP and insulin secretion but not beta-arrestin 2 signaling. <i>European Journal of Medicinal Chemistry</i> , 2017, 127, 703-714.	5.5	19
61	Discovery of Potent and Selective Periphery-Restricted Quinazoline Inhibitors of the Cyclic Nucleotide Phosphodiesterase PDE1. <i>Journal of Medicinal Chemistry</i> , 2018, 61, 4635-4640.	6.4	19
62	Optimizing the Benefit/Risk of Acetyl-CoA Carboxylase Inhibitors through Liver Targeting. <i>Journal of Medicinal Chemistry</i> , 2020, 63, 10879-10896.	6.4	19
63	Exploring Aromatic Chemical Space with NEAT: Novel and Electronically Equivalent Aromatic Template. <i>Journal of Chemical Information and Modeling</i> , 2012, 52, 1114-1123.	5.4	16
64	Discovery of CP-866,087, a mu opioid receptor antagonist for the treatment of alcohol abuse and dependence. <i>MedChemComm</i> , 2011, 2, 1001.	3.4	15
65	Design, synthesis and biological evaluation of 3-amino-3-phenylpropionamide derivatives as novel $\hat{1}/4$ opioid receptor ligands. <i>Bioorganic and Medicinal Chemistry Letters</i> , 2000, 10, 523-526.	2.2	14
66	Biaryl piperidines as potent and selective delta opioid receptor ligands. <i>Bioorganic and Medicinal Chemistry Letters</i> , 2010, 20, 503-507.	2.2	14
67	Synthesis of 4,11-dideoxydaunomycinone by a Claisen/Diels-Alder sequence. <i>Journal of Organic Chemistry</i> , 1989, 54, 3137-3139.	3.2	12
68	Revisiting N-to-O Acyl Shift for Synthesis of Natural Product-like Cyclic Depsipeptides. <i>Organic Letters</i> , 2014, 16, 6088-6091.	4.6	11
69	Truncated Glucagon-like Peptide-1 and Exendin-4 $\hat{\pm}$ -Conotoxin p14a Peptide Chimeras Maintain Potency and $\hat{\pm}$ -Helicity and Reveal Interactions Vital for cAMP Signaling in Vitro. <i>Journal of Biological Chemistry</i> , 2016, 291, 15778-15787.	3.4	10
70	A new regiochemical control element for diels-alder reactions. <i>Tetrahedron Letters</i> , 1989, 30, 1907-1908.	1.4	6
71	Enantioselective Hydroarylation of Bridged [3.2.1] Heterocycles: An Efficient Entry into the Homoeipibatidine Skeleton. <i>Organic Letters</i> , 2013, 15, 3424-3427.	4.6	4
72	Discovery and pharmacological characterization of a selective delta opiate receptor antagonist (CP-646,777). <i>MedChemComm</i> , 2011, 2, 413.	3.4	3

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73	Editorial (Hot Topic:Designing Molecules to Cross Biological Membranes). Current Topics in Medicinal Chemistry, 2013, 13, 775-775.	2.1	3
74	Liverâ€Targeted Smallâ€Molecule Inhibitors of Proprotein Convertase Subtilisin/Kexin Type 9 Synthesis. Angewandte Chemie, 2017, 129, 16436-16440.	2.0	1
75	Receptorâ€Mediated Delivery of CRISPRâ€Cas9 Endonuclease for Cell Type Specific Gene Editing. FASEB Journal, 2018, 32, 649.2.	0.5	0