Giuseppe La Regina

List of Publications by Year
in descending order

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103	3,724	117619	138468
papers	citations	34 h-index	58 g-index

108	108	108	4546
all docs	docs citations	times ranked	citing authors

#	Article	IF	Citations
1	New Arylthioindoles:Â Potent Inhibitors of Tubulin Polymerization. 2. Structureâ^'Activity Relationships and Molecular Modeling Studies. Journal of Medicinal Chemistry, 2006, 49, 947-954.	6.4	331
2	Arylthioindoles, Potent Inhibitors of Tubulin Polymerization. Journal of Medicinal Chemistry, 2004, 47, 6120-6123.	6.4	260
3	Arylthioindole Inhibitors of Tubulin Polymerization. 3. Biological Evaluation, Structureâ^'Activity Relationships and Molecular Modeling Studies. Journal of Medicinal Chemistry, 2007, 50, 2865-2874.	6.4	177
4	Design, Molecular Modeling, Synthesis, and Anti-HIV-1 Activity of New Indolyl Aryl Sulfones. Novel Derivatives of the Indole-2-carboxamide. Journal of Medicinal Chemistry, 2006, 49, 3172-3184.	6.4	157
5	Novel Indolyl Aryl Sulfones Active against HIV-1 Carrying NNRTI Resistance Mutations:Â Synthesis and SAR Studies. Journal of Medicinal Chemistry, 2003, 46, 2482-2493.	6.4	149
6	Indolylarylsulfones as HIV-1 Non-Nucleoside Reverse Transcriptase Inhibitors: New Cyclic Substituents at Indole-2-carboxamide. Journal of Medicinal Chemistry, 2011, 54, 1587-1598.	6.4	137
7	New Pyrrole Inhibitors of Monoamine Oxidase:Â Synthesis, Biological Evaluation, and Structural Determinants of MAO-A and MAO-B Selectivity. Journal of Medicinal Chemistry, 2007, 50, 922-931.	6.4	114
8	Toward Highly Potent Cancer Agents by Modulating the C-2 Group of the Arylthioindole Class of Tubulin Polymerization Inhibitors. Journal of Medicinal Chemistry, 2013, 56, 123-149.	6.4	107
9	New Arylthioindoles and Related Bioisosteres at the Sulfur Bridging Group. 4. Synthesis, Tubulin Polymerization, Cell Growth Inhibition, and Molecular Modeling Studies. Journal of Medicinal Chemistry, 2009, 52, 7512-7527.	6.4	87
10	New Pyrrole Derivatives with Potent Tubulin Polymerization Inhibiting Activity As Anticancer Agents Including Hedgehog-Dependent Cancer. Journal of Medicinal Chemistry, 2014, 57, 6531-6552.	6.4	80
11	Docking and 3-D QSAR Studies on Indolyl Aryl Sulfones. Binding Mode Exploration at the HIV-1 Reverse Transcriptase Non-Nucleoside Binding Site and Design of Highly ActiveN-(2-Hydroxyethyl)carboxamide andN-(2-Hydroxyethyl)carbohydrazide Derivatives. Journal of Medicinal Chemistry, 2005, 48, 213-223.	6.4	77
12	Design and Synthesis of 2-Heterocyclyl-3-arylthio-1H-indoles as Potent Tubulin Polymerization and Cell Growth Inhibitors with Improved Metabolic Stability. Journal of Medicinal Chemistry, 2011, 54, 8394-8406.	6.4	70
13	Synthesis, Cannabinoid Receptor Affinity, and Molecular Modeling Studies of Substituted 1-Aryl-5-(1H-pyrrol-1-yl)-1H-pyrazole-3-carboxamides. Journal of Medicinal Chemistry, 2008, 51, 1560-1576.	6.4	65
14	Looking for an Active Conformation of the Future HIV Type-1 Non-Nucleoside Reverse Transcriptase Inhibitors. Antiviral Chemistry and Chemotherapy, 2010, 20, 213-237.	0.6	57
15	Pyrrolo[1,2-b][1,2,5]benzothiadiazepines (PBTDs):â€‰ A New Class of Agents with High Apoptotic Activity in Chronic Myelogenous Leukemia K562 Cells and in Cells from Patients at Onset and Who Were Imatinib-Resistant. Journal of Medicinal Chemistry, 2006, 49, 5840-5844.	6.4	56
16	Indolyl Aryl Sulfones as HIV-1 Non-Nucleoside Reverse Transcriptase Inhibitors:â€‰ Role of Two Halogen Atoms at the Indole Ring in Developing New Analogues with Improved Antiviral Activity. Journal of Medicinal Chemistry, 2007, 50, 5034-5038.	6.4	56
17	Indolylarylsulfones Bearing Natural and Unnatural Amino Acids. Discovery of Potent Inhibitors of HIV-1 Non-Nucleoside Wild Type and Resistant Mutant Strains Reverse Transcriptase and Coxsackie B4 Virus. Journal of Medicinal Chemistry, 2009, 52, 1922-1934.	6.4	54
18	Indole-2-carboxamides as Allosteric Modulators of the Cannabinoid CB1 Receptor. Journal of Medicinal Chemistry, 2012, 55, 5627-5631.	6.4	54

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19	Simple, Short Peptide Derivatives of a Sulfonylindolecarboxamide (L-737,126) Active in Vitro against HIV-1 Wild Type and Variants Carrying Non-Nucleoside Reverse Transcriptase Inhibitor Resistance Mutations. Journal of Medicinal Chemistry, 2004, 47, 3892-3896.	6.4	53
20	New Nitrogen Containing Substituents at the Indole-2-carboxamide Yield High Potent and Broad Spectrum Indolylarylsulfone HIV-1 Non-Nucleoside Reverse Transcriptase Inhibitors. Journal of Medicinal Chemistry, 2012, 55, 6634-6638.	6.4	52
21	Violacein, an indole-derived purple-colored natural pigment produced by Janthinobacterium lividum, inhibits the growth of head and neck carcinoma cell lines both in vitro and in vivo. Tumor Biology, 2016, 37, 3705-3717.	1.8	52
22	Novel 1-[2-(Diarylmethoxy)ethyl]-2-methyl-5-nitroimidazoles as HIV-1 Non-Nucleoside Reverse Transcriptase Inhibitors. A Structureâ˜Activity Relationship Investigation. Journal of Medicinal Chemistry, 2005, 48, 4378-4388.	6.4	51
23	New Indole Tubulin Assembly Inhibitors Cause Stable Arrest of Mitotic Progression, Enhanced Stimulation of Natural Killer Cell Cytotoxic Activity, and Repression of Hedgehog-Dependent Cancer. Journal of Medicinal Chemistry, 2015, 58, 5789-5807.	6.4	51
24	Simple, Potent, and Selective Pyrrole Inhibitors of Monoamine Oxidase Types A and B. Journal of Medicinal Chemistry, 2003, 46, 917-920.	6.4	47
25	Venting-while-Heating Microwave-Assisted Synthesis of 3-Arylthioindoles. ACS Combinatorial Science, 2012, 14, 258-262.	3.8	47
26	Imidazole Analogues of Fluoxetine, a Novel Class of Anti-CandidaAgents. Journal of Medicinal Chemistry, 2004, 47, 3924-3926.	6.4	43
27	Indolylarylsulfones Carrying a Heterocyclic Tail as Very Potent and Broad Spectrum HIV-1 Non-nucleoside Reverse Transcriptase Inhibitors. Journal of Medicinal Chemistry, 2014, 57, 9945-9957.	6.4	42
28	Endogenous vs Exogenous Allosteric Modulators in GPCRs: A dispute for shuttling CB1 among different membrane microenvironments. Scientific Reports, 2015, 5, 15453.	3.3	41
29	Structure-Based Lead Optimization and Biological Evaluation of BAX Direct Activators as Novel Potential Anticancer Agents. Journal of Medicinal Chemistry, 2015, 58, 2135-2148.	6.4	41
30	Anti-HIV-1 activity of pyrryl aryl sulfone (PAS) derivatives: synthesis and SAR studies of novel esters and amides at the position 2Âof the pyrrole nucleus. Il Farmaco, 2004, 59, 201-210.	0.9	40
31	Discovery of 1,1â€²-Biphenyl-4-sulfonamides as a New Class of Potent and Selective Carbonic Anhydrase XIV Inhibitors. Journal of Medicinal Chemistry, 2015, 58, 8564-8572.	6.4	40
32	Design, Synthesis, and Biological Evaluation of 1-Phenylpyrazolo[3,4-e]pyrrolo[3,4-g]indolizine-4,6(1H,5H)-diones as New Glycogen Synthase Kinase-3Î² Inhibitors. Journal of Medicinal Chemistry, 2013, 56, 10066-10078.	6.4	39
33	Pharmacological folding chaperones act as allosteric ligands of Frizzled4. Nature Chemical Biology, 2015, 11, 280-286.	8.0	35
34	New Inhibitors of Indoleamine 2,3-Dioxygenase 1: Molecular Modeling Studies, Synthesis, and Biological Evaluation. Journal of Medicinal Chemistry, 2016, 59, 9760-9773.	6.4	35
35	Towards modern anticancer agents that interact with tubulin. European Journal of Pharmaceutical Sciences, 2019, 131, 58-68.	4.0	34
36	Synthesis, structureâ€“activity relationships and molecular modeling studies of new indole inhibitors of monoamine oxidases A and B. Bioorganic and Medicinal Chemistry, 2008, 16, 9729-9740.	3.0	31

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37	New 6- and 7-heterocyclyl-1H-indole derivatives as potent tubulin assembly and cancer cell growth inhibitors. European Journal of Medicinal Chemistry, 2018, 152, 283-297.	5.5	30
38	p38 MAPK differentially controls NK activating ligands at transcriptional and post-transcriptional level on multiple myeloma cells. OncoImmunology, 2017, 6, e1264564.	4.6	29
39	1-[(3-Aryloxy-3-aryl)propyl]-1H-imidazoles, New Imidazoles with Potent Activity againstCandida albicansand Dermatophytes. Synthesis, Structureâ^'Activity Relationship, and Molecular Modeling Studies. Journal of Medicinal Chemistry, 2008, 51, 3841-3855.	6.4	28
40	Discovery of Biarylaminoquinazolines as Novel Tubulin Polymerization Inhibitors. Journal of Medicinal Chemistry, 2014, 57, 4598-4605.	6.4	28
41	Inhibition of dengue virus replication by novel inhibitors of RNA-dependent RNA polymerase and protease activities. Journal of Enzyme Inhibition and Medicinal Chemistry, 2017, 32, 1091-1101.	5.2	28
42	Comparative study between the polysaccharide-based Chiralcel OJ and Chiralcel OD CSPs in chromatographic enantioseparation of imidazole analogues of Fluoxetine and Miconazole. Journal of Separation Science, 2005, 28, 627-634.	2.5	26
43	Structure-Based Drug Design of Potent Pyrazole Derivatives against Rhinovirus Replication. Journal of Medicinal Chemistry, 2018, 61, 8402-8416.	6.4	26
44	Indolyl Aryl Sulphones as HIV-1 Non-Nucleoside Reverse Transcriptase Inhibitors: Synthesis, Biological Evaluation and Binding Mode Studies of New Derivatives at Indole-2-carboxamide. Antiviral Chemistry and Chemotherapy, 2006, 17, 59-77.	0.6	25
45	New 1-phenyl-5-(1H-pyrrol-1-yl)-1H-pyrazole-3-carboxamides inhibit hepatitis C virus replication via suppression of cyclooxygenase-2. European Journal of Medicinal Chemistry, 2015, 90, 497-506.	5.5	25
46	Small Molecule Inhibitors of KDM5 Histone Demethylases Increase the Radiosensitivity of Breast Cancer Cells Overexpressing JARID1B. Molecules, 2019, 24, 1739.	3.8	25
47	Open Vessel and Cooling while Heating Microwave-Assisted Synthesis of Pyridinyl N-Aryl Hydrazones. ACS Combinatorial Science, 2011, 13, 2-6.	3.8	24
48	Apple Can Act as Anti-Aging on Yeast Cells. Oxidative Medicine and Cellular Longevity, 2012, 2012, 1-8.	4.0	23
49	Bax Activation Blocks Self-Renewal and Induces Apoptosis of Human Glioblastoma Stem Cells. ACS Chemical Neuroscience, 2018, 9, 85-99.	3.5	22
50	New indolylarylsulfones as highly potent and broad spectrum HIV-1 non-nucleoside reverse transcriptase inhibitors. European Journal of Medicinal Chemistry, 2014, 80, 101-111.	5.5	21
51	A Negative Allosteric Modulator of WNT Receptor Frizzled 4 Switches into an Allosteric Agonist. Biochemistry, 2018, 57, 839-851.	2.5	21
52	Switching on the activity of 1,5-diaryl-pyrrole derivatives against drug-resistant ESKAPE bacteria: Structure-activity relationships and mode of action studies. European Journal of Medicinal Chemistry, 2019, 178, 500-514.	5.5	21
53	High Potency of Indolyl Aryl Sulfone Nonnucleoside Inhibitors towards Drug-Resistant Human Immunodeficiency Virus Type 1 Reverse Transcriptase Mutants Is Due to Selective Targeting of Different Mechanistic Forms of the Enzyme. Antimicrobial Agents and Chemotherapy, 2005, 49, 4546-4554.	3.2	19
54	Chiral Indolylarylsulfone Non-Nucleoside Reverse Transcriptase Inhibitors as New Potent and Broad Spectrum Anti-HIV-1 Agents. Journal of Medicinal Chemistry, 2017, 60, 6528-6547.	6.4	19

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55	Computer-Aided Identification and Lead Optimization of Dual Murine Double Minute 2 and 4 Binders: Structureâ€“Activity Relationship Studies and Pharmacological Activity. Journal of Medicinal Chemistry, 2017, 60, 8115-8130.	6.4	19
56	Mitotic cell death induction by targeting the mitotic spindle with tubulin-inhibitory indole derivative molecules. Oncotarget, 2017, 8, 19738-19759.	1.8	19
57	Î²-catenin knockdown promotes NHERF1-mediated survival of colorectal cancer cells: implications for a double-targeted therapy. Oncogene, 2018, 37, 3301-3316.	5.9	18
58	Arylsulfone-based HIV-1 non-nucleoside reverse transcriptase inhibitors. Future Medicinal Chemistry, 2013, 5, 2141-2156.	2.3	17
59	Non-nucleoside HIV-1 reverse transcriptase inhibitors di-halo-indolyl aryl sulfones achieve tight binding to drug-resistant mutants by targeting the enzymeâ€“substrate complex. Antiviral Research, 2009, 81, 47-55.	4.1	16
60	Synthesis, cannabinoid receptor affinity, molecular modeling studies and in vivo pharmacological evaluation of new substituted 1-aryl-5-(1H-pyrrol-1-yl)-1H-pyrazole-3-carboxamides. 2. Effect of the 3-carboxamide substituent on the affinity and selectivity profile. Bioorganic and Medicinal Chemistry, 2009, 17, 5549-5564.	3.0	15
61	Study of the effects of a new pyrazolecarboxamide: Changes in mitochondria and induction of apoptosis. International Journal of Biochemistry and Cell Biology, 2009, 41, 1890-1898.	2.8	15
62	1-Aryl-5-(1H-pyrrol-1-yl)-1H-pyrazole-3-carboxamide: An effective scaffold for the design of either CB1 or CB2 receptor ligands. European Journal of Medicinal Chemistry, 2011, 46, 5641-5653.	5.5	15
63	Kinetic characterization of 4,4â€²-biphenylsulfonamides as selective non-zinc binding MMP inhibitors. Journal of Enzyme Inhibition and Medicinal Chemistry, 2015, 30, 947-954.	5.2	15
64	Modulating undruggable targets to overcome cancer therapy resistance. Drug Resistance Updates, 2022, 60, 100788.	14.4	15
65	Discovery of Zika Virus NS2B/NS3 Inhibitors That Prevent Mice from Life-Threatening Infection and Brain Damage. ACS Medicinal Chemistry Letters, 2020, 11, 1869-1874.	2.8	14
66	An High-Throughput In Vivo Screening System to Select H3K4-Specific Histone Demethylase Inhibitors. PLoS ONE, 2014, 9, e86002.	2.5	14
67	Emerging Therapeutic Agents for Colorectal Cancer. Molecules, 2021, 26, 7463.	3.8	14
68	Radiosynthesis and in vivo evaluation of [11C]-labelled pyrrole-2-carboxamide derivates as novel radioligands for PET imaging of monoamine oxidase A. Nuclear Medicine and Biology, 2010, 37, 459-467.	0.6	13
69	Drug Design and Synthesis of First in Class PDZ1 Targeting NHERF1 Inhibitors as Anticancer Agents. ACS Medicinal Chemistry Letters, 2019, 10, 499-503.	2.8	13
70	Discovery of pyrrole derivatives for the treatment of glioblastoma and chronic myeloid leukemia. European Journal of Medicinal Chemistry, 2021, 221, 113532.	5.5	12
71	Targeting PDZ domains as potential treatment for viral infections, neurodegeneration and cancer. Biology Direct, 2021, 16, 15.	4.6	12
72	Enantioselective HPLC combined with spectroscopic methods: A valid strategy to determine the absolute configuration of potential Î²-secretase inhibitors. Talanta, 2010, 82, 1306-1312.	5.5	11

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73	CXCR4 antagonism sensitizes cancer cells to novel indole-based MDM2/4 inhibitors in glioblastoma multiforme. European Journal of Pharmacology, 2021, 897, 173936.	3.5	11
74	Arylthioindoles: Promising compounds against cancer cell proliferation. Oncology Letters, 2010, 1, 109-112.	1.8	10
75	New indolylarylsulfone non-nucleoside reverse transcriptase inhibitors show low nanomolar inhibition of single and double HIV-1 mutant strains. European Journal of Medicinal Chemistry, 2020, 208, 112696.	5.5	10
76	RS-5645 attenuates inflammatory cytokine storm induced by SARS-CoV-2 spike protein and LPS by modulating pulmonary microbiota. International Journal of Biological Sciences, 2021, 17, 3305-3319.	6.4	9
77	Synthetic strategies of nonpeptidic Î²â€secretase (BACE1) inhibitors. Journal of Heterocyclic Chemistry, 2009, 46, 10-17.	2.6	8
78	A New, Simple, and High-Yielding Synthesis of 2,9-Dihydro-1H-pyrido[3,4-b]indol-1-ones. Synthesis, 2014, 46, 2093-2097.	2.3	8
79	3-Aroyl-1,4-diarylpyrroles Inhibit Chronic Myeloid Leukemia Cell Growth through an Interaction with Tubulin. ACS Medicinal Chemistry Letters, 2017, 8, 521-526.	2.8	8
80	Synthesis and biological evaluation of new N-alkyl 1-aryl-5-(1H-pyrrol-1-yl)-1H-pyrazole-3-carboxamides as cannabinoid receptor ligands. European Journal of Medicinal Chemistry, 2010, 45, 5878-5886.	5.5	7
81	Mechanism of Interaction of Novel Indolylarylsulfone Derivatives with K103N and Y181I Mutant HIV-1 Reverse Transcriptase in Complex with its Substrates. Antiviral Chemistry and Chemotherapy, 2011, 22, 107-118.	0.6	7
82	Drug-induced inhibition of tubulin polymerization induces mitochondrion-mediated apoptosis in yeast. Cell Cycle, 2011, 10, 3208-3209.	2.6	7
83	Targeting the Interaction between the SH3 Domain of Grb2 and Gab2. Cells, 2020, 9, 2435.	4.1	7
84	PYRROLO[1,2-b][1,2,5]BENZOTHIADIAZEPINES (PBTDs) induce apoptosis in K562 cells. BMC Cancer, 2007, 7, 207.	2.6	6
85	AN IMPROVED SYNTHESIS OF ETHYL 5-CHLORO-4-FLUORO-1H-INDOLE-2-CARBOXYLATE. Organic Preparations and Procedures International, 2008, 40, 204-208.	1.3	6
86	Selenotriapine â€“ An isostere of the most studied thiosemicarbazone with pronounced pro-apoptotic activity, low toxicity and ability to challenge phenotype reprogramming of 3-D mammary adenocarcinoma tumors. Arabian Journal of Chemistry, 2020, 13, 1466-1489.	4.9	6
87	Indolyl aryl sulphones as HIV-1 reverse transcriptase inhibitors: docking and 3D QSAR studies. Expert Opinion on Drug Discovery, 2007, 2, 87-114.	5.0	5
88	Structure-activity relationship studies and inÂvitro and inÂvivo anticancer activity of novel 3-aroyl-1,4-diarylpyrroles against solid tumors and hematological malignancies. European Journal of Medicinal Chemistry, 2020, 185, 111828.	5.5	5
89	Sulfonamide Inhibitors of Î²â€Catenin Signaling as Anticancer Agents with Different Output on câ€MYC. ChemMedChem, 2020, 15, 2264-2268.	3.2	5
90	A New Case Manager for Diabetic Patients: A Pilot Observational Study of the Role of Community Pharmacists and Pharmacy Services in the Case Management of Diabetic Patients. Pharmacy (Basel,) Tj ETQq0 0 0 rgBT /Overlock 10 Tf 5	1.8	5

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91	RS4651 suppresses lung fibroblast activation via the TGF-Î²1/SMAD signalling pathway. European Journal of Pharmacology, 2021, 903, 174135.	3.5	4
92	Structure-based Virtual Screening to Get New Scaffold Inhibitors of the Ser/Thr Protein Kinase PknB from Mycobacterium tuberculosis. Letters in Drug Design and Discovery, 2016, 13, 1012-1018.	0.7	4
93	Anticancer Activity of (S)-5-Chloro-3-((3,5-dimethylphenyl)sulfonyl)-N-(1-oxo-1-((pyridin-4-ylmethyl)amino)propan-2-yl)-1H-indole-2-carboxamide (RS4690), a New Dishevelled 1 Inhibitor. Cancers, 2022, 14, 1358.	4.7	4
94	Discovery of novel human lactate dehydrogenase inhibitors: Structure-based virtual screening studies and biological assessment. European Journal of Medicinal Chemistry, 2022, 240, 114605.	5.5	4
95	Chiral resolution and binding study of 1,3,4,14b-tetrahydro-2,10-dimethyl-2H,10H-pyrazino[2,1-d]pyrrolo[1,2-b] [1,2,5]benzotriazepine (10-methyl-10-azaaptazepine) and 2-methyl-1,3,4,14b-tetrahydro-2H-pyrazino[2,1-d]pyrrolo[1,2-b] [1,2,5]benzothiadiazepine 10,10-dioxide (tiaaptazepine). Il Farmaco, 2005, 60, 931-937.	0.9	3
96	Discovery of New 1,1â€²-Biphenyl-4-sulfonamides as Selective Subnanomolar Human Carbonic Anhydrase II Inhibitors. ACS Medicinal Chemistry Letters, 2020, 11, 633-637.	2.8	2
97	Anti-HIV-1 Activity of Pyrryl Aryl Sulfone (PAS) Derivatives: Synthesis and SAR Studies of Novel Esters and Amides at the Position 2 of the Pyrrole Nucleus.. ChemInform, 2004, 35, no.	0.0	0
98	In This Issue, Volume 11, Issue 1. ACS Medicinal Chemistry Letters, 2020, 11, 1-1.	2.8	0
99	In This Issue, Volume 12, Issue 3. ACS Medicinal Chemistry Letters, 2021, 12, 309-309.	2.8	0
100	Discovery of a Novel Class of Norovirus Inhibitors with High Barrier of Resistance. Pharmaceuticals, 2021, 14, 1006.	3.8	0
101	Synthetic approaches to difluoroindolecarboxylic acid ethyl esters. Arkivoc, 2004, 2004, 26-31.	0.5	0
102	In This Issue, Volume 13, Issue 1. ACS Medicinal Chemistry Letters, 2022, 13, 1-2.	2.8	0
103	An Innovation 10 Years in the Making: The Stories in the Pages of ACS Medicinal Chemistry Letters. ACS Medicinal Chemistry Letters, 2022, 13, 540-545.	2.8	0