Giuseppe La Regina

List of Publications by Citations

95 papers	3,236 citations	32 h-index	54 g-index
108 ext. papers	3,598 ext. citations	6.1 avg, IF	5.97 L-index

#	Paper	IF	Citations
95	New arylthioindoles: potent inhibitors of tubulin polymerization. 2. Structure-activity relationships and molecular modeling studies. *Journal of Medicinal Chemistry*, **2006**, 49, 947-54	8.3	289
94	Arylthioindoles, potent inhibitors of tubulin polymerization. *Journal of Medicinal Chemistry*, **2004**, 47, 6120-3	8.3	223
93	Arylthioindole inhibitors of tubulin polymerization. 3. Biological evaluation, structure-activity relationships and molecular modeling studies. *Journal of Medicinal Chemistry*, **2007**, 50, 2865-74	8.3	157
92	Novel indolyl aryl sulfones active against HIV-1 carrying NNRTI resistance mutations: synthesis and SAR studies. *Journal of Medicinal Chemistry*, **2003**, 46, 2482-93	8.3	136
91	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, 3172-84	8.3	135
90	Indolylarylsulfones as HIV-1 non-nucleoside reverse transcriptase inhibitors: new cyclic substituents at indole-2-carboxamide. *Journal of Medicinal Chemistry*, **2011**, 54, 1587-98	8.3	112
89	New pyrrole inhibitors of monoamine oxidase: synthesis, biological evaluation, and structural determinants of MAO-A and MAO-B selectivity. *Journal of Medicinal Chemistry*, **2007**, 50, 922-31	8.3	106
88	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, 123-49	8.3	91
87	In This Issue, Volume 11, Issue 1. *ACS Medicinal Chemistry Letters*, **2020**, 11, 1-1	4.3	78
86	In This Issue, Volume 12, Issue 3. *ACS Medicinal Chemistry Letters*, **2021**, 12, 309-309	4.3	78
85	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 active N-(2-hydroxyethyl)carboxamide and N-(2-hydroxyethyl)carbohydrazide derivatives. *Journal of Medicinal Chemistry*, **2005**, 48, 913-23	8.3	73
84	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, 7512-27	8.3	70
83	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, 1560-76	8.3	61
82	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, 8394-406	8.3	58
81	New pyrrole derivatives with potent tubulin polymerization inhibiting activity as anticancer agents including hedgehog-dependent cancer. *Journal of Medicinal Chemistry*, **2014**, 57, 6531-52	8.3	57
80	Pyrrolo[1,2-b][1,2,5]benzothiadiazepines (PBTDs): 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, 5840-4	8.3	53
79	Indole-2-carboxamides as allosteric modulators of the cannabinoid CB1 receptor. *Journal of Medicinal Chemistry*, **2012**, 55, 5627-31	8.3	52

78	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, 1922-34	8.3	52
77	Indolyl aryl sulfones as HIV-1 non-nucleoside reverse transcriptase inhibitors: role of two halogen atoms at the indole ring in developing new analogues with improved antiviral activity. *Journal of Medicinal Chemistry*, **2007**, 50, 5034-8	8.3	52
76	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, 3892-6	8.3	52
75	Looking for an active conformation of the future HIV type-1 non-nucleoside reverse transcriptase inhibitors. *Antiviral Chemistry and Chemotherapy*, **2010**, 20, 213-37	3.5	50
74	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, 6634-8	8.3	48
73	Novel 1-[2-(diarylmethoxy)ethyl]-2-methyl-5-nitroimidazoles as HIV-1 non-nucleoside reverse transcriptase inhibitors. A structure-activity relationship investigation. *Journal of Medicinal Chemistry*, **2005**, 48, 4378-88	8.3	44
72	Venting-while-heating microwave-assisted synthesis of 3-arylthioindoles. *ACS Combinatorial Science* , **2012**, 14, 258-62	3.9	43
71	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, 3705-17	2.9	40
70	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, 5789-807	8.3	38
69	Imidazole analogues of fluoxetine, a novel class of anti-Candida agents. *Journal of Medicinal Chemistry*, **2004**, 47, 3924-6	8.3	38
68	Simple, potent, and selective pyrrole inhibitors of monoamine oxidase types A and B. *Journal of Medicinal Chemistry*, **2003**, 46, 917-20	8.3	38
67	Indolylarylsulfones carrying a heterocyclic tail as very potent and broad spectrum HIV-1 non-nucleoside reverse transcriptase inhibitors. *Journal of Medicinal Chemistry*, **2014**, 57, 9945-57	8.3	37
66	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. *Il Farmaco*, **2004**, 59, 201-10		36
65	Discovery of 1,1′-Biphenyl-4-sulfonamides as a New Class of Potent and Selective Carbonic Anhydrase XIV Inhibitors. *Journal of Medicinal Chemistry*, **2015**, 58, 8564-72	8.3	34
64	Endogenous vs Exogenous Allosteric Modulators in GPCRs: A dispute for shuttling CB1 among different membrane microenvironments. *Scientific Reports*, **2015**, 5, 15453	4.9	32
63	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-3β inhibitors. *Journal of Medicinal Chemistry*, **2013**, 56, 10066-78	8.3	31
62	Pharmacological folding chaperones act as allosteric ligands of Frizzled4. *Nature Chemical Biology*, **2015**, 11, 280-6	11.7	28
61	New Inhibitors of Indoleamine 2,3-Dioxygenase 1: Molecular Modeling Studies, Synthesis, and Biological Evaluation. *Journal of Medicinal Chemistry*, **2016**, 59, 9760-9773	8.3	28

60	Synthesis, structure-activity relationships and molecular modeling studies of new indole inhibitors of monoamine oxidases A and B. *Bioorganic and Medicinal Chemistry*, **2008**, 16, 9729-40	3.4	27
59	1-[(3-Aryloxy-3-aryl)propyl]-1H-imidazoles, new imidazoles with potent activity against Candida albicans and dermatophytes. Synthesis, structure-activity relationship, and molecular modeling studies. *Journal of Medicinal Chemistry*, **2008**, 51, 3841-55	8.3	26
58	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	5.6	25
57	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	3.5	23
56	Comparative study between the polysaccharide-based chiralcel OJ and chiralcel OD CSPSs in chromatographic enantioseparation of imidazole analogues of fluoxetine and miconazole. *Journal of Separation Science*, **2005**, 28, 627-34	3.4	23
55	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	6.8	22
54	Structure-based lead optimization and biological evaluation of BAX direct activators as novel potential anticancer agents. *Journal of Medicinal Chemistry*, **2015**, 58, 2135-48	8.3	22
53	Discovery of biarylaminoquinazolines as novel tubulin polymerization inhibitors. *Journal of Medicinal Chemistry*, **2014**, 57, 4598-4605	8.3	21
52	p38 MAPK differentially controls NK activating ligands at transcriptional and post-transcriptional level on multiple myeloma cells. *OncoImmunology*, **2017**, 6, e1264564	7.2	20
51	Towards modern anticancer agents that interact with tubulin. *European Journal of Pharmaceutical Sciences*, **2019**, 131, 58-68	5.1	20
50	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	6.8	20
49	Apple can act as anti-aging on yeast cells. *Oxidative Medicine and Cellular Longevity*, **2012**, 2012, 491759	6.7	20
48	Open vessel and cooling while heating microwave-assisted synthesis of pyridinyl N-aryl hydrazones. *ACS Combinatorial Science*, **2011**, 13, 2-6	3.9	20
47	New indolylarylsulfones as highly potent and broad spectrum HIV-1 non-nucleoside reverse transcriptase inhibitors. *European Journal of Medicinal Chemistry*, **2014**, 80, 101-11	6.8	18
46	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, 4546-54	5.9	18
45	Bax Activation Blocks Self-Renewal and Induces Apoptosis of Human Glioblastoma Stem Cells. *ACS Chemical Neuroscience*, **2018**, 9, 85-99	5.7	17
44	A Negative Allosteric Modulator of WNT Receptor Frizzled 4 Switches into an Allosteric Agonist. *Biochemistry*, **2018**, 57, 839-851	3.2	16
43	Structure-Based Drug Design of Potent Pyrazole Derivatives against Rhinovirus Replication. *Journal of Medicinal Chemistry*, **2018**, 61, 8402-8416	8.3	16

42	Small Molecule Inhibitors of KDM5 Histone Demethylases Increase the Radiosensitivity of Breast Cancer Cells Overexpressing JARID1B. *Molecules*, **2019**, 24,	4.8	15
41	Arylsulfone-based HIV-1 non-nucleoside reverse transcriptase inhibitors. *Future Medicinal Chemistry*, **2013**, 5, 2141-56	4.1	15
40	Non-nucleoside HIV-1 reverse transcriptase inhibitors di-halo-indolyl aryl sulfones achieve tight binding to drug-resistant mutants by targeting the enzyme-substrate complex. *Antiviral Research*, **2009**, 81, 47-55	10.8	15
39	Study of the effects of a new pyrazolecarboxamide: changes in mitochondria and induction of apoptosis. *International Journal of Biochemistry and Cell Biology*, **2009**, 41, 1890-8	5.6	14
38	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, 5549-64	3.4	13
37	An high-throughput in vivo screening system to select H3K4-specific histone demethylase inhibitors. *PLoS ONE*, **2014**, 9, e86002	3.7	13
36	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	8.3	12
35	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	6.8	12
34	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, 459-67	2.1	12
33	Kinetic characterization of 4,4′-biphenylsulfonamides as selective non-zinc binding MMP inhibitors. *Journal of Enzyme Inhibition and Medicinal Chemistry*, **2015**, 30, 947-54	5.6	11
32	-catenin knockdown promotes NHERF1-mediated survival of colorectal cancer cells: implications for a double-targeted therapy. *Oncogene*, **2018**, 37, 3301-3316	9.2	11
31	Computer-Aided Identification and Lead Optimization of Dual Murine Double Minute 2 and 4 Binders: Structure-Activity Relationship Studies and Pharmacological Activity. *Journal of Medicinal Chemistry*, **2017**, 60, 8115-8130	8.3	11
30	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, 5641-53	6.8	11
29	Enantioselective HPLC combined with spectroscopic methods: a valid strategy to determine the absolute configuration of potential beta-secretase inhibitors. *Talanta*, **2010**, 82, 1306-12	6.2	11
28	Arylthioindoles: Promising compounds against cancer cell proliferation. *Oncology Letters*, **2010**, 1, 109-112	2.6	10
27	Mitotic cell death induction by targeting the mitotic spindle with tubulin-inhibitory indole derivative molecules. *Oncotarget*, **2017**, 8, 19738-19759	3.3	10
26	Drug Design and Synthesis of First in Class PDZ1 Targeting NHERF1 Inhibitors as Anticancer Agents. *ACS Medicinal Chemistry Letters*, **2019**, 10, 499-503	4.3	9
25	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	4.3	8

24	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, 107-18	3.5	7
23	Synthetic strategies of nonpeptidic β-secretase (BACE1) inhibitors. *Journal of Heterocyclic Chemistry*, **2009**, 46, 10-17	1.9	7
22	Drug-induced inhibition of tubulin polymerization induces mitochondrion-mediated apoptosis in yeast. *Cell Cycle*, **2011**, 10, 3208-9	4.7	7
21	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, 5878-86	6.8	7
20	3-Aroyl-1,4-diarylpyrroles Inhibit Chronic Myeloid Leukemia Cell Growth through an Interaction with Tubulin. *ACS Medicinal Chemistry Letters*, **2017**, 8, 521-526	4.3	6
19	A New, Simple, and High-Yielding Synthesis of 2,9-Dihydro-1H-pyrido[3,4-b]indol-1-ones. *Synthesis*, **2014**, 46, 2093-2097	2.9	6
18	PYRROLO[1,2-b][1,2,5]BENZOTHIADIAZEPINES (PBTDs) induce apoptosis in K562 cells. *BMC Cancer*, **2007**, 7, 207	4.8	6
17	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	6.8	6
16	AN IMPROVED SYNTHESIS OF ETHYL 5-CHLORO-4-FLUORO-1H-INDOLE-2-CARBOXYLATE. *Organic Preparations and Procedures International*, **2008**, 40, 204-208	1.1	5
15	Indolyl aryl sulphones as HIV-1 reverse transcriptase inhibitors: docking and 3D QSAR studies. *Expert Opinion on Drug Discovery*, **2007**, 2, 87-114	6.2	5
14	CXCR4 antagonism sensitizes cancer cells to novel indole-based MDM2/4 inhibitors in glioblastoma multiforme. *European Journal of Pharmacology*, **2021**, 897, 173936	5.3	5
13	Selenotriapine – 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	5.9	5
12	Emerging Therapeutic Agents for Colorectal Cancer.. *Molecules*, **2021**, 26,	4.8	4
11	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]		3
10	Structure-activity relationship studies and in vitro and in vivo anticancer activity of novel 3-aroyl-1,4-diarylpyrroles against solid tumors and hematological malignancies. *European Journal of Medicinal Chemistry*, **2020**, 185, 111828	6.8	3
9	Discovery of pyrrole derivatives for the treatment of glioblastoma and chronic myeloid leukemia. *European Journal of Medicinal Chemistry*, **2021**, 221, 113532	6.8	3
8	Targeting the Interaction between the SH3 Domain of Grb2 and Gab2. *Cells*, **2020**, 9,	7.9	2
7	Modulating undruggable targets to overcome cancer therapy resistance.. *Drug Resistance Updates*, **2021**, 60, 100788	23.2	2

6	Targeting PDZ domains as potential treatment for viral infections, neurodegeneration and cancer. *Biology Direct*, **2021**, 16, 15	7.2	2
5	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	11.2	2
4	Discovery of New 1,1′Biphenyl-4-sulfonamides as Selective Subnanomolar Human Carbonic Anhydrase II Inhibitors. *ACS Medicinal Chemistry Letters*, **2020**, 11, 633-637	4.3	1
3	Sulfonamide Inhibitors of β-Catenin Signaling as Anticancer Agents with Different Output on c-MYC. *ChemMedChem*, **2020**, 15, 2264-2268	3.7	1
2	RS4651 suppresses lung fibroblast activation via the TGF-β1/SMAD signalling pathway. *European Journal of Pharmacology*, **2021**, 903, 174135	5.3	0
1	An Innovation 10 Years in the Making: The Stories in the Pages of .. *ACS Medicinal Chemistry Letters*, **2022**, 13, 540-545	4.3	