

# Giuseppe La Regina

## List of Publications by Year in Descending Order

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The third column is the impact factor (IF) of the journal, and the fourth column is the number of citations of the article.

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	An Innovation 10 Years in the Making: The Stories in the Pages of .. <i>ACS Medicinal Chemistry Letters</i> , <b>2022</b> , 13, 540-545	4.3	
94	Emerging Therapeutic Agents for Colorectal Cancer.. <i>Molecules</i> , <b>2021</b> , 26,	4.8	4
93	Modulating undruggable targets to overcome cancer therapy resistance.. <i>Drug Resistance Updates</i> , <b>2021</b> , 60, 100788	23.2	2
92	Targeting PDZ domains as potential treatment for viral infections, neurodegeneration and cancer. <i>Biology Direct</i> , <b>2021</b> , 16, 15	7.2	2
91	In This Issue, Volume 12, Issue 3. <i>ACS Medicinal Chemistry Letters</i> , <b>2021</b> , 12, 309-309	4.3	78
90	CXCR4 antagonism sensitizes cancer cells to novel indole-based MDM2/4 inhibitors in glioblastoma multiforme. <i>European Journal of Pharmacology</i> , <b>2021</b> , 897, 173936	5.3	5
89	RS-5645 attenuates inflammatory cytokine storm induced by SARS-CoV-2 spike protein and LPS by modulating pulmonary microbiota. <i>International Journal of Biological Sciences</i> , <b>2021</b> , 17, 3305-3319	11.2	2
88	RS4651 suppresses lung fibroblast activation via the TGF- $\beta$ /SMAD signalling pathway. <i>European Journal of Pharmacology</i> , <b>2021</b> , 903, 174135	5.3	0
87	Discovery of pyrrole derivatives for the treatment of glioblastoma and chronic myeloid leukemia. <i>European Journal of Medicinal Chemistry</i> , <b>2021</b> , 221, 113532	6.8	3
86	Targeting the Interaction between the SH3 Domain of Grb2 and Gab2. <i>Cells</i> , <b>2020</b> , 9,	7.9	2
85	In This Issue, Volume 11, Issue 1. <i>ACS Medicinal Chemistry Letters</i> , <b>2020</b> , 11, 1-1	4.3	78
84	Discovery of Zika Virus NS2B/NS3 Inhibitors That Prevent Mice from Life-Threatening Infection and Brain Damage. <i>ACS Medicinal Chemistry Letters</i> , <b>2020</b> , 11, 1869-1874	4.3	8
83	Discovery of New 1,1'-Biphenyl-4-sulfonamides as Selective Subnanomolar Human Carbonic Anhydrase II Inhibitors. <i>ACS Medicinal Chemistry Letters</i> , <b>2020</b> , 11, 633-637	4.3	1
82	Structure-activity relationship studies and in vitro and in vivo anticancer activity of novel 3-aryl-1,4-diarylpyrroles against solid tumors and hematological malignancies. <i>European Journal of Medicinal Chemistry</i> , <b>2020</b> , 185, 111828	6.8	3
81	New indolylarylsulfone non-nucleoside reverse transcriptase inhibitors show low nanomolar inhibition of single and double HIV-1 mutant strains. <i>European Journal of Medicinal Chemistry</i> , <b>2020</b> , 208, 112696	6.8	6
80	Sulfonamide Inhibitors of $\beta$ -Catenin Signaling as Anticancer Agents with Different Output on c-MYC. <i>ChemMedChem</i> , <b>2020</b> , 15, 2264-2268	3.7	1
79	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. <i>Arabian Journal of Chemistry</i> , <b>2020</b> , 13, 1466-1489	5.9	5

78	Towards modern anticancer agents that interact with tubulin. <i>European Journal of Pharmaceutical Sciences</i> , <b>2019</b> , 131, 58-68	5.1	20
77	Switching on the activity of 1,5-diaryl-pyrrole derivatives against drug-resistant ESKAPE bacteria: Structure-activity relationships and mode of action studies. <i>European Journal of Medicinal Chemistry</i> , <b>2019</b> , 178, 500-514	6.8	12
76	Small Molecule Inhibitors of KDM5 Histone Demethylases Increase the Radiosensitivity of Breast Cancer Cells Overexpressing JARID1B. <i>Molecules</i> , <b>2019</b> , 24,	4.8	15
75	Drug Design and Synthesis of First in Class PDZ1 Targeting NHERF1 Inhibitors as Anticancer Agents. <i>ACS Medicinal Chemistry Letters</i> , <b>2019</b> , 10, 499-503	4.3	9
74	New 6- and 7-heterocycl-1H-indole derivatives as potent tubulin assembly and cancer cell growth inhibitors. <i>European Journal of Medicinal Chemistry</i> , <b>2018</b> , 152, 283-297	6.8	22
73	A Negative Allosteric Modulator of WNT Receptor Frizzled 4 Switches into an Allosteric Agonist. <i>Biochemistry</i> , <b>2018</b> , 57, 839-851	3.2	16
72	Etatenin knockdown promotes NHERF1-mediated survival of colorectal cancer cells: implications for a double-targeted therapy. <i>Oncogene</i> , <b>2018</b> , 37, 3301-3316	9.2	11
71	Bax Activation Blocks Self-Renewal and Induces Apoptosis of Human Glioblastoma Stem Cells. <i>ACS Chemical Neuroscience</i> , <b>2018</b> , 9, 85-99	5.7	17
70	Structure-Based Drug Design of Potent Pyrazole Derivatives against Rhinovirus Replication. <i>Journal of Medicinal Chemistry</i> , <b>2018</b> , 61, 8402-8416	8.3	16
69	p38 MAPK differentially controls NK activating ligands at transcriptional and post-transcriptional level on multiple myeloma cells. <i>Oncotarget</i> , <b>2017</b> , 6, e1264564	7.2	20
68	3-Aroyl-1,4-diarylpyrroles Inhibit Chronic Myeloid Leukemia Cell Growth through an Interaction with Tubulin. <i>ACS Medicinal Chemistry Letters</i> , <b>2017</b> , 8, 521-526	4.3	6
67	Chiral Indolylarylsulfone Non-Nucleoside Reverse Transcriptase Inhibitors as New Potent and Broad Spectrum Anti-HIV-1 Agents. <i>Journal of Medicinal Chemistry</i> , <b>2017</b> , 60, 6528-6547	8.3	12
66	Computer-Aided Identification and Lead Optimization of Dual Murine Double Minute 2 and 4 Binders: Structure-Activity Relationship Studies and Pharmacological Activity. <i>Journal of Medicinal Chemistry</i> , <b>2017</b> , 60, 8115-8130	8.3	11
65	Inhibition of dengue virus replication by novel inhibitors of RNA-dependent RNA polymerase and protease activities. <i>Journal of Enzyme Inhibition and Medicinal Chemistry</i> , <b>2017</b> , 32, 1091-1101	5.6	25
64	Mitotic cell death induction by targeting the mitotic spindle with tubulin-inhibitory indole derivative molecules. <i>Oncotarget</i> , <b>2017</b> , 8, 19738-19759	3.3	10
63	Violacein, an indole-derived purple-colored natural pigment produced by <i>Janthinobacterium lividum</i> , inhibits the growth of head and neck carcinoma cell lines both in vitro and in vivo. <i>Tumor Biology</i> , <b>2016</b> , 37, 3705-17	2.9	40
62	New Inhibitors of Indoleamine 2,3-Dioxygenase 1: Molecular Modeling Studies, Synthesis, and Biological Evaluation. <i>Journal of Medicinal Chemistry</i> , <b>2016</b> , 59, 9760-9773	8.3	28
61	Kinetic characterization of 4,4Tbiphenylsulfonamides as selective non-zinc binding MMP inhibitors. <i>Journal of Enzyme Inhibition and Medicinal Chemistry</i> , <b>2015</b> , 30, 947-54	5.6	11

60	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. <i>Journal of Medicinal Chemistry</i> , <b>2015</b> , 58, 5789-807	8.3	38
59	Pharmacological folding chaperones act as allosteric ligands of Frizzled4. <i>Nature Chemical Biology</i> , <b>2015</b> , 11, 280-6	11.7	28
58	Discovery of 1,1-Biphenyl-4-sulfonamides as a New Class of Potent and Selective Carbonic Anhydrase XIV Inhibitors. <i>Journal of Medicinal Chemistry</i> , <b>2015</b> , 58, 8564-72	8.3	34
57	New 1-phenyl-5-(1H-pyrrol-1-yl)-1H-pyrazole-3-carboxamides inhibit hepatitis C virus replication via suppression of cyclooxygenase-2. <i>European Journal of Medicinal Chemistry</i> , <b>2015</b> , 90, 497-506	6.8	20
56	Endogenous vs Exogenous Allosteric Modulators in GPCRs: A dispute for shuttling CB1 among different membrane microenvironments. <i>Scientific Reports</i> , <b>2015</b> , 5, 15453	4.9	32
55	Structure-based lead optimization and biological evaluation of BAX direct activators as novel potential anticancer agents. <i>Journal of Medicinal Chemistry</i> , <b>2015</b> , 58, 2135-48	8.3	22
54	New pyrrole derivatives with potent tubulin polymerization inhibiting activity as anticancer agents including hedgehog-dependent cancer. <i>Journal of Medicinal Chemistry</i> , <b>2014</b> , 57, 6531-52	8.3	57
53	Discovery of biaryl aminoquinazolines as novel tubulin polymerization inhibitors. <i>Journal of Medicinal Chemistry</i> , <b>2014</b> , 57, 4598-4605	8.3	21
52	New indolylarylsulfones as highly potent and broad spectrum HIV-1 non-nucleoside reverse transcriptase inhibitors. <i>European Journal of Medicinal Chemistry</i> , <b>2014</b> , 80, 101-11	6.8	18
51	A New, Simple, and High-Yielding Synthesis of 2,9-Dihydro-1H-pyrido[3,4-b]indol-1-ones. <i>Synthesis</i> , <b>2014</b> , 46, 2093-2097	2.9	6
50	Indolylarylsulfones carrying a heterocyclic tail as very potent and broad spectrum HIV-1 non-nucleoside reverse transcriptase inhibitors. <i>Journal of Medicinal Chemistry</i> , <b>2014</b> , 57, 9945-57	8.3	37
49	An high-throughput in vivo screening system to select H3K4-specific histone demethylase inhibitors. <i>PLoS ONE</i> , <b>2014</b> , 9, e86002	3.7	13
48	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-3 $\beta$ inhibitors. <i>Journal of Medicinal Chemistry</i> , <b>2013</b> , 56, 10066-78	8.3	31
47	Toward highly potent cancer agents by modulating the C-2 group of the arylthioindole class of tubulin polymerization inhibitors. <i>Journal of Medicinal Chemistry</i> , <b>2013</b> , 56, 123-49	8.3	91
46	Arylsulfone-based HIV-1 non-nucleoside reverse transcriptase inhibitors. <i>Future Medicinal Chemistry</i> , <b>2013</b> , 5, 2141-56	4.1	15
45	Venting-while-heating microwave-assisted synthesis of 3-arylthioindoles. <i>ACS Combinatorial Science</i> , <b>2012</b> , 14, 258-62	3.9	43
44	Apple can act as anti-aging on yeast cells. <i>Oxidative Medicine and Cellular Longevity</i> , <b>2012</b> , 2012, 491759	6.7	20
43	Indole-2-carboxamides as allosteric modulators of the cannabinoid CB $\beta$ receptor. <i>Journal of Medicinal Chemistry</i> , <b>2012</b> , 55, 5627-31	8.3	52

42	New nitrogen containing substituents at the indole-2-carboxamide yield high potent and broad spectrum indolylarylsulfone HIV-1 non-nucleoside reverse transcriptase inhibitors. <i>Journal of Medicinal Chemistry</i> , <b>2012</b> , 55, 6634-8	8.3	48
41	Open vessel and cooling while heating microwave-assisted synthesis of pyridinyl N-aryl hydrazones. <i>ACS Combinatorial Science</i> , <b>2011</b> , 13, 2-6	3.9	20
40	Indolylarylsulfones as HIV-1 non-nucleoside reverse transcriptase inhibitors: new cyclic substituents at indole-2-carboxamide. <i>Journal of Medicinal Chemistry</i> , <b>2011</b> , 54, 1587-98	8.3	112
39	Design and synthesis of 2-heterocycl-3-arylthio-1H-indoles as potent tubulin polymerization and cell growth inhibitors with improved metabolic stability. <i>Journal of Medicinal Chemistry</i> , <b>2011</b> , 54, 8394-408	8.3	58
38	Mechanism of interaction of novel indolylarylsulfone derivatives with K103N and Y181I mutant HIV-1 reverse transcriptase in complex with its substrates. <i>Antiviral Chemistry and Chemotherapy</i> , <b>2011</b> , 22, 107-18	3.5	7
37	1-Aryl-5-(1H-pyrrol-1-yl)-1H-pyrazole-3-carboxamide: an effective scaffold for the design of either CB1 or CB2 receptor ligands. <i>European Journal of Medicinal Chemistry</i> , <b>2011</b> , 46, 5641-53	6.8	11
36	Drug-induced inhibition of tubulin polymerization induces mitochondrion-mediated apoptosis in yeast. <i>Cell Cycle</i> , <b>2011</b> , 10, 3208-9	4.7	7
35	Arylthioindoles: Promising compounds against cancer cell proliferation. <i>Oncology Letters</i> , <b>2010</b> , 1, 109-112	10	10
34	Looking for an active conformation of the future HIV type-1 non-nucleoside reverse transcriptase inhibitors. <i>Antiviral Chemistry and Chemotherapy</i> , <b>2010</b> , 20, 213-37	3.5	50
33	Radiosynthesis and in vivo evaluation of [ <sup>11</sup> C]-labelled pyrrole-2-carboxamide derivatives as novel radioligands for PET imaging of monoamine oxidase A. <i>Nuclear Medicine and Biology</i> , <b>2010</b> , 37, 459-67	2.1	12
32	Enantioselective HPLC combined with spectroscopic methods: a valid strategy to determine the absolute configuration of potential beta-secretase inhibitors. <i>Talanta</i> , <b>2010</b> , 82, 1306-12	6.2	11
31	Synthesis and biological evaluation of new N-alkyl 1-aryl-5-(1H-pyrrol-1-yl)-1H-pyrazole-3-carboxamides as cannabinoid receptor ligands. <i>European Journal of Medicinal Chemistry</i> , <b>2010</b> , 45, 5878-86	6.8	7
30	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. <i>Antiviral Research</i> , <b>2009</b> , 81, 47-55	10.8	15
29	Synthetic strategies of nonpeptidic $\beta$ -secretase (BACE1) inhibitors. <i>Journal of Heterocyclic Chemistry</i> , <b>2009</b> , 46, 10-17	1.9	7
28	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. <i>Bioorganic and Medicinal Chemistry</i> , <b>2009</b> , 17, 5549-64	3.4	13
27	Study of the effects of a new pyrazolecarboxamide: changes in mitochondria and induction of apoptosis. <i>International Journal of Biochemistry and Cell Biology</i> , <b>2009</b> , 41, 1890-8	5.6	14
26	New arylthioindoles and related bioisosteres at the sulfur bridging group. 4. Synthesis, tubulin polymerization, cell growth inhibition, and molecular modeling studies. <i>Journal of Medicinal Chemistry</i> , <b>2009</b> , 52, 7512-27	8.3	70
25	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. <i>Journal of Medicinal Chemistry</i> , <b>2009</b> , 52, 1922-34	8.3	52

24	Synthesis, cannabinoid receptor affinity, and molecular modeling studies of substituted 1-aryl-5-(1H-pyrrol-1-yl)-1H-pyrazole-3-carboxamides. <i>Journal of Medicinal Chemistry</i> , <b>2008</b> , 51, 1560-76	8.3	61
23	1-[(3-Aryloxy-3-aryl)propyl]-1H-imidazoles, new imidazoles with potent activity against <i>Candida albicans</i> and dermatophytes. Synthesis, structure-activity relationship, and molecular modeling studies. <i>Journal of Medicinal Chemistry</i> , <b>2008</b> , 51, 3841-55	8.3	26
22	AN IMPROVED SYNTHESIS OF ETHYL 5-CHLORO-4-FLUORO-1H-INDOLE-2-CARBOXYLATE. <i>Organic Preparations and Procedures International</i> , <b>2008</b> , 40, 204-208	1.1	5
21	Synthesis, structure-activity relationships and molecular modeling studies of new indole inhibitors of monoamine oxidases A and B. <i>Bioorganic and Medicinal Chemistry</i> , <b>2008</b> , 16, 9729-40	3.4	27
20	New pyrrole inhibitors of monoamine oxidase: synthesis, biological evaluation, and structural determinants of MAO-A and MAO-B selectivity. <i>Journal of Medicinal Chemistry</i> , <b>2007</b> , 50, 922-31	8.3	106
19	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. <i>Journal of Medicinal Chemistry</i> , <b>2007</b> , 50, 5034-8	8.3	52
18	PYRROLO[1,2-b][1,2,5]BENZOTHIADIAZEPINES (PBTDS) induce apoptosis in K562 cells. <i>BMC Cancer</i> , <b>2007</b> , 7, 207	4.8	6
17	Indolyl aryl sulphones as HIV-1 reverse transcriptase inhibitors: docking and 3D QSAR studies. <i>Expert Opinion on Drug Discovery</i> , <b>2007</b> , 2, 87-114	6.2	5
16	Arylthioindole inhibitors of tubulin polymerization. 3. Biological evaluation, structure-activity relationships and molecular modeling studies. <i>Journal of Medicinal Chemistry</i> , <b>2007</b> , 50, 2865-74	8.3	157
15	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. <i>Antiviral Chemistry and Chemotherapy</i> , <b>2006</b> , 17, 59-77	3.5	23
14	New arylthioindoles: potent inhibitors of tubulin polymerization. 2. Structure-activity relationships and molecular modeling studies. <i>Journal of Medicinal Chemistry</i> , <b>2006</b> , 49, 947-54	8.3	289
13	Design, molecular modeling, synthesis, and anti-HIV-1 activity of new indolyl aryl sulfones. Novel derivatives of the indole-2-carboxamide. <i>Journal of Medicinal Chemistry</i> , <b>2006</b> , 49, 3172-84	8.3	135
12	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. <i>Journal of Medicinal Chemistry</i> , <b>2006</b> , 49, 5840-4	8.3	53
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 active N-(2-hydroxyethyl)carboxamide and N-(2-hydroxyethyl)carbohydrazide derivatives. <i>Journal of Medicinal Chemistry</i> , <b>2007</b> , 50, 212-23	8.3	73
10	Novel 1-[2-(diarylmethoxy)ethyl]-2-methyl-5-nitroimidazoles as HIV-1 non-nucleoside reverse transcriptase inhibitors. A structure-activity relationship investigation. <i>Journal of Medicinal Chemistry</i> , <b>2005</b> , 48, 4378-88	8.3	44
9	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]benzotriazepine. <i>Journal of Medicinal Chemistry</i> , <b>2007</b> , 50, 221-7		3
8	Comparative study between the polysaccharide-based chiralcel OJ and chiralcel OD CSPSs in chromatographic enantioseparation of imidazole analogues of fluoxetine and miconazole. <i>Journal of Separation Science</i> , <b>2005</b> , 28, 627-34	3.4	23
7	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. <i>Antimicrobial Agents and Chemotherapy</i> , <b>2005</b> , 49, 4546-54	5.9	18

6	Anti-HIV-1 activity of pyrrol aryl sulfone (PAS) derivatives: synthesis and SAR studies of novel esters and amides at the position 2 of the pyrrole nucleus. <i>Il Farmaco</i> , <b>2004</b> , 59, 201-10		36
5	Arylthioindoles, potent inhibitors of tubulin polymerization. <i>Journal of Medicinal Chemistry</i> , <b>2004</b> , 47, 6120-3	8.3	223
4	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. <i>Journal of Medicinal Chemistry</i> , <b>2004</b> , 47, 3892-6	8.3	52
3	Imidazole analogues of fluoxetine, a novel class of anti-Candida agents. <i>Journal of Medicinal Chemistry</i> , <b>2004</b> , 47, 3924-6	8.3	38
2	Simple, potent, and selective pyrrole inhibitors of monoamine oxidase types A and B. <i>Journal of Medicinal Chemistry</i> , <b>2003</b> , 46, 917-20	8.3	38
1	Novel indolyl aryl sulfones active against HIV-1 carrying NNRTI resistance mutations: synthesis and SAR studies. <i>Journal of Medicinal Chemistry</i> , <b>2003</b> , 46, 2482-93	8.3	136