

# Sergio Wittlin

## List of Publications by Year in descending order

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73  
papers

2,648  
citations

236925

25  
h-index

214800

47  
g-index

77  
all docs

77  
docs citations

77  
times ranked

3216  
citing authors

#	ARTICLE	IF	CITATIONS
1	Metabolic, Pharmacokinetic, and Activity Profile of the Liver Stage Antimalarial (RC-12). <i>ACS Omega</i> , 2022, 7, 12401-12411.	3.5	1
2	Preclinical characterization and target validation of the antimalarial pantothenamide MMV693183. <i>Nature Communications</i> , 2022, 13, 2158.	12.8	13
3	New Amidated 3,6-Diphenylated Imidazopyridazines with Potent Antiplasmodium Activity Are Dual Inhibitors of <i>Plasmodium</i> Phosphatidylinositol-4-kinase and cGMP-Dependent Protein Kinase. <i>ACS Infectious Diseases</i> , 2021, 7, 34-46.	3.8	13
4	Identification and Profiling of a Novel Diazaspiro[3.4]octane Chemical Series Active against Multiple Stages of the Human Malaria Parasite <i>Plasmodium falciparum</i> and Optimization Efforts. <i>Journal of Medicinal Chemistry</i> , 2021, 64, 2291-2309.	6.4	11
5	Novel Antimalarial Tetrazoles and Amides Active against the Hemoglobin Degradation Pathway in <i>Plasmodium falciparum</i> . <i>Journal of Medicinal Chemistry</i> , 2021, 64, 2739-2761.	6.4	10
6	The catalytic subunit of <i>Plasmodium falciparum</i> casein kinase 2 is essential for gametocytogenesis. <i>Communications Biology</i> , 2021, 4, 336.	4.4	6
7	3-Hydroxy-propanamidines, a New Class of Orally Active Antimalarials Targeting <i>Plasmodium falciparum</i> . <i>Journal of Medicinal Chemistry</i> , 2021, 64, 3035-3047.	6.4	5
8	Antimalarial Benzimidazole Derivatives Incorporating Phenolic Mannich Base Side Chains Inhibit Microtubule and Hemozoin Formation: Structure-Activity Relationship and <i>In Vivo</i> Oral Efficacy Studies. <i>Journal of Medicinal Chemistry</i> , 2021, 64, 5198-5215.	6.4	16
9	Repositioning and Characterization of 1-(Pyridin-4-yl)pyrrolidin-2-one Derivatives as <i>Plasmodium</i> Cytoplasmic Prolyl-tRNA Synthetase Inhibitors. <i>ACS Infectious Diseases</i> , 2021, 7, 1680-1689.	3.8	14
10	Potent Antimalarials with Development Potential Identified by Structure-Guided Computational Optimization of a Pyrrole-Based Dihydroorotate Dehydrogenase Inhibitor Series. <i>Journal of Medicinal Chemistry</i> , 2021, 64, 6085-6136.	6.4	24
11	Cytochrome P450-Mediated Metabolism and CYP Inhibition for the Synthetic Peroxide Antimalarial OZ439. <i>ACS Infectious Diseases</i> , 2021, 7, 1885-1893.	3.8	3
12	The antimalarial MMV688533 provides potential for single-dose cures with a high barrier to <i>Plasmodium falciparum</i> parasite resistance. <i>Science Translational Medicine</i> , 2021, 13, .	12.4	25
13	Discovery and Structure-Activity Relationships of Quinazolinone-2-carboxamide Derivatives as Novel Orally Efficacious Antimalarials. <i>Journal of Medicinal Chemistry</i> , 2021, 64, 12582-12602.	6.4	11
14	From Magic Bullet to Magic Bomb: Reductive Bioactivation of Antiparasitic Agents. <i>ACS Infectious Diseases</i> , 2021, 7, 2777-2786.	3.8	14
15	Design of proteasome inhibitors with oral efficacy <i>in vivo</i> against <i>Plasmodium falciparum</i> and selectivity over the human proteasome. <i>Proceedings of the National Academy of Sciences of the United States of America</i> , 2021, 118, .	7.1	19
16	Our Exciting Journey to ACT-451840. <i>Chimia</i> , 2021, 75, 916-922.	0.6	0
17	The 3-phosphoinositide-dependent protein kinase 1 is an essential upstream activator of protein kinase A in malaria parasites. <i>PLoS Biology</i> , 2021, 19, e3001483.	5.6	9
18	Antimalarial <i>N</i> <sup>1</sup> , <i>N</i> <sup>3</sup> -Dialkyldioxonaphthoimidazoliums: Synthesis, Biological Activity, and Structure-activity Relationships. <i>ACS Medicinal Chemistry Letters</i> , 2020, 11, 49-55.	2.8	12

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19	Discovery of FNDR-20123, a histone deacetylase inhibitor for the treatment of Plasmodium falciparum malaria. <i>Malaria Journal</i> , 2020, 19, 365.	2.3	14
20	Identification of 2,4-Disubstituted Imidazopyridines as Hemozoin Formation Inhibitors with Fast-Killing Kinetics and <i>In Vivo</i> Efficacy in the <i>Plasmodium falciparum</i> NSG Mouse Model. <i>Journal of Medicinal Chemistry</i> , 2020, 63, 13013-13030.	6.4	11
21	Ensemble modeling highlights importance of understanding parasite-host behavior in preclinical antimalarial drug development. <i>Scientific Reports</i> , 2020, 10, 4410.	3.3	10
22	Lead Optimization of a Pyrrole-Based Dihydroorotate Dehydrogenase Inhibitor Series for the Treatment of Malaria. <i>Journal of Medicinal Chemistry</i> , 2020, 63, 4929-4956.	6.4	23
23	Stochastic Protein Alkylation by Antimalarial Peroxides. <i>ACS Infectious Diseases</i> , 2019, 5, 2067-2075.	3.8	23
24	Antimalarial pantothenamide metabolites target acetyl-coenzyme A biosynthesis in <i>Plasmodium falciparum</i> . <i>Science Translational Medicine</i> , 2019, 11, .	12.4	59
25	Two successful decades of Swiss collaborations to develop new anti-malarials. <i>Malaria Journal</i> , 2019, 18, 94.	2.3	8
26	Lysyl-tRNA synthetase as a drug target in malaria and cryptosporidiosis. <i>Proceedings of the National Academy of Sciences of the United States of America</i> , 2019, 116, 7015-7020.	7.1	94
27	Incorporation of an intramolecular hydrogen bonding motif in the side chain of antimalarial benzimidazoles. <i>MedChemComm</i> , 2019, 10, 450-455.	3.4	11
28	Anti-malarial ozonides OZ439 and OZ609 tested at clinically relevant compound exposure parameters in a novel ring-stage survival assay. <i>Malaria Journal</i> , 2019, 18, 427.	2.3	13
29	Structure-Activity Relationship Studies and <i>Plasmodium</i> Life Cycle Profiling Identifies Pan-Active <i>N</i> -Aryl-3-trifluoromethyl Pyrido[1,2- <i>a</i> ]benzimidazoles Which Are Efficacious in an <i>In Vivo</i> Mouse Model of Malaria. <i>Journal of Medicinal Chemistry</i> , 2019, 62, 1022-1035.	6.4	8
30	Antimalarial Pyrido[1,2- <i>a</i> ]benzimidazole Derivatives with Mannich Base Side Chains: Synthesis, Pharmacological Evaluation, and Reactive Metabolite Trapping Studies. <i>ACS Infectious Diseases</i> , 2019, 5, 372-384.	3.8	22
31	Evaluation of 4-Amino 2-Anilinoquinazolines against <i>Plasmodium</i> and Other Apicomplexan Parasites <i>In Vitro</i> and in a <i>P. falciparum</i> Humanized NOD- <i>IL2R</i> <sup>3</sup> Mouse Model of Malaria. <i>Antimicrobial Agents and Chemotherapy</i> , 2019, 63, .	3.2	12
32	Multistage Antiplasmodium Activity of Astemizole Analogues and Inhibition of Hemozoin Formation as a Contributor to Their Mode of Action. <i>ACS Infectious Diseases</i> , 2019, 5, 303-315.	3.8	16
33	Identification of Fast-Acting 2,6-Disubstituted Imidazopyridines That Are Efficacious in the <i>In Vivo</i> Humanized <i>Plasmodium falciparum</i> NOD- <i>IL2R</i> <sup>3</sup> Mouse Model of Malaria. <i>Journal of Medicinal Chemistry</i> , 2018, 61, 4213-4227.	6.4	19
34	Antimalarial Lead-Optimization Studies on a 2,6-Imidazopyridine Series within a Constrained Chemical Space To Circumvent Atypical Dose-Response Curves against Multidrug Resistant Parasite Strains. <i>Journal of Medicinal Chemistry</i> , 2018, 61, 9371-9385.	6.4	9
35	Investigating Sulfoxide-to-Sulfone Conversion as a Prodrug Strategy for a Phosphatidylinositol 4-Kinase Inhibitor in a Humanized Mouse Model of Malaria. <i>Antimicrobial Agents and Chemotherapy</i> , 2018, 62, .	3.2	5
36	Antiplasmodial imidazopyridazines: structure-activity relationship studies lead to the identification of analogues with improved solubility and hERG profiles. <i>MedChemComm</i> , 2018, 9, 1733-1745.	3.4	10

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37	UCT943, a Next-Generation Plasmodium falciparum PI4K Inhibitor Preclinical Candidate for the Treatment of Malaria. <i>Antimicrobial Agents and Chemotherapy</i> , 2018, 62, .	3.2	40
38	Cell Penetration, Herbicidal Activity, and <i>in vivo</i> Toxicity of Oligoarginine Derivatives and of Novel Guanidinium-Rich Compounds Derived from the Biopolymer Cyanophycin. <i>Helvetica Chimica Acta</i> , 2018, 101, e1800112.	1.6	17
39	3D-QSAR Modeling and Synthesis of New Fusidic Acid Derivatives as Antiplasmodial Agents. <i>Journal of Chemical Information and Modeling</i> , 2018, 58, 1553-1560.	5.4	11
40	Antimalarial Pyrido[1,2- <i>a</i> ]benzimidazoles: Lead Optimization, Parasite Life Cycle Stage Profile, Mechanistic Evaluation, Killing Kinetics, and <i>in vivo</i> Oral Efficacy in a Mouse Model. <i>Journal of Medicinal Chemistry</i> , 2017, 60, 1432-1448.	6.4	36
41	Arylmethylamino steroids as antiparasitic agents. <i>Nature Communications</i> , 2017, 8, 14478.	12.8	36
42	Antimalarial efficacy of MMV390048, an inhibitor of <i>Plasmodium</i> phosphatidylinositol 4-kinase. <i>Science Translational Medicine</i> , 2017, 9, .	12.4	204
43	Synthesis and biological characterisation of ester and amide derivatives of fusidic acid as antiplasmodial agents. <i>Bioorganic and Medicinal Chemistry Letters</i> , 2017, 27, 658-661.	2.2	19
44	Identification of steroid-like natural products as antiplasmodial agents by 2D and 3D similarity-based virtual screening. <i>MedChemComm</i> , 2017, 8, 1152-1157.	3.4	10
45	Structure-Activity Relationship of the Antimalarial Ozonide Artefenomel (OZ439). <i>Journal of Medicinal Chemistry</i> , 2017, 60, 2654-2668.	6.4	52
46	3-Hydroxy-N <sup>2</sup> -arylidenepropanehydrazonamides with Halo-Substituted Phenanthrene Scaffolds Cure <i>P. berghei</i> Infected Mice When Administered Perorally. <i>Journal of Medicinal Chemistry</i> , 2017, 60, 6036-6044.	6.4	4
47	<i>In vitro</i> activity of anti-malarial ozonides against an artemisinin-resistant isolate. <i>Malaria Journal</i> , 2017, 16, 45.	2.3	23
48	Characterization of Novel Antimalarial Compound ACT-451840: Preclinical Assessment of Activity and Dose-Efficacy Modeling. <i>PLoS Medicine</i> , 2016, 13, e1002138.	8.4	35
49	CRISPR-Cas9-modified <i>pfmdr1</i> protects <i>Plasmodium falciparum</i> asexual blood stages and gametocytes against a class of piperazine-containing compounds but potentiates artemisinin-based combination therapy partner drugs. <i>Molecular Microbiology</i> , 2016, 101, 381-393.	2.5	56
50	Discovery of a Quinoline-4-carboxamide Derivative with a Novel Mechanism of Action, Multistage Antimalarial Activity, and Potent <i>in vivo</i> Efficacy. <i>Journal of Medicinal Chemistry</i> , 2016, 59, 9672-9685.	6.4	66
51	Discovery and Characterization of ACT-451840: an Antimalarial Drug with a Novel Mechanism of Action. <i>ChemMedChem</i> , 2016, 11, 1995-2014.	3.2	20
52	Open Source Drug Discovery: Highly Potent Antimalarial Compounds Derived from the Tres Cantos Arylpyrroles. <i>ACS Central Science</i> , 2016, 2, 687-701.	11.3	68
53	Identification of a Potential Antimalarial Drug Candidate from a Series of 2-Aminopyrazines by Optimization of Aqueous Solubility and Potency across the Parasite Life Cycle. <i>Journal of Medicinal Chemistry</i> , 2016, 59, 9890-9905.	6.4	51
54	Identification of New Human Malaria Parasite <i>Plasmodium falciparum</i> Dihydroorotate Dehydrogenase Inhibitors by Pharmacophore and Structure-Based Virtual Screening. <i>Journal of Chemical Information and Modeling</i> , 2016, 56, 548-562.	5.4	61

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55	Monoclonal Antibodies That Recognize the Alkylation Signature of Antimalarial Ozonides OZ277 (Arterolane) and OZ439 (Artefenomel). <i>ACS Infectious Diseases</i> , 2016, 2, 54-61.	3.8	27
56	Antimalarial benzoheterocyclic 4-aminoquinolines: Structure-activity relationship, in vivo evaluation, mechanistic and bioactivation studies. <i>Bioorganic and Medicinal Chemistry</i> , 2015, 23, 5419-5432.	3.0	19
57	Histone Methyltransferase Inhibitors Are Orally Bioavailable, Fast-Acting Molecules with Activity against Different Species Causing Malaria in Humans. <i>Antimicrobial Agents and Chemotherapy</i> , 2015, 59, 950-959.	3.2	43
58	Antiprotozoal Selectivity of Diimidazoline <i>N</i> -Phenylbenzamides. <i>ACS Infectious Diseases</i> , 2015, 1, 135-139.	3.8	4
59	A novel multiple-stage antimalarial agent that inhibits protein synthesis. <i>Nature</i> , 2015, 522, 315-320.	27.8	353
60	A long-duration dihydroorotate dehydrogenase inhibitor (DSM265) for prevention and treatment of malaria. <i>Science Translational Medicine</i> , 2015, 7, 296ra111.	12.4	254
61	Identification and Deconvolution of Cross-Resistance Signals from Antimalarial Compounds Using Multidrug-Resistant <i>Plasmodium falciparum</i> Strains. <i>Antimicrobial Agents and Chemotherapy</i> , 2015, 59, 1110-1118.	3.2	34
62	A Novel Pyrazolopyridine with in Vivo Activity in <i>Plasmodium berghei</i> - and <i>Plasmodium falciparum</i> -Infected Mouse Models from Structure-Activity Relationship Studies around the Core of Recently Identified Antimalarial Imidazopyridazines. <i>Journal of Medicinal Chemistry</i> , 2015, 58, 8713-8722.	6.4	32
63	Structure-Activity Relationship Studies of Orally Active Antimalarial 2,4-Diamino-thienopyrimidines. <i>Journal of Medicinal Chemistry</i> , 2015, 58, 7572-7579.	6.4	14
64	Novel synthetic route for antimalarial benzo[ <i>a</i> ]phenoxazine derivative SSJ-183 and two active metabolites. <i>Bioorganic and Medicinal Chemistry</i> , 2014, 22, 3749-3752.	3.0	14
65	Fast in vitro methods to determine the speed of action and the stage-specificity of anti-malarials in <i>Plasmodium falciparum</i> . <i>Malaria Journal</i> , 2013, 12, 424.	2.3	54
66	UV-triggered Affinity Capture Identifies Interactions between the <i>Plasmodium falciparum</i> Multidrug Resistance Protein 1 (PfMDR1) and Antimalarial Agents in Live Parasitized Cells. <i>Journal of Biological Chemistry</i> , 2013, 288, 22576-22583.	3.4	18
67	Identification of a New Chemical Class of Antimalarials. <i>Journal of Infectious Diseases</i> , 2012, 206, 735-743.	4.0	28
68	Identification and In-Vitro ADME Assessment of a Series of Novel Anti-Malarial Agents Suitable for Hit-to-Lead Chemistry. <i>ACS Medicinal Chemistry Letters</i> , 2012, 3, 570-573.	2.8	19
69	Synthesis of cyanine dyes and investigation of their in vitro antiprotozoal activities. <i>MedChemComm</i> , 2012, 3, 1435.	3.4	14
70	Optimization of Potent Inhibitors of <i>P. falciparum</i> Dihydroorotate Dehydrogenase for the Treatment of Malaria. <i>ACS Medicinal Chemistry Letters</i> , 2011, 2, 708-713.	2.8	41
71	Novel Inhibitors of <i>Plasmodium falciparum</i> Dihydroorotate Dehydrogenase with Anti-malarial Activity in the Mouse Model*. <i>Journal of Biological Chemistry</i> , 2010, 285, 33054-33064.	3.4	121
72	Discovery of Novel Benzo[ <i>a</i> ]phenoxazine SSJ-183 as a Drug Candidate for Malaria. <i>ACS Medicinal Chemistry Letters</i> , 2010, 1, 360-364.	2.8	47

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73	In vitro and in vivo interaction of synthetic peroxide RBx11160 (OZ277) with piperazine in Plasmodium models. <i>Experimental Parasitology</i> , 2007, 115, 296-300.	1.2	103