

Georges Vauquelin

List of Publications by Year in descending order

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docs citations

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times ranked

2727
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#	ARTICLE	IF	CITATIONS
1	Induced fit versus conformational selection: From rate constants to fluxes and back to rate constants. <i>Pharmacology Research and Perspectives</i> , 2021, 9, e00847.	2.4	7
2	Distinct In Vitro Binding Profile of the Somatostatin Receptor Subtype 2 Antagonist [177Lu]Lu-OPS201 Compared to the Agonist [177Lu]Lu-DOTA-TATE. <i>Pharmaceuticals</i> , 2021, 14, 1265.	3.8	10
3	Fluxes for Unraveling Complex Binding Mechanisms. <i>Trends in Pharmacological Sciences</i> , 2020, 41, 923-932.	8.7	6
4	Mechanisms of PDZ domain scaffold assembly illuminated by use of supported cell membrane sheets. <i>ELife</i> , 2019, 8, .	6.0	15
5	Link between a high k_{on} for drug binding and a fast clinical action: to be or not to be?. <i>MedChemComm</i> , 2018, 9, 1426-1438.	3.4	15
6	Distinct <i>in vivo</i> target occupancy by bivalent and induced-fit like binding drugs. <i>British Journal of Pharmacology</i> , 2017, 174, 4233-4246.	5.4	9
7	Identification of Drug-Like Inhibitors of Insulin-Regulated Aminopeptidase Through Small-Molecule Screening. <i>Assay and Drug Development Technologies</i> , 2016, 14, 180-193.	1.2	13
8	Cell membranes and how long drugs may exert beneficial pharmacological activity <i>in vivo</i> . <i>British Journal of Clinical Pharmacology</i> , 2016, 82, 673-682.	2.4	35
9	Effects of target binding kinetics on <i>in vivo</i> drug efficacy: k_{off} , k_{on} and rebinding. <i>British Journal of Pharmacology</i> , 2016, 173, 2319-2334.	5.4	94
10	On the different experimental manifestations of two-state induced-fit binding of drugs to their cellular targets. <i>British Journal of Pharmacology</i> , 2016, 173, 1268-1285.	5.4	17
11	Case Study: Angiotensin Receptor Blockers (ARBs). <i>Methods and Principles in Medicinal Chemistry</i> , 2015, , 273-293.	0.3	0
12	On the μ -pharmacodynamic and pharmacokinetic mechanisms that contribute to long-lasting drug action. <i>Expert Opinion on Drug Discovery</i> , 2015, 10, 1085-1098.	5.0	37
13	μ -Partial competition of heterobivalent ligand binding may be mistaken for allosteric interactions: a comparison of different target interaction models. <i>British Journal of Pharmacology</i> , 2015, 172, 2300-2315.	5.4	10
14	Radioligand binding to intact cells as a tool for extended drug screening in a representative physiological context. <i>Drug Discovery Today: Technologies</i> , 2015, 17, 28-34.	4.0	12
15	The Role of Binding Kinetics in GPCR Drug Discovery. <i>Current Topics in Medicinal Chemistry</i> , 2015, 15, 2504-2522.	2.1	47
16	A study of the molecular mechanism of binding kinetics and long residence times of human CCR5 receptor small molecule allosteric ligands. <i>British Journal of Pharmacology</i> , 2014, 171, 3364-3375.	5.4	56
17	Avidity and positive allosteric modulation/cooperativity act hand in hand to increase the residence time of bivalent receptor ligands. <i>Fundamental and Clinical Pharmacology</i> , 2014, 28, 530-543.	1.9	13
18	Molecular mechanism of allosteric modulation at GPCRs: insight from a binding kinetics study at the human A ₁ adenosine receptor. <i>British Journal of Pharmacology</i> , 2014, 171, 5295-5312.	5.4	20

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19	Simplified models for heterobivalent ligand binding: when are they applicable and which are the factors that affect their target residence time. <i>Naunyn-Schmiedeberg's Archives of Pharmacology</i> , 2013, 386, 949-962.	3.0	27
20	[³ H]IVDE77, a novel radioligand with high affinity and selectivity for the insulin-regulated aminopeptidase. <i>European Journal of Pharmacology</i> , 2013, 702, 93-102.	3.5	18
21	Exploring avidity: understanding the potential gains in functional affinity and target residence time of bivalent and heterobivalent ligands. <i>British Journal of Pharmacology</i> , 2013, 168, 1771-1785.	5.4	182
22	Determination of drug-receptor residence times by radioligand binding and functional assays: experimental strategies and physiological relevance. <i>MedChemComm</i> , 2012, 3, 645.	3.4	21
23	Angiotensin IV displays only low affinity for native insulin-regulated aminopeptidase (IRAP). <i>Fundamental and Clinical Pharmacology</i> , 2012, 26, 194-197.	1.9	9
24	Radioligand dissociation measurements: potential interference of rebinding and allosteric mechanisms and physiological relevance of the biological model systems. <i>Expert Opinion on Drug Discovery</i> , 2012, 7, 583-595.	5.0	22
25	Clozapine, atypical antipsychotics, and the benefits of fast-off D2 dopamine receptor antagonism. <i>Naunyn-Schmiedeberg's Archives of Pharmacology</i> , 2012, 385, 337-372.	3.0	69
26	Binding of α -AT4 receptor ligands to insulin regulated aminopeptidase (IRAP) in intact Chinese hamster ovary cells. <i>Molecular and Cellular Endocrinology</i> , 2011, 339, 34-44.	3.2	9
27	Potent Macrocyclic Inhibitors of Insulin-Regulated Aminopeptidase (IRAP) by Olefin Ring-Closing Metathesis. <i>Journal of Medicinal Chemistry</i> , 2011, 54, 3779-3792.	6.4	44
28	Binding properties of antagonists to Cannabinoid receptors in intact cells. <i>Fundamental and Clinical Pharmacology</i> , 2011, 25, 200-210.	1.9	12
29	Conformational constraints in angiotensin IV to probe the role of Tyr ² , Pro ⁵ and Phe ⁶ . <i>Journal of Peptide Science</i> , 2011, 17, 545-553.	1.4	13
30	Unravelling the complex dissociation of [³ H]rimonabant from plated CB ₁ cannabinoid receptor-expressing cells. <i>Fundamental and Clinical Pharmacology</i> , 2010, 24, 181-187.	1.9	7
31	Antagonist-D _{2S} -dopamine receptors interactions in intact Chinese recombinant ovary cells. <i>Fundamental and Clinical Pharmacology</i> , 2010, 24, 293-303.	1.9	6
32	Non-competitive interaction between raclopride and spiperone on human D _{2L} receptors in intact Chinese hamster ovary cells. <i>Fundamental and Clinical Pharmacology</i> , 2010, 24, 283-291.	1.9	3
33	Elusive equilibrium: the challenge of interpreting receptor pharmacology using calcium assays. <i>British Journal of Pharmacology</i> , 2010, 161, 1250-1265.	5.4	88
34	Long-lasting target binding and rebinding as mechanisms to prolong <i>in vivo</i> drug action. <i>British Journal of Pharmacology</i> , 2010, 161, 488-508.	5.4	250
35	Involvement of the AT ₁ receptor subtype in the effects of angiotensin IV and LVV-haemorphin 7 on hippocampal neurotransmitter levels and spatial working memory. <i>Journal of Neurochemistry</i> , 2010, 112, 1223-1234.	3.9	21
36	Disulfide Cyclized Tripeptide Analogues of Angiotensin IV as Potent and Selective Inhibitors of Insulin-Regulated Aminopeptidase (IRAP). <i>Journal of Medicinal Chemistry</i> , 2010, 53, 8059-8071.	6.4	55

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37	Rebinding: or why drugs may act longer <i>in vivo</i> than expected from their <i>in vitro</i> target residence time. <i>Expert Opinion on Drug Discovery</i> , 2010, 5, 927-941.	5.0	80
38	Selective labeling of IRAP by the tritiated AT4 receptor ligand [3H]Angiotensin IV and its stable analog [3H]AL-11. <i>Molecular and Cellular Endocrinology</i> , 2009, 311, 77-86.	3.2	19
39	The Replacement of His(4) in Angiotensin IV by Conformationally Constrained Residues Provides Highly Potent and Selective Analogues. <i>Journal of Medicinal Chemistry</i> , 2009, 52, 5612-5618.	6.4	32
40	Involvement of insulin-regulated aminopeptidase in the effects of the renin-angiotensin fragment angiotensin IV: a review. <i>Heart Failure Reviews</i> , 2008, 13, 321-337.	3.9	87
41	Ligands to the (IRAP)/AT4 receptor encompassing a 4-hydroxydiphenylmethane scaffold replacing Tyr2. <i>Bioorganic and Medicinal Chemistry</i> , 2008, 16, 6924-6935.	3.0	32
42	Antagonist-radioligand binding to D2L-receptors in intact cells. <i>Biochemical Pharmacology</i> , 2008, 75, 2192-2203.	4.4	21
43	¹²⁵ I-Homo-amino Acid Scan of Angiotensin IV. <i>Journal of Medicinal Chemistry</i> , 2008, 51, 2291-2296.	6.4	49
44	Neurokinin 1 Receptor Antagonists: Correlation between <i>in Vitro</i> Receptor Interaction and <i>in Vivo</i> Efficacy. <i>Journal of Pharmacology and Experimental Therapeutics</i> , 2007, 322, 1286-1293.	2.5	63
45	Kinetic versus allosteric mechanisms to explain insurmountable antagonism and delayed ligand dissociation. <i>Neurochemistry International</i> , 2007, 51, 254-260.	3.8	27
46	Small potent ligands to the insulin-regulated aminopeptidase (IRAP)/AT4 receptor. <i>Journal of Peptide Science</i> , 2007, 13, 434-444.	1.4	29
47	Involvement of insulin-regulated aminopeptidase and/or aminopeptidase N in the angiotensin IV-induced effect on dopamine release in the striatum of the rat. <i>Brain Research</i> , 2007, 1131, 97-105.	2.2	31
48	Slow antagonist dissociation and long-lasting <i>in vivo</i> receptor protection. <i>Trends in Pharmacological Sciences</i> , 2006, 27, 355-359.	8.7	88
49	Long-lasting angiotensin type 1 receptor binding and protection by candesartan: comparison with other biphenyl-tetrazole sartans. <i>Journal of Hypertension</i> , 2006, 24, S23-S30.	0.5	39
50	Cyclic insulin-regulated aminopeptidase (IRAP)/AT4 receptor ligands. <i>Journal of Peptide Science</i> , 2006, 12, 705-713.	1.4	33
51	Angiotensin AT4 receptor ligand interaction with cystinyl aminopeptidase and aminopeptidase N: [125I]Angiotensin IV only binds to the cystinyl aminopeptidase apo-enzyme. <i>European Journal of Pharmacology</i> , 2006, 546, 19-27.	3.5	29
52	Metal ion modulation of cystinyl aminopeptidase. <i>Biochemical Journal</i> , 2005, 390, 351-357.	3.7	17
53	Ligand binding and functional properties of human angiotensin AT1 receptors in transiently and stably expressed CHO-K1 cells. <i>European Journal of Pharmacology</i> , 2005, 513, 35-45.	3.5	27
54	Effect of saponin and filipin on antagonist binding to AT1 receptors in intact cells. <i>Biochemical Pharmacology</i> , 2004, 67, 1601-1606.	4.4	17

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55	Synergistic modulation of cystinyl aminopeptidase by divalent cation chelators. <i>Biochemical Pharmacology</i> , 2004, 68, 893-900.	4.4	21
56	Endogenous cystinyl aminopeptidase in Chinese hamster ovary cells: characterization by [¹²⁵ I]Ang IV binding and catalytic activity. <i>Biochemical Pharmacology</i> , 2004, 68, 885-892.	4.4	43
57	Peptide and nonpeptide antagonist interaction with constitutively active human AT1 receptors. <i>Biochemical Pharmacology</i> , 2003, 65, 1329-1338.	4.4	30
58	A two-state model of antagonist-AT1 receptor interaction: further support by binding studies at low temperature. <i>Biochemical Pharmacology</i> , 2003, 65, 1339-1341.	4.4	7
59	Agonist induction and conformational selection during activation of a G-protein-coupled receptor. <i>Trends in Pharmacological Sciences</i> , 2003, 24, 81-86.	8.7	60
60	Cellular targets for angiotensin II fragments: pharmacological and molecular evidence. <i>JRAAS - Journal of the Renin-Angiotensin-Aldosterone System</i> , 2002, 3, 195-204.	1.7	64
61	Angiotensin IV Is a Potent Agonist for Constitutive Active Human AT1 Receptors. <i>Journal of Biological Chemistry</i> , 2002, 277, 23107-23110.	3.4	75
62	Models and methods for studying insurmountable antagonism. <i>Trends in Pharmacological Sciences</i> , 2002, 23, 514-518.	8.7	57
63	Distinct binding properties of the AT1 receptor antagonist [³ H]candesartan to intact cells and membrane preparations. <i>Biochemical Pharmacology</i> , 2002, 63, 1273-1279.	4.4	37
64	Antagonist interaction with endogenous AT1 receptors in human cell lines. <i>Biochemical Pharmacology</i> , 2002, 64, 1207-1214.	4.4	10
65	Insurmountable AT1 receptor antagonism: the need for different antagonist binding states of the receptor. <i>Trends in Pharmacological Sciences</i> , 2001, 22, 343-344.	8.7	25
66	How Can the Differences Among AT ₁ -Receptor Antagonists Be Explained?. <i>Cell Biochemistry and Biophysics</i> , 2001, 35, 89-102.	1.8	16
67	A two-state receptor model for the interaction between angiotensin II type 1 receptors and non-peptide antagonists. <i>Biochemical Pharmacology</i> , 2001, 61, 277-284.	4.4	57
68	Tight binding of the angiotensin AT1 receptor antagonist [³ H]candesartan is independent of receptor internalization. Abbreviations: candesartan, 2-ethoxy-1-[(2-((1H-tetrazol-5-yl)biphenyl-4-yl)methyl)-1H-benzimidazole-7-carboxylic acid; CHO-K1, Chinese hamster Ovary cells; CHO-hAT1 cells, CHO-K1 cells expressing human AT1 receptors; CHO-rAT1A-WT, CHO-K1 cells expressing wild type rat AT1A receptors; CHO-TL314-rAT1A, CHO-K1 cells expressing rat AT1A receptors with a truncated cytoplasmic tail at Leucine 31. <i>Biochemical Pharmacology</i> , 2001, 61, 277-284.	4.4	22
69	Review: Structural requirements for signalling and regulation of AT1-receptors. <i>JRAAS - Journal of the Renin-Angiotensin-Aldosterone System</i> , 2001, 2, S16-S23.	1.7	13
70	Distinctions between non-peptide angiotensin II AT1-receptor antagonists. <i>JRAAS - Journal of the Renin-Angiotensin-Aldosterone System</i> , 2001, 2, S24-S31.	1.7	15
71	Role of basic amino acids of the human angiotensin type 1 receptor in the binding of the non-peptide antagonist candesartan. <i>JRAAS - Journal of the Renin-Angiotensin-Aldosterone System</i> , 2001, 2, S32-S36.	1.7	14
72	Angiotensin II type 1 receptor antagonists. <i>Biochemical Pharmacology</i> , 2000, 60, 1557-1563.	4.4	43

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73	Reversible and syntopic interaction between angiotensin receptor antagonists on Chinese hamster ovary cells expressing human angiotensin II type 1 receptors. <i>Biochemical Pharmacology</i> , 2000, 59, 927-935.	4.4	49
74	Inhibition of angiotensin II-induced inositol phosphate production by triacid nonpeptide antagonists in CHO cells expressing human AT1 receptors. <i>Pharmaceutical Research</i> , 2000, 17, 1482-1488.	3.5	5
75	Binding characteristics of [3H]-irbesartan to human recombinant angiotensin type 1 receptors. <i>JRAAS - Journal of the Renin-Angiotensin-Aldosterone System</i> , 2000, 1, 159-165.	1.7	18
76	Lys 199 mutation of the human angiotensin type 1 receptor differentially affects the binding of surmountable and insurmountable non-peptide antagonists. <i>JRAAS - Journal of the Renin-Angiotensin-Aldosterone System</i> , 2000, 1, 283-288.	1.7	41
77	Identification of I1 and I2 Imidazoline Receptors in Striatum Membranes from Different Species. <i>Annals of the New York Academy of Sciences</i> , 1999, 881, 135-143.	3.8	6
78	Binding of the antagonist [125I]candesartan to angiotensin II AT1 receptor-transfected Chinese hamster ovary cells. <i>European Journal of Pharmacology</i> , 1999, 367, 413-422.	3.5	85
79	Insurmountable angiotensin AT1 receptor antagonists: the role of tight antagonist binding. <i>European Journal of Pharmacology</i> , 1999, 372, 199-206.	3.5	81
80	Dopamine and Iron Induce Apoptosis in PC12 Cells. <i>Basic and Clinical Pharmacology and Toxicology</i> , 1997, 80, 76-84.	0.0	69
81	Regional Distribution of α 2A- and α 2B-Adrenoceptor Subtypes in Postmortem Human Brain. <i>Journal of Neurochemistry</i> , 1992, 58, 1555-1560.	3.9	80