Georges Vauquelin

List of Publications by Year
in descending order

81	3,037	136950 32	175258 52
papers	citations	h-index	g-index

83	83	83	2727
all docs	docs citations	times ranked	citing authors

#	Article	IF	Citations
1	Longâ€lasting target binding and rebinding as mechanisms to prolong in vivo drug action. British Journal of Pharmacology, 2010, 161, 488-508.	5.4	250
2	Exploring avidity: understanding the potential gains in functional affinity and target residence time of bivalent and heterobivalent ligands. British Journal of Pharmacology, 2013, 168, 1771-1785.	5.4	182
3	Effects of target binding kinetics on in vivo drug efficacy: k_{off}, k_{on} and rebinding. British Journal of Pharmacology, 2016, 173, 2319-2334.	5.4	94
4	Slow antagonist dissociation and long-lasting in vivo receptor protection. Trends in Pharmacological Sciences, 2006, 27, 355-359.	8.7	88
5	Elusive equilibrium: the challenge of interpreting receptor pharmacology using calcium assays. British Journal of Pharmacology, 2010, 161, 1250-1265.	5.4	88
6	Involvement of insulin-regulated aminopeptidase in the effects of the reninâ€“angiotensin fragment angiotensin IV: a review. Heart Failure Reviews, 2008, 13, 321-337.	3.9	87
7	Binding of the antagonist []candesartan to angiotensin II AT1 receptor-tranfected Chinese hamster ovary cells. European Journal of Pharmacology, 1999, 367, 413-422.	3.5	85
8	Insurmountable angiotensin AT1 receptor antagonists: the role of tight antagonist binding. European Journal of Pharmacology, 1999, 372, 199-206.	3.5	81
9	Regional Distribution of ?2A-and ?2B-Adrenoceptor Subtypes in Postmortem Human Brain. Journal of Neurochemistry, 1992, 58, 1555-1560.	3.9	80
10	Rebinding: or why drugs may act longerin vivothan expected from theirin vitrotarget residence time. Expert Opinion on Drug Discovery, 2010, 5, 927-941.	5.0	80
11	Angiotensin IV Is a Potent Agonist for Constitutive Active Human AT1 Receptors. Journal of Biological Chemistry, 2002, 277, 23107-23110.	3.4	75
12	Dopamine and Iron Induce Apoptosis in PC12 Cells. Basic and Clinical Pharmacology and Toxicology, 1997, 80, 76-84.	0.0	69
13	Clozapine, atypical antipsychotics, and the benefits of fast-off D2 dopamine receptor antagonism. Naunyn-Schmiedeberg's Archives of Pharmacology, 2012, 385, 337-372.	3.0	69
14	Cellular targets for angiotensin II fragments: pharmacological and molecular evidence. JRAAS - Journal of the Renin-Angiotensin-Aldosterone System, 2002, 3, 195-204.	1.7	64
15	Neurokinin 1 Receptor Antagonists: Correlation between in Vitro Receptor Interaction and in Vivo Efficacy. Journal of Pharmacology and Experimental Therapeutics, 2007, 322, 1286-1293.	2.5	63
16	Agonist induction and conformational selection during activation of a G-protein-coupled receptor. Trends in Pharmacological Sciences, 2003, 24, 81-86.	8.7	60
17	A two-state receptor model for the interaction between angiotensin II type 1 receptors and non-peptide antagonists. Biochemical Pharmacology, 2001, 61, 277-284.	4.4	57
18	Models and methods for studying insurmountable antagonism. Trends in Pharmacological Sciences, 2002, 23, 514-518.	8.7	57

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19	A study of the molecular mechanism of binding kinetics and long residence times of human <scp>CCR</scp>5 receptor small molecule allosteric ligands. British Journal of Pharmacology, 2014, 171, 3364-3375.	5.4	56
20	Disulfide Cyclized Tripeptide Analogues of Angiotensin IV as Potent and Selective Inhibitors of Insulin-Regulated Aminopeptidase (IRAP). Journal of Medicinal Chemistry, 2010, 53, 8059-8071.	6.4	55
21	Reversible and syntopic interaction between angiotensin receptor antagonists on Chinese hamster ovary cells expressing human angiotensin II type 1 receptors. Biochemical Pharmacology, 2000, 59, 927-935.	4.4	49
22	Î²-Homo-amino Acid Scan of Angiotensin IV. Journal of Medicinal Chemistry, 2008, 51, 2291-2296.	6.4	49
23	The Role of Binding Kinetics in GPCR Drug Discovery. Current Topics in Medicinal Chemistry, 2015, 15, 2504-2522.	2.1	47
24	Potent Macrocyclic Inhibitors of Insulin-Regulated Aminopeptidase (IRAP) by Olefin Ring-Closing Metathesis. Journal of Medicinal Chemistry, 2011, 54, 3779-3792.	6.4	44
25	Angiotensin II type 1 receptor antagonists. Biochemical Pharmacology, 2000, 60, 1557-1563.	4.4	43
26	Endogenous cystinyl aminopeptidase in Chinese hamster ovary cells: characterization by [125I]Ang IV binding and catalytic activity. Biochemical Pharmacology, 2004, 68, 885-892.	4.4	43
27	Lys 199 mutation of the human angiotensin type 1 receptor differentially affects the binding of surmountable and insurmountable non-peptide antagonists. JRAAS - Journal of the Renin-Angiotensin-Aldosterone System, 2000, 1, 283-288.	1.7	41
28	Long-lasting angiotensin type 1 receptor binding and protection by candesartan: comparison with other biphenyl-tetrazole sartans. Journal of Hypertension, 2006, 24, S23-S30.	0.5	39
29	Distinct binding properties of the AT1 receptor antagonist [3H]candesartan to intact cells and membrane preparations. Biochemical Pharmacology, 2002, 63, 1273-1279.	4.4	37
30	On the â€˜microâ€™-pharmacodynamic and pharmacokinetic mechanisms that contribute to long-lasting drug action. Expert Opinion on Drug Discovery, 2015, 10, 1085-1098.	5.0	37
31	Cell membranesâ€¦ and how long drugs may exert beneficial pharmacological activity in vivo. British Journal of Clinical Pharmacology, 2016, 82, 673-682.	2.4	35
32	Cyclic insulin-regulated aminopeptidase (IRAP)/AT4 receptor ligands. Journal of Peptide Science, 2006, 12, 705-713.	1.4	33
33	Ligands to the (IRAP)/AT4 receptor encompassing a 4-hydroxydiphenylmethane scaffold replacing Tyr2. Bioorganic and Medicinal Chemistry, 2008, 16, 6924-6935.	3.0	32
34	The Replacement of His(4) in Angiotensin IV by Conformationally Constrained Residues Provides Highly Potent and Selective Analogues. Journal of Medicinal Chemistry, 2009, 52, 5612-5618.	6.4	32
35	Involvement of insulin-regulated aminopeptidase and/or aminopeptidase N in the angiotensin IV-induced effect on dopamine release in the striatum of the rat. Brain Research, 2007, 1131, 97-105.	2.2	31
36	Peptide and nonpeptide antagonist interaction with constitutively active human AT1 receptors. Biochemical Pharmacology, 2003, 65, 1329-1338.	4.4	30

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37	Angiotensin AT4 receptor ligand interaction with cystinyl aminopeptidase and aminopeptidase N: [125I]Angiotensin IV only binds to the cystinyl aminopeptidase apo-enzyme. European Journal of Pharmacology, 2006, 546, 19-27.	3.5	29
38	Small potent ligands to the insulin-regulated aminopeptidase (IRAP)/AT4 receptor. Journal of Peptide Science, 2007, 13, 434-444.	1.4	29
39	Ligand binding and functional properties of human angiotensin AT1 receptors in transiently and stably expressed CHO-K1 cells. European Journal of Pharmacology, 2005, 513, 35-45.	3.5	27
40	Kinetic versus allosteric mechanisms to explain insurmountable antagonism and delayed ligand dissociation. Neurochemistry International, 2007, 51, 254-260.	3.8	27
41	Simplified models for heterobivalent ligand binding: when are they applicable and which are the factors that affect their target residence time. Naunyn-Schmiedeberg's Archives of Pharmacology, 2013, 386, 949-962.	3.0	27
42	Insurmountable AT1 receptor antagonism: the need for different antagonist binding states of the receptor. Trends in Pharmacological Sciences, 2001, 22, 343-344.	8.7	25
43	Tight binding of the angiotensin II receptor antagonist [3H]candesartan is independent of receptor internalization I1Abbreviations: candesartan, 2-ethoxy-1-[(2â€²-(1H-tetrazol-5-yl)biphenyl-4-yl)methyl]-1H-benzimidazoline-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. Biochemical	4.4	22
44	Radioligand dissociation measurements: potential interference of rebinding and allosteric mechanisms and physiological relevance of the biological model systems. Expert Opinion on Drug Discovery, 2012, 7, 583-595.	5.0	22
45	Synergistic modulation of cystinyl aminopeptidase by divalent cation chelators. Biochemical Pharmacology, 2004, 68, 893-900.	4.4	21
46	Antagonist-radioligand binding to D2L-receptors in intact cells. Biochemical Pharmacology, 2008, 75, 2192-2203.	4.4	21
47	Involvement of the AT₁ receptor subtype in the effects of angiotensin IV and LVVâ€haemorphin 7 on hippocampal neurotransmitter levels and spatial working memory. Journal of Neurochemistry, 2010, 112, 1223-1234.	3.9	21
48	Determination of drugâ€“receptor residence times by radioligand binding and functional assays: experimental strategies and physiological relevance. MedChemComm, 2012, 3, 645.	3.4	21
49	Molecular mechanism of allosteric modulation at <scp>GPCRs</scp>: insight from a binding kinetics study at the human <scp>A</scp>₁ adenosine receptor. British Journal of Pharmacology, 2014, 171, 5295-5312.	5.4	20
50	Selective labeling of IRAP by the tritiated AT4 receptor ligand [3H]Angiotensin IV and its stable analog [3H]AL-11. Molecular and Cellular Endocrinology, 2009, 311, 77-86.	3.2	19
51	Binding characteristics of [3H]-irbesartan to human recombinant angiotensin type 1 receptors. JRAAS - Journal of the Renin-Angiotensin-Aldosterone System, 2000, 1, 159-165.	1.7	18
52	[3H]IVDE77, a novel radioligand with high affinity and selectivity for the insulin-regulated aminopeptidase. European Journal of Pharmacology, 2013, 702, 93-102.	3.5	18
53	Effect of saponin and filipin on antagonist binding to AT1 receptors in intact cells. Biochemical Pharmacology, 2004, 67, 1601-1606.	4.4	17
54	Metal ion modulation of cystinyl aminopeptidase. Biochemical Journal, 2005, 390, 351-357.	3.7	17

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55	On the different experimental manifestations of twoâ€•state â€˜inducedâ€•fitâ€™ binding of drugs to their cellular targets. British Journal of Pharmacology, 2016, 173, 1268-1285.	5.4	17
56	How Can the Differences Among AT₁-Receptor Antagonists Be Explained?. Cell Biochemistry and Biophysics, 2001, 35, 89-102.	1.8	16
57	Distinctions between non-peptide angiotensin II AT1-receptor antagonists. JRAAS - Journal of the Renin-Angiotensin-Aldosterone System, 2001, 2, S24-S31.	1.7	15
58	Link between a highk_{on}for drug binding and a fast clinical action: to be or not to be?. MedChemComm, 2018, 9, 1426-1438.	3.4	15
59	Mechanisms of PDZ domain scaffold assembly illuminated by use of supported cell membrane sheets. ELife, 2019, 8, .	6.0	15
60	Role of basic amino acids of the human angiotensin type 1 receptor in the binding of the non-peptide antagonist candesartan. JRAAS - Journal of the Renin-Angiotensin-Aldosterone System, 2001, 2, S32-S36.	1.7	14
61	Review: Structural requirements for signalling and regulation of AT1-receptors. JRAAS - Journal of the Renin-Angiotensin-Aldosterone System, 2001, 2, S16-S23.	1.7	13
62	Conformational constraints in angiotensin IV to probe the role of Tyr², Pro⁵ and Phe⁶. Journal of Peptide Science, 2011, 17, 545-553.	1.4	13
63	Avidity and positive allosteric modulation/cooperativity act hand in hand to increase the residence time of bivalent receptor ligands. Fundamental and Clinical Pharmacology, 2014, 28, 530-543.	1.9	13
64	Identification of Drug-Like Inhibitors of Insulin-Regulated Aminopeptidase Through Small-Molecule Screening. Assay and Drug Development Technologies, 2016, 14, 180-193.	1.2	13
65	Binding properties of antagonists to Cannabinoid receptors in intact cells. Fundamental and Clinical Pharmacology, 2011, 25, 200-210.	1.9	12
66	Radioligand binding to intact cells as a tool for extended drug screening in a representative physiological context. Drug Discovery Today: Technologies, 2015, 17, 28-34.	4.0	12
67	Antagonist interaction with endogenous AT1 receptors in human cell lines. Biochemical Pharmacology, 2002, 64, 1207-1214.	4.4	10
68	â€˜Partialâ€™ competition of heterobivalent ligand binding may be mistaken for allosteric interactions: a comparison of different target interaction models. British Journal of Pharmacology, 2015, 172, 2300-2315.	5.4	10
69	Distinct In Vitro Binding Profile of the Somatostatin Receptor Subtype 2 Antagonist [177Lu]Lu-OPS201 Compared to the Agonist [177Lu]Lu-DOTA-TATE. Pharmaceuticals, 2021, 14, 1265.	3.8	10
70	Binding of â€œAT4 receptorâ€•ligands to insulin regulated aminopeptidase (IRAP) in intact Chinese hamster ovary cells. Molecular and Cellular Endocrinology, 2011, 339, 34-44.	3.2	9
71	Angiotensin IV displays only low affinity for native insulinâ€•regulated aminopeptidase (IRAP). Fundamental and Clinical Pharmacology, 2012, 26, 194-197.	1.9	9
72	Distinct in vivo target occupancy by bivalentâ€•and inducedâ€•fitâ€•like binding drugs. British Journal of Pharmacology, 2017, 174, 4233-4246.	5.4	9

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73	A two-state model of antagonist-AT1 receptor interaction: further support by binding studies at low temperature. Biochemical Pharmacology, 2003, 65, 1339-1341.	4.4	7
74	Unravelling the complex dissociation of [³H]â€rimonabant from plated CB₁ cannabinoid receptorâ€expressing cells. Fundamental and Clinical Pharmacology, 2010, 24, 181-187.	1.9	7
75	Induced fit versus conformational selection: From rate constants to fluxesâ€¦ and back to rate constants. Pharmacology Research and Perspectives, 2021, 9, e00847.	2.4	7
76	Identification of I1 and I2 Imidazoline Receptors in Striatum Membranes from Different Speciesa. Annals of the New York Academy of Sciences, 1999, 881, 135-143.	3.8	6
77	Antagonistâ€D_{2S}â€dopamine receptors interactions in intact Chinese recombinant ovary cells. Fundamental and Clinical Pharmacology, 2010, 24, 293-303.	1.9	6
78	Fluxes for Unraveling Complex Binding Mechanisms. Trends in Pharmacological Sciences, 2020, 41, 923-932.	8.7	6
79	Inhibition of angiotensin II-induced inositol phosphate production by triacid nonpeptide antagonists in CHO cells expressing human AT1 receptors. Pharmaceutical Research, 2000, 17, 1482-1488.	3.5	5
80	Nonâ€competitive interaction between raclopride and spiperone on human D_{2L}â€receptors in intact Chinese hamster ovary cells. Fundamental and Clinical Pharmacology, 2010, 24, 283-291.	1.9	3
81	Case Study: Angiotensin Receptor Blockers (ARBs). Methods and Principles in Medicinal Chemistry, 2015, , 273-293.	0.3	0