Rob Leurs

List of Publications by Citations

338 papers	13,165 citations	62 h-index	95 g-index
350 ext. papers	14,400 ext. citations	5.8 avg, IF	6.06 L-index

#	Paper	IF	Citations
338	The histamine H3 receptor: from gene cloning to H3 receptor drugs. *Nature Reviews Drug Discovery*, **2005**, 4, 107-20	64.1	388
337	International Union of Basic and Clinical Pharmacology. XCVIII. Histamine Receptors. *Pharmacological Reviews*, **2015**, 67, 601-55	22.5	330
336	Evaluation of histamine H1-, H2-, and H3-receptor ligands at the human histamine H4 receptor: identification of 4-methylhistamine as the first potent and selective H4 receptor agonist. *Journal of Pharmacology and Experimental Therapeutics*, **2005**, 314, 1310-21	4.7	256
335	Histamine H(1)-receptor activation of nuclear factor-kappa B: roles for G beta gamma- and G alpha(q/11)-subunits in constitutive and agonist-mediated signaling. *Molecular Pharmacology*, **2001**, 60, 1133-42	4.3	218
334	Keynote review: histamine H3 receptor antagonists reach out for the clinic. *Drug Discovery Today*, **2005**, 10, 1613-27	8.8	190
333	Constitutive signaling of the human cytomegalovirus-encoded chemokine receptor US28. *Journal of Biological Chemistry*, **2001**, 276, 1133-7	5.4	187
332	KLIFS: a knowledge-based structural database to navigate kinase-ligand interaction space. *Journal of Medicinal Chemistry*, **2014**, 57, 249-77	8.3	179
331	Pharmacological modulation of chemokine receptor function. *British Journal of Pharmacology*, **2012** , 165, 1617-1643	8.6	175
330	CXCR4 nanobodies (VHH-based single variable domains) potently inhibit chemotaxis and HIV-1 replication and mobilize stem cells. *Proceedings of the National Academy of Sciences of the United States of America*, **2010**, 107, 20565-70	11.5	174
329	Crystal structure-based virtual screening for fragment-like ligands of the human histamine H(1) receptor. *Journal of Medicinal Chemistry*, **2011**, 54, 8195-206	8.3	171
328	Human cytomegalovirus-encoded chemokine receptor US28 promotes tumorigenesis. *Proceedings of the National Academy of Sciences of the United States of America*, **2006**, 103, 13068-73	11.5	169
327	The histamine H4 receptor as a new therapeutic target for inflammation. *Trends in Pharmacological Sciences*, **2005**, 26, 462-9	13.2	163
326	Transforming fragments into candidates: small becomes big in medicinal chemistry. *Drug Discovery Today*, **2009**, 14, 630-46	8.8	161
325	Pharmacogenomic and structural analysis of constitutive g protein-coupled receptor activity. *Annual Review of Pharmacology and Toxicology*, **2007**, 47, 53-87	17.9	156
324	Fragment based design of new H4 receptor-ligands with anti-inflammatory properties in vivo. *Journal of Medicinal Chemistry*, **2008**, 51, 2457-67	8.3	145
323	Interactions between histamine H3 and dopamine D2 receptors and the implications for striatal function. *Neuropharmacology*, **2008**, 55, 190-7	5.5	142
322	Agonist-independent regulation of constitutively active G-protein-coupled receptors. *Trends in Biochemical Sciences*, **1998**, 23, 418-22	10.3	129

321	Chemical insights in the concept of hybrid drugs: the antitumor effect of nitric oxide-donating aspirin involves a quinone methide but not nitric oxide nor aspirin. *Journal of Medicinal Chemistry*, **2007**, 50, 2424-31	8.3	126
320	Human IP-9: A keratinocyte-derived high affinity CXC-chemokine ligand for the IP-10/Mig receptor (CXCR3). *Journal of Investigative Dermatology*, **1999**, 112, 716-22	4.3	122
319	Ligand efficiency as a guide in fragment hit selection and optimization. *Drug Discovery Today: Technologies*, **2010**, 7, e147-202	7.1	121
318	Molecular and biochemical pharmacology of the histamine H4 receptor. *British Journal of Pharmacology*, **2009**, 157, 14-23	8.6	120
317	The human cytomegalovirus-encoded chemokine receptor US28 promotes angiogenesis and tumor formation via cyclooxygenase-2. *Cancer Research*, **2009**, 69, 2861-9	10.1	117
316	Marked changes in signal transduction upon heteromerization of dopamine D1 and histamine H3 receptors. *British Journal of Pharmacology*, **2009**, 157, 64-75	8.6	117
315	CXCR3-mediated chemotaxis of human T cells is regulated by a Gi- and phospholipase C-dependent pathway and not via activation of MEK/p44/p42 MAPK nor Akt/PI-3 kinase. *Blood*, **2003**, 102, 1959-65	2.2	117
314	Kinetics for Drug Discovery: an industry-driven effort to target drug residence time. *Drug Discovery Today*, **2017**, 22, 896-911	8.8	113
313	Constitutive activity of the histamine H(1) receptor reveals inverse agonism of histamine H(1) receptor antagonists. *European Journal of Pharmacology*, **2000**, 387, R5-7	5.3	113
312	Characterization of the histamine H4 receptor binding site. Part 1. Synthesis and pharmacological evaluation of dibenzodiazepine derivatives. *Journal of Medicinal Chemistry*, **2006**, 49, 4512-6	8.3	112
311	Distinct efficacies for two endogenous ligands on a single cognate gonadoliberin receptor. *FEBS Journal*, **1997**, 243, 134-40		107
310	Histamine downregulates monocyte CCL2 production through the histamine H4 receptor. *Journal of Allergy and Clinical Immunology*, **2007**, 120, 300-7	11.5	100
309	Antiinflammatory and antinociceptive effects of the selective histamine H4-receptor antagonists JNJ7777120 and VUF6002 in a rat model of carrageenan-induced acute inflammation. *European Journal of Pharmacology*, **2007**, 563, 240-4	5.3	100
308	KLIFS: a structural kinase-ligand interaction database. *Nucleic Acids Research*, **2016**, 44, D365-71	20.1	98
307	Mutational analysis of the antagonist-binding site of the histamine H(1) receptor. *Journal of Biological Chemistry*, **1999**, 274, 29994-30000	5.4	97
306	Synthesis and structure-activity relationships of indole and benzimidazole piperazines as histamine H(4) receptor antagonists. *Bioorganic and Medicinal Chemistry Letters*, **2004**, 14, 5251-6	2.9	95
305	Synthesis and QSAR of quinazoline sulfonamides as highly potent human histamine H4 receptor inverse agonists. *Journal of Medicinal Chemistry*, **2010**, 53, 2390-400	8.3	93
304	Histamine H3 receptor ligands break ground in a remarkable plethora of therapeutic areas. *Expert Opinion on Investigational Drugs*, **2007**, 16, 967-85	5.9	90

303	The carboxyl terminus of human cytomegalovirus-encoded 7 transmembrane receptor US28 camouflages agonism by mediating constitutive endocytosis. *Journal of Biological Chemistry*, **2003**, 278, 19473-82	5.4	90
302	Pivotal role for the cytoplasmic carboxyl-terminal tail of a nonmammalian gonadotropin-releasing hormone receptor in cell surface expression, ligand binding, and receptor phosphorylation and internalization. *Molecular Pharmacology*, **1999**, 56, 1229-37	4.3	88
301	Molecular aspects of the histamine H3 receptor. *Biochemical Pharmacology*, **2007**, 73, 1195-204	6	87
300	The Epstein-Barr virus BILF1 gene encodes a G protein-coupled receptor that inhibits phosphorylation of RNA-dependent protein kinase. *Journal of Virology*, **2005**, 79, 441-9	6.6	87
299	A new potent and selective histamine H3 receptor agonist, 4-(1H-imidazol-4-ylmethyl)piperidine. *Journal of Medicinal Chemistry*, **1994**, 37, 332-3	8.3	87
298	Kaposi sarcoma-associated herpesvirus-encoded G protein-coupled receptor ORF74 constitutively activates p44/p42 MAPK and Akt via G(i) and phospholipase C-dependent signaling pathways. *Journal of Virology*, **2002**, 76, 1744-52	6.6	86
297	Human inflammatory dendritic epidermal cells express a functional histamine H4 receptor. *Journal of Investigative Dermatology*, **2008**, 128, 1696-703	4.3	85
296	Cloning and tissue expression of a rat histamine H2-receptor gene. *Biochemical and Biophysical Research Communications*, **1991**, 179, 1470-8	3.4	84
295	The cytomegalovirus-encoded chemokine receptor US28 promotes intestinal neoplasia in transgenic mice. *Journal of Clinical Investigation*, **2010**, 120, 3969-78	15.9	83
294	Linking agonist binding to histamine H1 receptor activation. *Nature Chemical Biology*, **2005**, 1, 98-103	11.7	79
293	Discovery of quinazolines as histamine H4 receptor inverse agonists using a scaffold hopping approach. *Journal of Medicinal Chemistry*, **2008**, 51, 7855-65	8.3	78
292	Discovery of S-(2-guanidylethyl)-isothiourea (VUF 8430) as a potent nonimidazole histamine H4 receptor agonist. *Journal of Medicinal Chemistry*, **2006**, 49, 6650-1	8.3	76
291	Constitutive signaling of the human cytomegalovirus-encoded receptor UL33 differs from that of its rat cytomegalovirus homolog R33 by promiscuous activation of G proteins of the Gq, Gi, and Gs classes. *Journal of Biological Chemistry*, **2003**, 278, 50010-23	5.4	76
290	Use of acetylcholine binding protein in the search for novel alpha7 nicotinic receptor ligands. In silico docking, pharmacological screening, and X-ray analysis. *Journal of Medicinal Chemistry*, **2009**, 52, 2372-83	8.3	74
289	Two gonadotropin-releasing hormone receptors in the African catfish: no differences in ligand selectivity, but differences in tissue distribution. *Endocrinology*, **2002**, 143, 4673-82	4.8	74
288	Identification of the first nonpeptidergic inverse agonist for a constitutively active viral-encoded G protein-coupled receptor. *Journal of Biological Chemistry*, **2003**, 278, 5172-8	5.4	72
287	The rat cytomegalovirus R33-encoded G protein-coupled receptor signals in a constitutive fashion. *Journal of Virology*, **2002**, 76, 1328-38	6.6	71
286	The Epstein-Barr virus-encoded G protein-coupled receptor BILF1 hetero-oligomerizes with human CXCR4, scavenges G proteins, and constitutively impairs CXCR4 functioning. *Journal of Biological Chemistry*, **2010**, 285, 29632-41	5.4	70

285	Ubiquitination of CXCR7 controls receptor trafficking. *PLoS ONE*, **2012**, 7, e34192	3.7	70
284	Towards small-molecule CXCR3 ligands with clinical potential. *ChemMedChem*, **2008**, 3, 861-72	3.7	69
283	Domain swapping in the human histamine H1 receptor. *Journal of Pharmacology and Experimental Therapeutics*, **2004**, 311, 131-8	4.7	67
282	Structural Analysis of Chemokine Receptor-Ligand Interactions. *Journal of Medicinal Chemistry*, **2017**, 60, 4735-4779	8.3	66
281	En route to new blockbuster anti-histamines: surveying the offspring of the expanding histamine receptor family. *Trends in Pharmacological Sciences*, **2011**, 32, 250-7	13.2	66
280	HCMV-encoded G-protein-coupled receptors as constitutively active modulators of cellular signaling networks. *Trends in Pharmacological Sciences*, **2006**, 27, 56-63	13.2	66
279	Pharmacological characterization of the human histamine H2 receptor stably expressed in Chinese hamster ovary cells. *British Journal of Pharmacology*, **1994**, 112, 847-54	8.6	66
278	Herpesvirus-encoded GPCRs: neglected players in inflammatory and proliferative diseases?. *Nature Reviews Drug Discovery*, **2014**, 13, 123-39	64.1	64
277	Fragment growing induces conformational changes in acetylcholine-binding protein: a structural and thermodynamic analysis. *Journal of the American Chemical Society*, **2011**, 133, 5363-71	16.4	63
276	CC and CX3C chemokines differentially interact with the N terminus of the human cytomegalovirus-encoded US28 receptor. *Journal of Biological Chemistry*, **2005**, 280, 3275-85	5.4	62
275	Function-specific virtual screening for GPCR ligands using a combined scoring method. *Scientific Reports*, **2016**, 6, 28288	4.9	61
274	Oligomerization of recombinant and endogenously expressed human histamine H(4) receptors. *Molecular Pharmacology*, **2006**, 70, 604-15	4.3	59
273	Identification of 4-(1H-imidazol-4(5)-ylmethyl)pyridine (immethridine) as a novel, potent, and highly selective histamine H(3) receptor agonist. *Journal of Medicinal Chemistry*, **2004**, 47, 2414-7	8.3	59
272	A selective human H(4)-receptor agonist: (-)-2-cyano-1-methyl-3-[(2R,5R)-5-[1H-imidazol-4(5)-yl]tetrahydrofuran-2-y] methylguanidine. *Journal of Medicinal Chemistry*, **2003**, 46, 3162-5	8.3	59
271	Molecular cloning and characterization of an invertebrate homologue of a neuropeptide Y receptor. *European Journal of Neuroscience*, **1998**, 10, 3409-16	3.5	58
270	Delineation of agonist binding to the human histamine H4 receptor using mutational analysis, homology modeling, and ab initio calculations. *Journal of Chemical Information and Modeling*, **2008**, 48, 1455-63	6.1	58
269	Discovery of naturally occurring splice variants of the rat histamine H3 receptor that act as dominant-negative isoforms. *Molecular Pharmacology*, **2006**, 69, 1194-206	4.3	56
268	Virtual fragment screening: discovery of histamine H3 receptor ligands using ligand-based and protein-based molecular fingerprints. *Journal of Chemical Information and Modeling*, **2012**, 52, 3308-24	6.1	55

267	An atom efficient and solvent-free synthesis of structurally diverse amides using microwaves. *Tetrahedron Letters*, **2005**, 46, 3751-3754	2	54
266	A structural insight into the reorientation of transmembrane domains 3 and 5 during family A G protein-coupled receptor activation. *Molecular Pharmacology*, **2011**, 79, 262-9	4.3	53
265	Noncompetitive antagonism and inverse agonism as mechanism of action of nonpeptidergic antagonists at primate and rodent CXCR3 chemokine receptors. *Journal of Pharmacology and Experimental Therapeutics*, **2008**, 325, 544-55	4.7	53
264	Cloning and characterization of dominant negative splice variants of the human histamine H4 receptor. *Biochemical Journal*, **2008**, 414, 121-31	3.8	53
263	Constitutive activity and structural instability of the wild-type human H2 receptor. *Journal of Neurochemistry*, **1998**, 71, 799-807	6	53
262	Mineral dust exposure and free radical-mediated lung damage. *Experimental Lung Research*, **1990**, 16, 41-55	2.3	53
261	Differences in structure-function relations between nonmammalian and mammalian gonadotropin-releasing hormone receptors. *Biochemical and Biophysical Research Communications*, **1997**, 238, 517-22	3.4	52
260	The Akt/GSK-3beta axis as a new signaling pathway of the histamine H(3) receptor. *Journal of Neurochemistry*, **2007**, 103, 248-58	6	51
259	Molecular determinants of ligand binding to H4R species variants. *Molecular Pharmacology*, **2010**, 77, 734-43	4.3	50
258	Opioids activate brain analgesic circuits through cytochrome P450/epoxygenase signaling. *Nature Neuroscience*, **2010**, 13, 284-6	25.5	49
257	Major advances in the development of histamine H4 receptor ligands. *Drug Discovery Today*, **2009**, 14, 745-53	8.8	49
256	Clobenpropit (VUF-9153), a new histamine H3 receptor antagonist, inhibits electrically induced convulsions in mice. *European Journal of Pharmacology*, **1994**, 260, 23-8	5.3	49
255	Pharmacological characterization of the new histamine H4 receptor agonist VUF 8430. *British Journal of Pharmacology*, **2009**, 157, 34-43	8.6	47
254	Phenylalanine 169 in the second extracellular loop of the human histamine H4 receptor is responsible for the difference in agonist binding between human and mouse H4 receptors. *Journal of Pharmacology and Experimental Therapeutics*, **2008**, 327, 88-96	4.7	47
253	Constitutively active Gq/11-coupled receptors enable signaling by co-expressed G(i/o)-coupled receptors. *Journal of Biological Chemistry*, **2004**, 279, 5152-61	5.4	47
252	H3 receptor gene is cloned at last. *Trends in Pharmacological Sciences*, **2000**, 21, 11-2	13.2	47
251	Discovery of novel Trypanosoma brucei phosphodiesterase B1 inhibitors by virtual screening against the unliganded TbrPDEB1 crystal structure. *Journal of Medicinal Chemistry*, **2013**, 56, 2087-96	8.3	46
250	Catechol pyrazolinones as trypanocidals: fragment-based design, synthesis, and pharmacological evaluation of nanomolar inhibitors of trypanosomal phosphodiesterase B1. *Journal of Medicinal Chemistry*, **2012**, 55, 8745-56	8.3	46

249	Several down, a few to go: histamine H3 receptor ligands making the final push towards the market?. *Expert Opinion on Investigational Drugs*, **2011**, 20, 1629-48	5.9	46
248	Study of the interaction between aryloxypropanolamines and Asn386 in helix VII of the human 5-hydroxytryptamine1A receptor. *Molecular Pharmacology*, **1997**, 51, 889-96	4.3	46
247	Effect of the histamine H3-antagonist clobenpropit on spatial memory deficits induced by MK-801 as evaluated by radial maze in Sprague-Dawley rats. *Behavioural Brain Research*, **2004**, 151, 287-93	3.4	46
246	The human cytomegalovirus-encoded chemokine receptor US28 induces caspase-dependent apoptosis. *FEBS Journal*, **2005**, 272, 4163-77	5.7	46
245	Modelling and mutation studies on the histamine H1-receptor agonist binding site reveal different binding modes for H1-agonists: Asp116 (TM3) has a constitutive role in receptor stimulation. *Journal of Computer-Aided Molecular Design*, **1995**, 9, 319-30	4.2	46
244	N-substituted piperidinyl alkyl imidazoles: discovery of methimepip as a potent and selective histamine H3 receptor agonist. *Journal of Medicinal Chemistry*, **2005**, 48, 2100-7	8.3	45
243	From heptahelical bundle to hits from the Haystack: structure-based virtual screening for GPCR ligands. *Methods in Enzymology*, **2013**, 522, 279-336	1.7	44
242	Molecular determinants of ligand binding modes in the histamine H(4) receptor: linking ligand-based three-dimensional quantitative structure-activity relationship (3D-QSAR) models to in silico guided receptor mutagenesis studies. *Journal of Medicinal Chemistry*, **2011**, 54, 8136-47	8.3	44
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240	Evaluation of the receptor selectivity of the H3 receptor antagonists, iodophenpropit and thioperamide: an interaction with the 5-HT3 receptor revealed. *British Journal of Pharmacology*, **1995**, 116, 2315-21	8.6	44
239	PDEStrIAn: A Phosphodiesterase Structure and Ligand Interaction Annotated Database As a Tool for Structure-Based Drug Design. *Journal of Medicinal Chemistry*, **2016**, 59, 7029-65	8.3	43
238	Viral hijacking of human receptors through heterodimerization. *Biochemical and Biophysical Research Communications*, **2008**, 377, 93-7	3.4	43
237	Activation of peripheral and spinal histamine H3 receptors inhibits formalin-induced inflammation and nociception, respectively. *Pharmacology Biochemistry and Behavior*, **2007**, 88, 122-9	3.9	43
236	Large-scale overproduction, functional purification and ligand affinities of the His-tagged human histamine H1 receptor. *FEBS Journal*, **2004**, 271, 2636-46		43
235	Pharmacological differences between human and guinea pig histamine H1 receptors: Asn84 (2.61) as key residue within an additional binding pocket in the H1 receptor. *Molecular Pharmacology*, **2005**, 67, 1045-52	4.3	43
234	The Landscape of Atypical and Eukaryotic Protein Kinases. *Trends in Pharmacological Sciences*, **2019**, 40, 818-832	13.2	42
233	Analysis of multiple histamine H□receptor compound classes uncovers G□protein- and □arrestin2-biased ligands. *Molecular Pharmacology*, **2012**, 82, 1174-82	4.3	42
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231	The histamine H3 receptor antagonist clobenpropit enhances GABA release to protect against NMDA-induced excitotoxicity through the cAMP/protein kinase A pathway in cultured cortical neurons. *European Journal of Pharmacology*, **2007**, 563, 117-23	5.3	41
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229	Histamine protects against NMDA-induced necrosis in cultured cortical neurons through H receptor/cyclic AMP/protein kinase A and H receptor/GABA release pathways. *Journal of Neurochemistry*, **2006**, 96, 1390-400	6	39
228	Synthesis and structure-activity relationships of conformationally constrained histamine H(3) receptor agonists. *Journal of Medicinal Chemistry*, **2003**, 46, 5445-57	8.3	39
227	Development of novel fluorescent histamine H-receptor antagonists to study ligand-binding kinetics in living cells. *Scientific Reports*, **2018**, 8, 1572	4.9	38
226	Role of H3-receptor-mediated signaling in anxiety and cognition in wild-type and Apoe-/- mice. *Neuropsychopharmacology*, **2004**, 29, 441-9	8.7	38
225	Mutational analysis of the histamine H1-receptor binding pocket of histaprodifens. *European Journal of Pharmacology*, **2004**, 487, 55-63	5.3	38
224	Synthesis and structure-activity relationship of the first nonpeptidergic inverse agonists for the human cytomegalovirus encoded chemokine receptor US28. *Journal of Medicinal Chemistry*, **2005**, 48, 6461-71	8.3	37
223	Differential activation of murine herpesvirus 68- and Kaposi sarcoma-associated herpesvirus-encoded ORF74 G protein-coupled receptors by human and murine chemokines. *Journal of Virology*, **2004**, 78, 3343-51	6.6	37
222	Solid-state NMR evidence for a protonation switch in the binding pocket of the H1 receptor upon binding of the agonist histamine. *Journal of the American Chemical Society*, **2007**, 129, 867-72	16.4	36
221	Structure-Based Prediction of G-Protein-Coupled Receptor Ligand Function: A Adrenoceptor Case Study. *Journal of Chemical Information and Modeling*, **2015**, 55, 1045-61	6.1	35
220	Synthesis and Characterization of a Bidirectional Photoswitchable Antagonist Toolbox for Real-Time GPCR Photopharmacology. *Journal of the American Chemical Society*, **2018**, 140, 4232-4243	16.4	35
219	Pharmacological characterization of a small-molecule agonist for the chemokine receptor CXCR3. *British Journal of Pharmacology*, **2012**, 166, 898-911	8.6	35
218	Synthesis, modeling and functional activity of substituted styrene-amides as small-molecule CXCR7 agonists. *European Journal of Medicinal Chemistry*, **2012**, 51, 184-92	6.8	35
217	Activation of the histaminergic H3 receptor induces phosphorylation of the Akt/GSK-3 beta pathway in cultured cortical neurons and protects against neurotoxic insults. *Journal of Neurochemistry*, **2009**, 110, 1469-78	6	35
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214	Modulation of forskolin-mediated adenylyl cyclase activation by constitutively active G(S)-coupled receptors. *FEBS Letters*, **1997**, 419, 171-4	3.8	34

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210	Nonpeptidergic allosteric antagonists differentially bind to the CXCR2 chemokine receptor. *Journal of Pharmacology and Experimental Therapeutics*, **2009**, 329, 783-90	4.7	33
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200	G protein-coupled receptors: walking hand-in-hand, talking hand-in-hand?. *British Journal of Pharmacology*, **2011**, 163, 246-60	8.6	31
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194	Modulators of CXCR4 and CXCR7/ACKR3 Function. *Molecular Pharmacology*, **2019**, 96, 737-752	4.3	30
193	Design, synthesis, and structure-activity relationships of highly potent 5-HT receptor ligands. *Journal of Medicinal Chemistry*, **2012**, 55, 8603-14	8.3	29
192	Synthesis and pharmacological identification of neutral histamine H1-receptor antagonists. *Journal of Medicinal Chemistry*, **2003**, 46, 5812-24	8.3	29
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186	Aminergic GPCR-Ligand Interactions: A Chemical and Structural Map of Receptor Mutation Data. *Journal of Medicinal Chemistry*, **2019**, 62, 3784-3839	8.3	28
185	Structure-based virtual screening for fragment-like ligands of the G protein-coupled histamine H4 receptor. *MedChemComm*, **2015**, 6, 1003-1017	5	27
184	Strain-dependent effects of the histamine H receptor antagonist JNJ7777120 in a murine model of acute skin inflammation. *Experimental Dermatology*, **2012**, 21, 32-7	4	27
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