

SELECT GPCR PathHunter ARRESTIN PUBLICATIONS

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GPCR Target	Reference
Multiple GPCRs	
Multiple	1. Tena-Campos M, Ramon E, Rivera D, Borroto-Escuela DO, Romero-Fernandez W, Fuxe K, Garriga P. (2014). G-protein-coupled receptors oligomerization: emerging signaling units and new opportunities for drug design. <i>Curr Protein Pept Sci.</i> 15(7):648-58 http://www.ncbi.nlm.nih.gov/pubmed/25175459
	2. Bohn LM, McDonald PH. (2010). Seeking Ligand Bias: Assessing GPCR Coupling to Beta-Arrestins for Drug Discovery. <i>Drug Discov Today Technol.</i> 2010 Spring;7(1):e37-e42. http://www.ncbi.nlm.nih.gov/pubmed/21218149
	3. Verkaar F, van Rosmalen JW, Blomenröhr M, van Koppen CJ, Blankesteyn WM, Smits JF and Zaman GJ.(2008). G protein-independent cell-based assays for drug discovery on seven-transmembrane receptors. <i>Biotechnol Annu Rev</i> 14:253-74. http://www.ncbi.nlm.nih.gov/pubmed/18606367
Multiple (ProLink Vector, Parental)	4. Yin H, Chu A, Li W, Wang B, Shelton F, Otero F, Nguyen DG, Caldwell JS and Chen YA. (2009). Lipid G protein-coupled receptor ligand identification using β -arrestin PathHunter assay. <i>J Biol Chem</i> 284(18):12328-38. http://www.ncbi.nlm.nih.gov/pubmed/19286662
S1P1, GCGR, CHRM5, HRH2, OPRD1, ADRB2	5. Bassoni DL, Raab WJ, Achacoso PL, Loh CY and Wehrman TS. (2012). Measurements of β -arrestin recruitment to activated seven transmembrane receptors using enzyme complementation. <i>Methods Mol Biol</i> 897:181-203. http://www.ncbi.nlm.nih.gov/pubmed/22674166
5-Hydroxytryptamine (Serotonin)	
5HT1A	6. Stroth N, Nisob M, Colabufob NA, Perroneb R, Svenningssona P, Lacivitab E, Leopoldo M, (2015). Arylpiperazine Agonists of the Serotonin 5-HT1A Receptor Preferentially Activate cAMP Signaling versus Recruitment of β -Arrestin-2. <i>Bioorganic & Medicinal Chemistry.</i> 762:221-228. http://www.ncbi.nlm.nih.gov/pubmed/26081758
5HT2A	7. Schmid CL, Streicher JM, Meltzer HY, Bohn LM. (2014). Clozapine acts as an agonist at serotonin 2A receptors to counter MK-801-induced behaviors through a β arrestin2-independent activation of Akt. <i>Neuropsychopharmacology.</i> 39(8):1902-13. http://www.ncbi.nlm.nih.gov/pubmed/24531562
	8. Blough BE, Landavazo A, Decker AM, Partilla JS, Baumann MH, Rothman RB. (2014). Interaction of psychoactive tryptamines with biogenic amine transporters and serotonin receptor subtypes. <i>Psychopharmacology (Berl).</i> 231(21):4135-44. http://www.ncbi.nlm.nih.gov/pubmed/24800892
5HT2A, 5HT2C	9. Clarke WP, Chavera TA, Silva M, Sullivan LC, Berg KA. (2013). Signalling profile differences: paliperidone versus risperidone. <i>Br J Pharmacol</i> 170(3):532-45. http://www.ncbi.nlm.nih.gov/pubmed/23826915
5HT2B	10. Unett DJ, Gatlin J, Anthony TL, Buzard DJ, Chang S, Chen C, Chen X, Dang HTM, Frazer J, Le MK, Sadeque AJM, Xing C and Gaidarov I. (2013). Kinetics of 5-HT2B receptor signaling: profound agonist-dependent effects on signaling onset and duration. <i>J Pharmacol Exp Ther</i> 347(3):645-59. http://www.ncbi.nlm.nih.gov/pubmed/24049061

GPCR Target	Reference
Acetylcholine Receptors (Muscarinic)	
CHRM1	<p>11. Digby GJ, Noetzel MJ, Bubser M, Utey TJ, Walker AG, Byun NE, Lebois EP, Xiang Z, Sheffler DJ, Cho HP, et al. (2012). Novel allosteric agonists of M1 muscarinic acetylcholine receptors induce brain region-specific responses that correspond with behavioral effects in animal models. <i>J Neurosci</i> 32(25):8532-44. http://www.ncbi.nlm.nih.gov/pubmed/22723693</p> <p>12. Watt ML, Schober DA, Hitchcock S, Liu B, Chesterfield AK, McKinzie D and Felder CC. (2011). Pharmacological characterization of LY593093, an M1 muscarinic acetylcholine receptor-selective partial orthosteric agonist. <i>J Pharmacol Exp Ther</i> 338(2):622-632. http://www.ncbi.nlm.nih.gov/pubmed/21558436</p> <p>13. Ma L, Seager MA, Wittmann M, Jacobson M, Bickel D, Burno M, Jones K, Graufelds VK, Xu G, Pearson M et al. (2009). Selective activation of the M1 muscarinic acetylcholine receptor achieved by allosteric potentiation. <i>Proc Natl Acad Sci USA</i> 106(37):15950-5. http://www.ncbi.nlm.nih.gov/pubmed/19717450</p>
Acetylcholine Receptors (Muscarinic) ...continued	
CHRM3	<p>14. Li H, Yu X, Liles C, Khan M, Vanderlinde-Wood M, Galloway A, Zillner C, Benbrook A, Reim S, Collier D, Hill MA, Raj SR, Okamoto LE, Cunningham MW, Aston CE, Kem DC. (2014). Autoimmune basis for postural tachycardia syndrome. <i>J Am Heart Assoc.</i> 26;3(1):e000755. http://www.ncbi.nlm.nih.gov/pubmed/24572257</p> <p>15. Li H, Kem DC, Reim S, Khan M, Vanderlinde-Wood M, Zillner C, Collier D, Liles C, Hill MA, Cunningham MW, Aston CE and Yu X. (2012). Agonistic autoantibodies as vasodilators in orthostatic hypotension: a new mechanism. <i>Hypertension</i> 59(2):402-8. http://www.ncbi.nlm.nih.gov/pubmed/22215709</p> <p>16. Poulin B, Butcher A, McWilliams P, Bourgognon JM, Pawlak R, Kong KC, Bottrill A, Mistry S, Wess J, Rosethorne EM, Charlton SJ and Tobin AB. (2010). The M3-muscarinic receptor regulates learning and memory in a receptor phosphorylation/arrestin-dependent manner. <i>Proc Natl Acad Sci U S A</i> 107(20):9440-5. http://www.ncbi.nlm.nih.gov/pubmed/20439723</p> <p>17. Kong KC, Butcher AJ, McWilliams P, Jones D, Wess J, Hamdan FF, Werry T, Rosethorne EM, Charlton SJ, Munson SE, Cragg HA, Smart AD and Tobin AB. (2010). M3-muscarinic receptor promotes insulin release via receptor phosphorylation/arrestin-dependent activation of protein kinase D1. <i>Proc Natl Acad Sci USA</i> 107(49):21181-6. http://www.ncbi.nlm.nih.gov/pubmed/21078968</p>
Adenosine Receptors	
ADORA1, 2, 3	18. Alnouri MW, Jepards S, Casari A, Schiedel AC, Hinz S, Müller CE. (2015). Selectivity is species-dependent: Characterization of standard agonists and antagonists at human, rat, and mouse adenosine receptors. <i>Purinergic Signal. [Epub ahead of print]</i> http://www.ncbi.nlm.nih.gov/pubmed/26126429
ADORA2B	19. Gao ZG, Balasubramanian R, Kiselev E, Wei Q, Jacobson KA. (2014). Probing biased/partial agonism at the G protein-coupled A(2B) adenosine receptor. <i>Biochem Pharmacol.</i> 90(3):297-306. http://www.ncbi.nlm.nih.gov/pubmed/24853985
ADORA3	<p>20. Verzijl D and Ijzerman AP. (2011). Functional selectivity of adenosine receptor ligands. <i>Purinergic Signal</i> 7(2):171-92. http://www.ncbi.nlm.nih.gov/pubmed/21544511</p> <p>21. Gao ZG, Verzijl D, Zweemer A, Ye K, Goblyos A, Ijzerman AP and Jacobson KA. (2011). Functionally biased modulation of A(3) adenosine receptor agonist efficacy and potency by imidazoquinolinamine allosteric enhancers. <i>Biochem Pharmacol</i> 82(6):658-68. http://www.ncbi.nlm.nih.gov/pubmed/21718691 http://www.ncbi.nlm.nih.gov/pubmed/21544511</p> <p>22. Gao ZG and Jacobson KA. (2008). Translocation of arrestin induced by human A(3) adenosine receptor ligands in an engineered cell line: comparison with G protein-dependent pathways. <i>Pharmacol Res</i> 57(4):303-11. http://www.ncbi.nlm.nih.gov/pubmed/18424164</p>
Adrenoreceptors	
ADRA2C	23. Kurko D, Kapui Z, Nagy J, Lendvai B, Kolok S. (2014). Analysis of functional selectivity through G protein-dependent and -independent signaling pathways at the adrenergic α 2C receptor. <i>Brain Res Bull.</i> 107: 89-10. http://www.ncbi.nlm.nih.gov/pubmed/25080296
ADRB1	24. Rastogi T, Leder C, Kümmerer K. (2015). Re-Designing of Existing Pharmaceuticals for Environmental Biodegradability: A tiered approach with β -Blocker Propranolol as an example. <i>Environ Sci Technol. [Epub ahead of print]</i> http://www.ncbi.nlm.nih.gov/pubmed/26291878
ADRB1 (Internalization)	25. Hutchings CJ, Cseke G, Osborne G, Woolard J, Zhukov A, Koglin M, Jazayeri A, Pandya-Pathak J, Langmead CJ, Hill SJ, Weir M, Marshall FH. (2014). Monoclonal anti- β 1-adrenergic receptor antibodies activate G protein signaling in the absence of β -arrestin recruitment. <i>mAbs.</i> 6(1):246-61. http://www.ncbi.nlm.nih.gov/pubmed/24253107

GPCR Target	Reference
Adrenoreceptors ...continued	
ADRB2	26. Kopra K, Kainulainen M, Mikkonen P, Rozwandowicz-Jansen A, Hänninen P and Härmä H. (2013). Multiparametric homogeneous method for identification of ligand binding to G protein-coupled receptors: receptor-ligand binding and β -arrestin assay. <i>Analytical Chemistry</i> 85(4):2276-228. http://www.ncbi.nlm.nih.gov/pubmed/23330639
	27. Weiss DR, Ahn S, Sassano MF, Kleist A, Zhu X, Strachan R, Roth BL, Lefkowitz RJ and Shoichet BK. (2013). Conformation guides molecular efficacy in docking screens of activated β -2 adrenergic G-protein coupled receptor. <i>ACS Chem Biol</i> 8(5):1018-26. http://www.ncbi.nlm.nih.gov/pubmed/23485065
ADRB2 (internalization)	28. Rosethorne EM, Bradley ME, Kent TC, Charlton SJ. (2015). Functional desensitization of the β 2 adrenoceptor is not dependent on agonist efficacy. <i>Pharmacol Res Perspect</i> . 3(1):e00101. http://www.ncbi.nlm.nih.gov/pubmed/25692019
Angiotensin Receptors	
AGTR1	29. Dabul S, Bathgate-Siryk A, Valero TR, Jafferjee M, Sturchler E, McDonald P, Koch WJ, Lymperopoulos A. (2015). Suppression of adrenal β arrestin1-dependent aldosterone production by ARBs: head-to-head comparison. <i>Sci Reports</i> 5:8116. http://www.ncbi.nlm.nih.gov/pubmed/25631300
	30. Li H, Kem DC, Zhang L, Huang B1, Liles C, Benbrook A, Gali H, Veitla V, Scherlag BJ, Cunningham MW, Yu X. (2015). Novel retro-inverso Peptide inhibitor reverses Angiotensin receptor autoantibody-induced hypertension in the rabbit. <i>Hypertension</i> . 65(4):793-9. http://www.ncbi.nlm.nih.gov/pubmed/25691619
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Apelin Receptors	
AGTRL1	33. Siddiquee K, Hampton J, McAnally D, May L and Smith L. (2013). The apelin receptor inhibits the angiotensin II type 1 receptor via allosteric trans-inhibition. <i>Br J Pharmacol</i> 168(5):1104-17. http://www.ncbi.nlm.nih.gov/pubmed/22935142
AGTRL1 (Internalization)	34. Brame AL, Maguire JJ, Yang P, Dyson A, Torella R, Cheriyan J, Singer M, Glen RC, Wilkinson IB, Davenport AP (2015). Design, characterization, and first-in-human study of the vascular actions of a novel biased apelin receptor agonist. <i>Hypertension</i> . 65(4):834-40. http://www.ncbi.nlm.nih.gov/pubmed/25712721
Calcitonin Receptors	
CALCRL-RAMP1	35. Hay DL, Harris PWR, Kowalczyk R, Brimble MA, Rathbone DL, Barwell J, Conner AC and Poyner DR. (2014). Structure-activity relationships of the N-terminus of calcitonin gene-related peptide: key roles of alanine-5 and threonine-6 in receptor activation. <i>Br J Pharmacol</i> 171(2):415-26. http://www.ncbi.nlm.nih.gov/pubmed/24125506
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Cannabinoid Receptors	
CNR1	38. Priestley RS, Nickolls SA, Alexander SP, Kendall DA. (2014). A potential role for cannabinoid receptors in the therapeutic action of fenofibrate. <i>FASEB J</i> . 29(4):1446-55. http://www.ncbi.nlm.nih.gov/pubmed/25550466
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GPCR Target	Reference
Cannabinoid Receptors ...continued	
CNR1, CNR2	41. Altomonte S, Baillie GL, Ross RA, Riley J, Zanda M (2014).The pentafluorosulfanyl group in cannabinoid receptor ligands: synthesis and comparison with trifluoromethyl and tert-butyl analogues. <i>RSC Advances</i> 39(4):20164-76. http://pubs.rsc.org/en/content/articlelanding/2014/ra/c4ra01212g
	42. Dossou KS, Devkota KP, Kavanagh PV, Beutler JA, Egan JM and Moaddel R. (2013). Development and preliminary validation of a plate-based CB1/CB2 receptor functional assay. <i>Anal Biochem</i> 437(2):138-43. http://www.ncbi.nlm.nih.gov/pubmed/23481912
	43. Yang R, Fredman G, Krishnamoorthy S, Agrawal N, Irimia D, Piomelli D and Serhan CN. (2011). Decoding functional metabolomics with docosahexaenoyl ethanolamide (DHEA) identifies novel bioactive signals. <i>J Biol Chem</i> 286(36):31532-41. http://pubs.rsc.org/en/content/articlelanding/2014/ra/c4ra01212g
CNR2	44. Mukhopadhyay P, Baggelaar M, Erdelyi K, Cao Z, Cinar R, Fezza F, Ignatowska-Jankowska B, Wilkerson J, van Gils N, Hansen T, Ruben M, Soethoudt M, Heitman L, Kunos G, Maccarrone M, Lichtman A, Pacher P, van der Stelt M. (2015). The novel, orally available and peripherally restricted selective cannabinoid CB2 -receptor agonist LEI-101 prevents cisplatin-induced nephrotoxicity. <i>Br J Pharmacol</i> . [Epub ahead of print] http://www.ncbi.nlm.nih.gov/pubmed/26398481
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	46. McGuinness D, Malikzay A, Visconti R, Lin K, Bayne M, Monsma F and Lunn CA. (2009). Characterizing cannabinoid CB2 receptor ligands using DiscoverX PathHunter β -arrestin assay. <i>J Biomol Screen</i> 14(1):49-58. http://www.ncbi.nlm.nih.gov/pubmed/19171920
Chemerin Receptors	
CMKLR1	47. Graham KL, Zhang JV, Lewén S, Burke TM, Dang T, Zoudilova M, Sobel RA, Butcher EC, Zabel BA. (2014). A novel CMKLR1 small molecule antagonist suppresses CNS autoimmune inflammatory disease. <i>PLoS One</i> . 9(12):e112925. http://www.ncbi.nlm.nih.gov/pubmed/25437209
Chemokine Receptors	
CCR1 (Internalization)	48. Gilchrist A, Gauntner TD, Fazzini A, Alley KM, Pyen DS, Ahn J, Ha SJ, Willett A, Sansom SE, Yarfi JL, Bachovchin KA, Mazzoni MR, Merritt JR. (2014). Identifying bias in CCR1 antagonists using radiolabelled binding, receptor internalization, β -arrestin translocation and chemotaxis assays. <i>Br J Pharmacol</i> . 171(22):5127-38. http://www.ncbi.nlm.nih.gov/pubmed/24990525
CCR1, CCR5	49. Rummel PC, Thiele S, Hansen LS, Petersen TP, Sparre-Ulrich AH, Ulven T and Rosenkilde MM. (2013). Extracellular disulfide bridges serve different purposes in two homologous chemokine receptors, CCR1 and CCR5. <i>Mol Pharm</i> 84(3):335-45 http://www.ncbi.nlm.nih.gov/pubmed/23765404
CCR4	50. Santulli-Marotto S, Fisher J, Petley T, Boakye K, Panavas T, Luongo J, Kavalkovich K, Ryczyn M, Wu B, Gutshall L, Coelho A, Hogaboam CM and Ryan, M. (2013). Surrogate antibodies that specifically bind and neutralize CCL17 but not CCL22. <i>Monoclon Antib Immunodiagn Immunother</i> 32(3):162-71. http://www.ncbi.nlm.nih.gov/pubmed/23750473
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CCR5	52. Steen A, Thiele S, Guo D, Hansen LS, Frimurer TM and Rosenkilde MM. (2013). Biased and constitutive signaling in the CC-chemokine receptor CCR5 by manipulating the interface between transmembrane helices 6 and 7. <i>J Biol Chem</i> 288(18):12511-21. http://www.ncbi.nlm.nih.gov/pubmed/23493400
	53. Steen A, Sparre-Ulrich AH, Thiele S, Guo D, Frimurer TM, Rosenkilde MM. (2013). Gating Function of Isoleucine-116 in TM3 (position III:16/3.40) for the activity state of the CC-chemokine receptor 5 (CCR5). <i>Br J Pharmacol</i> 171(6):1566-79. http://www.ncbi.nlm.nih.gov/pubmed/24328926
	54. White GE, McNeill E, Christou I, Channon KM and Greaves DR. (2011). Site-directed mutagenesis of the CC chemokine binding protein 35K-Fc reveals residues essential for activity and mutations that increase the potency of CC chemokine blockade. <i>Mol Pharmacol</i> 80(2):328-36. http://www.ncbi.nlm.nih.gov/pubmed/21586597
CCR9	55. Lee S, Eileen L, Heinrich EL, Li L, Lu J, Choi AH, Levy RA, Wagner JE, Yip MLR, Vaidehi N, Kim J,(2013). CCR9-mediated signaling through β -catenin and identification of a novel CCR9 antagonist. <i>Mo Oncology</i> [Epub ahead of print] http://www.ncbi.nlm.nih.gov/pubmed/26003048

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Chemokine Receptors	
CCR7, CCR9, PTHR1	56. Watts AO, Verkaar F, van der Lee MM, Timmerman CA, Kuijter M, van Offenbeek J, van Lith LH, Smit MJ, Leurs R, Zaman GJ and Vischer HF. (2013). β -Arrestin recruitment and G protein signaling by the atypical human chemokine decoy receptor CCX-CKR. <i>J Biol Chem</i> 288(10):7169-81. http://www.ncbi.nlm.nih.gov/pubmed/23341447
Chemokines (multiple)	57. Verkaar F, van Offenbeek J, van der Lee MM, van Lith LH, Watts AO, Rops AL, Aguilar DC, Ziarek JJ, van der Vlag J, Handel TM, Volkman BF, Proudfoot AE, Vischer HF, Zaman GJ, Smit MJ. (2014). Chemokine cooperativity is caused by competitive glycosaminoglycan binding. <i>J Immunol</i> 192(8):3908-14. http://www.ncbi.nlm.nih.gov/pubmed/24639348 58. Garin A, Johnson Z, Hermant A, Beltran F, Ratinaud Y, Michel A, Krohn S, Gaudet M, Carboni S, Ji H, Missotten M, Leger O, Power C and Proudfoot A. (2013). Chemokine receptor antagonist development. <i>Methods Mol Biol</i> 1013:67-92. http://www.ncbi.nlm.nih.gov/pubmed/23625494 59. Rajagopal S, Bassoni DL, Campbell JJ, Gerard NP, Gerard C and Wehrman TS. (2013). Biased agonism as a mechanism for differential signaling by chemokine receptors. <i>J Biol Chem</i> 288(49):35039-48. http://www.ncbi.nlm.nih.gov/pubmed/24145037
CXCR1, CXCR2	60. Maedaa DY, Pecka AM, Schulera AD, Quinbn MT, Kirpotinab LN, Wicombc WN, Autend RL, Gundlae R, Zebalaa JA (2015). Boronic acid-containing CXCR1/2 antagonists: Optimization of metabolic stability, in vivo evaluation, and a proposed receptor binding model. <i>Bioorg & Med Chem Let.</i> 25(11):2280-4. http://www.ncbi.nlm.nih.gov/pubmed/25933594
CXCR2	61. Heo J, Dogra P, Masi TJ, Pitt EA, de Kruijff P, Smit MJ, Sparer TE. (2015). Novel Human Cytomegalovirus Viral Chemokines, vCXCL-1s, Display Functional Selectivity for Neutrophil Signaling and Function. <i>J Immunol.</i> 195(1):227-36. http://www.ncbi.nlm.nih.gov/pubmed/25987741 62. Kashima K, Watanabe M, Sato Y, Hata J, Ishii N, Aoki Y. (2014). Inhibition of metastasis of rhabdomyosarcoma by a novel neutralizing antibody to CXC chemokine receptor-4. <i>Cancer Sci</i> 105(10):1343-50. http://www.ncbi.nlm.nih.gov/pubmed/25154453 63. de Kruijff P, van Heteren J, Lim HD, Conti PG, van der Lee MM, Bosch L, Ho KK, Auld D, Ohlmeyer M, Smit MJ, <i>et al.</i> (2009). Nonpeptidergic allosteric antagonists differentially bind to the CXCR2 chemokine receptor. <i>J Pharmacol Exp Ther</i> 329(2):783-90. http://www.ncbi.nlm.nih.gov/pubmed/19190236
CXCR3	64. Bernat V, Brox R, Heinrich MR, Auberson YP, Tschammer N. (2015) Ligand-Biased and Probe-Dependent Modulation of Chemokine Receptor CXCR3 Signaling by Negative Allosteric Modulators. <i>ChemMedChem.</i> 10(3):566-74. http://www.ncbi.nlm.nih.gov/pubmed/25233453 65. Bernat V, Admas TH, Brox R, Heinemann FW, Tschammer N. (2014). Boronic Acids as Probes for Investigation of Allosteric Modulation of the Chemokine Receptor CXCR3. <i>ACS Chem Biol.</i> 9(11):2664-77. http://www.ncbi.nlm.nih.gov/pubmed/25233453 66. Scholten DJ, Canals M, Wijtmans M, de Munnik S, Nguyen P, Verzijl D, de Esch IJ, Vischer HF, Smit MJ and Leurs R. (2012). Pharmacological characterization of a small-molecule agonist for the chemokine receptor CXCR3. <i>Br J Pharmacol</i> 166(3):898-911. http://www.ncbi.nlm.nih.gov/pubmed/21883151
CXCR4	67. Castaldo C, Benicchi T, Otrocka M, Mori E, Pilli E, Ferruzzi P, Valensin S, Diamanti D, Fecke W, Varrone M, Porcari V. (2014) CXCR4 Antagonists: A Screening Strategy for Identification of Functionally Selective Ligands. <i>J Biomol Screen.</i> 19(6):859-869. http://www.ncbi.nlm.nih.gov/pubmed/24632660
CXCR4, CXCR7	68. Segers VF, Revin V, Wu W, Qiu H, Yan Z, Lee RT and Sandrasagra A.(2011). Protease-resistant stromal cell-derived factor-1 for the treatment of experimental peripheral artery disease. <i>Circulation</i> 123(12):1306-15. http://www.ncbi.nlm.nih.gov/pubmed/21403096
CXCR7	69. Zabel BA, Wang Y, Lewen S, Berahovich RD, Penfold ME, Zhang P, Powers J, Summers BC, Miao Z, Zhao B, Jalili A, Janowska-Wieczorek A, Jaen JC and Schall TJ. (2009). Elucidation of CXCR7-mediated signaling events and inhibition of CXCR4-mediated tumor cell transendothelial migration by CXCR7 ligands. <i>J Immunol</i> 183(5):3204-11. http://www.ncbi.nlm.nih.gov/pubmed/19641136
CXCR7 (Internalization)	70. Liu S, Alomran R, Chernikova SB, Lartey F, Stafford J, Jang T, Merchant M, Zboralski D, Zollner S, Kruschinski A, Klussmann S, Recht L, and Brown MJ. (2014). Blockade of SDF-1 after irradiation inhibits tumor recurrences of autochthonous brain tumors in rats. <i>Neuro-Oncol</i> 16(1): 21-8. http://www.ncbi.nlm.nih.gov/pubmed/24335554

GPCR Target	Reference
Class A Orphan Receptors	
Orphans	71. Southern C, Cook JM, Neetoo-Isseljee Z, Taylor DL, Kettleborough CA, Merritt A, Bassoni DL, Raab WJ, Quinn E, Wehrman TS, Davenport AP, Brown AJ, Green A, Wigglesworth MJ and Rees S. (2013). Screening β -arrestin recruitment for the identification of natural ligands for orphan G-protein-coupled receptors. <i>J Biomol Screen</i> 18(5):599-609. http://www.ncbi.nlm.nih.gov/pubmed/23396314
GPR3	72. Ye C, Zhang Z, Wang Z, Hua Q, Zhang R, Xie X.. (2014). Identification of a novel small-molecule agonist for human G protein-coupled receptor 3. <i>J Pharmacol Exp Ther.</i> 349(3):437-43.
GPR18	73. Chiang N, Dalli J, Colas RA, Serhan CN. (2015) Identification of resolvin D2 receptor mediating resolution of infections and organ protection. <i>J Exp Med.</i> [Epub ahead of print] http://www.ncbi.nlm.nih.gov/pubmed/24633425
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GPR183 (EBI2)	90. Gessier F, Preuss I, Yin H, Rosenkilde MM, Laurent S, Endres R, Chen YA, Marsilje TH, Seuwen K, Nguyen DG, Sailer AW (2014). Identification and characterization of small molecule modulators of the Epstein-Barr virus-induced gene 2 (EBI2) receptor. <i>J Med Chem.</i> 57(8):3358-68. http://www.ncbi.nlm.nih.gov/pubmed/24678947 91. Benned-Jensen T, Norn C, Laurent S, Madsen CM, Larsen HM, Arfelt KN, Wolf RM, Frimurer T, Sailer AW, Rosenkilde MM. (2012). Molecular characterization of oxysterol binding to the Epstein-Barr virus-induced gene 2 (GPR183). <i>J Biol Chem</i> 287(42):35470-83. http://www.ncbi.nlm.nih.gov/pubmed/22875855 92. Benned-Jensen T, Madsen CM, Arfelt KN, Smethurts C, Blanchard A, Jepras R, Rosenkilde MM. (2013). Small molecule antagonism of oxysterol-induced Epstein-Barr virus induced gene 2 (EBI2) activation. <i>FEBS Open Bio.</i> 19;3:156-60. http://www.ncbi.nlm.nih.gov/pubmed/23772388
Complement Peptide (Anaphylotoxin)	
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Dopamine Receptors	
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Follicle Stimulating Hormone Receptors (Glycoprotein Hormone Receptors)	
FSHR	110. Jiang X, Fischer D, Chen X, McKenna SD, Liu H, Sriraman V, Yu HN, Goutopoulos A, Arkinstall S, He X. (2014). AEvidence for Follicle-stimulating Hormone Receptor as a Functional Trimer. <i>J Biol Chem</i> . 289(20):14273-82. http://www.ncbi.nlm.nih.gov/pubmed/24692546
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Ghrelin Receptors	
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GLP1R (Internalization)	<p>118. Morris LC, Nance KD, Gentry PR, Days EL, Weaver CD, Niswender CM, Thompson AD, Jones CK, Locuson CW, Morrison RD, Daniels JS, Niswender KD, Lindsley CW. (2014). Discovery of (S)-2-cyclopentyl-N-((1-isopropylpyrrolidin-2-yl)-9-methyl-1-oxo-2,9-dihydro-1H-pyrido[3,4-b]indole-4-carboxamide (VU0453379): a novel, CNS penetrant glucagon-like peptide 1 receptor (GLP-1R) positive allosteric modulator (PAM). <i>J Med Chem</i>. 57(23):10192-7. http://www.ncbi.nlm.nih.gov/pubmed/25423411</p>
Histamine Receptors	
HRH4	<p>119. Nijmeijer S, Vischer HF, Sirci F, Schultes S, Engelhardt H, de Graaf C, Rosethorne EM, Charlton SJ and Leurs R. (2013). Detailed analysis of biased histamine H4 receptor signalling by JNJ 7777120 analogues. <i>Br J Pharmacol</i> 170(1):78-88. http://www.ncbi.nlm.nih.gov/pubmed/23351115</p> <p>120. Rosethorne EM and Charlton SJ. (2011). Agonist-biased signaling at the histamine H4 receptor: JNJ7777120 recruits β-arrestin without activating G proteins. <i>Mol Pharmacol</i> 79(4):749-57. http://www.ncbi.nlm.nih.gov/pubmed/21134907</p>
Lysophospholipid Receptors	
S1P1 (EDG1)	<p>121. Taylor SJ, Demont EH, Gray J, Deeks N, Patel A, Nguyen D, Taylor M, Hood S, Watson R, Bit RA, McClure F, Ashall H, Witherington J (2015). Navigating CYP1A induction and arylhydrocarbon receptor agonism in drug discovery. A case history with S1P1 agonists. <i>J Med Chem</i> [Epub ahead of print] http://www.ncbi.nlm.nih.gov/pubmed/26393276</p> <p>122. Gatfield J, Monnier L, Studer R, Bolli MH, Steiner B, Nayler O (2014). Sphingosine-1-phosphate (S1P) displays sustained S1P1 receptor agonism and signaling through S1P lyase-dependent receptor recycling. <i>Cell Signal</i>. 26(7):1576-88. http://www.ncbi.nlm.nih.gov/pubmed/24704119</p> <p>123. Purschke WG, Hoehlig K, Buchner K, Zboralski D, Schwoebel F, Vater A, Klussmann S (2014). Identification and characterization of a mirror-image oligonucleotide that binds and neutralizes sphingosine 1-phosphate, a central mediator of angiogenesis. <i>Biochem J</i> 462(1):153-62. http://www.ncbi.nlm.nih.gov/pubmed/24832383</p> <p>124. Lundstrom K. (2013). Present and future approaches to screening of G-protein-coupled receptors. <i>Future Med Chem</i> 5(5): 523-38. http://www.ncbi.nlm.nih.gov/pubmed/23573971</p> <p>125. Xu H, McElvain M, Fiorino M, Henkle B, Sherman L, Xu Y, Tominey E, Kelley K, Adlam M, Bürli R, Siu J, Wong M and Cee VJ. (2013). Predictability of peripheral lymphocyte reduction of novel S1P1 agonist by <i>in vitro</i> GPCR signaling, <i>J Biomol Screen</i> 18(9):997-1007. http://www.ncbi.nlm.nih.gov/pubmed/23686102</p> <p>126. Taylor S, Gray JR, Willis R, Deeks N, Haynes A, Campbell C, Gaskin P, Leavens K, Demont E, Dowell S, Cryan J, Morse M, Patel A, Garden H and Witherington J.(2012). The utility of pharmacokinetic-pharmacodynamic modeling in the discovery and optimization of selective S1P(1) agonists. <i>Xenobiotica</i> 42(7):671-86. http://www.ncbi.nlm.nih.gov/pubmed/22225501</p> <p>127. Sanders MP, Roumen L, van der Horst E, Lane JR, Vischer HF, van Offenbeek J, de Vries H, Verhoeven S, Chow KY, Verkaar F, Beukers MW, McGuire R, Leurs R, Ijzerman AP, de Vlieg J, de Esch IJ, Zaman GJ, Klomp JP, Bender A and de Graaf C. (2012). A prospective cross-screening study on G-protein-coupled receptors: lessons learned in virtual compound library design. <i>J Med Chem</i> 55(11):5311-25. http://www.ncbi.nlm.nih.gov/pubmed/22563707</p> <p>128. van Der Lee MM, Bras M, van Koppen CJ and Zaman GJ.(2008). β-Arrestin recruitment assay for the identification of agonists of the sphingosine 1-phosphate receptor EDG1. <i>J Biomol Screen</i> 13(10):986-98. http://www.ncbi.nlm.nih.gov/pubmed/19036707</p>

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Lysophospholipid Receptors ...continued	
S1P1 (EDG1) (ProLink Vector)	129. Sykes DA, Riddy DM, Stamp C, Bradley ME, McGuinness N, Sattikar A, Guerini D, Rodrigues I, Glaenzel A, Dowling MR, Mullershausen F, Charlton SJ. (2014). Investigating the molecular mechanisms through which FTY720-P causes persistent S1P1 receptor internalization. <i>Br J Pharmacol.</i> 171(21):4797-807. http://www.ncbi.nlm.nih.gov/pubmed/24641481
S1P3 (EDG3)	130. Riddy D, Stamp C, Sykes D, Charlton S and Dowling M. (2012). Reassessment of the pharmacology of sphingosine-1-phosphate S1P(3) receptor ligands using the DiscoverX PathHunter and Ca(2+) release functional assays. <i>Br J Pharmacol</i> 167(4):868-80. http://www.ncbi.nlm.nih.gov/pubmed/22577868
Melanin-concentrating Hormone Receptors	
MCHR1	131. Sakurai T, Ogawa K, Ishihara Y, Kasai S, Nakayama M. (2014). The MCH(1) receptor, an anti-obesity target, is allosterically inhibited by 8-methylquinoline derivatives possessing subnanomolar binding and long residence times. <i>Br J Pharmacol.</i> 171(5):1287-98. http://www.ncbi.nlm.nih.gov/pubmed/24670150
Melanocortin Receptors	
MC1R	132. Nix MA, Kaelin CB, Ta T, Weis A, Morton GJ, Barsh GS, Millhauser GL. (2013). Molecular and functional analysis of human b-defensin 3 action at melanocortin receptors. <i>Chem Biol</i> 20(6):784-95. http://www.ncbi.nlm.nih.gov/pubmed/23790489
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MC4R	134. Ghamari-Langroudi M, Digby GJ, Sebag JA, Millhauser GL, Palomino R, Matthews R, Gillyard T, Panaro BL, Tough IR, Cox HM, Denton JS, Cone RD. (2015). G-protein-independent coupling of MC4R to Kir7.1 in hypothalamic neurons. <i>Nature.</i> 520(7545):94-8. http://www.ncbi.nlm.nih.gov/pubmed/25600267
Neurotensin Receptors	
NTS1	135. Hershberger PM, Hedrick MP, Peddibhotla S, Mangravita-Novo A, Gosalia P, Li Y, Gray W, Vicchiarelli M, Smith LH, Chung TD, Thomas JB, Caron MG, Pinkerton AB, Barak LS, Roth GP. (2014) Imidazole-derived agonists for the neurotensin 1 receptor. <i>Bioorg Med Chem Lett.</i> 24(1):262-7. http://www.ncbi.nlm.nih.gov/pubmed/24332089
Opioid Receptors	
OPRD1	136. Burford NT, Livingston K, Canals M, Ryan M, Budenholzer L, Han Y, Shang Y, Herbst JJ, O'Connell J, Banks M, Zhang L, Filizola M, Bassoni D, Wehrman TS, Christopoulos A, Traynor JR, Gerritz SW, Alt A. (2015). Discovery, Synthesis and Molecular Pharmacology of Selective Positive Allosteric Modulators of the δ -Opioid Receptor. <i>J Med Chem.</i> 58(10):4220-9. http://www.ncbi.nlm.nih.gov/pubmed/25901762
OPRD1, OPRK1, OPRM1	137. Hughes FM, Jr., Shaner BE, Brower JO, Woods RJ and Dix TA. (2013). Development of a peptide-derived orally-active kappa-opioid receptor agonist targeting peripheral pain. <i>Open Med Chem J</i> 2013(7):16-22. http://www.ncbi.nlm.nih.gov/pubmed/24222801

GPCR Target	Reference
Opioid Receptors ...continued	
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GPCR Target	Reference
Opioid Receptors ...continued	
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