

PathHunter® Arrestin Citations

With a growing list of nearly 100 customer publications and references, PathHunter® Arrestin assays are among the most trusted functional GPCR assays in the world. Visit us at www.discoverx.com/publications to view the complete list and learn how drug discovery and life science researchers have applied Arrestin technology to accelerate their GPCR programs.

GPCR Target	Reference
ADORA3	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.
	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.
	Verzijl D and Ijzerman AP. (2011). Functional selectivity of adenosine receptor ligands. <i>Purinergic Signal</i> 7(2):171-92.
ADRB2	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.
	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.
AGTR1	Kim KS, Abraham D, Williams B, Violin JD, Mao L and Rockman HA. (2012). Beta-Arrestin-biased AT1R stimulation promotes cell survival during acute cardiac injury. <i>Am J Physiol Heart Circ Physiol</i> 303:H1001-1010.
	Violin JD, DeWire SM, Yamashita D, Rominger DH, Nguyen L, Schiller K, Whalen EJ, Gowen M and Lark MW. (2010). Selectively engaging beta-arrestins at the angiotensin II type 1 receptor reduces blood pressure and increases cardiac performance. <i>J Pharmacol Exp Ther</i> 335(3):572-579.
AGTRL1	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.
CALCRL+RAMP1	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.
C5L2	Van Lith LH, Oosterom J, Van Elsas A and Zaman GJ. (2009). C5a-stimulated recruitment of β-Arrestin2 to the nonsignaling 7-transmembrane decoy receptor C5L2. <i>J Biomol Screen</i> 14(9):1067-75.
Chemokines (multiple)	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.
	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.
CCR1, CCR5 (Parental)	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> Jun 13 [Epub ahead of print].
CCR4	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):162-7.
	Santulli-Marotto S, Boakye K, Lacy E, Wu S, Luongo J, Kavalkovich K, Coehl A, Hogaboam CM and Ryan M. (2013). Engagement of two distinct binding domains on CCL17 is required for signaling through CCR4 and establishment of localized inflammatory conditions in the lung. <i>PLoS ONE</i> 8(12): e81465.
CCR5	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> doi: 10.1111/bph.12553.
	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.
	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.
CCR7, CCR9, PTHR1, Parental	Watts AO, Verhaar F, van der Lee MM, Timmerman CA, Kuijer 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.

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GPCR Target	Reference
CXCR2	de Kruijf P, van Heteren J, Lim HD, Conti PG, van der Lee MM, Bosch L, Ho KK, Auld D, Ohlmeyer M, Smit MJ, et al. (2009). Nonpeptidergic allosteric antagonists differentially bind to the CXCR2 chemokine receptor. <i>J Pharmacol Exp Ther</i> 329(2):783-90.
CXCR3	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.
CXCR4, CXCR7	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.
CXCR7	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):3204-3211.
CXCR7 (Internalization)	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.
CHRM1	Digby GJ, Noetzel MJ, Bubser M, Utley 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.
	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 U S A</i> 106(37):15950-5.
	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.
CHRM3	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 U S A</i> 107(49):21181-6.
	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.
	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.
CNR1, CNR2	Baillie GL, Horswill J, Anavi-Goffer S, Reggio PH, Abood ME, Bolognini D, McAllister S, Strange PG, Stephens GJ, Pertwee RG, et al. (2013). CB1 Receptor Allosteric Modulators Display both Agonist and Signaling Pathway Specificity. <i>Mol Pharmacol</i> 83(2):322-38.
	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.
	McGuinness D, Malikzay A, Visconti R, Lin K, Bayne M, Monsma F and Lunn CA. (2009). Characterizing cannabinoid CB2 receptor ligands using DiscoverRx PathHunter beta-arrestin assay. <i>J Biomol Screen</i> 14(1):49-58.
	van der Lee MM, Blomenröh M, van der Doelen AA, Wat JW, Smits N, Hanson BJ, van Koppen CJ and Zaman GJ. (2009). Pharmacological characterization of receptor redistribution and beta-arrestin recruitment assays for the cannabinoid receptor 1. <i>J Biomol Screen</i> 14(7):811-23.
	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.
DRD2	Allen JA, Yost JM, Setola V, Chen X, Sassano MF, Chen M, Peterson S, Yadav PN, Huang XP, Feng B, Jensen NH, Che X, Bai X, Frye SV, Wetsel WC, Caron MG, Javitch JA, Roth BL, Jin J. (2011). Discovery of β-arrestin-biased dopamine D2 ligands for probing signal transduction pathways essential for antipsychotic efficacy. <i>Proc Natl Acad Sci U S A</i> 108(45):18488-93.
DRD2S	Hiller C, Kling RC, Heinemann FW, Meyer K, Hübner H, Gmeiner, P. (2013). Functionally selective dopamine D2/D3 receptor agonists comprising an enyne moiety. <i>J Med Chem</i> Jun 17 [Epub ahead of print].
DRD3	Banala AK, Levy BA, Khatri SS, Furman CA, Roof, RA, Mishra Y, Griffin SA, Sibley DR, Luedtke RR, and Newman AH. (2011). N-(3-fluoro-4-(4-(2-methoxy or 2,3-dichlorophenyl)piperazine-1-yl)butyl)arylcarboxamides as selective dopamine D3 receptor ligands: critical role of the carboxamide linker for D3 receptor selectivity. <i>J Med Chem</i> 54(10):3581-94.



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GPCR Target	Reference
EBI2(GPR183)	Benned-Jensen T, Norn C, Laurent S, Madsen CM, Larsen HM, Arfelt KN, Wolf RM, Frimurer T, Sailer AW, Rosenkilde MM. (2013). Molecular characterization of oxysterol binding to the Epstein-Barr virus-induced gene 2 (GPR183). <i>J Biol Chem</i> 287(42):35470-83.
EDNRA, EDNRB	Maguire JJ, Kuc RE, Pell VR, Green A, Brown M, Kumar S, Wehrman T, Quinn E and Davenport AP. (2012). Comparison of human ET(A) and ET(B) receptor signalling via G-protein and beta-arrestin pathways. <i>Life Sci</i> 91(13-14):544-9.
FPR1, FPR2, FPR2/ALX	Cilibri A, Schepetkin IA, Bartolucci G, Crocetti L, Dal Piaz V, Giovannoni MP, Graziano A, Kirpotina LN, Quinn MT and Vergelli C. (2012). Synthesis, enantioresolution, and activity profile of chiral 6-methyl-2,4-disubstituted pyridazin-3(2H)-ones as potent N-formyl peptide receptor agonists. <i>Bioorg Med Chem</i> 20(12):3781-92.
	Dalli J, Consalvo AP, Ray V, Di Filippo C, D'Amico M, Mehta N and Perretti M. (2013). Proresolving and tissue-protective actions of annexin A1-based cleavage-resistant peptides are mediated by formyl peptide receptor 2/lipoxin A4 receptor. <i>J Immunol</i> May 17 [Epub ahead of print].
	Forsman H, Onnheim K, Andreasson E and Dahlgren C. (2011). What formyl peptide receptors, if any, are triggered by compound 43 and lipoxin A4? <i>Scand J Immunol</i> 74(3):227-34.
GLP1R	Heard KR, Wu W, Li Y, Zhao P, Woznicka I, Lai JH, Beinborn M, Sanford DG, Dimare MT, Chiluwal AK, Peters DE, Whicher D, Sudmeier JL, Bachovchin WW. (2013). A General Method for Making Peptide Therapeutics Resistant to Serine Protease Degradation: Application to Dipeptidyl Peptidase IV Substrates. <i>J Med Chem</i> 56(21):8339-51.
GPR32	Krishnamoorthy S, Recchiuti A, Chiang N, Fredman G and Serhan CN. (2012). Resolvin D1 receptor stereoselectivity and regulation of inflammation and proresolving microRNAs. <i>Am J Pathol</i> 180(5):2018-27.
	Krishnamoorthy S, Recchiuti A, Chiang N, Yacoubian S, Lee CH, Yang R, Petasis NA and Serhan CN. (2010). Resolvin D1 binds human phagocytes with evidence for proresolving receptors. <i>Proc Natl Acad Sci U S A</i> 107(4):1660-5.
GPR35	Jenkins L, Alvarez-Curto E, Campbell K, de Munnik S, Canals M, Schlyer S and Milligan G. (2011). Agonist activation of the G protein-coupled receptor GPR35 involves transmembrane domain III and is transduced via Galpha(1)(3) and beta-arrestin-2. <i>Br J Pharmacol</i> 162(3):733-48.
	Neetoo-Isseljee Z, MacKenzie AE, Southern C, Jerman J, McIver EC, Harries N, Taylor DL and Milligan G. (2013). High-throughput identification and characterization of novel, species-selective GPR35 agonists. <i>J Pharmacol</i> 344(3): 568-578.
	MacKenzie AE, Caltabiano G, Kent TC, Jenkins L, McCallum JE, Hudson BD, Nicklin SA, Fawcett L, Lane R, Charlton SJ and Milligan G. (2014). The antiallergic mast cell stabilizers Iodoxamide and bufrolin as the first high and equipotent agonists of human and rat GPR35. <i>Mol Pharmacol</i> 85(1):91-104.
GPR18, GPR55	Fuchs A, Rempel V and Muller CE. (2013). The natural product magnolol as a lead structure for the development of potent cannabinoid receptor agonists. <i>PLoS One</i> 8(10): e77739.
GPR35, GPR55	Funke M, Thimm D, Schiedel AC and Müller CE. (2013). 8-benzamidochromen-4-one-2-carboxylic acids - potent and selective agonists for the orphan G protein-coupled receptor GPR35. <i>J Med Chem</i> May 28 [Epub ahead of print].
GPR55	Kargl J, Brown AJ, Andersen L, Dorn G, Schicho R, Waldhoer M and Heinemann A. (2013). A selective antagonist reveals a potential role of G protein-coupled receptor 55 in platelet and endothelial cell function. <i>J Pharmacol Exp Ther</i> May 2 [Epub ahead of print].
	Rempel V, Volz N, Gläser F, Nieger M, Bräse S and Müller CE. (2013). Antagonists for the orphan G-protein-coupled receptor GPR55 based on a coumarin scaffold. <i>J Med Chem</i> 56(11):4798-810.
	Yamashita A, Oka S, Tanikawa T, Hayashi Y, Nemoto-Sasaki Y, Sugiura T. (2013). The actions and metabolism of lysophosphatidylinositol, an endogenous agonist for GPR55. <i>Prostaglandins Other Lipid Mediat</i> pii: S1098-8823(13)00040-3. doi: 10.1016/j.prostaglandins.2013.05.004 [Epub ahead of print].
GPR101	Cho-Clark M, Larco DO, Semsarzadeh NN, Vasta F, Mani SK, Wu TJ. (2014). GnRH-(1-5) Transactivates EGFR in Ishikawa Human Endometrial Cells via an Orphan G Protein-Coupled Receptor. <i>Mol Endocrinol</i> 28(1):80-98.
HRH4	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.
HRH4, Parental	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> . doi: 10.1111/bph.12117. [Epub ahead of print].
5HT2A, 5HT2C	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.
5HT2B	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.

PathHunter® Arrestin Citations

GPCR Target	Reference
MC1R	Benned-Jensen T, Mokrosinski J, and Rosenkilde MM. (2011). The E92K melanocortin 1 receptor mutant induces cAMP production and arrestin recruitment but not ERK activity indicating biased constitutive signaling. <i>PLoS One</i> 6, e24644.
	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>Chemistry & Biology</i> 20(6):784–795.
MCHR1	Sakurai T, Ogawa K, Ishihara Y, Kasai S and Nakayama M. (2013). Anti-obesity target MCHR1 is allosterically inhibited by 8-methylquinoline derivatives possessing subnanomolar-binding and long residence times. DOI: 10.1111/bph.12529. <i>Brit J Pharm.</i>
Multiple	Verkaar F, van Rosmalen JW, Blomenröh M, van Koppen CJ, Blankesteijn 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.
OPRD1, OPRK1, OPRM1	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.
OPRK1	Beguin C, Potuzak J, Xu W, Liu-Chen, LY, Streicher, JM, Groer, CE, Bohn, LM, Carlezon, WA, Jr., and Cohen, B.M. (2012). Differential signaling properties at the kappa opioid receptor of 12-epi-salvinorin A and its analogues. <i>Bioorg Med Chem Lett</i> 22(2):1023-6.
	Hedrick MP, Gosalia P, Frankowski K, Shi S, Prisinzano TE, Schoenen F, Aube J, Su Y, Vasile S, Sergienko E, et al. (2010). Selective KOP receptor antagonists: Probe 1. <i>Probe Reports from the NIH Molecular Libraries Program [Internet]</i> . Bethesda (MD): National Center for Biotechnology Information 2010 Feb 28 [updated 2010 Oct 4].
	Roberts LR, Brady K, Brown A, Davey D, Feng L, Huang H, Liu D, Malet L, McMurray G, Phelan A, Saunders K and Bhat A. (2012). Kappa agonist CovX-Bodies. <i>Bioorg Med Chem Lett</i> 22(12):4173-8.
	Schmid CL, Streicher JM, Groer CE, Munro TA, Zhou L and Bohn LM. (2013). Functional selectivity of 6'-guanidinonaltrindole (6'-GNTI) at kappa opioid receptors in striatal neurons. <i>J Biol Chem</i> Jun 17 [Epub ahead of print].
OPRK1, OPRM1	Zhou L, Lovell KM, Frankowski KJ, Slusson SR, Phillips AM, Streicher JM, Stahl EL, Schmid CL, Hodder P, Madoux F, Cameron MD, Prisinzano TE, Aube J and Bohn LM. (2013). Development of functionally selective, small molecule agonists at kappa opioid receptors. <i>J Biol Chem</i> 288(51):36703-16.
OPRM1	Burford NT, Clark MJ, Wehrman TS, Gerritz SW, Banks M, O'Connell J, Traynor JR and Alt A. (2013). Discovery of positive allosteric modulators and silent allosteric modulators of the μ -opioid receptor. <i>Proc Natl Acad Sci U S A</i> doi: 10.1073/pnas.1300393110.
	Chen XT, Pitis P, Liu G, Yuan C, Gotchev D, Cowan CL, Rominger DH, Koblish M, Dewire SM, Crombie AL, Violin JD, Yamashita DS. (2013). Structure-Activity Relationships and Discovery of a G Protein Biased μ Opioid Receptor Ligand, [(3-Methoxythiophen-2-yl)methyl][(2-[(9R)-9-(pyridin-2-yl)-6-oxaspiro-[4.5]decan-9-yl]ethyl)] amine (TRV130), for the Treatment of Acute Severe Pain. <i>J Med Chem</i> 56(20):8019-31.
	DeWire SM, Yamashita DS, Rominger DH, Liu G, Cowan CL, Graczyk TM, Chen XT, Pitis PM, Gotchev D, Yuan C, Koblish M, Lark MW and Violin JD. (2013). A G protein-biased ligand at the μ -opioid receptor is potently analgesic with reduced gastrointestinal and respiratory dysfunction compared with morphine. <i>J Pharmacol Exp Ther</i> 344(3):708-17.
	McPherson J, Rivero G, Baptist M, Llorente J, Al-Sabah S, Krasel C, Dewey WL, Bailey CP, Rosethorne EM, Charlton SJ et al. (2010). μ -opioid receptors: correlation of agonist efficacy for signalling with ability to activate internalization. <i>Mol Pharmacol</i> 78(4):756-66.
	Nickolls SA, Humphreys S, Clark M and McMurray G. (2013). Co-expression of GRK2 reveals a novel conformational state of the m-opioid receptor. <i>PLoS ONE</i> 8(12): e83691.
	Nickolls SA, Waterfield A, Williams RE and Kinloch RA. (2011). Understanding the effect of different assay formats on agonist parameters: a study using the μ -opioid receptor. <i>J Biomol Screen</i> 16(7):706-16.
Orphan Panel, GPR173	Larco DO, Cho-Clark M, Mani SK and Wu TJ. (2013). The metabolite GnRH-(1-5) inhibits the migration of immortalized GnRH neurons. <i>Endocrinology</i> 154(2):783-95.
Orphans (multiple)	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.
P2Y12	Foster HR, Fuerst E, Lee TH, Cousins DJ and Woszczeck G. (2013). Characterisation of P2Y(12) receptor responsiveness to cysteinyl leukotrienes. <i>PLoS One</i> 8:e58305.
	Fredman G, Van Dyke TE and Serhan CN. (2010). Resolvin E1 regulates adenosine diphosphate activation of human platelets. <i>Arterioscler Thromb Vasc Biol</i> 30(10):2005-13.

PathHunter® Arrestin Citations

GPCR Target	Reference
ProLink Vector, Parental	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 beta-arrestin PathHunter assay. <i>J Biol Chem</i> 284(18):12328-38.
PTHR1	Bivi N, Lezcano V, Romanello M, Bellido T, Plotkin LI. (2011). Connexin43 interacts with βarrestin: a pre-requisite for osteoblast survival induced by parathyroid hormone. <i>J Cell Biochem</i> 112(10):2920-30.
	Cupp ME, Song B, Kibler P, Raghavender US, Nayak SK, Thomsen W, Galande AK. (2013). Investigating hydrophobic ligand-receptor interactions in parathyroid hormone receptor using peptide probes. <i>J Pept Sci</i> 19(6):337-44.
PTHR1 (Internalization)	Cupp ME, Nayak SK, Adem AS and Thomsen WJ. (2013). Parathyroid hormone (PTH) and PTH-related peptide domains contributing to activation of different PTH receptor-mediated signaling pathways. <i>J Pharmacol Exp Ther</i> 345(3):404-18.
S1P1	Lundstrom K. (2013). Present and future approaches to screening of G-protein-coupled receptors. <i>Future Medicinal Chemistry</i> 5(5): 523-538.
	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.
	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.
	van Der Lee MM, Bras M, van Koppen CJ and Zaman GJ. (2008). beta-Arrestin recruitment assay for the identification of agonists of the sphingosine 1-phosphate receptor EDG1. <i>J Biomol Screen</i> 13(10):986-98.
	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 agonists by in vitro GPCR signaling. <i>J Biomol Screen</i> May 17 [Epub ahead of print].
S1P1, GCGR, CHRM5, HRH2, OPRD1, ADRB2	Bassoni DL, Raab WJ, Achacoso PL, Loh CY and Wehrman TS. (2012). Measurements of beta-arrestin recruitment to activated seven transmembrane receptors using enzyme complementation. <i>Methods Mol Biol</i> 897:181-203.
S1P3	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.
SSTR2	Zhao X, Jones A, Olson KR, Peng K, Wehrman T, Park A, Mallari R, Nebalasca D, Young SW and Xiao SH. (2008). A homogeneous enzyme fragment complementation-based beta-arrestin translocation assay for high-throughput screening of G-protein-coupled receptors. <i>J Biomol Screen</i> 13(8):737-47.
VPAC2	Chu A, Caldwell JS, and Chen YA. (2010). Identification and characterization of a small molecule antagonist of human VPAC(2) receptor. <i>Mol Pharmacol</i> 77(1):95-101.