

Bombesin receptors in GtoPdb v.2025.3

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Abstract

Mammalian bombesin (Bn) receptors comprise 3 subtypes: BB₁, BB₂, BB₃ (**nomenclature recommended by the NC-IUPHAR Subcommittee on bombesin receptors, [118, 5]**). BB₁ and BB₂ are activated by the endogenous ligands [neuromedin B \(NMB\)](#), [gastrin-releasing peptide \(GRP\)](#), and [GRP-\(18-27\)](#). [bombesin](#) is a tetra-decapeptide, originally derived from amphibians and structurally closely related to GRP. The three Bn receptor subtypes couple primarily to the G_{q/11} and G_{12/13} family of G proteins [118]. Each of these receptors is widely distributed in the CNS and peripheral tissues [81, 118, 259, 288, 373, 115, 6, 375, 125, 204]. Activation of BB₁ and BB₂ receptors causes a wide range of physiological/pathophysiological actions, including the stimulation of normal and neoplastic tissue growth, smooth-muscle contraction, respiration, gastrointestinal motility, feeding behavior, secretion and many central nervous system effects including regulation of circadian rhythm, body temperature control, sighing, behavioral disorders and mediation of pruritus [210, 118, 204, 259, 211, 37, 375, 211, 44, 4]. BB₃ is an orphan receptor, although some propose it is constitutively active [328]. BB₃ receptor knockout studies show it has important roles in glucose and insulin regulation, metabolic homeostasis, feeding, regulation of body temperature, obesity, diabetes mellitus and growth of normal/neoplastic tissues [154, 81, 223, 208, 4, 208]. Bn receptors are one of the most frequently overexpressed receptors in cancers and are receiving increased attention for their roles in tumor growth, as well as for tumour imaging and for receptor-targeted cytotoxicity especially for advanced prostate and breast cancer [210, 167, 13, 136, 377, 371]. Bn receptors are also receiving attention because they are one of the primary neurotransmitters for pruritus [37, 128, 240].

Contents

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[Introduction to Bombesin receptors](#)

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Receptors

BB₁ receptor

<https://www.guidetopharmacology.org/GRAC/ObjectDisplayForward?objectId=38>

BB₂ receptor

<https://www.guidetopharmacology.org/GRAC/ObjectDisplayForward?objectId=39>

BB₃ receptor

<https://www.guidetopharmacology.org/GRAC/ObjectDisplayForward?objectId=40>

References

1. (1988) Bombesin-like peptides in health and disease. Proceedings of an international symposium. October 13-16, 1987, Rome, Italy. A tribute to Vittorio Erspamer, M.D. *Ann NY Acad Sci* **547**: 1-541 [PMID:3071214]
2. Ahrén B. (2006) The insulin response to gastric glucose is reduced in PAC1 and GRP receptor gene deleted mice. *Nutr Metab Cardiovasc Dis* **16 Suppl 1**: S17-21 [PMID:16530124]
3. Akiyama T, Tominaga M, Davoodi A, Nagamine M, Blansit K, Horwitz A, Carstens MI and Carstens E. (2013) Roles for substance P and gastrin-releasing peptide as neurotransmitters released by primary afferent pruriceptors. *J Neurophysiol* **109**: 742-8 [PMID:23155177]
4. Alcantara IC, Li C, Mickelsen LE, Mazzone CM, de Araujo Salgado I, Gao C, Papas BN, Xiao C, Karolczak EO and Goldschmidt AI *et al.*. (2024) A Hypothalamic Circuit that Modulates Feeding and Parenting Behaviors. *bioRxiv* [PMID:39091749]
5. Alexander SP, Christopoulos A, Davenport AP, Kelly E, Mathie A, Peters JA, Veale EL, Armstrong JF, Faccenda E and Harding SD *et al.*. (2021) THE CONCISE GUIDE TO PHARMACOLOGY 2021/22: G protein-coupled receptors. *Br J Pharmacol* **178 Suppl 1**: S27-S156 [PMID:34529832]
6. Alexander SPH, Christopoulos A, Davenport AP, Kelly E, Mathie AA, Peters JA, Veale EL, Armstrong JF, Faccenda E and Harding SD *et al.*. (2023) The Concise Guide to PHARMACOLOGY 2023/24: G protein-coupled receptors. *Br J Pharmacol* **180 Suppl 2**: S23-S144 [PMID:38123151]
7. Andrews N, Davis B, Gonzalez MI, Oles R, Singh L and McKnight AT. (2000) Effect of gastrin-releasing peptide on rat hippocampal extracellular GABA levels and seizures in the audiogenic seizure-prone DBA/2 mouse. *Brain Res* **859**: 386-9 [PMID:10719092]
8. Aoki K, Sun YJ, Aoki S, Wada K and Wada E. (2002) Cloning, expression, and mapping of a gene that is upregulated in adipose tissue of mice deficient in bombesin receptor subtype-3. *Biochem Biophys Res Commun* **290**: 1282-8 [PMID:11812002]
9. Ashwood V, Brownhill V, Higginbottom M, Horwell DC, Hughes J, Lewthwaite RA, McKnight AT, Pinnock RD, Pritchard MC and Suman-Chauhan N *et al.*. (1998) PD 176252--the first high affinity non-peptide gastrin-releasing peptide (BB2) receptor antagonist. *Bioorg Med Chem Lett* **8**: 2589-94 [PMID:9873586]
10. Baldwin GS, Patel O and Shulkes A. (2007) Phylogenetic analysis of the sequences of gastrin-releasing peptide and its receptors: biological implications. *Regul Pept* **143**: 1-14 [PMID:17395282]
11. Battey J and Wada E. (1991) Two distinct receptor subtypes for mammalian bombesin-like peptides. *Trends Neurosci* **14**: 524-8 [PMID:1726343]
12. Battey JF, Way JM, Corjay MH, Shapira H, Kusano K, Harkins R, Wu JM, Slattery T, Mann E and Feldman RI. (1991) Molecular cloning of the bombesin/gastrin-releasing peptide receptor from Swiss 3T3 cells. *Proc Natl Acad Sci USA* **88**: 395-9 [PMID:1671171]
13. Baun C, Naghavi-Behzad M, Hildebrandt MG, Gerke O and Thisgaard H. (2024) Gastrin-releasing peptide receptor as a theranostic target in breast cancer: a systematic scoping review. *Semin Nucl Med* **54**: 256-269 [PMID:38342656]
14. Benya RV, Fathi Z, Kusui T, Pradhan T, Battey JF and Jensen RT. (1994) Gastrin-releasing peptide receptor-induced internalization, down-regulation, desensitization, and growth: possible role for cyclic AMP. *Mol Pharmacol* **46**: 235-45 [PMID:8078487]
15. Benya RV, Kusui T, Katsuno T, Tsuda T, Mantey SA, Battey JF and Jensen RT. (2000) Glycosylation of the gastrin-releasing peptide receptor and its effect on expression, G protein coupling, and receptor modulatory processes. *Mol Pharmacol* **58**: 1490-501 [PMID:11093789]
16. Benya RV, Kusui T, Pradhan TK, Battey JF and Jensen RT. (1995) Expression and characterization of cloned human bombesin receptors. *Mol Pharmacol* **47**: 10-20 [PMID:7838118]
17. Benya RV, Wada E, Battey JF, Fathi Z, Wang LH, Mantey SA, Coy DH and Jensen RT. (1992) Neuromedin B receptors retain functional expression when transfected into BALB 3T3 fibroblasts: analysis of binding, kinetics, stoichiometry, modulation by guanine nucleotide-binding proteins, and signal transduction and comparison with natively expressed receptors. *Mol Pharmacol* **42**: 1058-68 [PMID:1336112]
18. Bitar KN and Zhu XX. (1993) Expression of bombesin-receptor subtypes and their differential regulation of colonic smooth muscle contraction. *Gastroenterology* **105**: 1672-80

[PMID:8253343]

19. Blanchet R, Lemieux S, Couture P, Bouchard L, Vohl MC and Pérusse L. (2011) Effects of neuromedin- β on caloric compensation, eating behaviours and habitual food intake. *Appetite* **57**: 21-7 [PMID:21527296]
20. Bloom SR, Edwards AV and Ghatei MA. (1983) Endocrine responses to exogenous bombesin and gastrin releasing peptide in conscious calves. *J Physiol (Lond.)* **344**: 37-48 [PMID:6361234]
21. Bolton P, Powell J, Rutter M, Buckle V, Yates JR, Ishikawa-Brush Y and Monaco AP. (1995) Autism, mental retardation, multiple exostoses and short stature in a female with 46,X,t(X;8)(p22.13;q22.1). *Psychiatr Genet* **5**: 51-5 [PMID:7551962]
22. Bouchard L, Drapeau V, Provencher V, Lemieux S, Chagnon Y, Rice T, Rao DC, Vohl MC, Tremblay A and Bouchard C *et al.*. (2004) Neuromedin beta: a strong candidate gene linking eating behaviors and susceptibility to obesity. *Am J Clin Nutr* **80**: 1478-86 [PMID:15585758]
23. Boyle RG, Humphries J, Mitchell T, Showell GA, Apaya R, Iijima H, Shimada H, Arai T, Ueno H and Usui Y *et al.*. (2005) The design of a new potent and selective ligand for the orphan bombesin receptor subtype 3 (BRS3). *J Pept Sci* **11**: 136-41 [PMID:15635635]
24. Breeman WA, De Jong M, Bernard BF, Kwekkeboom DJ, Srinivasan A, van der Pluijm ME, Hofland LJ, Visser TJ and Krenning EP. (1999) Pre-clinical evaluation of [(111)In-DTPA-Pro(1), Tyr(4)]bombesin, a new radioligand for bombesin-receptor scintigraphy. *Int J Cancer* **83**: 657-63 [PMID:10521803]
25. Briscoe CP, Plevin R and Wakelam MJ. (1994) Rapid desensitization and resensitization of bombesin-stimulated phospholipase D activity in Swiss 3T3 cells. *Biochem J* **298 (Pt 1)**: 61-7 [PMID:8129732]
26. Brown M, Taché Y, Rivier J and Pittman Q. (1981) Peptides and regulation of body temperature. *Adv Biochem Psychopharmacol* **28**: 397-407 [PMID:6111181]
27. Buneman P, Christie G, Davies JA, Dimitrellou R, Harding SD, Pawson AJ, Sharman JL and Wu Y. (2020) Why data citation isn't working, and what to do about it *Database* **2020** [PMID:32367113]
28. Bunnett NW. (1994) Gastrin-releasing peptide. In *Gut Peptides* Edited by Walsh JH, Dockray GJ: Raven Press: 423-445 [ISBN: 0781701155]
29. Bédard T, Mountney C, Kent P, Anisman H and Merali Z. (2007) Role of gastrin-releasing peptide and neuromedin B in anxiety and fear-related behavior. *Behav Brain Res* **179**: 133-40 [PMID:17335915]
30. Cai H, Yang H, Xiang B, Li S, Liu S, Wan L, Zhang J, Li Y, Cheng J and Lu X. (2010) Selective apoptotic killing of solid and hematologic tumor cells by bombesin-targeted delivery of mitochondria-disrupting peptides. *Mol Pharm* **7**: 586-96 [PMID:20141196]
31. Cardona C, Rabbitts PH, Spindel ER, Ghatei MA, Bleehe NM, Bloom SR and Reeve JG. (1991) Production of neuromedin B and neuromedin B gene expression in human lung tumor cell lines. *Cancer Res* **51**: 5205-11 [PMID:1717141]
32. Carlton DL, Collin-Smith LJ, Daniels AJ, Deaton DN, Goetz AS, Laudeman CP, Littleton TR, Musso DL, Morgan RJ and Szewczyk JR *et al.*. (2008) Discovery of small molecule agonists for the bombesin receptor subtype 3 (BRS-3) based on an omeprazole lead. *Bioorg Med Chem Lett* **18**: 5451-5 [PMID:18818070]
33. Carroll RE, Matkowskyj KA, Chakrabarti S, McDonald TJ and Benya RV. (1999) Aberrant expression of gastrin-releasing peptide and its receptor by well-differentiated colon cancers in humans. *Am J Physiol* **276**: G655-65 [PMID:10070042]
34. Carroll RE, Matkowskyj KA, Tretiakova MS, Battey JF and Benya RV. (2000) Gastrin-releasing peptide is a mitogen and a morphogen in murine colon cancer. *Cell Growth Differ* **11**: 385-93 [PMID:10939592]
35. Charlesworth A, Broad S and Rozengurt E.. (1996) The bombesin/GRP receptor transfected into Rat-1 fibroblasts couples to phospholipase C activation, tyrosine phosphorylation of p125FAK and paxillin and cell proliferation. *Oncogene* **12**: 1337-45 [PMID:8649836]
36. Chaudhry A, Carrasquillo JA, Avis IL, Shuke N, Reynolds JC, Bartholomew R, Larson SM, Cuttitta F, Johnson BE and Mulshine JL. (1999) Phase I and imaging trial of a monoclonal antibody directed against gastrin-releasing peptide in patients with lung cancer. *Clin Cancer Res* **5**: 3385-93 [PMID:10589749]
37. Chen ZF. (2021) A neuropeptide code for itch. *Nat Rev Neurosci* **22**: 758-776 [PMID:34663954]
38. Chobanian HR, Guo Y, Liu P, Chioda M, Lanza Jr TJ, Chang L, Kelly TM, Kan Y, Palyha O and Guan XM *et al.*. (2012) Discovery of MK-7725, A Potent, Selective Bombesin Receptor Subtype-3 Agonist for the Treatment of Obesity. *ACS Med Chem Lett* **3**: 252-6 [PMID:24900461]
39. Chobanian HR, Guo Y, Liu P, Lanza Jr TJ, Chioda M, Chang L, Kelly TM, Kan Y, Palyha O and Guan XM *et al.*. (2012) The design and synthesis of potent, selective benzodiazepine sulfonamide bombesin receptor subtype 3 (BRS-3) agonists with an increased barrier of atropisomerization. *Bioorg Med Chem* **20**: 2845-9 [PMID:22494842]
40. Corjay MH, Dobrzanski DJ, Way JM, Viallet J, Shapira H, Worland P, Sausville EA and Battey JF. (1991) Two distinct bombesin receptor subtypes are expressed and functional in human lung

- carcinoma cells. *J Biol Chem* **266**: 18771-9 [PMID:1655761]
41. Cornelio DB, Dal-Pizzol F, Roesler R and Schwartzmann G. (2007) Targeting the bombesin/gastrin-releasing peptide receptor to treat sepsis. *Recent Pat Antiinfect Drug Discov* **2**: 178-81 [PMID:18221174]
 42. Cornelio DB, Roesler R and Schwartzmann G. (2007) Gastrin-releasing peptide receptor as a molecular target in experimental anticancer therapy. *Ann Oncol* **18**: 1457-66 [PMID:17351255]
 43. Coy DH, Heinz-Erian P, Jiang NY, Sasaki Y, Taylor J, Moreau JP, Wolfrey WT, Gardner JD and Jensen RT. (1988) Probing peptide backbone function in bombesin. A reduced peptide bond analogue with potent and specific receptor antagonist activity. *J Biol Chem* **263**: 5056-60 [PMID:2451661]
 44. Cui Y, Bondarenko E, Thörn Perez C, Chiu DN and Feldman JL. (2025) Sigh generation in preBötzing complex. *Elife* **13** [PMID:40553099]
 45. Cullen A, Emanuel RL, Torday JS, Asokanathan N, Sikorski KA and Sunday ME. (2000) Bombesin-like peptide and receptors in lung injury models: diverse gene expression, similar function. *Peptides* **21**: 1627-38 [PMID:11090916]
 46. Currie S, Smith GL, Crichton CA, Jackson CG, Hallam C and Wakelam MJ. (1992) Bombesin stimulates the rapid activation of phospholipase A2-catalyzed phosphatidylcholine hydrolysis in Swiss 3T3 cells. *J Biol Chem* **267**: 6056-62 [PMID:1532578]
 47. Cuttitta F, Carney DN, Mulshine J, Moody TW, Fedorko J, Fischler A and Minna JD. (1985) Autocrine growth factors in human small cell lung cancer. *Cancer Surv* **4**: 707-27 [PMID:2445479]
 48. Czepielewski RS, Porto BN, Rizzo LB, Roesler R, Abujamra AL, Pinto LG, Schwartzmann G, Cunha Fde Q and Bonorino C. (2012) Gastrin-releasing peptide receptor (GRPR) mediates chemotaxis in neutrophils. *Proc Natl Acad Sci USA* **109**: 547-52 [PMID:22203955]
 49. Damin DC, Santos FS, Heck R, Rosito MA, Meurer L, Kliemann LM, Roesler R and Schwartzmann G. (2010) Effects of the gastrin-releasing peptide antagonist RC-3095 in a rat model of ulcerative colitis. *Dig Dis Sci* **55**: 2203-10 [PMID:19894117]
 50. de Castiglione R and Gozzini L. (1996) Bombesin receptor antagonists. *Crit Rev Oncol Hematol* **24**: 117-51 [PMID:8889369]
 51. De la Fuente M, Del Rio M, Ferrandez MD and Hernanz A. (1991) Modulation of phagocytic function in murine peritoneal macrophages by bombesin, gastrin-releasing peptide and neuromedin C. *Immunology* **73**: 205-11 [PMID:1649124]
 52. De la Fuente M, Del Rio M and Hernanz A. (1993) Stimulation of natural killer and antibody-dependent cellular cytotoxicity activities in mouse leukocytes by bombesin, gastrin-releasing peptide and neuromedin C: involvement of cyclic AMP, inositol 1,4,5-trisphosphate and protein kinase C. *J Neuroimmunol* **48**: 143-50 [PMID:8227312]
 53. Degan S, Lopez GY, Kevill K and Sunday ME. (2008) Gastrin-releasing peptide, immune responses, and lung disease. *Ann N Y Acad Sci* **1144**: 136-47 [PMID:19076373]
 54. Degen LP, Peng F, Collet A, Rossi L, Ketterer S, Serrano Y, Larsen F, Beglinger C and Hildebrand P. (2001) Blockade of GRP receptors inhibits gastric emptying and gallbladder contraction but accelerates small intestinal transit. *Gastroenterology* **120**: 361-8 [PMID:11159876]
 55. Del Rio M and De la Fuente M. (1994) Chemoattractant capacity of bombesin, gastrin-releasing peptide and neuromedin C is mediated through PKC activation in murine peritoneal leukocytes. *Regul Pept* **49**: 185-93 [PMID:8140272]
 56. Del Rio M and De la Fuente M. (1995) Stimulation of natural killer (NK) and antibody-dependent cellular cytotoxicity (ADCC) activities in murine leukocytes by bombesin-related peptides requires the presence of adherent cells. *Regul Pept* **60**: 159-66 [PMID:8746542]
 57. DeMichele MA, Davis AL, Hunt JD, Landreneau RJ and Siegfried JM. (1994) Expression of mRNA for three bombesin receptor subtypes in human bronchial epithelial cells. *Am J Respir Cell Mol Biol* **11**: 66-74 [PMID:8018339]
 58. Di Florio A, Sancho V, Moreno P, Delle Fave G and Jensen RT. (2013) Gastrointestinal hormones stimulate growth of Foregut Neuroendocrine Tumors by transactivating the EGF receptor. *Biochim Biophys Acta* **1833**: 573-82 [PMID:23220008]
 59. Dumont RA, Tamma M, Braun F, Borkowski S, Reubi JC, Maecke H, Weber WA and Mansi R. (2013) Targeted radiotherapy of prostate cancer with a gastrin-releasing Peptide receptor antagonist is effective as monotherapy and in combination with rapamycin. *J Nucl Med* **54**: 762-9 [PMID:23492884]
 60. Emanuel RL, Torday JS, Mu Q, Asokanathan N, Sikorski KA and Sunday ME. (1999) Bombesin-like peptides and receptors in normal fetal baboon lung: roles in lung growth and maturation. *Am J Physiol* **277**: L1003-17 [PMID:10564187]
 61. Erspamer V. (1988) Discovery, isolation, and characterization of bombesin-like peptides. *Ann N Y Acad Sci* **547**: 3-9 [PMID:3071223]
 62. Erspamer V, Melchiorri P, Erspamer CF and Negri L. (1978) Polypeptides of the amphibian skin active on the gut and their mammalian counterparts. *Adv Exp Med Biol* **106**: 51-64

- [PMID:362860]
63. Fathi Z, Corjay MH, Shapira H, Wada E, Benya R, Jensen R, Viallet J, Sausville EA and Battey JF. (1993) BRS-3: a novel bombesin receptor subtype selectively expressed in testis and lung carcinoma cells. *J Biol Chem* **268**: 5979-84 [PMID:8383682]
 64. Feng Y, Guan XM, Li J, Metzger JM, Zhu Y, Juhl K, Zhang BB, Thornberry NA, Reitman ML and Zhou YP. (2011) Bombesin receptor subtype-3 (BRS-3) regulates glucose-stimulated insulin secretion in pancreatic islets across multiple species. *Endocrinology* **152**: 4106-15 [PMID:21878513]
 65. Fleischmann A, Läderach U, Friess H, Buechler MW and Reubi JC. (2000) Bombesin receptors in distinct tissue compartments of human pancreatic diseases. *Lab Invest* **80**: 1807-17 [PMID:11140694]
 66. Fleming MS, Ramos D, Han SB, Zhao J, Son YJ and Luo W. (2012) The majority of dorsal spinal cord gastrin releasing peptide is synthesized locally whereas neuromedin B is highly expressed in pain- and itch-sensing somatosensory neurons. *Mol Pain* **8**: 52 [PMID:22776446]
 67. Flynn FW. (1997) Bombesin receptor antagonists block the effects of exogenous bombesin but not of nutrients on food intake. *Physiol Behav* **62**: 791-8 [PMID:9284499]
 68. Foster SR, Hauser AS, Vedel L, Strachan RT, Huang XP, Gavin AC, Shah SD, Nayak AP, Haugaard-Kedström LM and Penn RB *et al.*. (2019) Discovery of Human Signaling Systems: Pairing Peptides to G Protein-Coupled Receptors. *Cell* **179**: 895-908.e21 [PMID:31675498]
 69. Franci JM, Kaur G and Glass JD. (2010) Roles of light and serotonin in the regulation of gastrin-releasing peptide and arginine vasopressin output in the hamster SCN circadian clock. *Eur J Neurosci* **32**: 1170-9 [PMID:20731711]
 70. Frucht H, Gazdar AF, Park JA, Oie H and Jensen RT. (1992) Characterization of functional receptors for gastrointestinal hormones on human colon cancer cells. *Cancer Res* **52**: 1114-22 [PMID:1310640]
 71. Furutani N, Hondo M, Tsujino N and Sakurai T. (2010) Activation of bombesin receptor subtype-3 influences activity of orexin neurons by both direct and indirect pathways. *J Mol Neurosci* **42**: 106-11 [PMID:20467915]
 72. Gamble KL, Allen GC, Zhou T and McMahon DG. (2007) Gastrin-releasing peptide mediates light-like resetting of the suprachiasmatic nucleus circadian pacemaker through cAMP response element-binding protein and Per1 activation. *J Neurosci* **27**: 12078-87 [PMID:17978049]
 73. Ganter MT and Pittet JF. (2006) Bombesin-like peptides: modulators of inflammation in acute lung injury? *Am J Respir Crit Care Med* **173**: 1-2 [PMID:16368789]
 74. Garcia LJ, Pradhan TK, Weber HC, Moody TW and Jensen RT. (1997) The gastrin-releasing peptide receptor is differentially coupled to adenylate cyclase and phospholipase C in different tissues. *Biochim Biophys Acta* **1356**: 343-354 [PMID:9194577]
 75. Gbahou F, Holst B and Schwartz TW. (2010) Molecular basis for agonism in the BB3 receptor: an epitope located on the interface of transmembrane-III, -VI, and -VII. *J Pharmacol Exp Ther* **333**: 51-9 [PMID:20065020]
 76. Ghatei MA, Jung RT, Stevenson JC, Hillyard CJ, Adrian TE, Lee YC, Christofides ND, Sarson DL, Mashiter K and MacIntyre I *et al.*. (1982) Bombesin: action on gut hormones and calcium in man. *J Clin Endocrinol Metab* **54**: 980-5 [PMID:7061703]
 77. Giladi E, Nagalla SR and Spindel ER. (1993) Molecular cloning and characterization of receptors for the mammalian bombesin-like peptides. *J Mol Neurosci* **4**: 41-54 [PMID:8391296]
 78. Gonzalez N, Hocart SJ, Portal-Núñez S, Mantey SA, Nakagawa T, Zudaire E, Coy DH and Jensen RT. (2008) Molecular basis for agonist selectivity and activation of the orphan bombesin receptor subtype 3 receptor. *J Pharmacol Exp Ther* **324**: 463-74 [PMID:18006692]
 79. Gonzalez N, Moody TW, Igarashi H, Ito T and Jensen RT. (2008) Bombesin-related peptides and their receptors: recent advances in their role in physiology and disease states. *Curr Opin Endocrinol Diabetes Obes* **15**: 58-64 [PMID:18185064]
 80. González N, Mantey SA, Pradhan TK, Sancho V, Moody TW, Coy DH and Jensen RT.. (2009) Characterization of putative GRP- and NMB-receptor antagonist's interaction with human receptors. *Peptides* **30**: 1473-86 [PMID:19463875]
 81. González N, Moreno P and Jensen RT. (2015) Bombesin receptor subtype 3 as a potential target for obesity and diabetes. *Expert Opin Ther Targets* **19**: 1153-70 [PMID:26066663]
 82. Gorbulev V, Akhundova A, Büchner H and Fahrenholz F. (1992) Molecular cloning of a new bombesin receptor subtype expressed in uterus during pregnancy. *Eur J Biochem* **208**: 405-10 [PMID:1325907]
 83. Gorbulev V, Akhundova A, Grzeschik KH and Fahrenholz F. (1994) Organization and chromosomal localization of the gene for the human bombesin receptor subtype expressed in pregnant uterus. *FEBS Lett* **340**: 260-4 [PMID:8131855]
 84. Gregersen S and Ahrén B. (1996) Studies on the mechanisms by which gastrin releasing peptide potentiates glucose-induced insulin secretion from mouse islets. *Pancreas* **12**: 48-57 [PMID:8927619]
 85. Gregory CA and Schwartz JS. (1991) The cDNA of the human neuromedin B gene (NMB)

- mapped to 15q11-qter recognizes an XbaI RFLP. *Nucleic Acids Res* **19**: 1167 [PMID:1673565]
86. Grider JR. (2004) Gastrin-releasing peptide is a modulatory neurotransmitter of the descending phase of the peristaltic reflex. *Am J Physiol Gastrointest Liver Physiol* **287**: G1109-15 [PMID:15297260]
 87. Guan XM, Chen H, Dobbelaar PH, Dong Y, Fong TM, Gagen K, Gorski J, He S, Howard AD and Jian T *et al.*. (2010) Regulation of energy homeostasis by bombesin receptor subtype-3: selective receptor agonists for the treatment of obesity. *Cell Metab* **11**: 101-12 [PMID:20096642]
 88. Guan XM, Metzger JM, Yang L, Raustad KA, Wang SP, Spann SK, Kosinski JA, Yu H, Shearman LP and Faidley TD *et al.*. (2011) Antiobesity effect of MK-5046, a novel bombesin receptor subtype-3 agonist. *J Pharmacol Exp Ther* **336**: 356-64 [PMID:21036912]
 89. Guo C, Guzzo PR, Hadden M, Sargent BJ, Yet L, Kan Y, Palyha O, Kelly TM, Guan X and Rosko K *et al.*. (2010) Synthesis of 7-benzyl-5-(piperidin-1-yl)-6,7,8,9-tetrahydro-3H-pyrazolo[3,4-c][2,7]naphthyridin-1-ylamine and its analogs as bombesin receptor subtype-3 agonists. *Bioorg Med Chem Lett* **20**: 2785-9 [PMID:20371178]
 90. Hadden M, Goodman A, Guo C, Guzzo PR, Henderson AJ, Pattamana K, Ruenz M, Sargent BJ, Swenson B and Yet L *et al.*. (2010) Synthesis and SAR of heterocyclic carboxylic acid isosteres based on 2-biarylethylimidazole as bombesin receptor subtype-3 (BRS-3) agonists for the treatment of obesity. *Bioorg Med Chem Lett* **20**: 2912-5 [PMID:20347296]
 91. Hall MD, Higginbottom M, Horwell DC, Howson W, Hughes J, Jordan RE, Lewthwaite RA, Martin K, McKnight AT and O'Toole JC *et al.*. (1996) PD 165929- the first high affinity non-peptide neuromedin-B (NMB) receptor selective antagonist. *Bioorg Med Chem Lett* **6**: 2617-2622
 92. Hampton LL, Ladenheim EE, Akeson M, Way JM, Weber HC, Sutliff VE, Jensen RT, Wine LJ, Arnheiter H and Battey JF. (1998) Loss of bombesin-induced feeding suppression in gastrin-releasing peptide receptor-deficient mice. *Proc Natl Acad Sci USA* **95**: 3188-92 [PMID:9501238]
 93. Hansen KK, Hauser F, Williamson M, Weber SB and Grimmelikhuijzen CJ. (2011) The *Drosophila* genes CG14593 and CG30106 code for G-protein-coupled receptors specifically activated by the neuropeptides CCHamide-1 and CCHamide-2. *Biochem Biophys Res Commun* **404**: 184-9 [PMID:21110953]
 94. He S, Dobbelaar PH, Liu J, Jian T, Sebhat IK, Lin LS, Goodman A, Guo C, Guzzo PR and Hadden M *et al.*. (2010) Discovery of substituted biphenyl imidazoles as potent, bioavailable bombesin receptor subtype-3 agonists. *Bioorg Med Chem Lett* **20**: 1913-7 [PMID:20167483]
 95. Hecht JR, Duque J, Reddy ST, Herschman HR, Walsh JH and Slice LW. (1997) Gastrin-releasing peptide-induced expression of prostaglandin synthase-2 in Swiss 3T3 cells. *Prostaglandins* **54**: 757-68 [PMID:9491206]
 96. Heidary G, Hampton LL, Schanen NC, Rivkin MJ, Darras BT, Battey J and Francke U. (1998) Exclusion of the gastrin-releasing peptide receptor (GRPR) locus as a candidate gene for Rett syndrome. *Am J Med Genet* **78**: 173-5 [PMID:9674911]
 97. Heimbrook DC, Saari WS, Balishin NL, Fisher TW, Friedman A, Kiefer DM, Rotberg NS, Wallen JW and Oliff A. (1991) Gastrin releasing peptide antagonists with improved potency and stability. *J Med Chem* **34**: 2102-7 [PMID:2066982]
 98. Heimbrook DC, Saari WS, Balishin NL, Friedman A, Moore KS, Reimen MW, Kiefer DM, Rotberg NS, Wallen JW and Oliff A. (1989) Carboxyl-terminal modification of a gastrin releasing peptide derivative generates potent antagonists. *J Biol Chem* **264**: 11258-62 [PMID:2544588]
 99. Hermansen K and Åhrén B. (1990) Gastrin releasing peptide stimulates the secretion of insulin, but not that of glucagon or somatostatin, from the isolated perfused dog pancreas. *Acta Physiol Scand* **138**: 175-9 [PMID:1969219]
 100. Hewes RS and Taghert PH. (2001) Neuropeptides and neuropeptide receptors in the *Drosophila melanogaster* genome. *Genome Res* **11**: 1126-42 [PMID:11381038]
 101. Hildebrand P, Lehmann FS, Ketterer S, Christ AD, Stingelin T, Beltinger J, Gibbons AH, Coy DH, Calam J and Larsen F *et al.*. (2001) Regulation of gastric function by endogenous gastrin releasing peptide in humans: studies with a specific gastrin releasing peptide receptor antagonist. *Gut* **49**: 23-8 [PMID:11413106]
 102. Hirose T, Okuda K, Yamaoka T, Ishida K, Kusumoto S, Sugiyama T, Shirai T, Ohnishi T, Ohmori T and Adachi M. (2011) Are levels of pro-gastrin-releasing peptide or neuron-specific enolase at relapse prognostic factors after relapse in patients with small-cell lung cancer? *Lung Cancer* **71**: 224-8 [PMID:20537424]
 103. Hodges LM, Weissman MM, Haghighi F, Costa R, Bravo O, Evgrafov O, Knowles JA, Fyer AJ and Hamilton SP. (2009) Association and linkage analysis of candidate genes GRP, GRPR, CRHR1, and TACR1 in panic disorder. *Am J Med Genet B Neuropsychiatr Genet* **150B**: 65-73 [PMID:18452185]
 104. Hoggard N, Bashir S, Cruickshank M, Miller JD and Speakman JR. (2007) Expression of neuromedin B in adipose tissue and its regulation by changes in energy balance. *J Mol Endocrinol* **39**: 199-210 [PMID:17766645]
 105. Holst JJ, Knuhtsen S and Nielsen OV. (1989) Role of gastrin-releasing peptide in neural control

- of pancreatic exocrine secretion. *Pancreas* **4**: 581-6 [PMID:2813328]
106. Hoppenz P, Els-Heindl S and Beck-Sickinger AG. (2019) Identification and stabilization of a highly selective gastrin-releasing peptide receptor agonist. *J Pept Sci* **25**: e3224 [PMID:31743956]
 107. Horstmann O, Nustede R, Schmidt W, Stöckmann F and Becker H. (1999) On the role of gastrin-releasing peptide in meal-stimulated exocrine pancreatic secretion. *Pancreas* **19**: 126-32 [PMID:10438158]
 108. Hou W, Tsuda T and Jensen RT. (1998) Neuromedin B activates phospholipase D through both PKC-dependent and PKC-independent mechanisms. *Biochim Biophys Acta* **1391**: 337-50 [PMID:9555086]
 109. Hou X, Wei L, Harada A and Tatamoto K. (2006) Activation of bombesin receptor subtype-3 stimulates adhesion of lung cancer cells. *Lung Cancer* **54**: 143-8 [PMID:16979789]
 110. Huang SC, Yu DH, Wank SA, Gardner JD and Jensen RT. (1990) Characterization of the bombesin receptor on mouse pancreatic acini by chemical cross-linking. *Peptides* **11**: 1143-50 [PMID:1708135]
 111. Ida T, Takahashi T, Tominaga H, Sato T, Sano H, Kume K, Ozaki M, Hiraguchi T, Shiotani H and Terajima S *et al.*. (2012) Isolation of the bioactive peptides CCHamide-1 and CCHamide-2 from *Drosophila* and their putative role in appetite regulation as ligands for G protein-coupled receptors. *Front Endocrinol (Lausanne)* **3**: 177 [PMID:23293632]
 112. Ishikawa-Brush Y, Powell JF, Bolton P, Miller AP, Francis F, Willard HF, Lehrach H and Monaco AP. (1997) Autism and multiple exostoses associated with an X;8 translocation occurring within the GRPR gene and 3' to the SDC2 gene. *Hum Mol Genet* **6**: 1241-50 [PMID:9259269]
 113. Iwabuchi M, Ui-Tei K, Yamada K, Matsuda Y, Sakai Y, Tanaka K and Ohki-Hamazaki H. (2003) Molecular cloning and characterization of avian bombesin-like peptide receptors: new tools for investigating molecular basis for ligand selectivity. *Br J Pharmacol* **139**: 555-66 [PMID:12788815]
 114. Jeffry J, Kim S and Chen ZF. (2011) Itch signaling in the nervous system. *Physiology (Bethesda)* **26**: 286-92 [PMID:21841076]
 115. Jennings CA, Harrison DC, Maycox PR, Crook B, Smart D and Hervieu GJ. (2003) The distribution of the orphan bombesin receptor subtype-3 in the rat CNS. *Neuroscience* **120**: 309-24 [PMID:12890504]
 116. Jensen JA, Carroll RE and Benya RV. (2001) The case for gastrin-releasing peptide acting as a morphogen when it and its receptor are aberrantly expressed in cancer. *Peptides* **22**: 689-99 [PMID:11311741]
 117. Jensen RT. (2004) Gastrin-releasing peptide. In *Encyclopedia of Gastroenterology*. Edited by Johnson LR: Academic Press-Elsevier Publishing Co.: 179-185 [ISBN: 0123868610]
 118. Jensen RT, Battey JF, Spindel ER and Benya RV. (2008) International Union of Pharmacology. LXVIII. Mammalian bombesin receptors: nomenclature, distribution, pharmacology, signaling, and functions in normal and disease states. *Pharmacol Rev* **60**: 1-42 [PMID:18055507]
 119. Jensen RT and Coy DH. (1991) Progress in the development of potent bombesin receptor antagonists. *Trends Pharmacol Sci* **12**: 13-19 [PMID:1706545]
 120. Jensen RT, Moody T, Pert C, Rivier JE and Gardner JD. (1978) Interaction of bombesin and litorin with specific membrane receptors on pancreatic acinar cells. *Proc Natl Acad Sci USA* **75**: 6139-43 [PMID:216015]
 121. Jensen RT and Moody TW. (2013) Bombesin-Related Peptides. In *Handbook of Biologically Active Peptides. 2nd Revised edition*. Edited by Kastin AJ: Elsevier: 1188-1196 [ISBN: 9780123850959]
 122. Jensen RT and Moody TW. (2013) Bombesin Peptides (Cancer). In *Handbook of Biologically Active Peptides. 2nd Revised edition*. Edited by Kastin AJ: Elsevier: 506-511 [ISBN: 9780123850959]
 123. Jensen RT and Moody TW. (2006) Bombesin-related peptides and neurotensin: effects on cancer growth/proliferation and cellular signaling in cancer. In *Handbook of Biologically Active Peptides*. Edited by Kastin AJ: Elsevier: 429-434 [ISBN: 9780123694423]
 124. Jones PM, Withers DJ, Gbatei MA and Bloom SR. (1992) Evidence for neuromedin-B synthesis in the rat anterior pituitary gland. *Endocrinology* **130**: 1829-36 [PMID:1547712]
 125. Kamichi S, Wada E, Aoki S, Sekiguchi M, Kimura I and Wada K. (2005) Immunohistochemical localization of gastrin-releasing peptide receptor in the mouse brain. *Brain Res* **1032**: 162-70 [PMID:15680955]
 126. Karlsson S, Sundler F and Åhrén B. (1998) Insulin secretion by gastrin-releasing peptide in mice: ganglionic versus direct islet effect. *Am J Physiol* **274**: E124-9 [PMID:9458757]
 127. Katsuno T, Pradhan TK, Ryan RR, Mantey SA, Hou W, Donohue PJ, Akesson MA, Spindel ER, Battey JF and Coy DH *et al.*. (1999) Pharmacology and cell biology of the bombesin receptor subtype 4 (BB4-R). *Biochemistry* **38**: 7307-20 [PMID:10353842]
 128. Kiguchi N, Ding H, Park SH, Mabry KM, Kishioka S, Shiozawa Y, Alfonso Romero-Sandoval E, Peters CM and Ko MC. (2022) Functional roles of neuromedin B and gastrin-releasing peptide in

- regulating itch and pain in the spinal cord of non-human primates. *Biochem Pharmacol* **198**: 114972 [PMID:35189108]
129. Kilgore WR, Mantyh PW, Mantyh CR, McVey DC and Vigna SR. (1993) Bombesin/GRP-preferring and neuromedin B-preferring receptors in the rat urogenital system. *Neuropeptides* **24**: 43-52 [PMID:8381528]
 130. Kim JB, Johansson A, Holmgren S and Conlon JM. (2001) Gastrin-releasing peptides from *Xenopus laevis*: purification, characterization, and myotropic activity. *Am J Physiol Regul Integr Comp Physiol* **281**: R902-8 [PMID:11507007]
 131. Knuhtsen S, Holst JJ, Jensen SL, Knigge U and Nielsen OV. (1985) Gastrin-releasing peptide: effect on exocrine secretion and release from isolated perfused porcine pancreas. *Am J Physiol* **248**: G281-6 [PMID:3976887]
 132. Knuhtsen S, Holst JJ, Schwartz TW, Jensen SL and Nielsen OV. (1987) The effect of gastrin-releasing peptide on the endocrine pancreas. *Regul Pept* **17**: 269-76 [PMID:2885899]
 133. Koga K, Chen T, Li XY, Descalzi G, Ling J, Gu J and Zhuo M. (2011) Glutamate acts as a neurotransmitter for gastrin releasing peptide-sensitive and insensitive itch-related synaptic transmission in mammalian spinal cord. *Mol Pain* **7**: 47 [PMID:21699733]
 134. Kozyrev N, Lehman MN and Coolen LM. (2012) Activation of gastrin-releasing peptide receptors in the lumbosacral spinal cord is required for ejaculation in male rats. *J Sex Med* **9**: 1303-18 [PMID:22429708]
 135. Krane IM, Naylor SL, Helin-Davis D, Chin WW and Spindel ER. (1988) Molecular cloning of cDNAs encoding the human bombesin-like peptide neuromedin B. Chromosomal localization and comparison to cDNAs encoding its amphibian homolog ranatensin. *J Biol Chem* **263**: 13317-23 [PMID:2458345]
 136. Kurth J, Potratz M, Heuschkel M, Krause BJ and Schwarzenböck SM. (2022) GRPr Theranostics: Current Status of Imaging and Therapy using GRPr Targeting Radiopharmaceuticals. *Nuklearmedizin* **61**: 247-261 [PMID:35668669]
 137. Kusui T, Benya RV, Battey JF and Jensen RT. (1994) Glycosylation of bombesin receptors: characterization, effect on binding, and G-protein coupling. *Biochemistry* **33**: 12968-80 [PMID:7947701]
 138. Kusui T, Hellmich MR, Wang LH, Evans RL, Benya RV, Battey JF and Jensen RT. (1995) Characterization of gastrin-releasing peptide receptor expressed in Sf9 insect cells by baculovirus. *Biochemistry* **34**: 8061-8075 [PMID:7794919]
 139. Kähkönen E, Jambor I, Kemppainen J, Lehtiö K, Grönroos TJ, Kuisma A, Luoto P, Sipilä HJ, Tolvanen T and Alanen K *et al.*. (2013) In vivo imaging of prostate cancer using [68Ga]-labeled bombesin analog BAY86-7548. *Clin Cancer Res* **19**: 5434-43 [PMID:23935037]
 140. Ladenheim EE. (2013) Bombesin. In *Handbook of Biologically Active Peptides*. Edited by Kastin AJ: Elsevier: 1064-1070 [ISBN: 9780123694423]
 141. Ladenheim EE, Hamilton NL, Behles RR, Bi S, Hampton LL, Battey JF and Moran TH. (2008) Factors contributing to obesity in bombesin receptor subtype-3-deficient mice. *Endocrinology* **149**: 971-8 [PMID:18039774]
 142. Ladenheim EE, Hampton LL, Whitney AC, White WO, Battey JF and Moran TH. (2002) Disruptions in feeding and body weight control in gastrin-releasing peptide receptor deficient mice. *Journal of Endocrinology* **174**: 273-281 [PMID:12176666]
 143. Ladenheim EE, Jensen RT, Mantey SA and Moran TH. (1992) Distinct distributions of two bombesin receptor subtypes in the rat central nervous system. *Brain Res* **593**: 168-78 [PMID:1333344]
 144. Ladenheim EE and Knipp S. (2007) Capsaicin treatment differentially affects feeding suppression by bombesin-like peptides. *Physiol Behav* **91**: 36-41 [PMID:17343884]
 145. Ladenheim EE, Taylor JE, Coy DH, Carrigan TS, Wohn A and Moran TH. (1997) Caudal hindbrain neuromedin B-preferring receptors participate in the control of food intake. *Am J Physiol* **272**: R433-7 [PMID:9039040]
 146. Ladenheim EE, Taylor JE, Coy DH and Moran TH. (1994) Blockade of feeding inhibition by neuromedin B using a selective receptor antagonist. *Eur J Pharmacol* **271**: R7-9 [PMID:7698191]
 147. Ladenheim EE, Wirth KE and Moran TH. (1996) Receptor subtype mediation of feeding suppression by bombesin-like peptides. *Pharmacol Biochem Behav* **54**: 705-711 [PMID:8853193]
 148. Lango MN, Dyer KF, Lui VW, Gooding WE, Gubish C, Siegfried JM and Grandis JR. (2002) Gastrin-releasing peptide receptor-mediated autocrine growth in squamous cell carcinoma of the head and neck. *J Natl Cancer Inst* **94**: 375-83 [PMID:11880476]
 149. Lebacqz-Verheyden AM, Bertness V, Kirsch I, Hollis GF, McBride OW and Battey J. (1987) Human gastrin-releasing peptide gene maps to chromosome band 18q21. *Somat Cell Mol Genet* **13**: 81-6 [PMID:3027901]
 150. Leban JJ, Kull Jr FC, Landavazo A, Stockstill B and McDermid JD. (1993) Development of potent gastrin-releasing peptide antagonists having a D-Pro-psi(CH₂NH)-Phe-NH₂ C terminus. *Proc Natl Acad Sci USA* **90**: 1922-6 [PMID:8446610]

151. Lehmann FS and Beglinger C. (2006) Gastrin-releasing peptide. In *Handbook of Biologically Active Peptides*. Edited by Kastin AJ: Academic Press-Elsevier: 1044-1055 [ISBN: 0123694426]
152. Leyton J, Garcia-Marin LJ, Tapia JA, Jensen RT and Moody TW. (2001) Bombesin and gastrin releasing peptide increase tyrosine phosphorylation of focal adhesion kinase and paxillin in non-small cell lung cancer cells. *Cancer Lett* **162**: 87-95 [PMID:11121866]
153. Li C, Xu Y, Su W, He X, Li J, Li X, Xu HE and Yin W. (2024) Structural insights into ligand recognition, selectivity, and activation of bombesin receptor subtype-3. *Cell Rep* **43**: 114511 [PMID:39024101]
154. Li M, Liang P, Liu D, Yuan F, Chen GC, Zhang L, Liu Y and Liu H. (2019) Bombesin Receptor Subtype-3 in Human Diseases. *Arch Med Res* **50**: 463-467 [PMID:31911345]
155. Lin JT, Coy DH, Mantey SA and Jensen RT. (1995) Comparison of the peptide structural requirements for high affinity interaction with bombesin receptors. *Eur J Pharmacol* **294**: 55-69 [PMID:8788416]
156. Liu HJ, Tan YR, Li ML, Liu C, Xiang Y and Qin XQ. (2011) Cloning of a novel protein interacting with BRS-3 and its effects in wound repair of bronchial epithelial cells. *PLoS ONE* **6**: e23072 [PMID:21857995]
157. Liu J, He S, Jian T, Dobbelaar PH, Sebhat IK, Lin LS, Goodman A, Guo C, Guzzo PR and Hadden M *et al.*. (2010) Synthesis and SAR of derivatives based on 2-biarylethylimidazole as bombesin receptor subtype-3 (BRS-3) agonists for the treatment of obesity. *Bioorg Med Chem Lett* **20**: 2074-7 [PMID:20219372]
158. Liu J, Lao ZJ, Zhang J, Schaeffer MT, Jiang MM, Guan XM, Van der Ploeg LH and Fong TM. (2002) Molecular basis of the pharmacological difference between rat and human bombesin receptor subtype-3 (BRS-3). *Biochemistry* **41**: 8954-60 [PMID:12102638]
159. Liu P, Lanza Jr TJ, Chioda M, Jones C, Chobanian HR, Guo Y, Chang L, Kelly TM, Kan Y and Palyha O *et al.*. (2011) Discovery of benzodiazepine sulfonamide-based bombesin receptor subtype 3 agonists and their unusual chirality. *ACS Med Chem Lett* **2**: 933-7 [PMID:24900283]
160. Liu Q, Tang Z, Surdenikova L, Kim S, Patel KN, Kim A, Ru F, Guan Y, Weng HJ, Geng Y, Undem BJ, Kollarik M, Chen ZF, Anderson DJ and Dong X. (2009) Sensory neuron-specific GPCR Mrgprs are itch receptors mediating chloroquine-induced pruritus. *Cell* **139**: 1353-65 [PMID:20004959]
161. Liu X, Carlisle DL, Swick MC, Gaither-Davis A, Grandis JR and Siegfried JM. (2007) Gastrin-releasing peptide activates Akt through the epidermal growth factor receptor pathway and abrogates the effect of gefitinib. *Exp Cell Res* **313**: 1361-72 [PMID:17349623]
162. Liu XY, Liu ZC, Sun YG, Ross M, Kim S, Tsai FF, Li QF, Jeffry J, Kim JY and Loh HH *et al.*. (2011) Unidirectional cross-activation of GRPR by MOR1D uncouples itch and analgesia induced by opioids. *Cell* **147**: 447-58 [PMID:22000021]
163. Llinares M, Devin C, Chaloin O, Azay J, Noel-Artis AM, Bernad N, Fehrentz JA and Martinez J. (1999) Syntheses and biological activities of potent bombesin receptor antagonists. *J Pept Res* **53**: 275-83 [PMID:10231715]
164. Lo MM, Chobanian HR, Palyha O, Kan Y, Kelly TM, Guan XM, Reitman ML, Dragovic J, Lyons KA and Nargund RP *et al.*. (2011) Pyridinesulfonylureas and pyridinesulfonamides as selective bombesin receptor subtype-3 (BRS-3) agonists. *Bioorg Med Chem Lett* **21**: 2040-3 [PMID:21354793]
165. Lu J, Wu L, Zhu J, Zhou H, Fang M, Liang H, Guo M, Chen M, Zhu Y and Wang J *et al.*. (2025) Identification of a Novel Antagonist of BRS-3 from Natural Products and Its Protective Effects Against H₂O₂-Induced Cardiomyocyte Injury. *Int J Mol Sci* **26** [PMID:40141387]
166. Maekawa F, Quah HM, Tanaka K and Ohki-Hamazaki H. (2004) Leptin resistance and enhancement of feeding facilitation by melanin-concentrating hormone in mice lacking bombesin receptor subtype-3. *Diabetes* **53**: 570-6 [PMID:14988239]
167. Maina T and Nock BA. (2017) From Bench to Bed: New Gastrin-Releasing Peptide Receptor-Directed Radioligands and Their Use in Prostate Cancer. *PET Clin* **12**: 205-217 [PMID:28267454]
168. Majumdar ID and Weber HC. (2011) Biology of mammalian bombesin-like peptides and their receptors. *Curr Opin Endocrinol Diabetes Obes* **18**: 68-74 [PMID:21042212]
169. Majumdar ID and Weber HC. (2012) Appetite-modifying effects of bombesin receptor subtype-3 agonists. *Handb Exp Pharmacol*: 405-32 [PMID:22249826]
170. Majumdar ID and Weber HC. (2012) Biology and pharmacology of bombesin receptor subtype-3. *Curr Opin Endocrinol Diabetes Obes* **19**: 3-7 [PMID:22157398]
171. Mansi R, Nock BA, Dalm SU, Busstra MB, van Weerden WM and Maina T. (2021) Radiolabeled Bombesin Analogs. *Cancers (Basel)* **13** [PMID:34830920]
172. Mansi R, Wang X, Forrer F, Waser B, Cescato R, Graham K, Borkowski S, Reubi JC and Maecke HR. (2011) Development of a potent DOTA-conjugated bombesin antagonist for targeting GRPr-positive tumours. *Eur J Nucl Med Mol Imaging* **38**: 97-107 [PMID:20717822]
173. Mantey S, Frucht H, Coy DH and Jensen RT. (1993) Characterization of bombesin receptors using a novel, potent, radiolabeled antagonist that distinguishes bombesin receptor subtypes. *Mol Pharmacol* **43**: 762-74 [PMID:7684815]

174. Mantey SA, Coy DH, Entsuah LK and Jensen RT. (2004) Development of bombesin analogs with conformationally restricted amino acid substitutions with enhanced selectivity for the orphan receptor human bombesin receptor subtype 3. *J Pharmacol Exp Ther* **310**: 1161-70 [PMID:15102928]
175. Mantey SA, Coy DH, Pradhan TK, Igarashi H, Rizo IM, Shen L, Hou W, Hocart SJ and Jensen RT. (2001) Rational design of a peptide agonist that interacts selectively with the orphan receptor, bombesin receptor subtype 3. *J Biol Chem* **276**: 9219-29 [PMID:11112777]
176. Mantey SA, Gonzalez N, Schumann M, Pradhan TK, Shen L, Coy DH and Jensen RT. (2006) Identification of bombesin receptor subtype-specific ligands: effect of N-methyl scanning, truncation, substitution, and evaluation of putative reported selective ligands. *J Pharmacol Exp Ther* **319**: 980-9 [PMID:16943256]
177. Mantey SA, Weber HC, Sainz E, Akeson M, Ryan RR, Pradhan TK, Searles RP, Spindel ER, Battey JF and Coy DH *et al.*. (1997) Discovery of a high affinity radioligand for the human orphan receptor, bombesin receptor subtype 3, which demonstrates that it has a unique pharmacology compared with other mammalian bombesin receptors. *J Biol Chem* **272**: 26062-71 [PMID:9325344]
178. Martinez V and Taché Y. (2000) Bombesin and the brain-gut axis. *Peptides* **21**: 1617-25 [PMID:11090915]
179. Marui T, Hashimoto O, Nanba E, Kato C, Tochigi M, Umekage T, Kato N and Sasaki T. (2004) Gastrin-releasing peptide receptor (GRPR) locus in Japanese subjects with autism. *Brain Dev* **26**: 5-7 [PMID:14729406]
180. Maslen GL and Boyd Y. (1993) Comparative mapping of the Grpr locus on the X chromosomes of man and mouse. *Genomics* **17**: 106-9 [PMID:8406441]
181. Matsufuji T, Shimada K, Kobayashi S, Ichikawa M, Kawamura A, Fujimoto T, Arita T, Hara T, Konishi M and Abe-Ohya R *et al.*. (2015) Synthesis and biological evaluation of novel chiral diazepine derivatives as bombesin receptor subtype-3 (BRS-3) agonists incorporating an antedrug approach. *Bioorg Med Chem* **23**: 89-104 [PMID:25497965]
182. Matsufuji T, Shimada K, Kobayashi S, Kawamura A, Fujimoto T, Arita T, Hara T, Konishi M, Abe-Ohya R and Izumi M *et al.*. (2014) Discovery of novel chiral diazepines as bombesin receptor subtype-3 (BRS-3) agonists with low brain penetration. *Bioorg Med Chem Lett* **24**: 750-5 [PMID:24412111]
183. Matsumoto K, Yamada K, Wada E, Hasegawa T, Usui Y and Wada K. (2003) Bombesin receptor subtype-3 modulates plasma insulin concentration. *Peptides* **24**: 83-90 [PMID:12576088]
184. Matusiak D, Glover S, Nathaniel R, Matkowskyj K, Yang J and Benya RV. (2005) Neuromedin B and its receptor are mitogens in both normal and malignant epithelial cells lining the colon. *Am J Physiol Gastrointest Liver Physiol* **288**: G718-28 [PMID:15528253]
185. McDonald TJ, Ghatei MA, Bloom SR, Adrian TE, Mochizuki T, Yanaihara C and Yanaihara N. (1983) Dose-response comparisons of canine plasma gastroenteropancreatic hormone responses to bombesin and the porcine gastrin-releasing peptide (GRP). *Regul Pept* **5**: 125-137 [PMID:6338565]
186. McDonald TJ, Jörnvall H, Nilsson G, Vagne M, Ghatei M, Bloom SR and Mutt V. (1979) Characterization of a gastrin releasing peptide from porcine non-antral gastric tissue. *Biochem Biophys Res Commun* **90**: 227-33 [PMID:496973]
187. Medina S, Del Rio M, Ferrández MD, Hernanz A and De la Fuente M. (1998) Changes with age in the modulation of natural killer activity of murine leukocytes by gastrin-releasing peptide, neuropeptide Y and sulfated cholecystokinin octapeptide. *Neuropeptides* **32**: 549-55 [PMID:9920453]
188. Medina S, Del Río M, Manuel Victor V, Hernánz A and De la Fuente M. (1998) Changes with ageing in the modulation of murine lymphocyte chemotaxis by CCK-8S, GRP and NPY. *Mech Ageing Dev* **102**: 249-61 [PMID:9720656]
189. Merali Z, Bédard T, Andrews N, Davis B, McKnight AT, Gonzalez MI, Pritchard M, Kent P and Anisman H. (2006) Bombesin receptors as a novel anti-anxiety therapeutic target: BB1 receptor actions on anxiety through alterations of serotonin activity. *J Neurosci* **26**: 10387-96 [PMID:17035523]
190. Merali Z, Kent P and Anisman H. (2002) Role of bombesin-related peptides in the mediation or integration of the stress response. *Cell Mol Life Sci* **59**: 272-87 [PMID:11915944]
191. Merali Z, McIntosh J and Anisman H. (1999) Role of bombesin-related peptides in the control of food intake. *Neuropeptides* **33**: 376-386 [PMID:10657515]
192. Metzger JM, Gagen K, Raustad KA, Yang L, White A, Wang SP, Craw S, Liu P, Lanza T and Lin LS *et al.*. (2010) Body temperature as a mouse pharmacodynamic response to bombesin receptor subtype-3 agonists and other potential obesity treatments. *Am J Physiol Endocrinol Metab* **299**: E816-24 [PMID:20807840]
193. Mihara S, Hara M, Nakamura M, Sakurawi K, Tokura K, Fujimoto M, Fukai T and Nomura T. (1995) Non-peptide bombesin receptor antagonists, kuwanon G and H, isolated from mulberry. *Biochem Biophys Res Commun* **213**: 594-9 [PMID:7646517]

194. Milusheva EA, Kortezova NI, Mizhorkova ZN, Papasova M, Coy DH, Bálint A, Vizi ES and Varga G. (1998) Role of different bombesin receptor subtypes mediating contractile activity in cat upper gastrointestinal tract. *Peptides* **19**: 549-56 [PMID:9533644]
195. Minamino N, Kangawa K and Matsuo H. (1984) Neuromedin C: a bombesin-like peptide identified in porcine spinal cord. *Biochem Biophys Res Commun* **119**: 14-20 [PMID:6546686]
196. Minamino N, Kangawa K and Matsuo H. (1983) Neuromedin B: a novel bombesin-like peptide identified in porcine spinal cord. *Biochem Biophys Res Commun* **114**: 541-548 [PMID:6882442]
197. Mishra SK, Holzman S and Hoon MA. (2012) A nociceptive signaling role for neuromedin B. *J Neurosci* **32**: 8686-95 [PMID:22723708]
198. Mishra SK and Hoon MA. (2013) The cells and circuitry for itch responses in mice. *Science* **340**: 968-71 [PMID:23704570]
199. Moody TW, Berna MJ, Mantey S, Sancho V, Ridnour L, Wink DA, Chan D, Giaccone G and Jensen RT. (2010) Neuromedin B receptors regulate EGF receptor tyrosine phosphorylation in lung cancer cells. *Eur J Pharmacol* **637**: 38-45 [PMID:20388507]
200. Moody TW, Chan D, Fahrenkrug J and Jensen RT. (2003) Neuropeptides as autocrine growth factors in cancer cells. *Curr Pharm Des* **9**: 495-509 [PMID:12570813]
201. Moody TW, Fagarasan M and Zia F. (1995) Neuromedin B stimulates arachidonic acid release, c-fos gene expression, and the growth of C6 glioma cells. *Peptides* **16**: 1133-40 [PMID:8532598]
202. Moody TW, Mantey SA, Moreno P, Nakamura T, Lacivita E, Leopoldo M and Jensen RT. (2015) ML-18 is a non-peptide bombesin receptor subtype-3 antagonist which inhibits lung cancer growth. *Peptides* **64**: 55-61 [PMID:25554218]
203. Moody TW, Mantey SA, Pradhan TK, Schumann M, Nakagawa T, Martinez A, Fuselier J, Coy DH and Jensen RT. (2004) Development of high affinity camptothecin-bombesin conjugates that have targeted cytotoxicity for bombesin receptor-containing tumor cells. *J Biol Chem* **279**: 23580-9 [PMID:15016826]
204. Moody TW and Merali Z. (2004) Bombesin-like peptides and associated receptors within the brain: distribution and behavioral implications. *Peptides* **25**: 511-520 [PMID:15134870]
205. Moody TW, Sancho V, di Florio A, Nuche-Berenguer B, Mantey S and Jensen RT. (2011) Bombesin receptor subtype-3 agonists stimulate the growth of lung cancer cells and increase EGF receptor tyrosine phosphorylation. *Peptides* **32**: 1677-84 [PMID:21712056]
206. Moody TW, Sun LC, Mantey SA, Pradhan T, Mackey LV, Gonzales N, Fuselier JA, Coy DH and Jensen RT. (2006) In vitro and in vivo antitumor effects of cytotoxic camptothecin-bombesin conjugates are mediated by specific interaction with cellular bombesin receptors. *J Pharmacol Exp Ther* **318**: 1265-72 [PMID:16766720]
207. Moody TW, Tashakkori N, Mantey SA, Moreno P, Ramos-Alvarez I, Leopoldo M and Jensen RT. (2017) AM-37 and ST-36 Are Small Molecule Bombesin Receptor Antagonists. *Front Endocrinol (Lausanne)* **8**: 176 [PMID:28785244]
208. Moreno P, Mantey SA, Lee SH, Ramos-Álvarez I, Moody TW and Jensen RT. (2018) A possible new target in lung-cancer cells: The orphan receptor, bombesin receptor subtype-3. *Peptides* **101**: 213-226 [PMID:29410320]
209. Moreno P, Mantey SA, Nuche-Berenguer B, Reitman ML, Gonzalez N, , Coy DH and Jensen RT. (2013) Comparative Pharmacology of Bombesin Receptor Subtype-3, Nonpeptide Agonist MK-5046, a Universal Peptide Agonist, and Peptide Antagonist Bantag-1 for Human Bombesin Receptors. *Pharmacol Exp Therap* **347**: 100-116 [PMID:23892571]
210. Moreno P, Ramos-Álvarez I, Moody TW and Jensen RT. (2016) Bombesin related peptides/receptors and their promising therapeutic roles in cancer imaging, targeting and treatment. *Expert Opin Ther Targets* **20**: 1055-73 [PMID:26981612]
211. Morgado-Valle C, Smith JC, Fernandez-Ruiz J, Lopez-Meraz L and Beltran-Parrazal L. (2023) Modulation of inspiratory burst duration and frequency by bombesin in vitro. *Pflugers Arch* **475**: 101-117 [PMID:35066612]
212. Myklebust AT, Godal A, Pharo A, Juell S and Fodstad O. (1993) Eradication of small cell lung cancer cells from human bone marrow with immunotoxins. *Cancer Res* **53**: 3784-8 [PMID:8393381]
213. Nagalla SR, Barry BJ, Creswick KC, Eden P, Taylor JT and Spindel ER. (1995) Cloning of a receptor for amphibian [Phe13]bombesin distinct from the receptor for gastrin-releasing peptide: identification of a fourth bombesin receptor subtype (BB4). *Proc Natl Acad Sci USA* **92**: 6205-9 [PMID:7597102]
214. Nagalla SR, Gibson BW, Tang D, Reeve Jr JR and Spindel ER. (1992) Gastrin-releasing peptide (GRP) is not mammalian bombesin. Identification and molecular cloning of a true amphibian GRP distinct from amphibian bombesin in *Bombina orientalis*. *J Biol Chem* **267**: 6916-22 [PMID:1551901]
215. Nakamichi Y, Wada E, Aoki K, Ohara-Imaizumi M, Kikuta T, Nishiwaki C, Matsushima S, Watanabe T, Wada K and Nagamatsu S. (2004) Functions of pancreatic beta cells and adipocytes in bombesin receptor subtype-3-deficient mice. *Biochem Biophys Res Commun* **318**: 698-703 [PMID:15144894]

216. Naylor SL, Sakaguchi AY, Spindel E and Chin WW. (1987) Human gastrin-releasing peptide gene is located on chromosome 18. *Somat Cell Mol Genet* **13**: 87-91 [PMID:3027902]
217. Nio Y, Hotta N, Maruyama M, Hamagami K, Nagi T, Funata M, Sakamoto J, Nakakariya M, Amano N and Okawa T *et al.* (2017) A Selective Bombesin Receptor Subtype 3 Agonist Promotes Weight Loss in Male Diet-Induced-Obese Rats With Circadian Rhythm Change. *Endocrinology* **158**: 1298-1313 [PMID:28324017]
218. Nishino H, Tsunoda Y and Owyang C. (1998) Mammalian bombesin receptors are coupled to multiple signal transduction pathways in pancreatic acini. *Am J Physiol* **274**: G525-34 [PMID:9530154]
219. Nisman B, Biran H, Ramu N, Heching N, Barak V and Peretz T. (2009) The diagnostic and prognostic value of ProGRP in lung cancer. *Anticancer Res* **29**: 4827-32 [PMID:20032442]
220. Ohki-Hamazaki H. (2000) Neuromedin B. *Prog Neurobiol* **62**: 297-312 [PMID:10840151]
221. Ohki-Hamazaki H, Sakai Y, Kamata K, Ogura H, Okuyama S, Watase K, Yamada K and Wada K. (1999) Functional properties of two bombesin-like peptide receptors revealed by the analysis of mice lacking neuromedin B receptor. *J Neurosci* **19**: 948-54 [PMID:9920658]
222. Ohki-Hamazaki H, Wada E, Matsui K and Wada K. (1997) Cloning and expression of the neuromedin B receptor and the third subtype of bombesin receptor genes in the mouse. *Brain Res* **762**: 165-72 [PMID:9262170]
223. Ohki-Hamazaki H, Watase K, Yamamoto K, Ogura H, Yamano M, Yamada K, Maeno H, Imaki J, Kikuyama S and Wada E *et al.* (1997) Mice lacking bombesin receptor subtype-3 develop metabolic defects and obesity. *Nature* **390**: 165-9 [PMID:9367152]
224. Okarvi SM. (2008) Peptide-based radiopharmaceuticals and cytotoxic conjugates: potential tools against cancer. *Cancer Treat Rev* **34**: 13-26 [PMID:17870245]
225. Oliveira KJ, Cabanelas A, Veiga MA, Paula GS, Ortiga-Carvalho TM, Wada E, Wada K and Pazos-Moura CC. (2008) Impaired serum thyrotropin response to hypothyroidism in mice with disruption of neuromedin B receptor. *Regul Pept* **146**: 213-7 [PMID:17931717]
226. Oliveira KJ, Ortiga-Carvalho TM, Cabanelas A, Veiga MA, Aoki K, Ohki-Hamazaki H, Wada K, Wada E and Pazos-Moura CC. (2006) Disruption of neuromedin B receptor gene results in dysregulation of the pituitary-thyroid axis. *J Mol Endocrinol* **36**: 73-80 [PMID:16461928]
227. Oliveira PG, Brenol CV, Edelweiss MI, Brenol JC, Petronilho F, Roesler R, Dal-Pizzol F, Schwartzmann G and Xavier RM. (2008) Effects of an antagonist of the bombesin/gastrin-releasing peptide receptor on complete Freund's adjuvant-induced arthritis in rats. *Peptides* **29**: 1726-31 [PMID:18590783]
228. Ono A, Naito T, Ito I, Watanabe R, Shukuya T, Kenmotsu H, Tsuya A, Nakamura Y, Murakami H and Kaira K *et al.* (2012) Correlations between serial pro-gastrin-releasing peptide and neuron-specific enolase levels, and the radiological response to treatment and survival of patients with small-cell lung cancer. *Lung Cancer* **76**: 439-44 [PMID:22300752]
229. Orbuch M, Taylor JE, Coy DH, Mrozinski Jr JE, Mantey SA, Battey JF, Moreau JP and Jensen RT. (1993) Discovery of a novel class of neuromedin B receptor antagonists, substituted somatostatin analogues. *Mol Pharmacol* **44**: 841-50 [PMID:7901752]
230. Oremek GM and Sapoutzis N. (2003) Pro-gastrin-releasing peptide (Pro-GRP), a tumor marker for small cell lung cancer. *Anticancer Res* **23**: 895-8 [PMID:12820319]
231. Ortiga-Carvalho TM, Curty FH, Nascimento-Saba CC, Moura EG, Polak J and Pazos-Moura CC. (1997) Pituitary neuromedin B content in experimental fasting and diabetes mellitus and correlation with thyrotropin secretion. *Metab Clin Exp* **46**: 149-53 [PMID:9030820]
232. Ortiga-Carvalho TM, Oliveira Kde J, Morales MM, Martins VP and Pazos-Moura CC. (2003) Thyrotropin secretagogues reduce rat pituitary neuromedin B, a local thyrotropin release inhibitor. *Exp Biol Med (Maywood)* **228**: 1083-8 [PMID:14530520]
233. Park HJ, Kim SR, Bae SK, Choi YK, Bae YH, Kim EC, Kim WJ, Jang HO, Yun I, Kim YM and Bae MK. (2009) Neuromedin B induces angiogenesis via activation of ERK and Akt in endothelial cells. *Experimental Cell Research* **315**: 3359-69 [PMID:19703440]
234. Parkman HP, Vozzelli MA, Pagano AP and Cowan A. (1994) Pharmacological analysis of receptors for bombesin-related peptides on guinea pig gallbladder smooth muscle. *Regul Pept* **52**: 173-80 [PMID:7800849]
235. Patel O, Shulkes A and Baldwin GS. (2006) Gastrin-releasing peptide and cancer. *Biochim Biophys Acta* **1766**: 23-41 [PMID:16490321]
236. Paula GS, Souza LL, Cabanelas A, Bloise FF, Mello-Coelho V, Wada E, Ortiga-Carvalho TM, Oliveira KJ and Pazos-Moura CC. (2010) Female mice target deleted for the neuromedin B receptor have partial resistance to diet-induced obesity. *J Physiol (Lond.)* **588**: 1635-45 [PMID:20211980]
237. Pazos-Moura CC, Moura EG, Rettori V, Polak J and McCann SM. (1996) Role of neuromedin B in the in vitro thyrotropin release in response to thyrotropin-releasing hormone from anterior pituitaries of eu-, hypo-, and hyperthyroid rats. *Proc Soc Exp Biol Med* **211**: 353-8 [PMID:8618941]
238. Pazos-Moura CC, Ortiga TM, Curty FH, Moreira RM and Lisboa PC. (1993) Paradoxical effect of

- neuromedin B and thyroxin on thyrotropin secretion from isolated hyperthyroid pituitaries. *Braz J Med Biol Res* **26**: 1349-54 [PMID:8136736]
239. Pazos-Moura CC, Ortiga-Carvalho TM and Gaspar de Moura E. (2003) The autocrine/paracrine regulation of thyrotropin secretion. *Thyroid* **13**: 167-175 [PMID:12699591]
 240. Peng S, Zhan Y, Zhang D, Ren L, Chen A, Chen ZF and Zhang H. (2023) Structures of human gastrin-releasing peptide receptors bound to antagonist and agonist for cancer and itch therapy. *Proc Natl Acad Sci U S A* **120**: e2216230120 [PMID:36724251]
 241. Pereira DV, Steckert AV, Mina F, Petronilho F, Roesler R, Schwartzmann G, Ritter C and Dal-Pizzol F. (2009) Effects of an antagonist of the gastrin-releasing peptide receptor in an animal model of uveitis. *Invest Ophthalmol Vis Sci* **50**: 5300-3 [PMID:19516017]
 242. Persson K, Pacini G, Sundler F and Ahrén B. (2002) Islet function phenotype in gastrin-releasing peptide receptor gene-deficient mice. *Endocrinology* **143**: 3717-26 [PMID:12239081]
 243. Petronilho F, Danielski LG, Roesler R, Schwartzmann G and Dal-Pizzol F. (2013) Gastrin-releasing peptide as a molecular target for inflammatory diseases: an update. *Inflamm Allergy Drug Targets* **12**: 172-7 [PMID:23621446]
 244. Petronilho F, Vuolo F, Galant LS, Constantino L, Tomasi CD, Giombelli VR, de Souza CT, da Silva S, Barbeiro DF and Soriano FG *et al.*. (2012) Gastrin-releasing peptide receptor antagonism induces protection from lethal sepsis: involvement of toll-like receptor 4 signaling. *Mol Med* **18**: 1209-19 [PMID:22735756]
 245. Pigeyre M, Bokor S, Romon M, Gottrand F, Gilbert CC, Valtueña J, Gómez-Martínez S, Moreno LA, Amouyel P and Dallongeville J *et al.*. (2010) Influence of maternal educational level on the association between the rs3809508 neuromedin B gene polymorphism and the risk of obesity in the HELENA study. *Int J Obes (Lond.)* **34**: 478-86 [PMID:20010906]
 246. Plonowski A, Nagy A, Schally AV, Sun B, Groot K and Halmos G. (2000) In vivo inhibition of PC-3 human androgen-independent prostate cancer by a targeted cytotoxic bombesin analogue, AN-215. *Int J Cancer* **88**: 652-7 [PMID:11058885]
 247. Porcher C, Juhem A, Peinnequin A and Bonaz B. (2005) Bombesin receptor subtype-3 is expressed by the enteric nervous system and by interstitial cells of Cajal in the rat gastrointestinal tract. *Cell Tissue Res* **320**: 21-31 [PMID:15726424]
 248. Pradhan TK, Katsuno T, Taylor JE, Kim SH, Ryan RR, Mantey SA, Donohue PJ, Weber HC, Sainz E and Battey JF *et al.*. (1998) Identification of a unique ligand which has high affinity for all four bombesin receptor subtypes. *Eur J Pharmacol* **343**: 275-87 [PMID:9570477]
 249. Price J, Penman E, Wass JA and Rees LH. (1984) Bombesin-like immunoreactivity in human gastrointestinal tract. *Regul Pept* **9**: 1-10 [PMID:6505289]
 250. Pujatti PB, Santos JS, Couto RM, Melero LT, Suzuki MF, Soares CR, Grallert SR, Mengatti J and De Araújo EB. (2011) Novel series of (177)Lu-labeled bombesin derivatives with amino acidic spacers for selective targeting of human PC-3 prostate tumor cells. *Q J Nucl Med Mol Imaging* **55**: 310-23 [PMID:21532543]
 251. Qin X, Qu X, Coy D and Weber HC. (2012) A selective human bombesin receptor subtype-3 peptide agonist mediates CREB phosphorylation and transactivation. *J Mol Neurosci* **46**: 88-99 [PMID:22127929]
 252. Qin XQ and Qu X. (2013) Extraintestinal roles of bombesin-like peptides and their receptors: lung. *Curr Opin Endocrinol Diabetes Obes* **20**: 22-6 [PMID:23222852]
 253. Qu X, Li M, Liu HJ, Xiang Y, Tan Y, Weber HC and Qin XQ. (2013) Role of bombesin receptor activated protein in the antigen presentation by human bronchial epithelial cells. *J Cell Biochem* **114**: 238-44 [PMID:22930588]
 254. Qu X, Xiao D and Weber HC. (2002) Human gastrin-releasing peptide receptor mediates sustained CREB phosphorylation and transactivation in HuTu 80 duodenal cancer cells. *FEBS Lett* **527**: 109-13 [PMID:12220644]
 255. Radulovic S, Cai RZ, Serfozo P, Groot K, Redding TW, Pinski J and Schally AV. (1991) Biological effects and receptor binding affinities of new pseudonapeptide bombesin/GRP receptor antagonists with N-terminal D-Trp or D-Tpi. *Int J Pept Protein Res* **38**: 593-600 [PMID:1726427]
 256. Ramos-Alvarez I, Iordanskaia T, Mantey SA and Jensen RT. (2022) The Nonpeptide Agonist MK-5046 Functions As an Allosteric Agonist for the Bombesin Receptor Subtype-3. *J Pharmacol Exp Ther* **382**: 66-78 [PMID:35644465]
 257. Ramos-Alvarez I, Lee L, Mantey SA and Jensen RT. (2019) Development and Characterization of a Novel, High-Affinity, Specific, Radiolabeled Ligand for BRS-3 Receptors. *J Pharmacol Exp Ther* **369**: 454-465 [PMID:30971479]
 258. Ramos-Álvarez I, Martín-Duce A, Moreno-Villegas Z, Sanz R, Aparicio C, Portal-Núñez S, Mantey SA, Jensen RT and González N. (2013) Bombesin receptor subtype-3 (BRS-3), a novel candidate as therapeutic molecular target in obesity and diabetes. *Mol Cell Endocrinol* **367**: 109-15 [PMID:23291341]
 259. Ramos-Álvarez I, Moreno P, Mantey SA, Nakamura T, Nuche-Berenguer B, Moody TW, Coy DH and Jensen RT. (2015) Insights into bombesin receptors and ligands: Highlighting recent advances. *Peptides* **72**: 128-44 [PMID:25976083]

260. Ramos-Álvarez I, Nakamura T, Mantey SA, Moreno P, Nuche-Berenguer B and Jensen RT. (2016) Novel chiral-diazepines function as specific, selective receptor agonists with variable coupling and species variability in human, mouse and rat BRS-3 receptor cells. *Peptides* **75**: 8-17 [PMID:26524625]
261. Reeve Jr JR, Cuttitta F, Vigna SR, Shively JE and Walsh JH. (1988) Processing of mammalian preprogastrin-releasing peptide. *Ann N Y Acad Sci* **547**: 21-9 [PMID:3071218]
262. Reitman ML, Dishy V, Moreau A, Denney WS, Liu C, Kraft WK, Mejia AV, Matson MA, Stoch SA and Wagner JA *et al.*. (2012) Pharmacokinetics and pharmacodynamics of MK-5046, a bombesin receptor subtype-3 (BRS-3) agonist, in healthy patients. *J Clin Pharmacol* **52**: 1306-16 [PMID:22162541]
263. Rettori V, Milenkovic L, Fahim AM, Polak J, Bloom SR and McCann SM. (1989) Role of neuromedin B in the control of the release of thyrotropin in the rat. *Proc Natl Acad Sci USA* **86**: 4789-92 [PMID:2499891]
264. Rettori V, Pazos-Moura CC, Moura EG, Polak J and McCann SM. (1992) Role of neuromedin B in control of the release of thyrotropin in hypothyroid and hyperthyroid rats. *Proc Natl Acad Sci USA* **89**: 3035-9 [PMID:1557409]
265. Reubi JC, Wenger S, Schmuckli-Maurer J, Schaer JC and Gugger M. (2002) Bombesin receptor subtypes in human cancers: detection with the universal radioligand (125)I-[D-TYR(6), beta-ALA(11), PHE(13), NLE(14)] bombesin(6-14). *Clin Cancer Res* **8**: 1139-46 [PMID:11948125]
266. Rick FG, Abi-Chaker A, Szalontay L, Perez R, Jaszberenyi M, Jayakumar AR, Shamaladevi N, Szepeshazi K, Vidaurre I and Halmos G *et al.*. (2013) Shrinkage of experimental benign prostatic hyperplasia and reduction of prostatic cell volume by a gastrin-releasing peptide antagonist. *Proc Natl Acad Sci USA* **110**: 2617-22 [PMID:23359692]
267. Rick FG, Buchholz S, Schally AV, Szalontay L, Krishan A, Datz C, Stadlmayr A, Aigner E, Perez R and Seitz S *et al.*. (2012) Combination of gastrin-releasing peptide antagonist with cytotoxic agents produces synergistic inhibition of growth of human experimental colon cancers. *Cell Cycle* **11**: 2518-25 [PMID:22751419]
268. Rodríguez-Fernández JL and Rozengurt E. (1996) Bombesin, bradykinin, vasopressin, and phorbol esters rapidly and transiently activate Src family tyrosine kinases in Swiss 3T3 cells. Dissociation from tyrosine phosphorylation of p125 focal adhesion kinase. *J Biol Chem* **271**: 27895-901 [PMID:8910389]
269. Roesler R, Henriques JA and Schwartzmann G. (2004) Neuropeptides and anxiety disorders: bombesin receptors as novel therapeutic targets. *Trends Pharmacol Sci* **25**: 241-2; author reply 242-3 [PMID:15120487]
270. Roesler R, Henriques JA and Schwartzmann G. (2006) Gastrin-releasing peptide receptor as a molecular target for psychiatric and neurological disorders. *CNS Neurol Disord Drug Targets* **5**: 197-204 [PMID:16611092]
271. Roesler R, Kapczinski F, Quevedo J, Dal Pizzol F and Schwartzmann G. (2007) The gastrin-releasing peptide receptor as a therapeutic target in central nervous system disorders. *Recent Pat CNS Drug Discov* **2**: 125-9 [PMID:18221223]
272. Roesler R, Kent P, Schroder N, Schwartzmann G and Merali Z. (2012) Bombesin receptor regulation of emotional memory. *Rev Neurosci* **23**: 571-86 [PMID:23096238]
273. Roesler R and Schwartzmann G. (2012) Gastrin-releasing peptide receptors in the central nervous system: role in brain function and as a drug target. *Front Endocrinol (Lausanne)* **3**: 159 [PMID:23251133]
274. Roesler R, Valvassori SS, Castro AA, Luft T, Schwartzmann G and Quevedo J. (2009) Phosphoinositide 3-kinase is required for bombesin-induced enhancement of fear memory consolidation in the hippocampus. *Peptides* **30**: 1192-6 [PMID:19463755]
275. Rouissi N, Rhaleb NE, Nantel F, Dion S, Drapeau G and Regoli D. (1991) Characterization of bombesin receptors in peripheral contractile organs. *Br J Pharmacol* **103**: 1141-7 [PMID:1652341]
276. Rozengurt E. (1998) V. Gastrointestinal peptide signaling through tyrosine phosphorylation of focal adhesion proteins. *Am J Physiol* **275**: G177-82 [PMID:9688642]
277. Ruff M, Schiffmann E, Terranova V and Pert CB. (1985) Neuropeptides are chemoattractants for human tumor cells and monocytes: a possible mechanism for metastasis. *Clin Immunol Immunopathol* **37**: 387-96 [PMID:2414046]
278. Ryan RR, Katsuno T, Mantey SA, Pradhan TK, Weber HC, Coy DH, Battey JF and Jensen RT. (1999) Comparative pharmacology of the nonpeptide neuromedin B receptor antagonist PD 168368. *J Pharmacol Exp Ther* **290**: 1202-11 [PMID:10454496]
279. Ryan RR, Weber HC, Hou W, Sainz E, Mantey SA, Battey JF, Coy DH and Jensen RT. (1998) Ability of various bombesin receptor agonists and antagonists to alter intracellular signaling of the human orphan receptor BRS-3. *J Biol Chem* **273**: 13613-24 [PMID:9593699]
280. Ryan RR, Weber HC, Mantey SA, Hou W, Hilburger ME, Pradhan TK, Coy DH and Jensen RT. (1998) Pharmacology and intracellular signaling mechanisms of the native human orphan receptor BRS-3 in lung cancer cells. *J Pharmacol Exp Ther* **287**: 366-80 [PMID:9765358]

281. Sakamoto H. (2011) Gastrin-releasing peptide system in the spinal cord mediates masculine sexual function. *Anat Sci Int* **86**: 19-29 [PMID:21104362]
282. Sakamoto H and Kawata M. (2009) Gastrin-releasing peptide system in the spinal cord controls male sexual behaviour. *J Neuroendocrinol* **21**: 432-5 [PMID:19187464]
283. Sakamoto H, Matsuda K, Zuloaga DG, Hongu H, Wada E, Wada K, Jordan CL, Breedlove SM and Kawata M. (2008) Sexually dimorphic gastrin releasing peptide system in the spinal cord controls male reproductive functions. *Nat Neurosci* **11**: 634-6 [PMID:18488022]
284. Sakamoto H, Matsuda K, Zuloaga DG, Nishiura N, Takanami K, Jordan CL, Breedlove SM and Kawata M. (2009) Stress affects a gastrin-releasing peptide system in the spinal cord that mediates sexual function: implications for psychogenic erectile dysfunction. *PLoS ONE* **4**: e4276 [PMID:19169356]
285. Sakamoto H, Takanami K, Zuloaga DG, Matsuda K, Jordan CL, Breedlove SM and Kawata M. (2009) Androgen regulates the sexually dimorphic gastrin-releasing peptide system in the lumbar spinal cord that mediates male sexual function. *Endocrinology* **150**: 3672-9 [PMID:19359382]
286. Sancho V, Di Florio A, Moody TW and Jensen RT. (2011) Bombesin receptor-mediated imaging and cytotoxicity: review and current status. *Curr Drug Deliv* **8**: 79-134 [PMID:21034419]
287. Sancho V, Moody TW, Mantey SA, Di Florio A, Uehara H, Coy DH and Jensen RT. (2010) Pharmacology of putative selective hBRS-3 receptor agonists for human bombesin receptors (BnR): affinities, potencies and selectivity in multiple native and BnR transfected cells. *Peptides* **31**: 1569-78 [PMID:20438784]
288. Sano H, Feighner SD, Hreniuk DL, Iwaasa H, Sailer AW, Pan J, Reitman ML, Kanatani A, Howard AD and Tan CP. (2004) Characterization of the bombesin-like peptide receptor family in primates. *Genomics* **84**: 139-46 [PMID:15203211]
289. Sausville EA, Lebacqz-Verheyden AM, Spindel ER, Cuttitta F, Gazdar AF and Battey JF. (1986) Expression of the gastrin-releasing peptide gene in human small cell lung cancer. Evidence for alternative processing resulting in three distinct mRNAs. *J Biol Chem* **261**: 2451-7 [PMID:3003116]
290. Schally AV, Engel JB, Emons G, Block NL and Pinski J. (2011) Use of analogs of peptide hormones conjugated to cytotoxic radicals for chemotherapy targeted to receptors on tumors. *Curr Drug Deliv* **8**: 11-25 [PMID:21034424]
291. Schally AV and Nagy A. (2004) Chemotherapy targeted to cancers through tumoral hormone receptors. *Trends Endocrinol Metab* **15**: 300-10 [PMID:15350601]
292. Schroeder RP, van Weerden WM, Bangma C, Krenning EP and de Jong M. (2009) Peptide receptor imaging of prostate cancer with radiolabelled bombesin analogues. *Methods* **48**: 200-4 [PMID:19398012]
293. Schubert ML. (2002) Gastric secretion. *Curr Opin Gastroenterol* **18**: 639-49 [PMID:17033342]
294. Schubert ML. (1999) Regulation of gastric acid secretion. *Curr Opin Gastroenterol* **15**: 457-62 [PMID:17023991]
295. Schubert ML, Hightower J, Coy DH and Makhlouf GM. (1991) Regulation of acid secretion by bombesin/GRP neurons of the gastric fundus. *Am J Physiol* **260**: G156-60 [PMID:1987804]
296. Schulz S, Röcken C and Schulz S. (2006) Immunohistochemical detection of bombesin receptor subtypes GRP-R and BRS-3 in human tumors using novel antipeptide antibodies. *Virchows Arch* **449**: 421-7 [PMID:16967266]
297. Schöfl C, Rössig L, Leitolf H, Mader T, von zur Mühlen A and Brabant G. (1996) Generation of repetitive Ca²⁺ transients by bombesin requires intracellular release and influx of Ca²⁺ through voltage-dependent and voltage independent channels in single HIT cells. *Cell Calcium* **19**: 485-93 [PMID:8842515]
298. Sebat IK, Franklin C, Lo MM, Chen D, Jewell JP, Miller R, Pang J, Palyha O, Kan Y and Kelly TM *et al.*. (2011) Discovery of MK-5046, a Potent, Selective Bombesin Receptor Subtype-3 Agonist for the Treatment of Obesity. *ACS Med Chem Lett* **2**: 43-7 [PMID:24900253]
299. Severi C, Jensen RT, Erspamer V, D'Arpino L, Coy DH, Torsoli A and Delle Fave G. (1991) Different receptors mediate the action of bombesin-related peptides on gastric smooth muscle cells. *Am J Physiol* **260**: G683-G690 [PMID:1852115]
300. Shan L, Emanuel RL, Dewald D, Torday JS, Asokanathan N, Wada K, Wada E and Sunday ME. (2004) Bombesin-like peptide receptor gene expression, regulation, and function in fetal murine lung. *Am J Physiol Lung Cell Mol Physiol* **286**: L165-73 [PMID:12959933]
301. Sharif TR, Luo W and Sharif M. (1997) Functional expression of bombesin receptor in most adult and pediatric human glioblastoma cell lines; role in mitogenesis and in stimulating the mitogen-activated protein kinase pathway. *Mol Cell Endocrinol* **130**: 119-30 [PMID:9220028]
302. Shumyatsky GP, Tsvetkov E, Malleret G, Vronskaya S, Hatton M, Hampton L, Battey JF, Dulac C, Kandel ER and Bolshakov VY. (2002) Identification of a signaling network in lateral nucleus of amygdala important for inhibiting memory specifically related to learned fear. *Cell* **111**: 905-18 [PMID:12526815]
303. Siegfried JM, Krishnamachary N, Gaither Davis A, Gubish C, Hunt JD and Shriver SP. (1999)

- Evidence for autocrine actions of neuromedin B and gastrin-releasing peptide in non-small cell lung cancer. *Pulm Pharmacol Ther* **12**: 291-302 [PMID:10545285]
304. Smith CJ, Volkert WA and Hoffman TJ. (2003) Gastrin releasing peptide (GRP) receptor targeted radiopharmaceuticals: a concise update. *Nucl Med Biol* **30**: 861-8 [PMID:14698790]
 305. Sotomayor S, Muñoz-Moreno L, Carmena MJ, Schally AV, Sánchez-Chapado M, Prieto JC and Bajo AM. (2010) Regulation of HER expression and transactivation in human prostate cancer cells by a targeted cytotoxic bombesin analog (AN-215) and a bombesin antagonist (RC-3095). *Int J Cancer* **127**: 1813-22 [PMID:20099275]
 306. Spindel ER. (2013) Bombesin Peptides. In *Handbook of Biologically Active Peptides*. Edited by Kastin AJ: Elsevier: 325-330 [ISBN: 9780123694423]
 307. Spindel ER, Chin WW, Price J, Rees LH, Besser GM and Habener JF. (1984) Cloning and characterization of cDNAs encoding human gastrin-releasing peptide. *Proc Natl Acad Sci USA* **81**: 5699-703 [PMID:6207529]
 308. Spindel ER, Giladi E, Brehm P, Goodman RH and Segerson TP. (1990) Cloning and functional characterization of a complementary DNA encoding the murine fibroblast bombesin/gastrin-releasing peptide receptor. *Mol Endocrinol* **4**: 1956-1963 [PMID:1707129]
 309. Spálová J, Zamrazilová H, Vcelák J, Vanková M, Lukášová P, Hill M, Hlavatá K, Srámková P, Fried M and Aldhoon B *et al.*. (2008) Neuromedin beta: P73T polymorphism in overweight and obese subjects. *Physiol Res* **57 Suppl 1**: S39-48 [PMID:18271693]
 310. Stevens EB, Shah BS, Pinnock RD and Lee K. (1999) Bombesin receptors inhibit G protein-coupled inwardly rectifying K⁺ channels expressed in *Xenopus* oocytes through a protein kinase C-dependent pathway. *Mol Pharmacol* **55**: 1020-7 [PMID:10347243]
 311. Stieber P, Dienemann H, Schalhorn A, Schmitt UM, Reinmiedl J, Hofmann K and Yamaguchi K. (1999) Pro-gastrin-releasing peptide (ProGRP)--a useful marker in small cell lung carcinomas. *Anticancer Res* **19**: 2673-8 [PMID:10470218]
 312. Stratford TR, Gibbs J and Smith GP. (1995) Microstructural analysis of licking behavior following peripheral administration of bombesin or gastrin-releasing peptide. *Peptides* **16**: 903-9 [PMID:7479333]
 313. Strug LJ, Suresh R, Fyer AJ, Talati A, Adams PB, Li W, Hodge SE, Gilliam TC and Weissman MM. (2010) Panic disorder is associated with the serotonin transporter gene (SLC6A4) but not the promoter region (5-HTTLPR). *Mol Psychiatry* **15**: 166-76 [PMID:18663369]
 314. Su PY and Ko MC. (2011) The role of central gastrin-releasing peptide and neuromedin B receptors in the modulation of scratching behavior in rats. *J Pharmacol Exp Ther* **337**: 822-9 [PMID:21421741]
 315. Sun B, Schally AV and Halmos G. (2000) The presence of receptors for bombesin/GRP and mRNA for three receptor subtypes in human ovarian epithelial cancers. *Regul Pept* **90**: 77-84 [PMID:10828496]
 316. Sun YG and Chen ZF. (2007) A gastrin-releasing peptide receptor mediates the itch sensation in the spinal cord. *Nature* **448**: 700-3 [PMID:17653196]
 317. Sun YG, Zhao ZQ, Meng XL, Yin J, Liu XY and Chen ZF. (2009) Cellular basis of itch sensation. *Science* **325**: 1531-4 [PMID:19661382]
 318. Sunaga N, Tsuchiya S, Minato K, Watanabe S, Fueki N, Hoshino H, Makimoto T, Ishihara S, Saito R and Mori M. (1999) Serum pro-gastrin-releasing peptide is a useful marker for treatment monitoring and survival in small-cell lung cancer. *Oncology* **57**: 143-8 [PMID:10461062]
 319. Sunday ME, Yoder BA, Cuttitta F, Haley KJ and Emanuel RL. (1998) Bombesin-like peptide mediates lung injury in a baboon model of bronchopulmonary dysplasia. *J Clin Invest* **102**: 584-94 [PMID:9691095]
 320. Swain MG. (2008) Gastrin-releasing peptide and pruritus: more than just scratching the surface. *J Hepatol* **48**: 681-3 [PMID:18280606]
 321. Taché Y. (1982) Bombesin: central nervous system action to increase gastric mucus in rats. *Gastroenterology* **83**: 75-80 [PMID:7075946]
 322. Taché Y, Garrick T and Raybould H. (1990) Central nervous system action of peptides to influence gastrointestinal motor function. *Gastroenterology* **98**: 517-28 [PMID:2104814]
 323. Taché Y, Marki W, Rivier J, Vale W and Brown M. (1981) Central nervous system inhibition of gastric secretion in the rat by gastrin-releasing peptide, a mammalian bombesin. *Gastroenterology* **81**: 298-302 [PMID:7239137]
 324. Taché Y, Pittman Q and Brown M. (1980) Bombesin-induced poikilothermy in rats. *Brain Res* **188**: 525-30 [PMID:7370772]
 325. Takada M, Kusunoki Y, Masuda N, Matui K, Yana T, Ushijima S, Iida K, Tamura K, Komiya T and Kawase I *et al.*. (1996) Pro-gastrin-releasing peptide (31-98) as a tumour marker of small-cell lung cancer: comparative evaluation with neuron-specific enolase. *Br J Cancer* **73**: 1227-32 [PMID:8630283]
 326. Tan YR, Qi MM, Qin XQ, Xiang Y, Li X, Wang Y, Qu F, Liu HJ and Zhang JS. (2006) Wound repair and proliferation of bronchial epithelial cells enhanced by bombesin receptor subtype 3

- activation. *Peptides* **27**: 1852-8 [PMID:16426703]
327. Tan YR, Qin XQ, Xiang Y, Yang T, Qu F, Wang Y, Liu HJ and Weber HC. (2007) PPARalpha and AP-2alpha regulate bombesin receptor subtype 3 expression in ozone-stressed bronchial epithelial cells. *Biochem J* **405**: 131-7 [PMID:17355223]
 328. Tang H, Shu C, Chen H, Zhang X, Zang Z and Deng C. (2019) Constitutively active BRS3 is a genuinely orphan GPCR in placental mammals. *PLoS Biol* **17**: e3000175 [PMID:30840614]
 329. Toi-Scott M, Jones CL and Kane MA. (1996) Clinical correlates of bombesin-like peptide receptor subtype expression in human lung cancer cells. *Lung Cancer* **15**: 341-54 [PMID:8959679]
 330. Tokita K, Hocart SJ, Coy DH and Jensen RT. (2002) Molecular basis of the selectivity of gastrin-releasing peptide receptor for gastrin-releasing peptide. *Mol Pharmacol* **61**: 1435-43 [PMID:12021405]
 331. Tokita K, Hocart SJ, Katsuno T, Mantey SA, Coy DH and Jensen RT. (2001) Tyrosine 220 in the 5th transmembrane domain of the neuromedin B receptor is critical for the high selectivity of the peptoid antagonist PD168368. *J Biol Chem* **276**: 495-504 [PMID:11013243]
 332. Tokita K, Katsuno T, Hocart SJ, Coy DH, Llinares M, Martinez J and Jensen RT. (2001) Molecular basis for selectivity of high affinity peptide antagonists for the gastrin-releasing peptide receptor. *J Biol Chem* **276**: 36652-63 [PMID:11463790]
 333. Tsuda T, Kusui T and Jensen RT.. (1997) Neuromedin B receptor activation causes tyrosine phosphorylation of p125FAK by a phospholipase C independent mechanism which requires p21rho and integrity of the actin cytoskeleton. *Biochemistry* **36**: 16328-37 [PMID:9405068]
 334. Tsushima H, Mori M, Fujiwara N and Moriyama A. (2003) Pharmacological characteristics of bombesin receptor mediating hypothermia in the central nervous system of rats. *Brain Res* **969**: 88-94 [PMID:12676368]
 335. Uehara H, González N, Sancho V, Mantey SA, Nuche-Berenguer B, Pradhan T, Coy DH and Jensen RT. (2011) Pharmacology and selectivity of various natural and synthetic bombesin related peptide agonists for human and rat bombesin receptors differs. *Peptides* **32**: 1685-99 [PMID:21729729]
 336. Valentine JJ, Nakanishi S and Hageman DL *et al.* (1992) CP-70,030 and CP-75,998: the first non-peptide antagonists of bombesin and gastrin-releasing peptide. *Bioorg Med Chem Lett* **2**: 333-338
 337. Varga G, Reidelberger RD, Liehr RM, Bussjaeger LJ, Coy DH and Solomon TE. (1991) Effects of potent bombesin antagonist on exocrine pancreatic secretion in rats. *Peptides* **12**: 493-7 [PMID:1717952]
 338. Von Schrenck T, Heinz-Erian P, Moran T, Mantey SA, Gardner JD and Jensen RT. (1989) Neuromedin B receptor in esophagus: evidence for subtypes of bombesin receptors. *Am J Physiol* **256**: G747-58 [PMID:2539739]
 339. von Schrenck T, Wang LH, Coy DH, Villanueva ML, Mantey S and Jensen RT. (1990) Potent bombesin receptor antagonists distinguish receptor subtypes. *Am J Physiol* **259**: G468-73 [PMID:2169207]
 340. Wada E, Watase K, Yamada K, Ogura H, Yamano M, Inomata Y, Eguchi J, Yamamoto K, Sunday ME and Maeno H *et al.* (1997) Generation and characterization of mice lacking gastrin-releasing peptide receptor. *Biochem Biophys Res Commun* **239**: 28-33 [PMID:9345264]
 341. Wada E, Way J, Lebacqz-Verheyden AM and Battey JF. (1990) Neuromedin B and gastrin-releasing peptide mRNAs are differentially distributed in the rat nervous system. *J Neurosci* **10**: 2917-2930 [PMID:2398368]
 342. Wada E, Way J, Shapira H, Kusano K, Lebacqz-Verheyden AM, Coy D, Jensen R and Battery J. (1991) cDNA cloning, characterization, and brain region-specific expression of a neuromedin-B-preferring bombesin receptor. *Neuron* **6**: 421-30 [PMID:1848080]
 343. Wang LH, Battey JF, Wada E, Lin JT, Mantey S, Coy DH and Jensen RT. (1992) Activation of neuromedin B-preferring bombesin receptors on rat glioblastoma C-6 cells increases cellular Ca²⁺ and phosphoinositides. *Biochem J* **286 (Pt 2)**: 641-8 [PMID:1326946]
 344. Wang LH, Coy DH, Taylor JE, Jiang NY, Moreau JP, Huang SC, Frucht H, Haffar BM and Jensen RT. (1990) des-Met carboxyl-terminally modified analogues of bombesin function as potent bombesin receptor antagonists, partial agonists, or agonists. *J Biol Chem* **265**: 15695-703 [PMID:1697594]
 345. Wang LH, Mantey SA, Lin JT, Frucht H and Jensen RT. (1993) Ligand binding, internalization, degradation and regulation by guanine nucleotides of bombesin receptor subtypes: a comparative study. *Biochim Biophys Acta* **1175**: 232-42 [PMID:8380344]
 346. Wang QJ, Knezetic JA, Schally AV, Pour PM and Adrian TE. (1996) Bombesin may stimulate proliferation of human pancreatic cancer cells through an autocrine pathway. *Int J Cancer* **68**: 528-34 [PMID:8945626]
 347. Wang Y, Zhang M, Tan Y, Xiang Y, Liu H, Qu F, Qin L and Qin X. (2007) BRS-3 activation transforms the effect of human bronchial epithelial cells from PGE2 mediated inhibition to TGF-beta1 dependent promotion on proliferation and collagen synthesis of lung fibroblasts. *Cell Biol Int* **31**: 1495-500 [PMID:17714959]

348. Weber D, Berger C, Eickelmann P, Antel J and Kessler H. (2003) Design of selective peptidomimetic agonists for the human orphan receptor BRS-3. *J Med Chem* **46**: 1918-30 [PMID:12723954]
349. Weber D, Berger C, Heinrich T, Eickelmann P, Antel J and Kessler H. (2002) Systematic optimization of a lead-structure identities for a selective short peptide agonist for the human orphan receptor BRS-3. *J Pept Sci* **8**: 461-75 [PMID:12212809]
350. Weber HC, Hampton LL, Jensen RT and Battey JF. (1998) Structure and chromosomal localization of the mouse bombesin receptor subtype 3 gene. *Gene* **211**: 125-131 [PMID:9573346]
351. Weber HC, Jensen RT and Battey JF. (2000) Molecular organization of the mouse gastrin-releasing peptide receptor gene and its promoter. *Gene* **244**: 137-49 [PMID:10689196]
352. Weber HC, Walters J, Leyton J, Casibang M, Purdom S, Jensen RT, Coy DH, Ellis C, Clark G and Moody TW. (2001) A bombesin receptor subtype-3 peptide increases nuclear oncogene expression in a MEK-1 dependent manner in human lung cancer cells. *Eur J Pharmacol* **412**: 13-20 [PMID:11166731]
353. Whitley JC, Moore C, Giraud AS and Shulkes A. (1999) Molecular cloning, genomic organization and selective expression of bombesin receptor subtype 3 in the sheep hypothalamus and pituitary. *J Mol Endocrinol* **23**: 107-16 [PMID:10425452]
354. Wood SM, Jung RT, Webster JD, Ghatei MA, Adrian TE, Yanaihara N, Yanaihara C and Bloom SR. (1983) The effect of the mammalian neuropeptide, gastrin-releasing peptide (GRP), on gastrointestinal and pancreatic hormone secretion in man. *Clin Sci* **65**: 365-71 [PMID:6349902]
355. Wu JM, Nitecki DE, Biancalana S and Feldman RI. (1996) Discovery of high affinity bombesin receptor subtype 3 agonists. *Mol Pharmacol* **50**: 1355-63 [PMID:8913368]
356. Wu L, Cui J, Zhao C, Wang Z, Lu J, Li S, Jia J, Xiao H and Zhang Y. (2023) Discovery of Dimethyl Shikonin Oxime 5a, a Potent, Selective Bombesin Receptor Subtype-3 Agonist for the Treatment of Type 2 Diabetes Mellitus. *J Med Chem* **66**: 8011-8029 [PMID:37272653]
357. Xiao D, Wang J, Hampton LL and Weber HC. (2001) The human gastrin-releasing peptide receptor gene structure, its tissue expression and promoter. *Gene* **264**: 95-103 [PMID:11245983]
358. Xu Y, Jiang YF and Wu B. (2012) New agonist- and antagonist-based treatment approaches for advanced prostate cancer. *J Int Med Res* **40**: 1217-26 [PMID:22971474]
359. Yamada K, Ohki-Hamazaki H and Wada K. (2000) Differential effects of social isolation upon body weight, food consumption, and responsiveness to novel and social environment in bombesin receptor subtype-3 (BRS-3) deficient mice. *Physiol Behav* **68**: 555-61 [PMID:10713297]
360. Yamada K, Santo-Yamada Y, Wada E and Wada K. (2002) Role of bombesin (BN)-like peptides/receptors in emotional behavior by comparison of three strains of BN-like peptide receptor knockout mice. *Mol Psychiatry* **7**: 113-7, 6 [PMID:11803457]
361. Yamada K, Santo-Yamada Y and Wada K. (2003) Stress-induced impairment of inhibitory avoidance learning in female neuromedin B receptor-deficient mice. *Physiol Behav* **78**: 303-9 [PMID:12576129]
362. Yamada K, Santo-Yamada Y and Wada K. (2002) Restraint stress impaired maternal behavior in female mice lacking the neuromedin B receptor (NMB-R) gene. *Neurosci Lett* **330**: 163-6 [PMID:12231437]
363. Yamada K, Wada E, Imaki J, Ohki-Hamazaki H and Wada K. (1999) Hyperresponsiveness to palatable and aversive taste stimuli in genetically obese (bombesin receptor subtype-3-deficient) mice. *Physiol Behav* **66**: 863-7 [PMID:10405115]
364. Yamada K, Wada E and Wada K. (2001) Female gastrin-releasing peptide receptor (GRP-R)-deficient mice exhibit altered social preference for male conspecifics: implications for GRP/GRP-R modulation of GABAergic function. *Brain Res* **894**: 281-7 [PMID:11251202]
365. Yamada K, Wada E and Wada K. (2000) Bombesin-like peptides: studies on food intake and social behaviour with receptor knock-out mice. *Ann Med* **32**: 519-29 [PMID:11127929]
366. Yamada K, Wada E, Yamano M, Sun YJ, Ohara-Imaizumi M, Nagamatsu S and Wada K. (2002) Decreased marble burying behavior in female mice lacking neuromedin-B receptor (NMB-R) implies the involvement of NMB/NMB-R in 5-HT neuron function. *Brain Res* **942**: 71-8 [PMID:12031854]
367. Yang HJ, Gu Y, Chen C, Xu C and Bao YX. (2011) Diagnostic value of pro-gastrin-releasing peptide for small cell lung cancer: a meta-analysis. *Clin Chem Lab Med* **49**: 1039-46 [PMID:21649553]
368. Yu Z, Ananias HJ, Carlucci G, Hoving HD, Helfrich W, Dierckx RA, Wang F, de Jong IJ and Elsinga PH. (2013) An update of radiolabeled bombesin analogs for gastrin-releasing peptide receptor targeting. *Curr Pharm Des* **19**: 3329-41 [PMID:23431995]
369. Zachary I, Gil J, Lehmann W, Sinnett-Smith J and Rozengurt E. (1991) Bombesin, vasopressin, and endothelin rapidly stimulate tyrosine phosphorylation in intact Swiss 3T3 cells. *Proc Natl Acad Sci USA* **88**: 4577-81 [PMID:1647010]

370. Zhang H, Abiraj K, Thorek DL, Waser B, Smith-Jones PM, Honer M, Reubi JC and Maecke HR. (2012) Evolution of bombesin conjugates for targeted PET imaging of tumors. *PLoS ONE* **7**: e44046 [PMID:23024746]
371. Zhang H, Qi L, Cai Y and Gao X. (2024) Gastrin-releasing peptide receptor (GRPR) as a novel biomarker and therapeutic target in prostate cancer. *Ann Med* **56**: 2320301 [PMID:38442298]
372. Zhang L, Nothacker HP, Wang Z, Bohn LM and Civelli O. (2009) Pharmacological characterization of a selective agonist for bombesin receptor subtype-3. *Biochem Biophys Res Commun* **387**: 283-8 [PMID:19580790]
373. Zhang L, Parks GS, Wang Z, Wang L, Lew M and Civelli O. (2013) Anatomical characterization of bombesin receptor subtype-3 mRNA expression in the rodent central nervous system. *J Comp Neurol* **521**: 1020-39 [PMID:22911445]
374. Zhang Q, Bhola NE, Lui VW, Siwak DR, Thomas SM, Gubish CT, Siegfried JM, Mills GB, Shin D and Grandis JR. (2007) Antitumor mechanisms of combined gastrin-releasing peptide receptor and epidermal growth factor receptor targeting in head and neck cancer. *Mol Cancer Ther* **6**: 1414-24 [PMID:17431120]
375. Zhao T, Chen A, Dai D, Li Z, Gao XF and Xiong L. (2023) Role of the GRP/GRPR System in Regulating Brain Functions. *ACS Chem Neurosci* **14**: 3588-3598 [PMID:37702025]
376. Zhu Y, Wu L, Zhao Y, Wang Z, Lu J, Yu Y, Xiao H and Zhang Y. (2022) Discovery of oridonin as a novel agonist for BRS-3. *Phytomedicine* **100**: 154085 [PMID:35405616]
377. Zou Y, Huang M, Hu M, Wang H, Chen W and Tian R. (2024) Radiopharmaceuticals Targeting Gastrin-Releasing Peptide Receptor for Diagnosis and Therapy of Prostate Cancer. *Mol Pharm* **21**: 4199-4216 [PMID:39219355]