Bombesin

Overview: Bombesin receptors (nomenclature recommended by the NC-IUPHAR Subcommittee on bombesin receptors, Jensen *et al*., 2008) are activated by the endogenous ligands gastrin-releasing peptide (GRP), neuromedin B (NMB) and GRP-18-27 (previously named neuromedin C). Bombesin is a tetradecapeptide, originally derived from amphibians. These receptors couple, primarily, to the $G_{q/11}$ family of G proteins (but see also Jian *et al*., 1999). Activation of BB_1 and BB_2 receptors causes a wide range of physiological actions, including the stimulation of tissue growth, smooth–muscle contraction, secretion and many central nervous system effects (Tokita *et al*., 2002). A physiological role for the BB_3 receptor has yet to be fully defined although receptor knockout experiments suggest a role in energy balance and the control of body weight (see Jensen *et al*., 2008).

Nomenclature	BB_1	BB_2	BB_3
Other names	NMB-R, BB1	GRP-R, BB2	BRS-3, bb3
Ensembl ID	ENSG00000135577	ENSG00000126010	ENSG00000102239
Principal transduction	$G_{q/11}$	$G_{q/11}$	$G_{q/11}$
Selective agonists	NMB	GRP	[D-Tyr^6,Apa-4Cl^{11},Phe^{13},Nle^{14}] bombesin$_{6-14}$
Selective antagonists	PD165929, dNal-*cyc*(Cys-Tyr-dTrp-Orn-Val)-Nal-NH_2, dNal-Cys-Tyr-dTrp-Lys-Val-Cys-Nal-NH_2, PD168368	[D-Phe^6,Cpa^{14},ψ13-14]Bn$_{6-14}$, JMV641, Ac-GRP$_{20-26}$methylester	–
Probes	[^{125}I]-BH-NMB, [^{125}I]-[Tyr^4]bombesin	[^{125}I]-[DTyr^6]bombesin-6-13-methylester, [^{125}I]-GRP, [^{125}I]-[Tyr^4]bombesin	[^{125}I]-[Tyr^6,βAla^{11},Phe^{13},Nle^{14}] bombesin-6-14

All three subtypes may be activated by [dPhe^6,βAla^{11},Phe^{13},Nle^{14}]bombesin-6-14 (Mantey *et al*., 1997). [D-Tyr^6,Apa-4Cl,Phe^{13},Nle^{14}] bombesin-6-14 has more than 200-fold selectivity for BB_3 receptors over BB_1 and BB_2 (Mantey *et al*., 2004).

Abbreviations: PD165929, 2-[3-(2,6-diisopropylphenyl)-ureido]3-(1*H*-indol-3-yl)-2-methyl-*N*-(1-pyridin-2-yl-cyclohexylmethyl)-proprionate, **PD168368**, 3-(1*H*-indol-3-yl)-2-methyl-2-[3(4-nitro-phenyl)-ureido]*N*-(1-pyridin-2-yl-cyclohexylmethyl)-proprionamide, **JMV641** *H*-D-Phe, Gln,Trp,Ala,Val,Gly,His-NH-CH[CH_2-CH(CH_3)$_2$]-CHOH-(CH_2)$_3$-CH_3

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