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Relaxin family peptide receptors in GtoPdb v.2021.3

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Abstract

Relaxin family peptide receptors (RXFP, **nomenclature as agreed by the NC-IUPHAR Subcommittee on Relaxin family peptide receptors [18, 81]**) may be divided into two pairs, RXFP1/2 and RXFP3/4. Endogenous agonists at these receptors are heterodimeric peptide hormones structurally related to insulin: relaxin-1, relaxin, relaxin-3 (also known as INSL7), insulin-like peptide 3 (INSL3) and INSL5. Species homologues of relaxin have distinct pharmacology and relaxin interacts with RXFP1, RXFP2 and RXFP3, whereas mouse and rat relaxin selectively bind to and activate RXFP1 [184]. relaxin-3 is the ligand for RXFP3 but it also binds to RXFP1 and RXFP4 and has differential affinity for RXFP2 between species [183]. INSL5 is the ligand for RXFP4 but is a weak antagonist of RXFP3. relaxin and INSL3 have multiple complex binding interactions with RXFP1 [189] and RXFP2 [91] which direct the N-terminal LDLa modules of the receptors together with a linker domain to act as a tethered ligand to direct receptor signaling [186]. INSL5 and relaxin-3 interact with their receptors using distinct residues in their B-chains for binding, and activation, respectively [225, 104].

Contents

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