

Relaxin family peptide receptors in GtoPdb v.2025.3

Alexander I. AgoulNIK¹, Ross A.D. Bathgate², Thomas Dschietzig³, Andrew L. Gundlach², Michelle Halls⁴, Craig Smith⁵ and Roger J. Summers⁴

1. Florida International University, USA
2. University of Melbourne, Australia
3. Charité-University Medicine Berlin, Germany
4. Monash University, Australia
5. Deakin University, Australia

Abstract

Relaxin family peptide receptors (RXFP, **nomenclature as agreed by the NC-IUPHAR Subcommittee on Relaxin family peptide receptors [23, 125]**) 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 [268]. **relaxin-3** is the ligand for RXFP3 but it also binds to RXFP1 and RXFP4 and has differential affinity for RXFP2 between species [267]. **INSL5** is the ligand for RXFP4 but is a weak antagonist of RXFP3. **relaxin** and **INSL3** have multiple complex binding interactions with RXFP1 [275] and RXFP2 [138], which together with the N-terminal linker and LDLa module drive receptor activation by an unknown mechanism [270, 89]. **INSL5** and **relaxin-3** interact with their receptors using distinct residues in their B-chains for binding, and activation, respectively [55, 329, 158, 56].

Contents

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Database links

Relaxin family peptide receptors

<https://www.guidetopharmacology.org/GRAC/FamilyDisplayForward?familyId=60>

Introduction to Relaxin family peptide receptors

<https://www.guidetopharmacology.org/GRAC/FamilyIntroductionForward?familyId=60>

Receptors

RXFP1

<https://www.guidetopharmacology.org/GRAC/ObjectDisplayForward?objectId=351>

RXFP2

<https://www.guidetopharmacology.org/GRAC/ObjectDisplayForward?objectId=352>

RXFP3

<https://www.guidetopharmacology.org/GRAC/ObjectDisplayForward?objectId=353>

RXFP4

<https://www.guidetopharmacology.org/GRAC/ObjectDisplayForward?objectId=354>

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