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Sommario/riassunto

G protein-coupled receptors (GPCRs) represent a large and physiologically important class of cell surface receptors. There are approximately 750 known GPCRs present in the human genome that can be subdivided into general classes based upon sequence homology within their transmembrane domains. Therapeutically, GPCRs represent a fertile source for the development of therapies as they are a significant percentage of our current pharmacopeia. Among the three subclasses of GPCRs, the Class A (rhodopsin-like) receptors are by far the most prevalent and extensively studied. However, within the Class A receptors, sub-families of receptors can be distinguished based upon common sequence motifs within the transmembrane domains as well as extracellular and intracellular domains. One such family of Class A receptors is characterized by multiple leucine- rich repeats within their amino- terminal domains (the Leucine-rich Repeat family (LRR)). This family of GPCRs are best represented by the glycoprotein hormone receptors (LHR, FSHR and TSHR) which have been studied extensively but also includes receptors for the peptide hormone relaxin (RXFP1 and RXFP2 (RXFP2 also binds insulin-like peptide 3)) and three other receptors (LGR4, LGR5 and LGR6). LGR4-6 were, until recently, considered orphan receptors. However, emerging data have revealed that these proteins are the receptors for a family of growth factors called R-spondins. Over the last 20 years much has been learned about LRR receptors, including the development of synthetic agonists and

antagonists, new insights into signaling (including signaling bias) and the physiological role these receptors play in regulating the function of many tissues. This topic will focus on what is known concerning the regulation of these receptors, their signaling pathways, functional consequences of activation and pharmacology.