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Gonadotrophin-releasing hormone receptors in GtoPdb v.2021.3

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Abstract

$GnRH_1$ and $GnRH_2$ receptors (**provisonal nomenclature [39]**, also called Type I and Type II GnRH receptor, respectively [85]) have been cloned from numerous species, most of which express two or three types of GnRH receptor [85, 84, 114]. GnRH I (p-Glu-His-Trp-Ser-Tyr-Gly-Leu-Arg-Pro-Gly-NH2) is a hypothalamic decapeptide also known as luteinizing hormone-releasing hormone, gonadoliberin, luliberin, gonadorelin or simply as GnRH. It is a member of a family of similar peptides found in many species [85, 84, 114] including GnRH II (pGlu-His-Trp-Ser-His-Gly-Trp-Tyr-Pro-Gly-NH_2 (which is also known as chicken GnRH-II). Receptors for three forms of GnRH exist in some species but only GnRH I and GnRH II and their cognate receptors have been found in mammals [85, 84, 114]. $GnRH_1$ receptors are expressed by pituitary gonadotrophs, where they mediate the effects of GnRH on gonadotropin hormone synthesis and secretion that underpin central control of mammalian reproduction. GnRH analogues are used in assisted reproduction and to treat steroid hormone-dependent conditions [58]. Notably, agonists cause desensitization of GnRH-stimulated gonadotropin secretion and the consequent reduction in circulating sex steroids is exploited to treat hormone-dependent cancers of the breast, ovary and prostate [58]. $GnRH_1$ receptors are selectively activated by GnRH I and all lack the COOH-terminal tails found in other GPCRs. $GnRH_2$ receptors do have COOH-terminal tails and (where tested) are selective for GnRH II over GnRH I. $GnRH_2$ receptors are expressed by some primates but not by humans [88]. Phylogenetic classifications divide GnRH receptors into three [85] or five groups [129] and highlight examples of gene loss through evolution, with humans retaining only one ancient gene. The structure of the $GnRH_1$ receptor in complex with elagolix has been elucidated [132].

Contents

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$GnRH_2$ receptor
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