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G protein-coupled estrogen receptor in GtoPdb v.2021.3

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Abstract

The G protein-coupled estrogen receptor (GPER, **nomenclature as agreed by the NC-IUPHAR Subcommittee on the G protein-coupled estrogen receptor [25]**) was identified following observations of estrogen-evoked cyclic AMP signalling in breast cancer cells [2], which mirrored the differential expression of an orphan 7-transmembrane receptor GPR30 [6]. There are observations of both cell-surface and intracellular expression of the GPER receptor [28, 33]. Selective agonist/ antagonists for GPER have been characterized [25]. Antagonists of the nuclear estrogen receptor, such as fulvestrant [11], tamoxifen [28, 33] and raloxifene [24], as well as the flavonoid 'phytoestrogens' genistein and quercetin [17], are agonists of GPER. A complete review of GPER pharmacology has been published [25]. The roles of GPER in physiological systems throughout the body (cardiovascular, metabolic, endocrine, immune, reproductive) and in cancer have also been reviewed [25, 26, 19, 16, 9]. The GPER-selective agonist G-1 is currently in Phase I/II clinical trials for cancer (NCT04130516).

Contents

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G protein-coupled estrogen receptor
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Receptors
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