G protein-coupled estrogen receptor in GtoPdb v.2023.1

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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 [26]) 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 [29, 34]. Selective agonist/antagonists for GPER have been characterized [26]. Antagonists of the nuclear estrogen receptor, such as fulvestrant [11], tamoxifen [29, 34] and raloxifene [25], as well as the flavonoid 'phytoestrogens' genistein and quercetin [18], are agonists of GPER. Reviews of GPER pharmacology have been published [26]. The roles of GPER in (patho)physiological systems throughout the body (cardiovascular, metabolic, endocrine, immune, reproductive) and in cancer have also been reviewed [26, 27, 20, 17, 9]. The GPER-selective agonist G-1 is currently in Phase I/II clinical trials for cancer (NCT04130516).

Contents

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Database links

G protein-coupled estrogen receptor
https://www.guidetopharmacology.org/GRAC/FamilyDisplayForward?familyId=22
Introduction to G protein-coupled estrogen receptor
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