G protein-coupled oestrogen (GPE)

Overview: The G protein-coupled oestrogen receptor (GPE, provisional nomenclature) was identified following observations of oestrogen-evoked cyclic AMP signalling in breast cancer cells (Aronica *et al*., 1994), which mirrored the differential expression of an orphan 7-transmembrane receptor GPR30 (Carmeci *et al*., 1997). There are observations of both cell-surface and intracellular expression of the GPE receptor (Revankar *et al*., 2005; Thomas *et al*., 2005).

Nomenclature	GPE
Other names	GPR30, IL8-related receptor DRY12, flow-induced endothelial G protein-coupled receptor, GPCR-BR
Ensembl ID	ENSG00000164850
Principal transduction	G_s (Filardo *et al*., 2000), $G_{i/o}$ (Revankar *et al*., 2005)
Selective agonists	G1 (Bologa *et al*., 2006)
Selective antagonists	G15 (Dennis *et al*., 2009)
Probes	[^{3}H]-Oestrogen (Revankar *et al*., 2005)

Antagonists at the nuclear oestrogen receptor, such as ICI182780 and tamoxifen (Filardo *et al*., 2000), as well as the flavonoid 'phytoestrogens' genistein and quercetin (Maggiolini *et al*., 2004), are agonists at GPE receptors.

Abbreviations: **G1**, 1-(4-[6-bromo-benzo{1,3]dioxol-5-yl}-3a,4,5,9b-tetrahydro-3*H*cyclopenta[c]quinolin-8-yl)ethanone; **G15**, 4-(6-bromo-benzo[1,3]dioxol-5-yl)-3a,4,5,9b-tetrahydro-3H-cyclopenta[c]quinoline; **ICI182780**, 7α-(9-[{4,4,5,5,5,-pentafluoropentyl}sulphinyl]nonyl)estra-1,3,5(10)-triene-3,17β-diol

Further Reading

Manavathi B, Kumar R (2006). Steering estrogen signals from the plasma membrane to the nucleus: two sides of the coin. *J Cell Physiol* **207**: 594–604.

Prossnitz ER, Barton M (2009). Signaling, physiological functions and clinical relevance of the G protein-coupled estrogen receptor GPER. *Prostaglandins Other Lipid Mediat* **89**: 89–97.

Prossnitz ER, Maggiolini M (2009). Mechanisms of estrogen signaling and gene expression via GPR30. *Mol Cell Endocrinol* **308**: 32–38.

Prossnitz ER, Arterburn JB, Smith HO, Oprea TI, Sklar LA, Hathaway HJ (2008). Estrogen signaling through the transmembrane G protein-coupled receptor GPR30. *Annu Rev Physiol* **70**: 165–190.

Prossnitz ER, Sklar LA, Oprea TI, Arterburn JB (2008). GPR30: a novel therapeutic target in estrogen-related disease. *Trends Pharmacol Sci* **29**: 116–123.

Smith HO, Arias-Pulido H, Kuo DY, Howard T, Qualls CR, Lee SJ *et al*. (2009). GPR30 predicts poor survival for ovarian cancer. *Gynecol Oncol* **114**: 465–471.

References

Aronica SM *et al*. (1994). *Proc* Natl Acad Sci *USA* **91**: 8517–8521.

Bologa CG *et al*. (2006). *Nat Chem Biol* **2**: 207–212.

Carmeci C *et al*. (1997). *Genomics* **45**: 607–617.

Dennis MK *et al*. (2009). *Nat Chem Biol* **5**: 421–427.

Filardo EJ *et al*. (2000). *Mol Endocrinol* **14**: 1649–1660.

Maggiolini M *et al*. (2004). *J Biol Chem* **279**: 27008–27016.

Revankar CM *et al*. (2005). *Science* **307**: 1625–1630.

Thomas P *et al*. (2005). *Endocrinology* **146**: 624–632.