PRODUCT INFORMATION



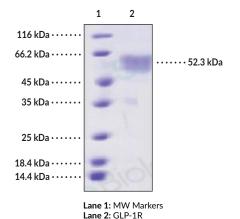
GLP-1R (human, recombinant; aa 24-145) (Fc-tagged)

Item No. 40466

Overview and Properties

Synonyms:	GLP-1 Receptor, GLP-1-R, GLP1R, Glucagon-like Peptide 1 Receptor
Source:	Recombinant C-terminal human IgG1 Fc-tagged GLP-1R expressed in HEK293 cells
Amino Acids:	24-145
Uniprot No.:	P43220
Molecular Weight:	41 kDa
Storage:	-80°C (as supplied)
Stability:	≥1 year
Purity:	≥95% estimated by SDS-PAGE
Supplied in:	Lyophilized from sterile PBS, pH 7.4
Endotoxin Testing:	<1.0 EU/µg, determined by the LAL endotoxin assay
Information represents	s the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Images



SDS-PAGE Analysis of GLP-1R. This protein has a calculated molecular weight of 41 kDa. It has an apparent molecular weight of approximately 52.3 kDa by SDS-PAGE under reducing

conditions due to glycosylation.

WARNING THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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Description

Glucagon-like peptide 1 receptor (GLP-1R) is a transmembrane G protein-coupled receptor (GPCR) and a member of the secretin family of receptors.¹ It is composed of extracellular and transmembrane domains responsible for ligand binding and an intracellular domain responsible for signaling.^{1,2} It is primarily expressed in β -cells of the pancreas but also in adipocytes and pancreatic acinar cells, as well as the brain, kidney, stomach, and heart.³ When glucose levels are high, the incretin hormone GLP-1 binds to GLP-1R, which couples to $G\alpha_c$ and induces signaling through the cAMP/PKA pathway to stimulate insulin biosynthesis, potentiate insulin secretion, reduce glucagon secretion, and slow gastrointestinal mobility to increase satiety.^{1,3} GLP-1R can couple to either $G\alpha_s$, $G\alpha_{i/o}$, or $G\alpha_q$ and recruit β -arrestin to varying degrees in response to different agonists, a phenomenon known as biased agonism, with lower β -arrestin recruitment following activation by agonists with improved antidiabetic effects. Loss-of-function mutations in GLP1R are associated with reduced insulin secretion, which can be rescued in vitro with certain GLP-1R agonists and positive allosteric modulators (PAMs).⁴ GLP1R variants that impair cell surface expression of GLP-1R are associated with impaired glucose control, as well as increased adiposity, body mass index, and glycated hemoglobin A1c (Hb1Ac). Cayman's GLP-1R (human, recombinant; aa 24-145) (Fc-tagged) protein is a disulfide-linked homodimer. The reduced monomer, composed of GLP-1R (24-145) fused to human IgG1 Fc at its C-terminus, consists of 360 amino acids, has a calculated molecular weight of 41 kDa, and a predicted N-terminus of Arg24 after signal peptide cleavage. As a result of glycosylation, the monomer migrates at approximately 52.3 kDa by SDS-PAGE under reducing conditions.

References

- 1. El Eid, L., Reynolds, C., Tomas, A., *et al.* Biased agonism and polymorphic variation at the GLP-1 receptor: Implications for the development of personalised therapeutics. *Pharmacol. Res.* **184**, 106411 (2022).
- 1. Song, G., Yang, D., Wang, Y., *et al.* Human GLP-1 receptor transmembrane domain structure in complex with allosteric modulators. *Nature* 546(7657), 312-315 (2017).
- 3. Müller, T.D., Finan, B., Bloom, S.R., et al. Glucagon-like peptide 1 (GLP-1). Mol. Metab. 30, 72-130 (2019).
- Gao, W., Liu, L., Huh, E., et al. Human GLP1R variants affecting GLP1R cell surface expression are associated with impaired glucose control and increased adiposity. Nat. Metab. 5(10), 1673-1684 (2023).

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