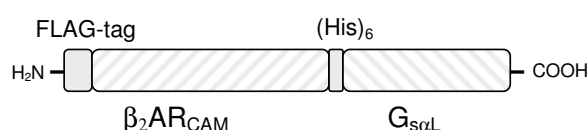


β_2 -AR_{CAM}-G_{s α L}

Constitutively Active Mutant of β_2 -Adrenergic Receptor G_{s α L} fusion protein

human, recombinant, Sf9 insect cells

Cat. No.	Amount
PR-531	1 ml



For *in vitro* use only
Quality guaranteed for 12 months
Store at -80°C

Avoid freeze / thaw cycles

Form

Membrane suspension. Supplied in 75 mM Tris-HCl pH 7.4, 12.5 mM MgCl₂ and 1 mM EDTA.

Molecular Weight

104 kDa

Activity

2.4 - 4.9 pmol/mg

Description

β_2 -Adrenergic receptor_{CAM}-G_{s α L} is a fusion protein in which the G_{s α L} N-terminus is linked to the β_2 AR_{CAM} C-terminus via a hexahistidine (His₆)-tag.

The human β_2 -adrenoreceptor (β_2 AR) is activated by the catecholamine epinephrine and couples to the G-protein G_s to mediate adenylate cyclase (AC) activation.

β_2 ARs are found in numerous tissues and cell types including vascular and bronchial smooth muscle cells, leukocytes and liver. β_2 ARs mediate smooth muscle relaxation, inhibition of leukocyte function and activation of glycogenolysis.

β_2 AR_{CAM} is a constitutively active mutant of the β_2 AR. It differs from the wild-type receptor by four discrete amino acid substitutions in the third intracellular loop of the receptor (L²⁶⁶ → S²⁶⁶, K²⁶⁷ → R²⁶⁷, H²⁶⁹ → K²⁶⁹, and L²⁷² → A²⁷²).

G_{s α L} is the long splice variant of the α -subunit of the heterotrimeric G-protein G_s. G_s activates the effector AC. G_{s α L} differs from the short splice variant (G_{s α S}) by a 15-amino acid insert between the ras-like domain and the α -helical domain. G_{s α L} (cat.# PR-501) possesses a lower GDP-affinity than G_{s α S} (cat.# PR-505).

The β_2 AR_{CAM}-G_{s α L} fusion protein ensures a defined 1:1 stoichiometry of the receptor and the G_{s α L} subunit as well as high coupling efficiency. Compared to the β_2 AR-G_{s α L} fusion protein (cat.# PR-532), the β_2 AR_{CAM}-G_{s α L} fusion protein possesses an increased constitutive activity as assessed by the increased efficacies of partial agonists and inverse agonists in the steady-state GTPase assay. The fusion protein contains a N-terminal FLAG-tag® for immunochemical detection.

Selected References:

- Samama *et al.* (1993) A mutation-induced activated state of the β_2 -adrenergic receptor. Extending the ternary complex model. *J. Biol. Chem.* **268**:4625.
- Seifert *et al.* (2001) Functional differences between full and partial agonists: Evidence for ligand-specific receptor conformations. *J. Pharmacol. Exp. Ther.* **297**:1218.
- Seifert *et al.* (1998) Different effects of G_{s α} splice variants on β_2 -adrenoreceptor-mediated signaling. *J. Biol. Chem.* **273**:5109.
- Seifert *et al.* (1998) Reconstitution of β_2 -adrenoreceptor-GTP-binding-protein interaction in Sf9 cells: High coupling efficiency in β_2 -adrenoreceptor-G_{s α} fusion protein. *Eur. J. Biochem.* **255**:369.
- Wenzel-Seifert *et al.* (2002) Similarities and differences in the coupling of human β_1 - and β_2 -adrenoreceptors to G_{s α} splice variants. *Biochem. Pharmacol.* **64**:9.