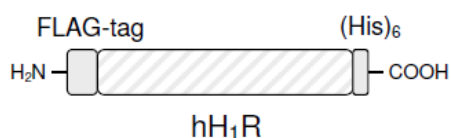


hH₁R
Histamine H₁-Receptor
human, recombinant, Sf9 insect cells

Cat. No.	Amount
PR-614	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.

Description

The histamine H₁-receptor (H₁R) belongs to the superfamily of seven transmembrane-domain (7TM), G-protein-coupled receptors (GPCRs). The endogenous agonist for the H₁R is histamine which is both neurotransmitter and autacoid. The H₁R couples to G_q-proteins to activate phospholipase C.

Numerous agonists and antagonists are known. H₁R agonists are divided into three classes, i.e. small agonists derived from histamine, histamine derivatives with bulkier aromatic substituents at position 2 of the imidazole ring and histaprodifens. H₁R antagonists are commonly divided into sedating (first-generation) and nonsedating (second-generation) antagonists. Today, especially the second-generation H₁R antagonists are of great importance for the treatment of allergic diseases. Guanidines derived from arpromidine are dual H₂R agonists/H₁R antagonists.

Small H₁R agonists exhibit similar effects at human H₁R (hH₁R) and guinea pig H₁R (gpH₁R, cat.# PR-611), whereas bulkier 2-phenylhistamines and histaprodifens are up to ~10-fold more potent at gpH₁R than at hH₁R. Several first-generation H₁R antagonists are ~2-fold, and arpromidine-type H₁R antagonists up to ~10-fold more potent at gpH₁R than at hH₁R.

hH₁R was prepared from Sf9 cells infected with hH₁R encoding baculovirus.

hH₁R contains a N-terminal FLAG-tag® and a C-terminal hexahistidine (His₆)-tag for immunological detection, to allow purification, and to provide additional protection against proteolysis.

Selected References:

- Houston *et al.* (2002) The human histamine H₂-receptor couples more efficiently to Sf9 insect cell G_s-proteins than to insect cell G_q-proteins: limitations of Sf9 cells for the analysis of receptor/G_q-protein coupling. *J. Neurochem.* **80**:678.
- Seifert *et al.* (2003) Multiple differences in agonist and antagonist pharmacology between human and guinea pig histamine H₁-receptor. *J. Pharmacol. Exp. Ther.* **305**:1104.
- Leopoldt *et al.* (1997) G Proteins endogenously expressed in Sf9 cells: interaction with mammalian histamine receptors. *Naunyn-Schmiedeberg's Arch. Pharmacol.* **356**:216.
- Fukui *et al.* (1994) Molecular cloning of the human histamine H₁ receptor gene. *Biochem. Biophys. Res. Commun.* **201**:894.