Calcium-sensing R/CaSR Protein, Human (HEK293, His)

Cat. No.:	HY-P79408
Synonyms:	Extracellular calcium-sensing receptor; CASR; CaR; hCasR; Parathyroid cell calcium-sensing receptor 1; PCaR1; Calcium-sensing Receptor
Species:	Human
Source:	HEK293
Accession:	P41180-1 (Y20-K601)
Gene ID:	846
Molecular Weight:	approximately 95-130 kDa

PROPERTIES

AA Sequence	Y G P D Q R A Q K K G D I I L G G L F P I H F G V A A K D Q D L K S R P E S V E C I R Y N F R G F R W L Q A M I F A I E E I N S S P A L L P N L T L G Y R I F D T C N T V S K A L E A T L S F V A Q N K I D S L N L D E F C N C S E H I P S T I A V V G A T G S G V S T A V A N L L G L F Y I P Q V S Y A S S S R L L S N K N Q F K S F L R T I P N D E H Q A T A M A D I I E Y F R W N W V G T I A A D D D Y G R P G I E K F R E E A E E R D I C I D F S E L I S Q Y S D E E E I Q H V V E V I Q N S T A K V I V V F S S G P D L E P L I K E I V R R N I T G K I W L A S E A W A S S S L I A M P Q Y F H V V G G T I G F A L K A G Q I P G F R E F L K K V H P R K S V H N G F A K E F W E E T F N C H L Q E G A K G P L P V D T F L R G H E E S G D R F S N S S T A F R P L C T G D E N I S S V E T P Y I D Y T H L R I S Y N V Y L A V Y S I A H A L Q D I Y T C L P G R G L F T N G S C A D I K K V E A W Q V L K H L R H L N F T N N M G E Q V T F D E C G D L V G N Y S I I N W H L S P E D G S I V F K E V G Y Y N V Y A K K G E R L F I N E E K I L W S G F S R E V P F S N C S R D C L A G T R K G I I E G E P T C C F E C V E C P D G E Y S D E T D A S A C N K C P D D F W S N E N H T S C I A K
Biological Activity	Measured by its ability to inhibit the proliferation of HT-29 cells. The ED_{50} for this effect is 38.93 ng/mL, corresponding to a specific activity is 25687.131 U/mg.
Appearance	Lyophilized powder.
Formulation	Lyophilized from a 0.2 μm filtered solution of PBS, pH 7.4.
Endotoxin Level	<1 EU/μg, determined by LAL method.
Reconsititution	It is not recommended to reconstitute to a concentration less than 100 μg/mL in ddH_2O. For long term storage it is recommended to add a carrier protein (0.1% BSA, 5% HSA, 10% FBS or 5% Trehalose).
Storage & Stability	Stored at -20°C for 2 years. After reconstitution, it is stable at 4°C for 1 week or -20°C for longer (with carrier protein). It is recommended to freeze aliquots at -20°C or -80°C for extended storage.

Shipping	Room temperature in continental US; may vary elsewhere.

DESCRIPTION

Background	The Calcium-sensing R/CaSR protein, a G-protein-coupled receptor, plays a pivotal role in detecting changes in extracellular calcium concentration, crucial for maintaining calcium homeostasis. This receptor senses fluctuations in circulating calcium levels and modulates the production of parathyroid hormone (PTH) in parathyroid glands. Its activity is mediated by a G-protein that activates a phosphatidylinositol-calcium second messenger system. The receptor's activation involves a co-agonist mechanism, where aromatic amino acids such as Trp or Phe, in concert with divalent cations like calcium or magnesium, achieve full activation. In the resting state, the receptor adopts an open conformation, with anion binding promoting the inactive configuration. Upon aromatic amino acid binding, the extracellular venus flytrap module groove closes, inducing a novel homodimer interface between subunits. Calcium ions further stabilize the active state by enhancing homodimer interactions between membrane-proximal domains. Notably, the receptor can be activated by AMG 416, a D-amino acid-containing peptide agonist under evaluation for treating secondary hyperparathyroidism in chronic kidney disease patients receiving hemodialysis, with AMG 416 acting through the formation of a disulfide bond with Cys-482.

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