

Title (en)

AGONIST VERSUS ANTAGONIST BINDING TO G PROTEIN-COUPLED RECEPTORS

Title (de)

AGONISTEN GEGEN DIE AGONISTENBINDUNG VON G-PROTEIN GEKOPPELTEN REZEPTOREN

Title (fr)

FIXATION D'AGONISTE/ANTAGONISTE A DES RECEPTEURS COUPLES A LA PROTEINE G

Publication

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Application

EP 01948579 A 20010621

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Abstract (en)

[origin: WO0198747A2] A method of characterizing the biophysical properties of G protein-coupled receptors in response to binding by ligands. The clone human delta opioid receptor immobilized in a solid-supported lipid bilayer was investigated by a method featuring coupled plasmon-waveguide resonance (CPWR) spectroscopy. The invention offers a highly sensitive method that directly monitors mass density, conformation, and molecular orientation changes occurring in anisotropic thin films, and allows direct determination of binding constants. Although both agonist and antagonist binding to the receptor cause increases in molecular ordering within the proteolipid membrane, only agonist binding induces an increase in thickness and molecular packing density of the membrane (10). This provides a method of discriminating between agonist and antagonist binding.

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