

Abstract

The opioid receptors (OR) belong to the family of G protein-coupled receptors (GPCRs). ORs mediate the effects of the opioids, leading primarily to inhibition of neuroexcitability, predominantly through the class of the inhibitory G proteins G_i/G_o . Cloning of ORs confirmed the existence of four subtypes of ORs, which mediate effects of different classes of opioid ligands. The major aim of this work is to summarize the current knowledge about characteristics and function of ORs at the molecular level. Acute exposition of ORs to their agonists results in activation of the signaling cascades that trigger mechanisms leading to analgesia. Chronic exposition of ORs to their agonists leads to desensitization and internalization of the receptors and induces adaptive changes in signal transduction system that suppresses the opioid action, and may result in the development of opioid tolerance and dependence. Although a big progress has been made in the field of understanding the molecular mechanisms of the OR-mediated signaling, there are still a lot of unresolved questions that are necessary to answer.