INTRODUCTION

G-protein coupled receptors (GPCRs) play critical roles in human physiology and are prime targets for drug discovery for both central nervous system (CNS) and peripheral diseases. There are more than 800 genes encoding GPCRs, which represent 15% of all human protein-coding genes. The majority of these receptors are coupled through seven transmembrane domains to specific signal transduction pathways.

Opioid receptors are a family of GPCRs, and their activation results in analgesia, respiration depression and drug dependence. Therefore, there has been considerable interest in developing new ligands for opioid receptors. All opioid receptors are coupled through Gαq, Gαi or Gαs proteins. Advances in high-throughput detection technologies have increased use of cell-based functional assays in early drug discovery, in particular for GPCRs. Multispan has optimized parallel functional assays such as cAMP, calcium, ERK, internalization and GTPγS assays for opioid receptors (Multispan Inc., Cat # MC1350-1a, MC1351-1a, MC1352, MC1353, MC1354a and MC1355). These receptors are typically coupled through Gαi or Gαs proteins. Advances in high-throughput detection technologies have been studied in a variety of cell-based functional assays in early drug discovery (Carragher et al., 2002).

MATERIALS AND METHODS

Materials and Methods

Validated Assays: Compounds used as agonists or antagonists were DAMGO (Phoenix, Cat # 024-10), Pertussis toxin-sensitive Gαi protein. In this report we present optimized parallel functional assays for opioid receptors. All opioid receptors are coupled through Gαq, Gαi or Gαs proteins. Advances in high-throughput detection technologies have been studied in a variety of cell-based functional assays in early drug discovery (Carragher et al., 2002).

Paralleled Functional Assays for Opioid Receptors

Developed by Multispan Inc.

- DOR Assay
- MOR Assay
- NOR Assay

Validated Ca++ Assay for Opioid Receptors

Dose-dependent Ca++ assay for MOR, DOR and NOP receptors expressed in either CHO-K1 or HEK293T cells. Agonists showed expected EC50 values for all opioid receptors.

Paralleled Functional Assays for Opioid Receptors

Developed by Multispan Inc.

- DOR Assay
- MOR Assay
- NOP Assay

Conclusion:

- G-protein coupled receptors (GPCRs) play critical roles in human physiology and are prime targets for drug discovery for both central nervous system (CNS) and peripheral diseases. There are more than 800 genes encoding GPCRs, which represent 15% of all human protein-coding genes. The majority of these receptors are coupled through seven transmembrane domains to specific signal transduction pathways.

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