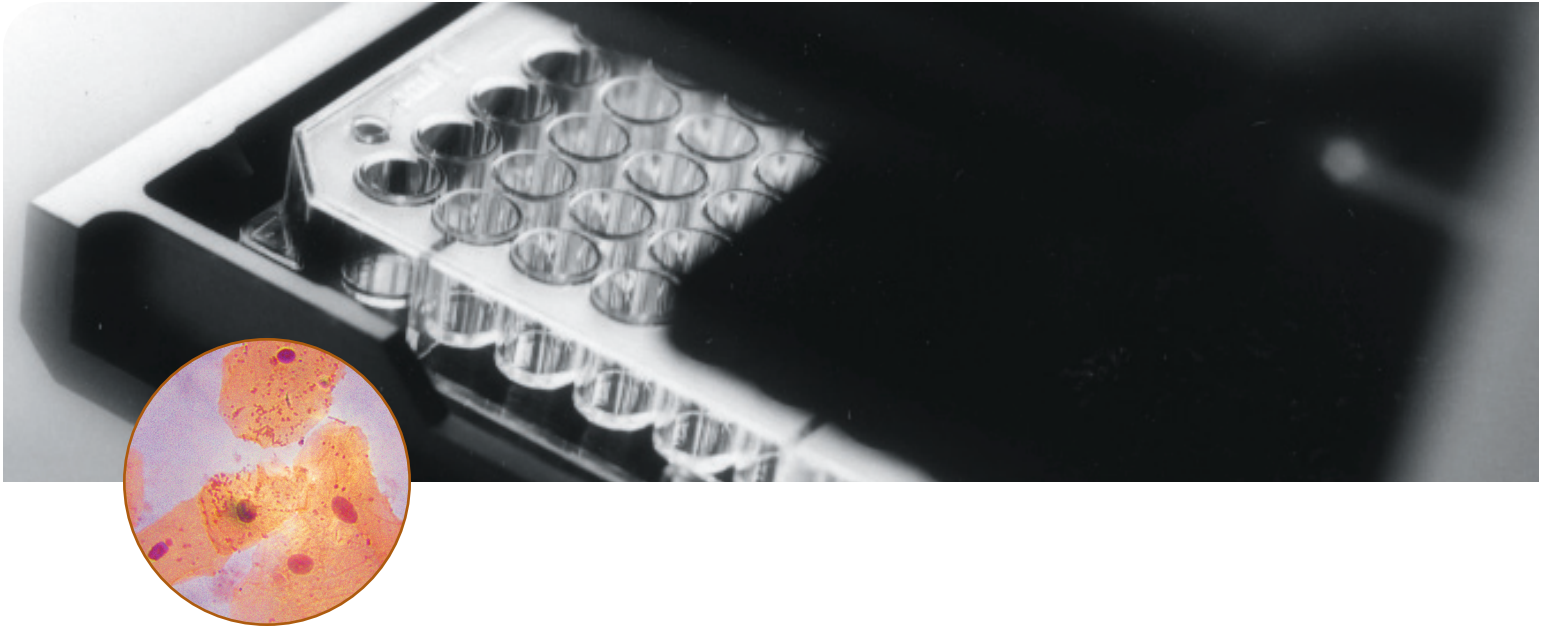


FLIPR LiveWare G-protein Cells and Plasmids

LIVE CELLS FOR GPCR ASSAYS



- HIGH THROUGHPUT
- SINGLE PLATFORM
- FUNCTIONAL ASSAY
- SHORTER DEVELOPMENT TIME

HOT RECEPTOR, NO SIGNAL

Pharmaceutical companies have an increasing interest in functional assays for G-protein-coupled receptors (GPCR). The FLIPR® System, with its unique imaging design and integrated 96-, 384- and 1536-well pipettor, is now the industry standard for high-throughput screening laboratories performing fluorescence-based GPCR functional assays, such as intracellular calcium mobilization. Currently, however, over 60% of the known G-protein-coupled receptors signal through pathways other than those which lead to an increase in intracellular calcium (*i.e.*, other than those which couple through $G\alpha_q$). As genomics reveal more G-protein-coupled receptor targets this problem will grow.

THE LIVEWARE G-PROTEIN SOLUTION

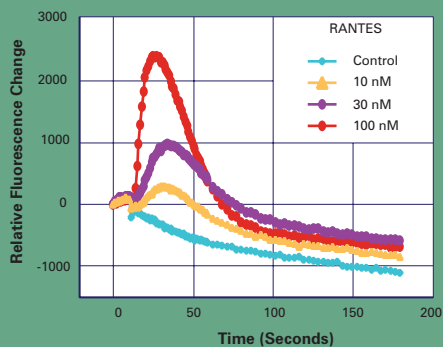
LiveWare® is a series of cells and expression vectors successfully used in drug discovery and screening environments to aid the study

of G-protein-coupled receptors that do not conventionally signal through intracellular calcium. LiveWare G-protein chimeras¹ have been developed by Bruce Conklin and his colleagues at the Gladstone Institute, UCSF. LiveWare also includes the promiscuous G-protein $G\alpha_{16}$.

The G-protein chimeras consist of the alpha subunit of a G_q -protein complex whose five carboxy-terminal amino acids have been replaced with those from one of the other G-proteins ($G\alpha_s$, $G\alpha_i$, $G\alpha_o$ or $G\alpha_r$). These amino acids are responsible for the coupling of the receptor to its G-protein. Co-expression of these chimeras with specific non- G_q -coupled receptors may result in the generation of an intracellular calcium signal upon receptor stimulation.

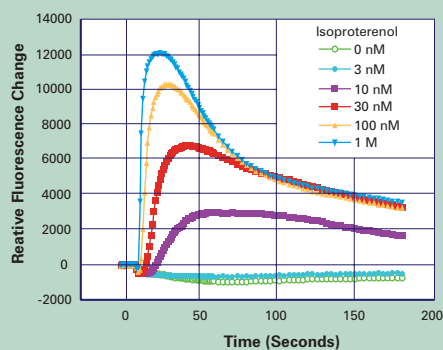
1. Coward, P. *et al*, *Proc. Natl. Acad. Sci.* 95(1):352-357 (1998).

CCR₅ Receptor (Figure 1)



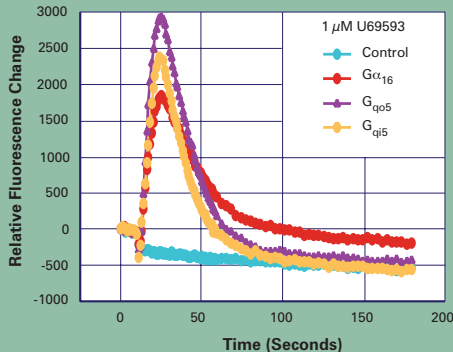
Chimeric G_{q15} couples CCR₅ chemokine receptor to calcium response.

β-Adrenergic Receptor (Figure 2)



G_{α16} couples β-adrenergic receptor to calcium response.

κ-Opioid Receptor (Figure 3)



Chimeric and promiscuous G-proteins couple κ-opioid receptor to calcium response.

LIVEWARE APPLICATIONS

LiveWare reagents have been successfully used on the FLIPR system, in conjunction with non-G_q-coupled members of many receptors, including representatives of the following families:

- α-adrenoceptors
- β-adrenoceptors
- chemokines
- dopamine
- glutamate
- opioid
- serotonin
- vasopressin

LiveWare cell and plasmid products are available in hygromycin and neomycin (G418)-resistant configurations:

- Host Cells: CHO cells stably transfected with one of the G-protein chimera genes or the G_{α16} gene.
- Plasmids: Replicating G-protein expression vectors.

ORDERING INFORMATION

G-Protein Host Cells

Host cells: 1 vial frozen, containing 2 x 10⁶ cells/vial

- RD-HGA16 Host G_{α16}-coupled CHO Cells, hygromycin-resistant
- RD-HGQ15 Host G_{q15}-coupled CHO Cells, hygromycin-resistant
- RD-HGQ15 (G418) Host G_{q15}-coupled CHO Cells, G418-resistant
- RD-HGQO5 Host G_{qo5}-coupled CHO Cells, hygromycin-resistant
- RD-HGQS5 Host G_{qs5}-coupled CHO Cells, hygromycin-resistant
- RD-HGQS5 (G418) Host G_{qs5}-coupled CHO Cells, G418-resistant
- RD-HGQZ5 Host G_{qz5}-coupled CHO Cells, hygromycin-resistant
- RD-HGQZ5 (G418) Host G_{qz5}-coupled CHO Cells, G418-resistant

G-Protein Plasmids

2 vials, each vial containing 50 μg lyophilized supercoiled DNA. Each plasmid order contains one vial of hygromycin-resistant plasmid and one vial of neomycin (G418)-resistant plasmid.

- RD-PGA16 Plasmids Containing G_{α16} Gene
- RD-PGQ15 Plasmids Containing the G_{q15} Chimera Gene
- RD-PGQO5 Plasmids Containing G_{qo5} Chimera Gene
- RD-PGQS5 Plasmids Containing G_{qs5} Chimera Gene
- RD-PGQZ5 Plasmids Containing G_{qz5} Chimera Gene

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