

Human G-protein-coupled bile acid receptor 1 (GPBAR1) ACTOne™ Stable Cell Line

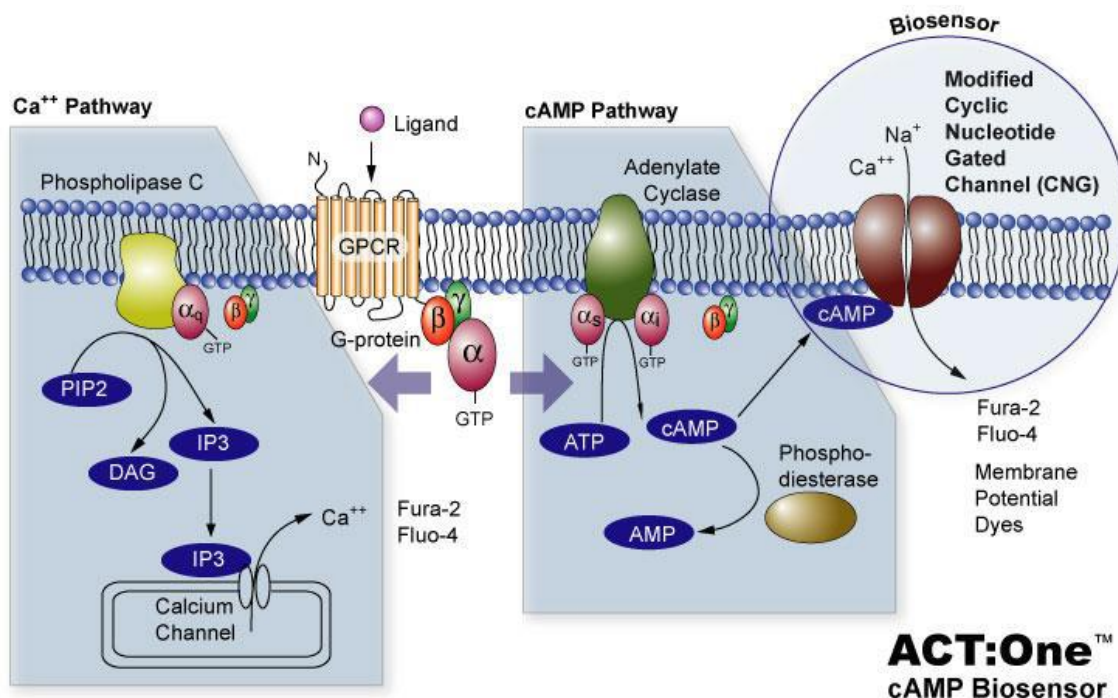
CATALOG NUMBER: CL-01-GPBAR1

Introduction

GPBAR1 (G-protein-coupled bile acid receptor 1), also known as TGR5 (Takeda G-protein-coupled receptor 5) or M-BAR (membrane-type receptor for bile acids) or GPR131 (G-protein-coupled receptor 131), is a G-protein-coupled receptor that was discovered as a bile acid receptor. GPBAR1 belongs to the subfamily of G-protein-coupled receptors. Its activity is mediated by the Gs type of G proteins, which stimulate adenylate cyclase. GPBAR1, as a metabolic regulator, is involved in energy homeostasis, bile acid homeostasis, as well as glucose metabolism. In addition, GPBAR1 is also found to be involved in inflammatory response, cancer and liver regeneration. Thus, GPBAR1 is a potential drug target for different diseases.

Description

Human GPBAR1 ACTOne™ is a HEK293-CNG cell line that expresses recombinant human GPBAR1. HEK293-CNG cells express a modified CNG (Cyclic Nucleotide Gated) channel that opens in response to elevated intracellular cAMP levels and consequently result in ion flux and cell membrane depolarization which can be easily measured with fluorescent Membrane Potential Dye ([Cat# CA-M165](#)). The assay allows both end-point and kinetic measurement of intracellular cAMP changes with a FDSS, FLIPR, or a fluorescence microplate reader.



Parental Cells

HEK-293 CNG cells (originally developed by BD Biosciences by introducing CNG in HEK-293 cells) (Cat# CL-03-PC20)

Gene/Enzyme Introduced

GPBAR1 (NCBI protein database NP_733800)

Applications

- cAMP dependent cell based assay for Gs-coupled human G-protein coupled bile acid receptor 1 (GPBAR1)

- cell based high-throughput screening of human GPBAR1 receptor agonists/antagonists

Mycoplasma Contamination Test

This cell line has been tested negative for *Mycoplasma sp.*

Growth Properties

Adherent

Cell Culture Medium

- Growth medium: 90% DMEM, 10% FBS, supplemented with 250 µg/ml G418, 1 µg/ml Puromycin
- Freezing medium: 10% DMSO, 90% FBS

DATA EXAMPLE

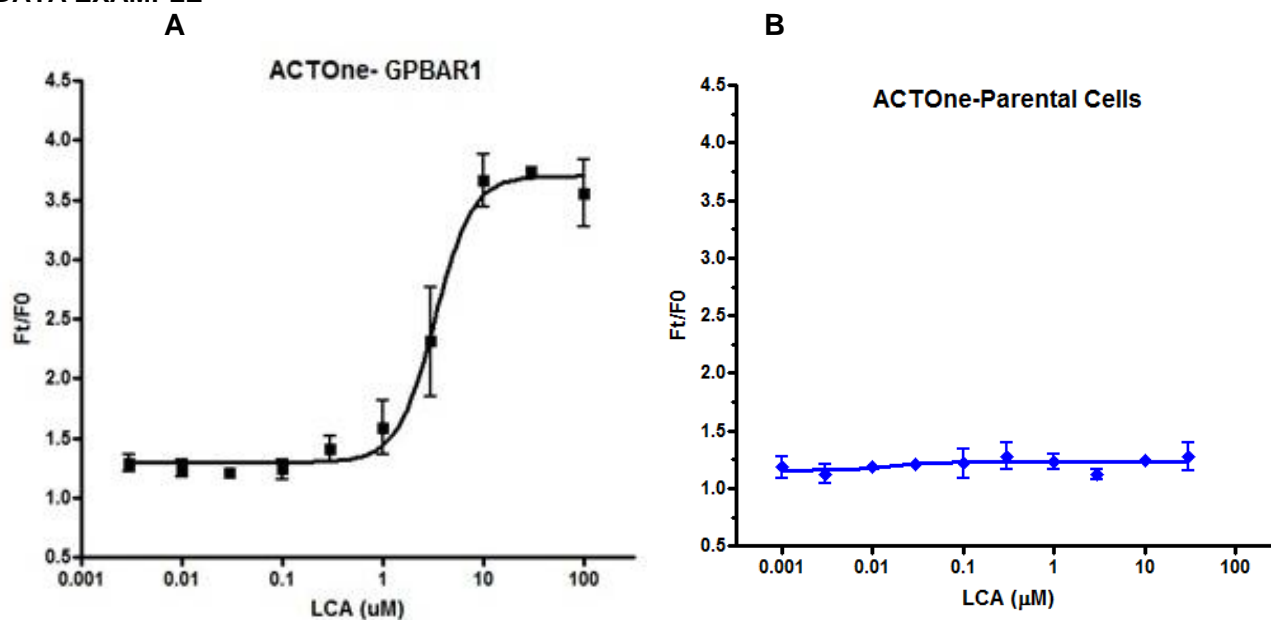


Figure 1. Response of ACTOne GPBAR1 (TGR5) cell line & parental cell line to LCA.

ACTOne GPBAR1 cells and parental cells (Cat# CL-03-PC20) were plated overnight in 20 µl culture medium on a BD Biocoat 384 well plate. The next day, cells were dye-loaded with 20 µl/well of 1X Dye-loading solution (Membrane Potential Assay Kit CA-M165). After 2 hours of incubation at room temperature, two readings were obtained prior to and 30 min after the addition of LCA. Ratios of the two readings (F/F0) are plotted in the figure.

- Dose response curve of LCA in ACTOne TGR5 cell line. EC50 = 3.2 µM in the presence of PDE inhibitor Ro20-1724.**
- Parental cells do not respond to LCA**

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