



PPAR γ Ligand Screening/Characterization Assay Kit

Product Information

Cat.No.

Kit-2114

Product Overview

The PPAR γ Ligand Screening Assay Kit provides a single step fluorescence-based assay for screening potential PPAR γ -specific ligands. The assay utilizes the ability of potential PPAR γ -binding ligands to displace a fluorescent probe, which has a strong affinity for PPAR γ Ligand Binding Domain, resulting in loss of fluorescence of the probe. The relative drop in the fluorescence, as a result of competitive binding of PPAR γ ligand, can be correlated to the affinity (and hence IC₅₀) of the PPAR γ candidate ligand. The PPAR γ Ligand Screening Assay Kit is easy to use, faster and more convenient as compared to Fluorescence Polarization and TR-FRET-based screening methods. The assay kit can be used to identify and characterize PPAR γ -specific ligands for therapeutic applications.

Size

100 assays

Description

The Peroxisome Proliferator Activated Receptor (PPAR) family of ligand-activated transcription factors consists of three subtypes encoded by separate genes: PPAR α , PPAR δ and PPAR γ . Of these, PPAR γ plays an important role in the regulation of fatty acid storage and glucose metabolism. The genes activated by PPAR γ stimulate lipid uptake and adipogenesis by fat cells. Many endogenous molecules such as, polyunsaturated fatty acids like arachidonic acid and its metabolites, are known to bind and activate PPAR γ . The binding of activating ligands to the ligand binding domain (LBD) of PPAR γ promotes its heterodimerization with retinoic acid-like receptor (RXR), which results in the regulated expression of target genes involved in lipid metabolism. Such ligand-based activation of PPAR γ may be responsible for inhibiting the growth of cultured human breast, gastric, lung, prostate and other cancer cell lines. In addition, the thiazolidinedione-based anti-diabetic drugs activate PPAR γ with greater specificity than PPAR α .

Applications

PPAR γ Ligand Screening/Characterization Assay Kit

Rapid, high-throughput screening of drugs and novel ligands. Development of structure-activity relationship (SAR) models to predict PPAR γ /ligand interaction liability of novel compounds.

Target Species

Eukaryotes

Storage

Store kit at -20°C, protected from light. Briefly centrifuge small vials at low speed prior to opening. Read the entire protocol before performing the assay. PPAR γ Assay Buffer: Bring to room temperature before use. Store at -20°C. Avoid prolonged storage of the PPAR γ Assay Buffer at room temperature or 4°C. Human PPAR γ : Store at -80°C. Avoid repeated freeze/thaw cycles. Each vial contains enough protein for 50 assays. PPAR γ Assay Probe and Ligand Control: Store at -20°C. Bring to room temperature before use.

Kit Components

PPAR γ Assay Buffer: 25 ml
PPAR γ Assay Probe: 10 μ l
PPAR γ (Human Recombinant, 500 μ l): 2 vials
PPAR γ Ligand Control (100 mM in DMSO): 10 μ l
384-well Low Volume Black Plate: 1 Plate

Detection method Fluorescence (Ex/Em 375/460-470 nm)

Compatible Sample Types

Samples containing drugs, inhibitors or ligands (compounds that can interact and affect PPAR γ activity)

Features & Benefits

- Simple, highly sensitive, high-throughput compatible
- Rapid screening of PPAR γ ligands
- Kit includes a PPAR γ ligand control and a stable, recombinant human PPAR γ