CREATIVE BIOMART®
Assay Kit

PPAR? Ligand Screening/Characterization Assay Kit

Product Information

Cat.No. Kit-2114

Product Overview

The PPARγ Ligand Screening Assay Kit provides a single step fluorescence-based assay for screening potential PPARγ-specific ligands. The assay utilizes the ability of potential PPARγ-binding ligands to displace a fluorescent probe, which has a strong affinity for PPARγ Ligand Binding Domain, resulting in loss of fluorescence of the probe. The relative drop in the fluorescence, as a result of competitive binding of PPARγ ligand, can be correlated to the affinity (and hence IC50) of the PPARγ candidate ligand. The PPARγ 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 PPARγ-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: PPARα, PPARδ and PPARγ. Of these, PPARγ plays an important role in the regulation of fatty acid storage and glucose metabolism. The genes activated by PPARγ 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 PPARγ. The binding of activating ligands to the ligand binding domain (LBD) of PPARγ 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 PPARγ 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 PPARγ with greater specificity than PPARα.

Applications

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

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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.PPARγ Assay Buffer: Bring to room temperature before use. Store at -20°C. Avoid prolonged storage of the PPARγ Assay Buffer at room temperature or 4°C.Human PPARγ: Store at -80°C. Avoid repeated freeze/thaw cycles. Each vial contains enough protein for 50 assays.PPARγ Assay Probe and Ligand Control: Store at -20°C. Bring to room temperature before use.

Kit Components

PPARγ Assay Buffer: 25 mlPPARγ Assay Probe: 10 μlPPARγ (Human Recombinant, 500 µl): 2 vialsPPARγ Ligand Control (100 mM in DMSO): 10 μl384-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 PPARγ activity)

Features & Benefits

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

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