CREATIVE BIOMART®
Assay Kit

TR-FRET Glucocorticoid Receptor Coactivator Assay Kit

Product Information

Cat

Kit-0955

Common Name

TR-FRET

Cat.No. Kit-0955

Description

The TR-FRET Glucocorticoid Receptor Coactivator Assay Kit provides a sensitive and robust method for high-throughput screening of potential glucocorticoid receptor (GR) ligands as agonists or antagonists of ligand-dependent coactivator recruitment. The kit uses a human recombinant GR ligand-binding domain (GR-LBD) tagged with glutathione-S-transferase (GST) (also available separately), a terbium (Tb)-labeled anti-GST antibody, and a fluorescein-labeled coactivator peptide in a homogeneous mix-and-read assay format.

Agonist Mode

When running the LanthaScreen TR-FRET Glucocorticoid Receptor Coactivator Assay in agonist mode (to identify agonist compounds), GR-LBD is added to ligand test compounds, followed by the addition of a mixture of fluorescein-coactivator peptide and Tb-labeled anti-GST antibody. After incubation at room temperature, the 520/495 nm TR-FRET ratio is calculated and can be used to determine the EC50 from a dose response curve of the compound. Based on the biology of the GR-coactivator peptide interaction, this ligand EC50 is a composite value representing the amount of ligand required to bind to receptor, effect a conformational change, and recruit coactivator peptide.

Antagonist Mode

When the LanthaScreen TR-FRET Glucocorticoid Receptor Coactivator Assay is run in antagonist mode (to identify antagonist compounds), GR-LBD is added to ligand test compounds followed by the addition of a mixture of agonist, fluorescein-coactivator peptide, and Tb-labeled anti-GST antibody. The concentration of agonist used in this mode is the EC80 concentration as determined by first running the assay in agonist mode.

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Applications

Co-Factor Interaction Assay, Nuclear Receptor Assay

Storage

1 tube GR Ligand Binding Domain Recombinant Protein (store at -68 to -85°C)
1 tube of Peptide (store at -5 to -30°C)
2 bottles TR-FRET Buffer (store at -5 to -30°C)
1 vial Tb-Anti-GST Ab (store at -5 to -30°C)
1 tube of DTT (store at -5 to -30°C)

Size

800 x 20 µL assays

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