

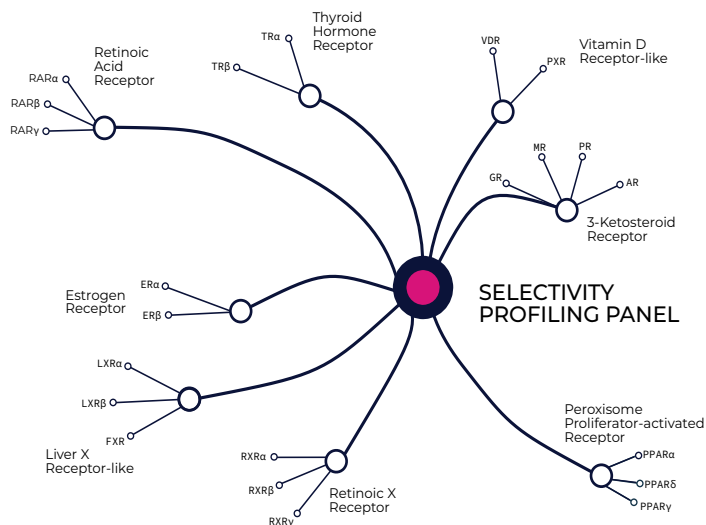
# NUCLEAR HORMONE RECEPTOR SCREENING

## MULTIPLE ASSAY PLATFORMS

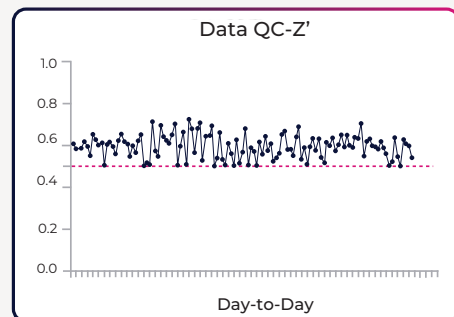
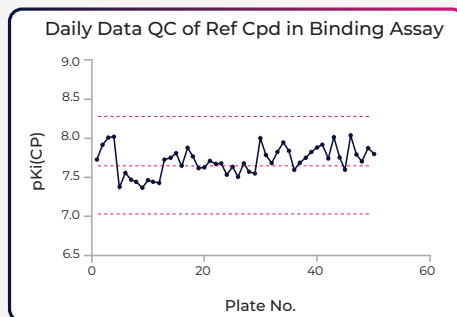
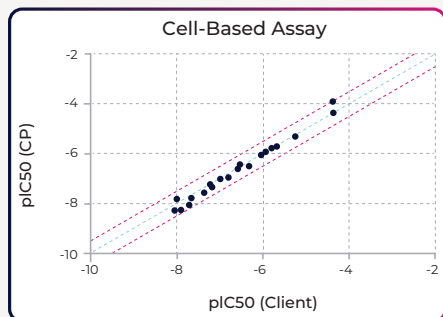
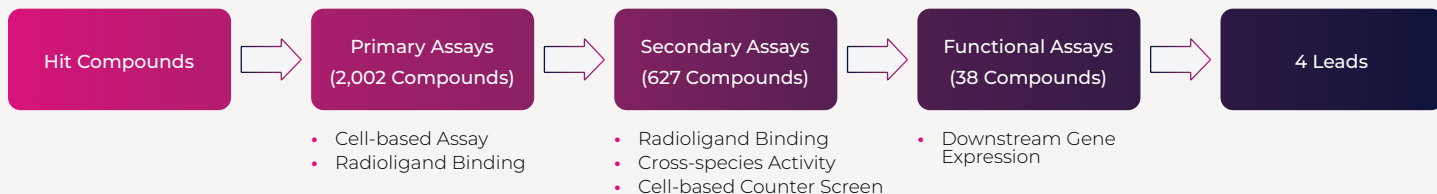
- Cell-based Assays
  - Gal4 DBD-NHR LBD reporter assay
  - Full-length NHR reporter assay
- Biochemical Assays
  - TR-FRET co-activator recruitment assay
  - Radioligand binding assay

## BROAD SERVICE SCOPE

- High-throughput screening
- Lead optimization
- Selectivity panel
- IC50 determination
- Compound mode of action



## DRUG DISCOVERY PROJECT HIT TO LEAD



# SELECTIVITY PROFILING PANEL

## LIVER X RECEPTOR-LIKE

- LXR $\alpha$
- LXR $\beta$
- FXR

## ESTROGEN RECEPTORS

- ER $\alpha$
- ER $\beta$

## 3-KETOSTEROID RECEPTORS

- GR
- MR
- PR
- AR

## THYROID HORMONE RECEPTORS

- TR $\alpha$
- TR $\beta$

## VITAMIN D RECEPTOR-LIKE

- VDR
- PXR

## RETINOIC ACID RECEPTOR

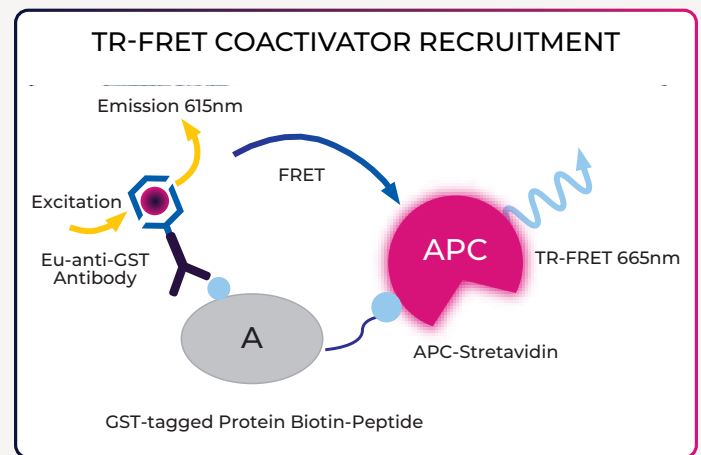
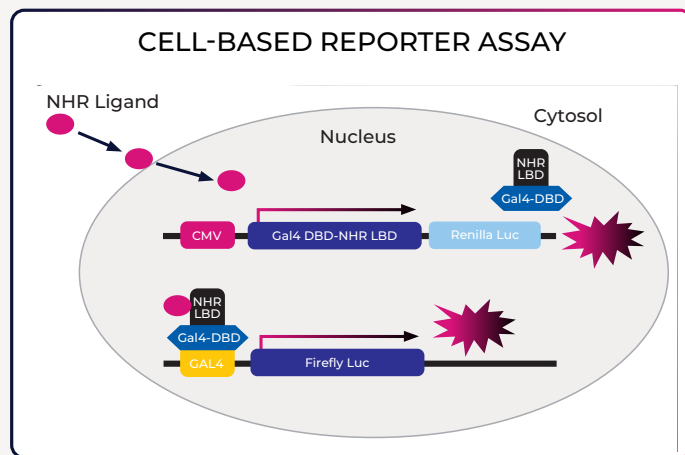
- RAR $\alpha$
- RAR $\beta$
- RAR $\gamma$

## RETINOIC X RECEPTOR

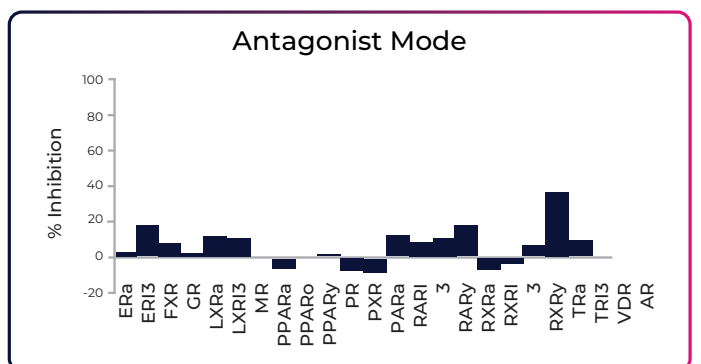
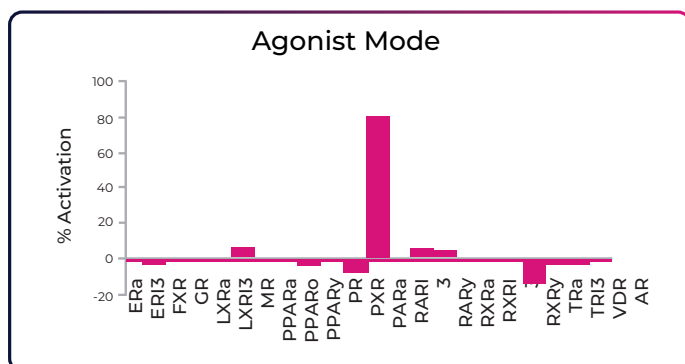
- RXR $\alpha$
- RXR $\beta$
- RXR $\gamma$

## PEROXISOME PROLIFERATOR-ACTIVATED RECEPTOR

- PPAR $\alpha$
- PPAR $\beta$
- PPAR $\gamma$



# COMPOUND SELECTIVITY PROFILING ON 22 NHRS



Cell-based luciferase reporter assay on 22 NHRs provides the information of compound selectivity for SAR guidance.

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