ChemPartner
Dedicated to LifeScience

NUCLEAR HORMONE RECEPTOR SCREENING

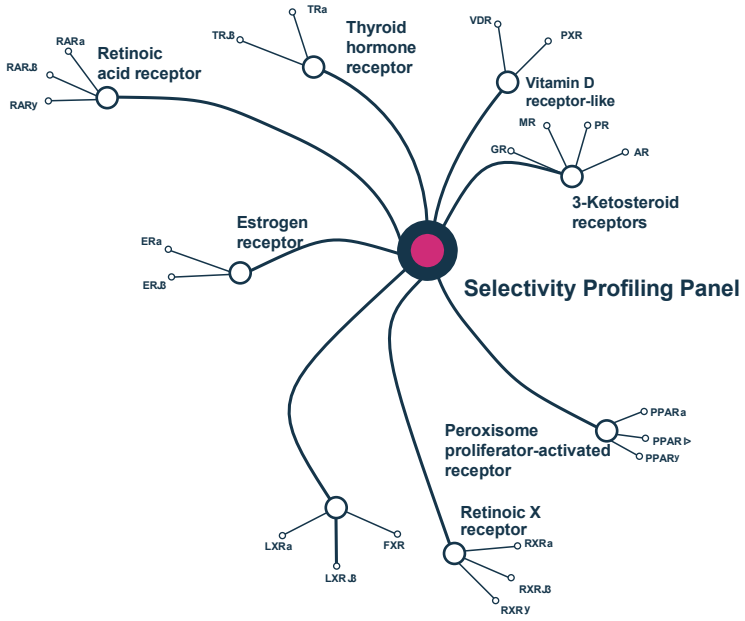
Overview of Capabilities

Multiple Assay Platforms

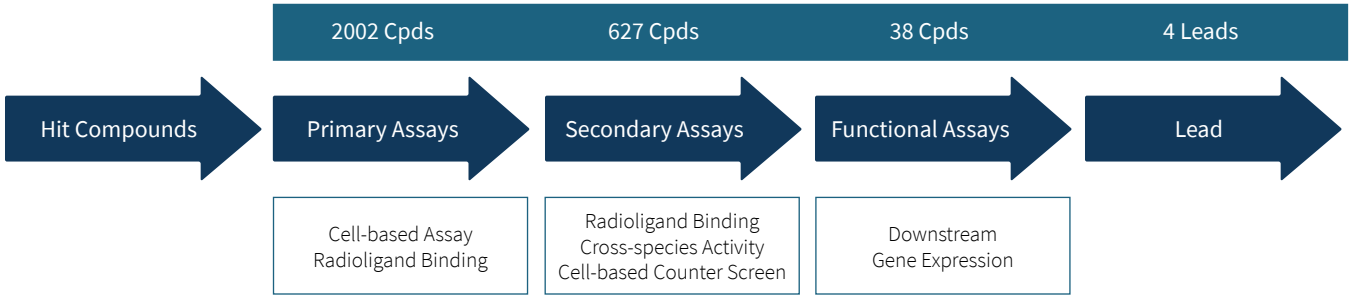
- Cell-based Assays
  - Gal4 DBD-NHR LBD reporter assay
  - Full-length NHR reporter assay
- Biochemical Assays
  - TR-FRET co-activator recruitment assay
  - Radioligand binding assay

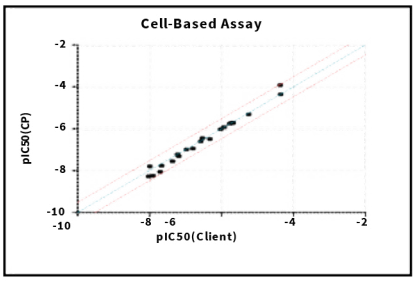
Broad Service Scope

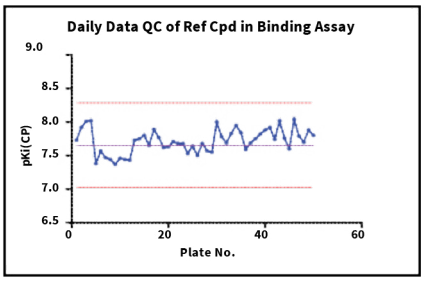
- High-throughput screening
- Lead optimization
- Selectivity panel
- IC50 determination
- Compound mode of action

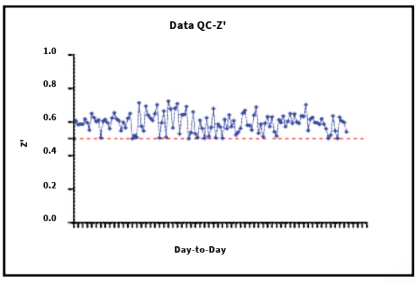


Drug Discovery Project: Hit-to-Lead









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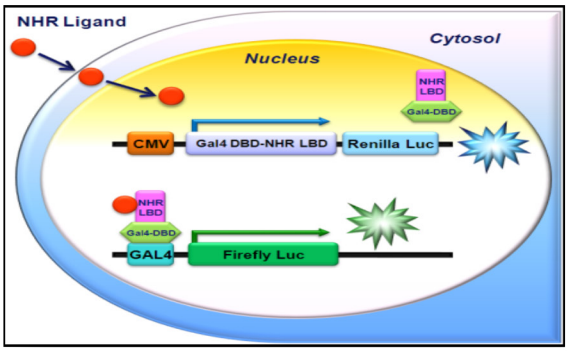
Selectivity Profiling Panel

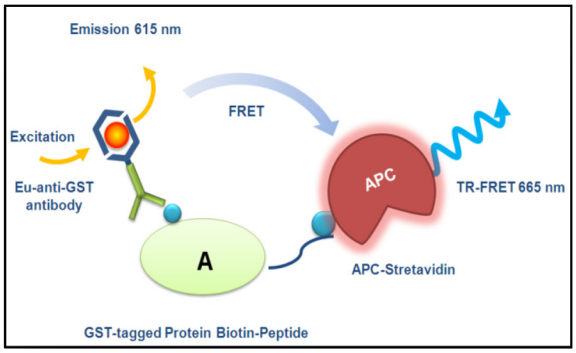
3-Ketosteroid Receptors	Estrogen Receptor	Liver X Receptor-like	Peroxisome Proliferator-Activated Receptor
GR	ERα	LXRα	PPARα
MR	ER β	LXRβ	PPARδ
PR		FXR	PPARγ
AR			

Retinoic X Receptor	Retinoic Acid Receptor	Thyroid Hormone Receptor	Vitamin D Receptor-like
RXRα	RARα	TRα	VDR
RXRβ	RARβ	TRβ	PXR
RXRγ	RARγ		

Cell-based Reporter Assay

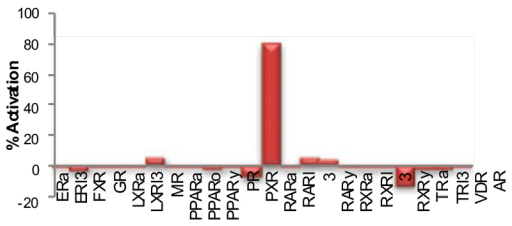


TR-FRET Coactivator Recruitment

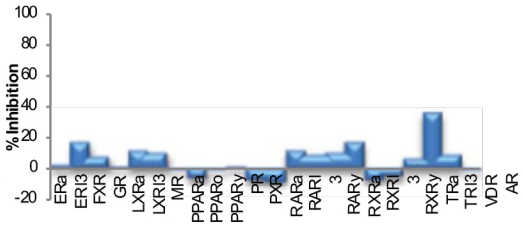


Compound Selectivity Profiling on 22 NHRs

Agonist Mode



Antagonist Mode



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

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