

In Vitro Pharmacology Services

Simplify Your Drug Discovery Workflow

What's Inside:

- Why DiscoverX Services
- GPCR Screening & Profiling
- Kinase Screening & Profiling
- Safety Screening
- Phenotypic Screening & Profiling



Simplify Your Drug Discovery Outsourcing with DiscoverX



Outsourcing of drug discovery efforts shorten development timelines by reducing the need to dedicate in-house resources to design and validate a multitude of assays.

To fully assist drug discovery and development, DiscoverX provides unique and proprietary assay solutions that span the entire drug discovery continuum, including:

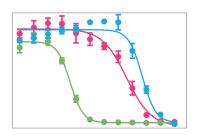
- The largest menu of thoroughly validated human assays against potential drug targets, removing lengthy in-house assay development efforts for screening and selectivity testing.
- Over 15 years of experience working with large and small drug discovery groups in pharma and biotech, consistently ranked as offering the highest quality services.
- Fast delivery of comprehensive data reports ensuring critical decisions can be made with confidence and speed.

5 Reasons to Use DiscoverX Pharmacology Services



DiscoverX Services From HTS to Preclinical

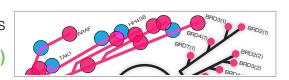
With services that span the entire drug discovery continuum, scientists can work with DiscoverX across all of their drug discovery needs. See below for examples of how DiscoverX can support you.

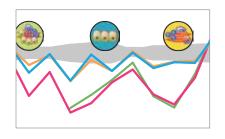


Library screening for small molecule inhibitors of PD-1

PathHunter® Functional Checkpoint Assays

Target deconvolution by testing active hits scanMAX® (468 kinases) and gpcrMAX (168 GPCRs)



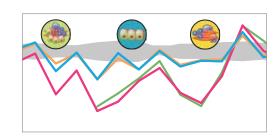


Confirm checkpoint function in fully human tumor microenvironment models

BioMAP® Oncology Panels

Better characterize molecules for efficacy and safety

BioMAP Diversity PLUS





Use of in vitro pharmacologic profiling to reduce safety-related drug attrition

SAFETYscan[™] **Services**

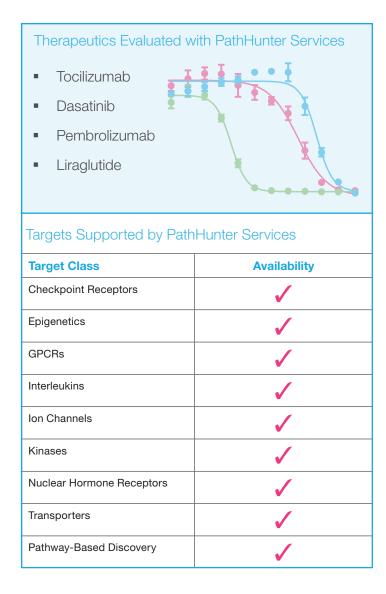
PathHunter® Screening and Profiling Services

The Broadest GPCR Cell-Based Functional Assay Coverage

Enhance your GPCR drug discovery using
PathHunter Screening and Profiling Services. With
the most comprehensive GPCR assay offering and
fastest turnaround time, PathHunter Services enable
efficacy, potency, and selectivity determination during
SAR and lead optimization. The arrestin and second
messenger assay formats in agonist, antagonist,
PAM and NAM mode means you can identify
candidate molecules that either show bias or bind
to an allosteric site enabling the discovery of novel
therapeutics.

PathHunter Services Highlights

- Identify the most potent, safe, and selective drug candidates by screening against the largest collection of GPCR assays
- Expedite compound cycle time during SAR and lead optimization with turnaround time of 2-3 weeks
- Choose molecules that show ligand bias or bind to an allosteric site



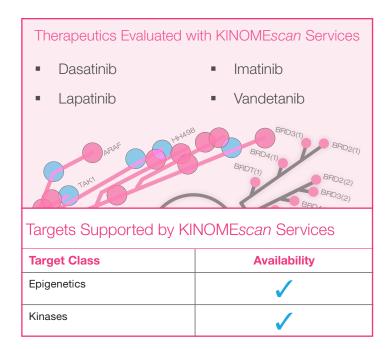
KINOMEscan® Kinase Screening and Profiling Services

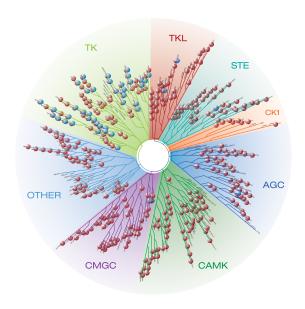
The Broadest Kinome Coverage Available

Support your kinase inhibitor drug discovery programs with KINOMEscan Screening and Profiling Services. With the most comprehensive kinase assay menu and the fastest turnaround time, KINOMEscan services enable you to determine compound selectivity and potency at every step in your drug discovery process. With this single technology platform, measurement of thermodynamic K_d values is easy and allows inter-kinase inhibitor SAR analysis, simplifying your lead optimization workflow.

KINOMEscan Services Key Highlights

- Identify the most selective drug candidates by screening the largest collection of wild-type kinase assays
- Expedite SAR analysis with as fast as 72-hour complete data delivery service
- Accurate ranking of high potency compounds down to picomolar level with broad dynamic range





Largest collection of wild-type kinase assays for selectivity and potency testing. Red dots represent assays available in the KINOME*scan* services.

SAFETYscan[™] In Vitro Pharmacological Profiling Services

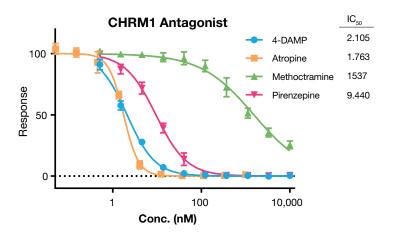
Improving Off-Target Liability

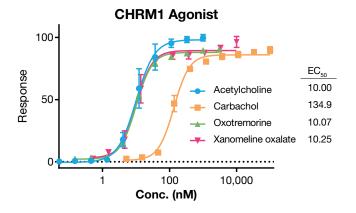
DiscoverX's SAFETYscan Services offer a broad menu of assays, including targets recommended by major pharmaceutical companies (Bowes et.al. 2012), to provide early assessment of the potential hazard of a compound or chemical series. To ensure better confidence in your data, SAFETYscan Services offer human functional cell-based assays for safety screening. Compounds entering development with minimal or no off-target activities require fewer investigative in vivo safety studies and, therefore, result in fewer delays in development, fewer animal models used, and lower costs, ultimately leading to the development of safer and more effective drugs.

SAFETYscan In Vitro Pharmacological Profiling Services Highlights

- Better confidence in the data with human target assays
- Functional data to reduce false positives and expensive follow-up studies
- Cost effective alternative to in-house assay development and screening

High Quality Data





Assays for target Cholinergic Receptor, Muscarinic 1 (CHRM1) were validated with reference compounds as shown in the figure. $\rm E/IC_{s_0}$ values were within the range of published data.

| Targets Supported by SAFETYscan Services | |
|--|--------------|
| Target Class | Availability |
| GPCRs | ✓ |
| Ion Channels | ✓ |
| Kinases & Enzymes | ✓ |
| Nuclear Hormone Receptors | ✓ |
| Transporters | ✓ |

Learn more at discoverx.com/safetyscan

BioMAP® Phenotypic Profiling Services

In Vivo Insights with the Speed and Ease of an In Vitro Assay

Predicting the impact compounds may have on particular disease biology is vital during drug discovery. BioMAP Phenotypic Profiling Services provides drug developers a simple, in vitro solution for gathering the most comprehensive insights about efficacy and safety of a compound or lead molecule. With over 60 human primary cell-based models of tissue and disease biology to choose from, you can profile your compound's effects across 100's of clinically relevant protein biomarkers and compare its performance and mechanistic behavior to over thousands of reference compounds. The end result is physiologically relevant insights into the efficacy, safety, and mechanism of action of your candidate drug molecule to accelerate the time from testing to therapy.

BioMAP Phenotypic Profiling Highlights

- Human targets for data relevant to human biology
- Prioritize candidates prior to in vivo experiments or IND submission
- Test compounds for safety, efficacy, and better understanding of mechanism of action
- Inform preclinical design and biomarker selection for smooth transition into trials
- Manage product lifecycle and reposition existing drugs by finding better efficacy through combinations and novel indications

Dasatinib Pembrolizumab Plus 1,000's of other small Lapatinib and large molecule reference **Imatinib** compounds Targets Supported by BioMAP Services **Target Class Availability Checkpoint Receptors Epigenetics GPCRs** Interleukins Ion Channels Kinases **Nuclear Hormone Receptors** Transporters Pathway-Based Discovery

Learn more at discoverx.com/biomap

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