

# GPCR Screening & Profiling Trends 2010



October 2010  
[www.htstec.com](http://www.htstec.com)

**This REPORT is Copyright protected by HTStec Limited. All rights reserved. Neither this REPORT nor any of its contents may be disclosed or transferred by any means (electronic or otherwise) to ANY third party without the prior written approval of HTStec Limited.**

HTStec Limited has exercised due care in compiling and preparing this REPORT, which is based on information submitted by individuals in respondent companies. HTStec Limited has NOT verified the accuracy of this information, nor has it established respondent's authority to disclose information to HTStec Limited. HTSTEC LIMITED EXPRESSLY DISCLAIMS ANY AND ALL WARRANTIES CONCERNING THIS REPORT, INCLUDING ANY WARRANTIES OF MERCHANTABILITY AND/OR FITNESS FOR ANY PARTICULAR PURPOSE, AND WARRANTIES OF PERFORMANCE, AND ANY WARRANTY THAT MIGHT OTHERWISE ARISE FROM COURSE OF DEALING OR USAGE OF TRADE. NO WARRANTY IS EITHER EXPRESS OR IMPLIED WITH RESPECT TO THE USE OF THE REPORT. Under no circumstances shall HTStec Limited be liable for incidental, special, indirect, direct or consequential damages or loss of profits, interruption of business, or related expenses that may arise from use of this REPORT, including but not limited to those resulting from inaccuracy of the data therein.

## Executive Summary

- This market report summarizes the results of HTStec's 4<sup>th</sup> industry-wide global web-based benchmarking survey on GPCR screening and profiling carried out in September 2010.
- The survey was initiated by HTStec as part of its tracking of emerging life science marketplaces. The questionnaire was compiled to meet the needs, requirements and interests of the GPCR vendor community. The main objectives were to better understand: 1) recent changes in GPCR assay metrics; 2) to comprehensively document current practices and technology preferences for GPCR primary screening and compound profiling; and 3) to understand future user requirements, particularly with respect to the need for new assays/approaches and outsourced services. The aim was to compile a reference document on GPCR screening and profiling metrics, which could be directly compared with previous HTStec reports.
- Equal emphasis was given to soliciting opinion from Pharma, Biotech, Academic Screening Centers and University Research labs in both North America and Europe.
- The survey looked at both in house and outsourced GPCR primary screening and compound profiling, as practiced today (2010) and in many cases as predicted for the future (2012). Specifically the following were investigated: the proportion of GPCR screens that are cell-based and orphan receptors; use of different methods for assaying GPCR activation; preferred assay readout technology and supplier for GPCR screening; proportion of GPCR Ca<sup>2+</sup> flux assays that are fluorescence-based; number of GPCR primary screens and wells per screen; approach to GPCR profiling; preferred assay readout technology and supplier for GPCR profiling; number of receptors profiled and wells per profile; how receptor panels are chosen; level of interest in outsourcing; methods of assaying GPCR activation that respondents wish to access by outsourcing; most trusted and most used providers of outsourced GPCR assay services; in house and outsourced budgets for GPCR screening and profiling; the breakdown of in house budgets; number of FTE's supporting GPCR screening and profiling; average costs paid per well and total number of wells generated per lab per year for GPCR screening and profiling; level of interest in assays/approaches for GPCR screening and profiling; suitability of available tools for assays/approaches for GPCR screening and profiling; the proportion of GPCR assays that are made using primary cell lines and stem cells; main barriers to the implementation of a new GPCR screening technology; where a GPCR reagent developer or assay fee-for-service provider should focus their efforts in the future; preferred way of sourcing GPCR expressing cell lines and the factors that drive decision making; and the unmet needs in GPCR screening & profiling today.
- The main questionnaire consisted of 29 multi-choice questions and 1 open-ended question. In addition, there were 7 questions related solely to survey demographics.
- The survey collected 86 validated responses, of these 72% provided comprehensive input.
- Survey responses were geographically split: 47% North America; 40% Europe; 9% Asia (excluding Japan); and 4% Japan.
- Survey respondents were drawn from persons or groups involved in GPCR primary screening and compound profiling and the outsourcing of GPCR testing to fee-for-service providers.
- Respondents came from 32 University/Research Institute/Government Lab (Not-for-Profit) Facilities; 23 Large Pharma; 13 Medium-Small Pharma; 10 Academic Screening Centers; and 7 Biotechs.
- Most survey respondents had a senior job role or position which was in descending order: 17 senior scientists/researchers; 12 research scientists; 12 section/group leaders; 11 professors/assistant professors; 10 principal investigators; 9 directors; 5 department heads; 3 others; 3 post-docs; 2 lab managers; and 1 vice president.
- Respondents represented the following labs: 21 with a combination of drug discovery roles; 19 primary screening (HTS); 11 life science research; 10 assay development; 9 basic research; 5 therapeutic areas; 3 compound profiling; 3 hits-to-leads; 3 other; and 1 secondary screening.
- Survey results were expressed as an average of all survey respondents. In addition, where appropriate the data was fully reanalyzed after sub-division into the following 5 survey groups: 1) Large Pharma; 2) Smaller Screening Labs; 3) Academic Research Labs; 4) Europe; and 5) North America.
- 70% of GPCR primary screens were cell-based and 17% were orphan receptors in 2010.
- The current (2010) breakdown of the different assay methods used to study GPCR activation was as follows: 21% Ca<sup>2+</sup> flux/mobilization assays; 20% binding assays; 19% cAMP or cGMP assays; 16% reporter gene assays; 10% beta-arrestin recruitment or receptor internalization; 6% label-free cellular changes & translocation; 4% IP3 or IP; and 4% GTP $\gamma$ S.

- The preferred GPCR primary screening readout technologies and suppliers for the different methods were: 1) binding assays – radiometric filter binding from PerkinElmer; 2) beta-arrestin recruitment or receptor internalization – EFC with chemiluminescent readout from DiscoverRx; 3) label-free cellular changes & translocation – label free impedance-based from Molecular Devices; 4) GTPγS – radiometric filter binding from PerkinElmer; 5) reporter gene assays – glow luminescence from Promega; 6) Ca<sup>2+</sup> flux/mobilization assays – fluorescent intensity from Molecular Devices; 7) IP3 or IP1 – TR-FRET from Cisbio; and 8) cAMP or cGMP Assays – TR-FRET from Cisbio.
- 47% of all GPCR Ca<sup>2+</sup> flux/mobilization assays were fluorescence-based in 2010.
- 2010 median GPCR screening metrics were: 5 primary screens, 10K–25K wells/screen & 2 FTE/lab.
- The preferred approach to GPCR panel profiling today was to screen selected lead compounds only in house against a GPCR panel.
- The preferred GPCR compound profiling readout technologies and suppliers were the same as those listed above for GPCR primary screening.
- 2010 median GPCR profiling metrics were: 5 receptors profiled, 1K–5K wells/profile & 2 FTE/lab.
- Respondents do not have a preferred way of choosing panels when running GPCR compound profiles.
- 29% of respondents might outsource GPCR primary screening versus 53% might outsource GPCR compound profiling today (2010). Most want to access Ca<sup>2+</sup> flux/mobilization and binding assays.
- Invitrogen and Millipore were equally ranked the most trusted outsourced GPCR fee-for-service providers.
- Millipore was ranked the most used outsourced GPCR fee-for-service provider.
- The median GPCR primary screening budget allocations in 2010 were \$25K–\$50K/lab in house and <\$5K/lab outsourced. With the biggest expenditure on bulk reagents & pre-packaged assay kits.
- The median GPCR compound profiling budget allocations in 2010 were \$10K–\$25K/lab in house and \$5K–\$10K/lab outsourced. With the biggest expenditure on bulk reagents & pre-packaged assay kits.
- The median cost per well for GPCR primary screening in 2010 was \$0.25–\$0.35 per well in house versus \$0.75–\$1 per well outsourced. The median total number of wells per year in 2010 for GPCR primary screening was 25K–50K in house versus none (no wells) outsourced.
- The median cost per well for GPCR compound profiling in 2010 was \$0.35–\$0.5 per well in house versus \$2–\$5 per well well outsourced. The median total number of wells per year in 2010 for GPCR compound profiling was 1K–2.5K in house versus 250–500 outsourced.
- Functional selectivity was rated the assay/approach for GPCR primary screening and compound profiling that respondents had greatest interest in using/evaluating.
- Orthosteric/allosteric modulators were rated as the assay/approach for GPCR primary screening and compound profiling where the suitability of currently available tools was most effective.
- 24% of GPCR assays were made using primary cell lines and 5% made using stem cells in 2010.
- Instrumentation/technology costs were the most significant barrier to new technology adoption.
- Live cell imaging assays was rated as the area where respondents suggested GPCR reagent developers should focus their efforts going forward.
- Lowering the price was rated as the area where respondents suggested GPCR fee-for service providers should focus their efforts going forward.
- Most respondents prefer to source GPCR expressing cell lines by making them in house.
- Cost was ranked the most important factor when making GPCR expressing cell lines.
- Respondent's feedback on the unmet needs that exist today in GPCR screening and profiling and related services are documented.
- Several bottom-up models were developed around the respondent's annual budgets to estimate the global market for GPCR screening and profiling. In 2010 these markets were estimated to be as follows: in house GPCR primary screening reagents – \$480M; in house GPCR compound profiling reagents – \$90M; outsourced GPCR compound profiling – \$50M; and outsourced GPCR primary screening –\$25M. The markets were segmented by organization and geography, with CAGR estimates for 2012 made. The in house markets were also broken down to estimate the value of the classes (main components) purchased.
- The full report provides the data, details of the breakdown of the responses for each question, its segmentation and the estimates for the future (2012). It also highlights some big differences, particularly between Large Pharma versus the other survey groups and the average of all survey respondents given in this summary.

## Table of Contents

Executive Summary .....	2
Table of Contents .....	4
Survey Methodology .....	5
Breakdown of Survey Participants .....	6
Respondent's Geographic Origin .....	7
Respondent's Company or Organisational Origin .....	8
Respondent's Job Role .....	9
Respondent's Main Group Activity .....	10
Proportion of Primary GPCR Screens That Are Cell-Based or Orphans .....	11
Current & Future Use of Methods of Assaying GPCR Activation .....	13
Preferred GPCR Primary Screening Readout Technology & Supplier .....	14
Preferred GPCR Primary Screening Readout Technologies .....	15
Preferred GPCR Primary Screening Technology Suppliers .....	19
Proportion of GPCR Ca <sup>2+</sup> Flux/Mobilization Primary Screens That Are Fluorescence-Based .....	23
No. GPCR Primary Screens/Year & Wells/Primary Screen .....	24
Current & Future Approach to GPCR Compound Profiling .....	25
Preferred GPCR Compound Profiling Readout Technology & Supplier .....	26
Preferred GPCR Compound Profiling Readout Technologies .....	27
Preferred GPCR Compound Profiling Technology Suppliers .....	31
No. GPCR Profiling Screens/Year & Wells/Profile .....	35
Most Commonly Chosen Panels When Running GPCR Compound Panels .....	36
Summary of Survey Findings (1) .....	37
Interest in Outsourcing GPCR Screening & Profiling .....	39
Most Wanted Outsourced Assays for GPCR Primary Screening .....	41
Most Wanted Outsourced Assays for GPCR Compound Profiling .....	42
Most Trusted Outsourced GPCR Assay Fee-For-Service Provider .....	43
Most Used Outsourced GPCR Assay Fee-For-Service Provider .....	44
GPCR Primary Screening Consumable Budgets (In House & Outsourced) .....	45
Breakdown of In House GPCR Primary Screening Consumable Budgets .....	46
GPCR Compound Profiling Consumable Budgets (In House & Outsourced) .....	47
Breakdown of In House GPCR Compound Profiling Consumable Budgets .....	48
FTE Supporting In House GPCR Primary Screening & Compound Profiling .....	49
Cost Per Well for In House GPCR Screening & Profiling .....	50
Cost Per Well for Outsourced GPCR Screening & Profiling .....	51
Wells Generated/Year for In House GPCR Screening & Profiling .....	52
Wells Generated/Year for Outsourced GPCR Screening & Profiling .....	53
Summary of Survey Findings (2) .....	54
Suitability of Available Tools for Addressing Assays/Approaches for GPCR Screening & Profiling .....	58
% of GPCR Assays That Use Primary Cell Lines or Stem Cells .....	60
Main Barriers to Implementation of GPCR Screening Technology .....	62
Where a GPCR Reagent Developer Should Focus Going Forward .....	63
Where a GPCR Fee-For-Service Provider Should Focus Going Forward .....	64
Where Respondents Prefer To Source GPCR Expressing Cell Lines .....	65
Most Important Factors When Making GPCR Expressing Cell Lines .....	66
Unmet Needs That Exist in GPCR Screening & Profiling and Related Services Today .....	67
In House GPCR Primary Screening Assay Reagents Market Estimate .....	68
In House GPCR Primary Screening Assay Reagent Market Segmentation .....	69
Breakdown of In House GPCR Primary Screening Assay Reagents Market .....	70
In House GPCR Compound Profiling Assay Reagents Market Estimate .....	71
In House GPCR Compound Profiling Reagents Market Segmentation .....	72
Breakdown of In House GPCR Primary Screening Assay Reagents Market .....	73
Outsourced GPCR Primary Screening Market Estimate .....	74
Outsourced GPCR Primary Screening Market Segmentation .....	75
Outsourced GPCR Compound Profiling Market Estimate .....	76
Outsourced GPCR Compound Profiling Market Segmentation .....	77
Summary of Survey Findings (3) .....	78

## General Information on HTStec and HTStec's Trends Market Reports

- HTStec Limited an independent market research consultancy founded in September 2003 whose focus is on assisting clients delivering novel enabling platform technologies (liquid handling, laboratory automation, detection instrumentation and assay reagent technologies) to drug discovery. Over the past 7 years HTStec has published more than 50 market reports on drug discovery technologies and authored over 30 review articles in Drug Discovery World.
- HTStec's Trends reports owe their origins to the need by developers and vendors of new enabling technologies in drug discovery to get up-to-date relevant market metrics on which to base informed business decisions.
- Typically focused on a specific market niche or segment, in many cases overlooked or frequently misunderstood by broader market studies.
- Investigations are mainly initiated in response to a sponsor's specific requests.
- HTStec's extensive experience of the market, both as a Pharma End-User and working for a major Life Science Tool Provider ensures the industry relevance of the market research collected.
- Based entirely on web-based feedback from potential customers drawn mainly from Pharma and Biotechs, although increasingly University and Research Institute labs are also being researched.
- Produced extremely rapidly and typically published within 3 weeks of starting the collection phase.
- Reports are short, concise and focused on giving readers the basic data, analyzed in several different ways.
- Limited to reporting the main findings alone, without exhaustive discussion on the relevance of the results.
- Market estimates are mainly based on bottom-up calculations and usually avoid attempts to forecast widely beyond the next 2-3 years. Full details on the derivation of market estimates are given so readers can apply their own factors and easily make alternative estimates if they prefer.
- Owing to the sensitivity of some of the data collected, all reference to the origin of participating companies is removed, data is pooled to get an industry average and the anonymity of all respondents fully preserved and guaranteed.
- Critically HTStec's Trends reports have generated much interest and acclaim amongst survey respondents, to whom they are made available free of charge (subject to acceptance of HTStec's copyright terms) so they can benchmark their internal processes.
- Unlike alternatives HTStec's Market Surveys and Report are aimed at giving readers, information they want and can rely on, not information they don't need, cannot easily discern or is of dubious authenticity.
- HTStec aims to be the premier global provider of highly focused market research on enabling technologies in drug discovery.
- To get information or to request free executive summaries of published reports please contact [john.comley@htstec.com](mailto:john.comley@htstec.com).
- HTStec Limited is a privately owned UK Company, registered in England and Wales Number 4875933.