

# Lead Discovery Target Panels:

Depend on Merck Millipore's innovative solutions to deliver results that are core to your success.

VOLUME 2, 2011 UPDATE



# Products and Services for Target Profiling and Liability Testing



Merck Millipore's Lead Discovery products and services are dedicated to helping you advance those compounds that have the highest chance of being clinically effective and safe—as quickly as possible. Whether you're looking to outsource or bring the newest technologies in-house, optimize lead compounds or investigate cardiac safety effects, we can help you generate detailed information about your compounds early in the drug discovery cycle.

## **NEW!** **UbiquitinProfiler™ Service**

Merck Millipore's UbiquitinProfiler™ Service is the first and only service that identifies potential activators and inhibitors of E3 ligases within therapeutically promising ubiquitination cascades. See Page 16.

## We offer many benefits you won't get anywhere else:

- Flexible access to all the major targets, enabling balanced, cross-target profiling.
- Validated functional assays provide more information, sensitivity, and biological relevance.
- Our robust, off-the-shelf cell lines and kits eliminate in-house assay development.
- FlexLab<sup>SM</sup> custom services expand your capacity and capabilities, cost-effectively.
- Early safety assessment across a range of targets.
- Reliable, quick turnaround keeps your research moving forward.
- Secure access to data and a new, powerful visualization tool (DART<sup>TM</sup>) for better decisions and compelling presentations.
- Convenient, integrated ordering and invoicing, standardized across the world.

### DART<sup>TM</sup> Target Visualization Tool

Introducing DART<sup>TM</sup>, the first software application for in-depth visualization of GPCR and kinase profiling data. Our Data Analysis and Report Tool (DART<sup>TM</sup>) creates an interactive map of target profiling assay results, enabling you to make faster, more informed decisions in your drug development projects.

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# Cell Lines, Membrane Preps and Profiler Services

## GPCR Targets

Merck Millipore has developed proprietary technologies to enhance the functional expression of GPCRs while enabling for a single functional readout regardless of G protein-coupling status. This technology is the foundation of our robust and reliable GPCR products and services.

| GPCR Family                | GPCR (Human unless noted) | GPCRProfiler® Service Panel (HTS600GPCR) | ChemiScreen™ Calcium-optimized Cell Lines | ChemiScreen™ Membrane Preparations | Ready-to-Assay™ Frozen Cells | ChemiBrite™ Stable Cell Lines | ChemiBrite™ Frozen Cells |
|----------------------------|---------------------------|--|---|------------------------------------|------------------------------|-------------------------------|--------------------------|
| Acetylcholine (muscarinic) | M1                        | ✓  | HTS044C                                   | HTS044M                            | HTS044RTA                    |                               |                          |
| Acetylcholine (muscarinic) | M2                        | ✓  | HTS115C                                   | HTS115M                            | HTS115RTA                    |                               |                          |
| Acetylcholine (muscarinic) | M3                        | ✓  | HTS116C                                   | HTS116M                            | HTS116RTA                    |                               |                          |
| Acetylcholine (muscarinic) | M4                        | ✓  | HTS117C                                   |                                    | HTS117RTA                    |                               |                          |
| Acetylcholine (muscarinic) | M5                        | ✓  | HTS075C                                   | HTS075M                            | HTS075RTA                    |                               |                          |
| Adenosine                  | A1                        | ✓  | HTS047C                                   | HTS047M                            |                              |                               |                          |
| Adenosine                  | A2A •                     |  |   |                                    |                              | HTS048L •                     |                          |
| Adenosine                  | A2B                       | ✓  | HTS051C                                   |                                    |                              |                               |                          |
| Adenosine                  | A3                        | ✓  | HTS052C                                   | HTS052M                            |                              |                               |                          |
| Adrenergic                 | α1A                       | ✓  | HTS087C                                   | HTS087M                            | HTS087RTA                    |                               |                          |
| Adrenergic                 | α2A                       | ✓  | HTS096C                                   | HTS096M                            | HTS096RTA                    |                               |                          |
| Adrenergic                 | α1B                       | ✓  | HTS158C                                   | HTS158M                            | HTS158RTA                    |                               |                          |
| Adrenergic                 | α2B                       |  |   | HTS157M                            |                              |                               |                          |
| Adrenergic                 | α1D                       | ✓  | HTS216C                                   | HTS216M                            | HTS216RTA                    |                               |                          |
| Adrenergic                 | β1                        | ✓  | HTS104C                                   | HTS104M                            | HTS104RTA                    |                               |                          |
| Adrenergic                 | β2                        | ✓  | HTS073C                                   | HTS073M                            | HTS073RTA                    |                               |                          |
| Adrenergic                 | β3                        | ✓  | HTS159C                                   | HTS159M                            | HTS159RTA                    |                               |                          |
| α-Ketoglutarate            | OXGR1/GPR99               | ✓  | HTS191C                                   |                                    | HTS191RTA                    |                               |                          |
| Anaphylotoxin              | C3aR                      | ✓  | HTS016C                                   | HTS016M                            | HTS016RTA                    |                               |                          |
| Anaphylotoxin              | C5aR                      | ✓  | HTS017C                                   | HTS017M                            | HTS017RTA                    |                               |                          |
| Angiotensin                | AT1                       | ✓  | HTS064C                                   | HTS064M                            | HTS064RTA                    |                               |                          |
| Angiotensin                | AT2                       |  |   | HTS208M                            |                              |                               |                          |
| Apelin                     | APJ                       | ✓  | HTS068C                                   | HTS068M                            | HTS068RTA                    |                               |                          |
| Bile Acid                  | GPBA/GPR131/TGR5 •        |  |   |                                    |                              | HTS238L •                     |                          |
| Bombesin                   | BB1                       | ✓  | HTS123C                                   | HTS123M                            | HTS123RTA                    |                               |                          |
| Bombesin                   | BB2                       | ✓  | HTS084C                                   | HTS084M                            | HTS084RTA                    |                               |                          |
| Bombesin                   | BB3                       | ✓  | HTS160C                                   | HTS160M                            | HTS160RTA                    |                               |                          |
| Bradykinin                 | B2                        | ✓  | HTS041C                                   | HTS041M                            | HTS041RTA                    |                               |                          |
| Calcitonin                 | AMY1                      |  |   | HTS127M                            |                              |                               |                          |
| Calcitonin                 | CGPR1                     | ✓  | HTS172C                                   | HTS172M                            | HTS172RTA                    |                               |                          |
| Calcium sensor             | CaS                       | ✓  | HTS137C                                   |                                    | HTS137RTA                    |                               |                          |
| Cannabinoid                | CB1                       | ✓  | HTS019C                                   | HTS019M                            | HTS019RTA                    |                               |                          |
| Cannabinoid                | CB2                       | ✓  | HTS020C                                   | HTS020M/HTS020M2                   | HTS020RTA                    |                               |                          |
| Chemoattractant            | ChemR23                   | ✓  | HTS071C                                   | HTS071M                            | HTS071RTA                    |                               |                          |
| Chemokine                  | CCR1                      | ✓  | HTS005C                                   | HTS005M                            | HTS005RTA                    |                               |                          |
| Chemokine                  | CCR10                     | ✓  | HTS014C                                   | HTS014M                            | HTS014RTA                    |                               |                          |
| Chemokine                  | CCR2B                     | ✓  | HTS007C                                   | HTS007M                            | HTS007RTA                    |                               |                          |
| Chemokine                  | CCR3                      | ✓  | HTS008C                                   | HTS008M                            | HTS008RTA                    |                               |                          |
| Chemokine                  | CCR4                      | ✓  | HTS009C                                   | HTS009M                            |                              |                               |                          |
| Chemokine                  | CCR5, rhesus macaque      | ✓  | HTS010C                                   | HTS010M                            | HTS010RTA                    |                               |                          |
| Chemokine                  | CCR6                      | ✓  | HTS011C                                   | HTS011M                            | HTS011RTA                    |                               |                          |
| Chemokine                  | CCR7                      | ✓  | HTS012C                                   | HTS012M                            | HTS012RTA                    |                               |                          |
| Chemokine                  | CCR8                      | ✓  | HTS013C                                   | HTS013M                            | HTS013RTA                    |                               |                          |
| Chemokine                  | CCR9                      | ✓  | HTS036C                                   | HTS036M                            | HTS036RTA                    |                               |                          |
| Chemokine                  | CX3CR1                    | ✓  | HTS015C                                   | HTS015M                            | HTS015RTA                    |                               |                          |

• New Release ✓ Service Available. Cat. No. HTS600GPCR ○ New FORMAT Available.

## GPCR Targets

| GPCR Family              | GPCR (Human unless noted) | GPCRProfiler® Service Panel (HTS600GPCR) | ChemiScreen™ Calcium-optimized Cell Lines | ChemiScreen™ Membrane Preparations | Ready-to-Assay™ Frozen Cells | ChemiBrite™ Stable Cell Lines | ChemiBrite™ Frozen Cells |
|--------------------------|---------------------------|--|---|------------------------------------|------------------------------|-------------------------------|--------------------------|
| Chemokine                | CXCR1                     | ✓  | HTS001C                                   | HTS001M                            | HTS001RTA                    |                               | HTS001LT                 |
| Chemokine                | CXCR2                     | ✓  | HTS002C                                   | HTS002M                            | HTS002RTA                    |                               |                          |
| Chemokine                | CXCR3                     | ✓  | HTS003C                                   | HTS003M                            | HTS003RTA                    |                               |                          |
| Chemokine                | CXCR4                     | ✓  | HTS004C                                   | HTS004M                            | HTS004RTA                    |                               | HTS004LT                 |
| Chemokine                | CXCR5                     | ✓  | HTS055C                                   | HTS055M                            | HTS055RTA                    |                               |                          |
| Chemokine                | CXCR6                     | ✓  | HTS054C                                   | HTS054M                            | HTS054RTA                    |                               |                          |
| Chemokine                | CXCR7 / RDC1              |  |   | HTS138M                            |                              |                               |                          |
| Chemokine                | XCR1 / GPR5               | ✓  | HTS053C                                   |                                    | HTS053RTA                    |                               |                          |
| Cholecystokinin          | CCK1                      | ✓  | HTS184C                                   | HTS184M                            | HTS184RTA                    |                               |                          |
| Cholecystokinin          | CCK2                      | ✓  | HTS083C                                   | HTS083M                            | HTS083RTA                    |                               |                          |
| CRF Receptor             | CRF1                      | ✓  | HTS023C                                   | HTS023M                            | HTS023RTA                    |                               |                          |
| CRF Receptor             | CRF2                      | ✓  | HTS024C                                   | HTS024M                            | HTS024RTA                    |                               |                          |
| Dopamine                 | D1                        | ✓  | HTS102C                                   | HTS102M                            | HTS102RTA                    |                               | HTS102LT                 |
| Dopamine                 | D2L                       | ✓  | HTS039C/HTS039C2                          | HTS039M                            | HTS039RTA                    |                               | HTS039LT                 |
| Dopamine                 | D3                        |  |   | HTS103M                            |                              |                               |                          |
| Dopamine                 | D4                        | ✓  | HTS223C                                   | HTS223M                            | HTS223RTA                    |                               |                          |
| Dopamine                 | D5                        | ✓  | HTS129C                                   | HTS129M                            | HTS129RTA                    |                               |                          |
| Endothelin               | ETA                       | ✓  | HTS070C                                   | HTS070M                            | HTS070RTA                    |                               |                          |
| Endothelin               | ETB                       | ✓  | HTS046C                                   | HTS046M                            | HTS046RTA                    |                               |                          |
| Free Fatty Acid          | GPR41                     | ✓  | HTS135C                                   | HTS135M                            | HTS135RTA                    |                               |                          |
| Free Fatty Acid          | GPR43                     | ✓  | HTS063C                                   | HTS063M                            | HTS063RTA                    |                               |                          |
| Free Fatty Acid          | GPR120 •                  |  |   |                                    |                              | HTS225L •                     |                          |
| GABA <sub>B</sub>        | GABA <sub>B1β</sub>       | ✓  | HTS119C                                   | HTS119M                            | HTS119RTA                    |                               |                          |
| Galanin                  | GAL1                      | ✓  | HTS094C                                   | HTS094M                            | HTS094RTA                    |                               |                          |
| Galanin                  | GAL2                      | ✓  | HTS186C                                   | HTS186M                            | HTS186RTA                    |                               |                          |
| Ghrelin                  | Ghrelin / GHSR-1a         | ✓  | HTS187C                                   | HTS187M                            | HTS187RTA                    |                               |                          |
| Glucagon                 | GIP                       | ✓  | HTS134C                                   | HTS134M                            | HTS134RTA                    |                               | HTS134LT                 |
| Glucagon                 | GLP-1                     | ✓  | HTS163C/HTS163C2                          | HTS163M                            |                              |                               | HTS163LT                 |
| Glucagon                 | GLP-2                     | ✓  | HTS164C                                   |                                    | HTS164RTA                    |                               |                          |
| Glucagon                 | glucagon                  | ✓  | HTS112C                                   | HTS112M                            | HTS112RTA                    |                               | HTS112LT                 |
| Glucagon                 | secretin receptor         | ✓  | HTS174C                                   |                                    | HTS174RTA                    |                               |                          |
| Glutamate (metabotropic) | mGlu1                     | ✓  | HTS145C                                   |                                    |                              |                               |                          |
| Glutamate (metabotropic) | mGlu2                     | ✓  | HTS146C                                   | HTS146M                            | HTS146RTA ○                  |                               |                          |
| Glutamate (metabotropic) | mGlu6                     |  |   | HTS149M                            |                              |                               |                          |
| Glycoprotein hormone     | TSH                       | ✓  | HTS133C                                   |                                    | HTS133RTA                    |                               |                          |
| GnRH                     | GnRH                      | ✓  | HTS027C                                   | HTS027M                            | HTS027RTA                    |                               |                          |
| Histamine                | H1                        | ✓  | HTS050C                                   | HTS050M                            |                              |                               |                          |
| Histamine                | H2                        | ✓  | HTS086C                                   | HTS086M                            |                              |                               |                          |
| Histamine                | H3                        | ✓  | HTS029C                                   |                                    |                              |                               |                          |
| KISS1-derived peptide    | KISS1 / GPR54             | ✓  | HTS032C                                   |                                    | HTS032RTA                    |                               |                          |
| Leukotriene              | BLT1                      | ✓  | HTS042C                                   | HTS042M                            | HTS042RTA                    |                               |                          |
| Leukotriene              | CysLT1                    | ✓  | HTS061C                                   | HTS061M                            | HTS061RTA                    |                               |                          |
| Leukotriene              | CysLT2                    | ✓  | HTS088C                                   |                                    | HTS088RTA                    |                               |                          |
| Lysophospholipid         | LPA1                      | ✓  | HTS089C                                   | HTS089M                            | HTS089RTA                    |                               |                          |
| Lysophospholipid         | LPA3                      | ✓  | HTS130C                                   |                                    | HTS130RTA                    |                               |                          |
| Lysophospholipid         | GPR92 / LPA5              | ✓  | HTS209C                                   |                                    | HTS209RTA                    |                               |                          |
| Lysophospholipid         | S1P1                      | ✓  | HTS176C                                   | HTS176M                            | HTS176RTA                    |                               |                          |



# Cell Lines, Membrane Preps and Profiler Services

## GPCR Targets

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|---------------------------------|---------------------------|--|---|------------------------------------|------------------------------|-------------------------------|--------------------------|
| Lysophospholipid                | S1P2                      | ✓  | HTS078C                                   | HTS078M                            | HTS078RTA                    |                               | HTS078LT                 |
| Lysophospholipid                | S1P3                      | ✓  | HTS097C                                   | HTS097M                            | HTS097RTA                    |                               |                          |
| Lysophospholipid                | S1P4                      | ✓  | HTS192C                                   |                                    | HTS192RTA                    |                               |                          |
| Lysophospholipid                | S1P5                      | ✓  | HTS193C                                   | HTS193M                            | HTS193RTA                    |                               |                          |
| Mas-related gene                | MRGX1 / MRGPRX1           | ✓  | HTS122C                                   | HTS122M                            | HTS122RTA                    |                               |                          |
| Mas-related gene                | MrgD                      | ✓  | HTS206C                                   | HTS206M                            | HTS206RTA                    |                               |                          |
| Mas-related gene                | MRGX2 / MGRPLX2           | ✓  | HTS058C                                   |                                    | HTS058RTA                    |                               |                          |
| Melanin-concentrating hormone   | MCHR1 / GPR24             | ✓  | HTS025C                                   | HTS025M                            | HTS025RTA                    |                               |                          |
| Melanin-concentrating hormone   | MCHR2                     | ✓  | HTS026C                                   |                                    | HTS026RTA                    |                               |                          |
| Melanocortin                    | MC1                       |  |   | HTS156M                            |                              |                               |                          |
| Melanocortin                    | MC2                       | ✓  | HTS021C                                   |                                    | HTS021RTA                    |                               |                          |
| Melanocortin                    | MC3                       |  |   | HTS022M                            |                              |                               |                          |
| Melanocortin                    | MC4                       | ✓  | HTS105C                                   | HTS105M                            |                              |                               |                          |
| Melanocortin                    | MC5                       | ✓  | HTS155C                                   | HTS155M                            | HTS155RTA                    |                               |                          |
| Melatonin                       | MT1                       |  |   | HTS065M                            |                              |                               |                          |
| Melatonin                       | MT2                       |  |   | HTS106M                            |                              |                               |                          |
| Motilin                         | motilin receptor, MTLR    | ✓  | HTS121C                                   | HTS121M                            | HTS121RTA                    |                               |                          |
| Neuromedin U                    | NMU1                      | ✓  | HTS062C                                   | HTS062M                            | HTS062RTA                    |                               |                          |
| Neuromedin U                    | NMU2                      | ✓  | HTS098C                                   | HTS098M                            | HTS098RTA                    |                               |                          |
| Neuropeptide B/W                | NPBW1 / GPR7              | ✓  | HTS180C                                   | HTS180M                            | HTS180RTA                    |                               |                          |
| Neuropeptide B/W                | NPBW2 / GPR8              |  |   | HTS181M                            |                              |                               |                          |
| Neuropeptide Y                  | Y2                        | ✓  | HTS066C                                   | HTS066M                            | HTS066RTA                    |                               |                          |
| Neuropeptide Y                  | Y4                        | ✓  | HTS204C                                   | HTS204M                            | HTS204RTA                    |                               |                          |
| Neurotensin                     | NTR1                      | ✓  | HTS034C                                   | HTS034M                            | HTS034RTA                    |                               |                          |
| N-formylpeptide                 | FPR1                      | ✓  | HTS018C                                   | HTS018M                            | HTS018RTA                    |                               |                          |
| N-formylpeptide                 | FPRL1                     | ✓  | HTS056C                                   | HTS056M                            | HTS056RTA                    |                               |                          |
| Nicotinic Acid                  | GPR109A                   | ✓  | HTS201C                                   | HTS201M                            | HTS201RTA                    |                               |                          |
| Opioid                          | δ                         | ✓  | HTS100C                                   | HTS100M                            | HTS100RTA                    |                               |                          |
| Opioid                          | κ                         | ✓  | HTS095C                                   | HTS095M                            |                              |                               |                          |
| Opioid                          | μ                         | ✓  | HTS101C                                   | HTS101M                            | HTS101RTA                    |                               |                          |
| Opioid                          | NOP/ORL1                  | ✓  | HTS040C                                   | HTS040M                            | HTS040RTA                    |                               |                          |
| Orexin                          | OX1                       | ✓  | HTS175C                                   |                                    | HTS175RTA                    |                               |                          |
| Orexin                          | OX2                       | ✓  | HTS045C                                   |                                    | HTS045RTA                    |                               |                          |
| Orphan                          | GPR39                     | ✓  | HTS217C                                   |                                    | HTS217RTA                    |                               |                          |
| Orphan                          | GPR119 •                  |  |   |                                    |                              | HTS198L •                     |                          |
| Oxytocin                        | OT                        | ✓  | HTS090C                                   | HTS090M                            | HTS090RTA                    |                               |                          |
| P2Y / Purinergic (metabotropic) | P2Y1                      | ✓  | HTS049C                                   |                                    | HTS049RTA                    | HTS049L                       |                          |
| P2Y / Purinergic (metabotropic) | P2Y2                      | ✓  | HTS210C                                   |                                    | HTS210RTA                    | HTS210L                       |                          |
| P2Y / Purinergic (metabotropic) | P2Y4                      | ✓  | HTS211C                                   |                                    | HTS211RTA                    | HTS211L                       |                          |
| P2Y / Purinergic (metabotropic) | P2Y11                     | ✓  | HTS213C                                   |                                    |                              | HTS213L                       |                          |
| P2Y / Purinergic (metabotropic) | P2Y12                     | ✓  | HTS195C                                   |                                    |                              | HTS195L                       |                          |
| Peptide P518                    | GPR103/ORFP               | ✓  | HTS189C                                   | HTS189M                            | HTS189RTA                    |                               |                          |
| Platelet Activating Factor      | PAF                       | ✓  | HTS076C                                   |                                    | HTS076RTA                    |                               |                          |

• New Release ✓ Service Available. Cat. No. HTS600GPCR ○ New FORMAT Available.

## GPCR Targets

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|-----------------------------|-------------------------------------|--|---|------------------------------------|------------------------------|-------------------------------|--------------------------|
| Prokineticin                | PK1                                 | ✓  | HTS074C                                   | HTS074M                            | HTS074RTA                    |                               |                          |
| Prokineticin                | PK2                                 | ✓  | HTS182C                                   | HTS182M                            | HTS182RTA                    |                               |                          |
| Prolactin-releasing peptide | PRP                                 | ✓  | HTS057C                                   | HTS057M                            | HTS057RTA                    |                               |                          |
| Prostanoid                  | CRTH2                               |  |   | HTS031M                            |                              |                               |                          |
| Prostanoid                  | DP                                  | ✓  | HTS091C                                   | HTS091M                            | HTS091RTA                    | HTS091L                       |                          |
| Prostanoid                  | EP1                                 | ✓  | HTS099C                                   | HTS099M                            | HTS099RTA                    |                               | HTS099LT                 |
| Prostanoid                  | EP2                                 | ✓  | HTS185C                                   | HTS185M                            | HTS185RTA                    | HTS185L                       |                          |
| Prostanoid                  | EP3                                 | ✓  | HTS092C                                   | HTS092M                            | HTS092RTA                    | HTS092L                       | HTS092LT                 |
| Prostanoid                  | EP4                                 | ✓  | HTS142C                                   | HTS142M                            | HTS142RTA                    | HTS142L                       |                          |
| Prostanoid                  | FP                                  | ✓  | HTS093C                                   |                                    | HTS093RTA                    |                               |                          |
| Prostanoid                  | IP1                                 | ✓  | HTS131C                                   |                                    | HTS131RTA                    | HTS131L •                     |                          |
| Prostanoid                  | TP                                  | ✓  | HTS081C                                   | HTS081M                            | HTS081RTA                    | HTS081L                       |                          |
| Protease-activated          | PAR2                                |  |   | HTS037M                            |                              |                               |                          |
| Protease-activated          | Thrombin and Trypsin-activated PARs | ✓  |   |                                    |                              |                               |                          |
| PTH receptor                | PTH1                                | ✓  | HTS030C                                   | HTS030M                            | HTS030RTA                    |                               |                          |
| PTH receptor                | PTH2                                | ✓  | HTS173C                                   |                                    | HTS173RTA                    |                               |                          |
| Serotonin                   | 5-HT1A                              | ✓  | HTS107C                                   |                                    |                              |                               |                          |
| Serotonin                   | 5-HT1B                              |  |   | HTS108M                            |                              |                               |                          |
| Serotonin                   | 5-HT2A                              | ✓  | HTS082C                                   | HTS082M                            | HTS082RTA                    |                               |                          |
| Serotonin                   | 5-HT2B                              | ✓  | HTS109C                                   |                                    | HTS109RTA                    |                               |                          |
| Serotonin                   | 5-HT2C                              | ✓  | HTS132C                                   | HTS132M                            | HTS132RTA                    |                               |                          |
| Serotonin                   | 5-HT4                               | ✓  | HTS110C                                   | HTS110M                            | HTS110RTA                    |                               |                          |
| Serotonin                   | 5-HT5A                              |  |   | HTS141M                            |                              |                               |                          |
| Serotonin                   | 5-HT6                               | ✓  | HTS111C                                   | HTS111M                            | HTS111RTA                    |                               |                          |
| Serotonin                   | 5-HT7                               |  |   | HTS215M                            |                              |                               |                          |
| Somatostatin                | sst1                                |  |   | HTS170M                            |                              |                               |                          |
| Somatostatin                | sst2                                | ✓  | HTS028C                                   | HTS028M                            | HTS028RTA                    |                               |                          |
| Somatostatin                | sst3                                | ✓  | HTS171C                                   | HTS171M                            | HTS171RTA                    |                               |                          |
| Somatostatin                | sst4                                | ✓  | HTS125C                                   | HTS125M                            | HTS125RTA                    |                               |                          |
| Somatostatin                | sst5                                | ✓  | HTS139C                                   | HTS139M                            | HTS139RTA                    |                               |                          |
| SPC/LPC /proton-sensor      | GPR68/OGR1                          | ✓  | HTS153C                                   |                                    | HTS153RTA                    |                               |                          |
| Succinate                   | SUCNR1/GPR91                        | ✓  | HTS241C                                   |                                    | HTS241RTA                    |                               |                          |
| Tachykinin /neurokinin      | NK1                                 | ✓  | HTS080C                                   | HTS080M                            | HTS080RTA                    |                               |                          |
| Tachykinin /neurokinin      | NK2                                 | ✓  | HTS144C                                   | HTS144M                            | HTS144RTA                    |                               |                          |
| Tachykinin /neurokinin      | NK3                                 | ✓  | HTS190C                                   |                                    | HTS190RTA                    |                               |                          |
| TRH                         | TRH                                 | ✓  | HTS126C                                   |                                    | HTS126RTA                    |                               |                          |
| Urotensin II                | UT / GPR14                          | ✓  | HTS033C                                   | HTS033M                            |                              |                               |                          |
| Vasopressin                 | V1A                                 | ✓  | HTS059C                                   | HTS059M                            | HTS059RTA                    |                               |                          |
| Vasopressin                 | V1A, rat                            |  |   | HTS177C                            |                              |                               |                          |
| Vasopressin                 | V1B                                 | ✓  | HTS136C                                   | HTS136M                            | HTS036RTA                    |                               |                          |
| Vasopressin                 | V2                                  | ✓  | HTS060C                                   | HTS060M                            | HTS060RTA                    |                               |                          |
| VIP/PACAP                   | PAC1 long isoform                   | ✓  | HTS114C                                   | HTS114M                            | HTS114RTA                    |                               |                          |
| VIP/PACAP                   | VPAC1                               | ✓  | HTS043C                                   | HTS043M                            | HTS043RTA                    |                               |                          |
| VIP/PACAP                   | VPAC2                               | ✓  | HTS079C                                   | HTS079M                            | HTS079RTA                    |                               |                          |

• New Release ✓ Service Available. Cat. No. HTS600GPCR ○ New FORMAT Available.

## VOTED

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## Cell Lines, Membrane Preps and Profiler Services

### GPCR Targets

| GPCR Family                  | ChemiScreen™ Parental Cell Lines | ChemiScreen™ Membrane Preparations | Ready-to-Assay™ Frozen Cells | ChemiBrite™ Parental Cell Lines                                   | ChemiBrite™ Frozen Cells                              | Media      |
|------------------------------|----------------------------------|------------------------------------|------------------------------|---|---|------------|
| CHEM1, parental              | HTSCHEM-1                        | HTS000MC1                          | HTSCHEM-1RTA                 |   |   |            |
| CHEM2, parental              | HTSCHEM-2                        | HTS000MC2                          |                              |   |   |            |
| CHEM3, parental              | HTSCHEM-3                        |                                    |                              |   |   |            |
| CHEM4, parental              | HTSCHEM-4                        |                                    |                              |   |   |            |
| CHEM5, parental              | HTSCHEM-5                        |                                    |                              |   |   |            |
| CHEM9, parental              | HTSCHEM-9                        |                                    |                              |   |   |            |
| CHEM10, parental             | HTSCHEM-10                       |                                    |                              |   |   |            |
| HEK293, parental             |                                  |                                    |                              | HTSHEK-1L<br>HTSHEK-2L<br>HTSHEK-3L<br>HTSHEK-4L •<br>HTSHEK-6L • |   |            |
| HEK293T, parental            |                                  |                                    |                              |   | HTSHEKT-1LT, HTSHEKT-2LT,<br>HTSHEKT-3LT, HTSHEKT-4LT |            |
| CHO, parental                |                                  | HTS000MC                           |                              | HTSCHO-1L   |   |            |
| U2OS, parental               |                                  |                                    |                              | HTSU20S-1L  |   |            |
| CHEM3 100x Growth Supplement |                                  |                                    |                              |   |   | HTSCHEM-3S |

- New Release
- √ Service Available. Cat. No. HTS600GPCR
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## Cell Lines, Membrane Preps and Profiler Services

Merck Millipore offers a fully validated panel of functional profiling assays which employ a variety of PrecisiON<sup>®</sup> ion channel cell lines, the IonWorks<sup>®</sup> HT/Quattro<sup>™</sup> instrument platforms, the PatchXpress<sup>®</sup> instrument, and conventional manual electrophysiology platforms. The cell lines were carefully selected for optimal performance on the IonWorks<sup>®</sup> instrument thus ensuring a high level of accuracy and precision in the assays. Our PrecisiON<sup>®</sup> Ion Channel Cell Lines can be provided as frozen Ready-to-Assay<sup>™</sup> cells to existing license holders, for bulk orders or as a supply agreement.

### Ion Channel Targets: Sodium Channels

| Description | Manual Patch Clamp Service | PatchXpress <sup>®</sup> Service | IonWorks <sup>®</sup> Service | FLIPR <sup>®</sup> Service | PrecisiON <sup>®</sup> Cell Lines | Ready-to-Assay <sup>™</sup> Frozen Cells |
|-------------|----------------------------|----------------------------------|-------------------------------|----------------------------|-----------------------------------|--|
| hNav1.1     | CYL6009MPC                 |                                  | CYL6009QU                     |                            | CLY3009                           | CYL3009RTA                               |
| hNav1.2     | CYL6023MPC                 |                                  | CYL6023QU                     |                            | CLY3032                           | CYL3023RTA                               |
| hNav1.3     | CYL6003MPC                 |                                  | CYL6003QU                     |                            | CLY3003                           | CYL3003RTA                               |
| hNav1.4     | CYL6024MPC                 |                                  | CYL6024QU                     |                            | CLY3024                           | CYL3024RTA                               |
| hNav1.5     | CYL6004MPC                 | CYL6004PX                        | CYL6004QU                     |                            | CLY3004                           | CYL3004RTA                               |
| hNav1.6     | CYL6010MPC                 |                                  | CYL6010QU                     |                            | CYL3010                           |  |
| hNav1.7     | CYL6011MPC                 | CYL6011PX                        | CYL6011QU                     |                            | CLY3011                           | CYL3011RTA                               |
| hNav1.8/β1  | CYL6025MPC                 | CYL6025PX                        |                               |                            | CYL3025                           |  |
| rNav1.8     | CYL6050MPC                 | CYL6050PX                        |                               |                            | CYL3050                           |  |

### Ion Channel Targets: Potassium Channels

| Description    | Manual Patch Clamp Service | PatchXpress <sup>®</sup> Service | IonWorks <sup>®</sup> Service | FLIPR <sup>®</sup> Service | PrecisiON <sup>®</sup> Cell Lines | Ready-to-Assay <sup>™</sup> Frozen Cells |
|----------------|----------------------------|----------------------------------|-------------------------------|----------------------------|-----------------------------------|--|
| hERG-CHO       | CYL5038MPC                 |                                  | CYL5038QU                     |                            | CYL3038                           | CYL3038RTA                               |
| hERG-HEK       | CYL5039MPC                 | CYL5039PX                        |                               |                            | CYL3039                           |  |
| hKCNQ1/hminK   | CYL5007MPC                 |                                  | CYL5007QU                     |                            | CYL3007                           | CYL3007RTA                               |
| hKir2.1        | CYL5032MPC                 |                                  | CYL5032QU                     |                            | CYL3032                           | CYL3032RTA                               |
| hKv1.1         | CYL6014MPC                 |                                  | CYL6014QU                     |                            | CYL3014                           | CYL3014RTA                               |
| hKv1.2         | CYL6015MPC                 |                                  | CYL6015QU                     |                            | CYL3015                           |  |
| hKv1.3         | CYL6016MPC                 |                                  | CYL6016QU                     |                            | CYL3016                           | CYL3016RTA                               |
| hKv1.4         | CYL6017MPC                 |                                  | CYL6017HT                     |                            | CYL3017                           |  |
| hKv1.5         | CYL5018MPC                 |                                  | CYL5018QU                     |                            | CYL3018                           | CY3018RTA                                |
| hKv1.6         | CYL6019MPC                 |                                  | CYL6019HT                     |                            | CYL3019                           |  |
| hKv1.7         | CYL6020MPC                 |                                  | CYL6020HT                     |                            | CYL3020                           |  |
| hKv1.8         | CYL6021MPC                 |                                  | CYL6021HT                     |                            | CYL3021                           |  |
| hKv2.1         | CYL6022MPC                 |                                  | CYL6022HT                     |                            | CYL3022                           | CYL3022RTA                               |
| hKv2.1/hKv9.2  | CYL6067MPC                 |                                  |                               |                            | CYL3067                           |  |
| hKv3.1         | CYL6043MPC                 |                                  | CYL6043QU                     |                            | CYL3043                           |  |
| hKv3.2         | CYL6044MPC                 |                                  | CYL6044QU                     |                            | CYL3044                           |  |
| hKv3.3         | CYL6045MPC                 |                                  | CYL6045QU                     |                            | CYL3045                           |  |
| hKv4.2/hKChIP2 | CYL6026MPC                 |                                  |                               |                            | CYL3026                           |  |
| hKv4.3/hKChIP1 | CYL6027MPC                 |                                  | CYL6027QU                     |                            | CYL3027                           |  |
| hKv4.3/hKChIP2 | CYL5069MPC                 |                                  | CYL5069QU                     |                            | CYL3069                           | CYL3069RTA                               |
| hKv7.2/hKv7.3  | CYL6059MPC                 |                                  | CYL6059QU                     |                            | CYL3059                           |  |
| hKv7.3/hKv7.5  | CYL6060MPC                 |                                  | CYL6060QU                     |                            | CYL3060                           |  |
| hKv7.4         | CYL6092MPC                 |                                  | CYL6092QU                     |                            | CYL3092                           |  |
| hKv7.4/hKv7.5  | CYL6096MPC                 |                                  |                               |                            | CYL3096                           |  |
| hKv12.2        |                            |                                  |                               |                            | CYL3089                           |  |



## Cell Lines, Membrane Preps and Profiler Services

### Ion Channel Targets: Calcium Channels

| Description     | Manual Patch Clamp Service | PatchXpress® Service | IonWorks® Service | FLIPR® Service | PrecisION® Cell Lines | Ready-to-Assay™ Frozen Cells |
|-----------------|----------------------------|----------------------|-------------------|----------------|-----------------------|------------------------------|
| hL-type Calcium | CYL5051MPC                 | Inquire              | CYL5051QU         |                | Inquire               |                              |
| hN-type Calcium | CYL6054MPC                 |                      | CYL6054QU         |                | CYL3054               |                              |
| hT-type Calcium | CYL6075MPC                 |                      | CYL6075QU         |                | CYL3075               |                              |

### Ion Channel Targets: HCN Channels

| Description | Manual Patch Clamp Service | PatchXpress® Service | IonWorks® Service | FLIPR® Service | PrecisION® Cell Lines | Ready-to-Assay™ Frozen Cells |
|-------------|----------------------------|----------------------|-------------------|----------------|-----------------------|------------------------------|
| hHCN1       | CYL6040MPC                 |                      | CYL6040HT         |                | CYL3040               |                              |
| hHCN2       | CYL6041MPC                 |                      | CYL6041HT         |                | CYL3041               |                              |
| hHCN3       | CYL6042MPC                 |                      |                   |                | CYL3042               |                              |
| hHCN4       | CYL5012MPC                 |                      | CYL5012HT         |                | CYL3012               | CYL3012RTA                   |

### Ion Channel Targets: Ligand Gated Channels

| Description                     | Manual Patch Clamp Service | PatchXpress® Service | IonWorks® Service | FLIPR® Service | PrecisION® Cell Lines | Ready-to-Assay™ Frozen Cells |
|---------------------------------|----------------------------|----------------------|-------------------|----------------|-----------------------|------------------------------|
| hASIC3                          | CYL8055MPC                 |                      |                   |                | CYL3055               |                              |
| hCFTR                           | CYL8088MPC                 |                      |                   |                | CYL3088               |                              |
| hGABA <sub>A</sub> α1/β3/γ2     | CYL8053MPC                 | CLY8053PX            | CYL8053QU         |                | CYL3053               |                              |
| hGABA <sub>A</sub> α2/β3/γ2-HEK | CYL8072MPC                 |                      |                   |                | CYL3072               |                              |
| hGABA <sub>A</sub> α3/β3/γ2     | CYL8068MPC                 | CYL8068PX            | CYL8068QU         |                | CYL3068               |                              |
| hGABA <sub>A</sub> α4/β3/γ2-HEK | CYL8085MPC                 |                      |                   |                | CYL3085               |                              |
| hGABA <sub>A</sub> α5/β3/γ2     | CYL8073MPC                 |                      |                   |                | CYL3073               |                              |
| hGABA <sub>A</sub> α6/β3/γ2-HEK | CYL8086MPC                 |                      |                   |                | CYL3086               |                              |
| hGluR6                          | CYL8049MPC                 | CLY8049PX            |                   |                | CYL3049               |                              |
| hGlyRA1                         | CYL8056MPC                 |                      |                   |                | CYL3056               |                              |
| hnAChR α1/β1/δ/e                | CYL8052MPC                 |                      |                   |                | CYL3052               |                              |
| hnAChR α3/β4                    | CYL8057MPC                 |                      |                   |                | CYL3057               |                              |

### Ion Channel Targets: TRP Channels

| Description | Manual Patch Clamp Service | PatchXpress® Service | IonWorks® Service | FLIPR® Service | PrecisION® Cell Lines | Ready-to-Assay™ Frozen Cells |
|-------------|----------------------------|----------------------|-------------------|----------------|-----------------------|------------------------------|
| hTRPA1      | CYL8066MPC                 |                      |                   | CYL8066FL      | CYL3066               |                              |
| hTRPV1      | CYL8063MPC                 | CYL8063PX            |                   | CYL8063FL      | CYL3063               |                              |
| hTRPV3      | CYL8065MPC                 |                      |                   | CYL8065FL      | CYL3065               |                              |

### CardiacProfiler™ Service

The IonChannelProfiler™ Service is offered as a monthly profiling service that allows for the comprehensive functional assessment of drug candidates against hERG, hHCN4, hKCNQ1/hminK, hKir2.1, hKv1.5, hKv4.3/hKChIP2, hNav1.5, and Human L-type Calcium ion channels. Collectively, these cardiac ion channels play a critical role in the normal functioning of the human heart and were chosen to provide a more thorough assessment of the true cardiac liability of drug candidates.

| Description   | IonWorks® Service |
|---|-------------------|
| Panel profiling service against hERG, hHCN4, hKCNQ1/hminK, hKir2.1, hKv1.5, hKv4.3/hKChIP2, hNav1.5, and Human L-type Calcium | CLY9000           |

### PrecisION® Recombinant hERG Potassium Ion Channel Membrane Preparation

To compliment our functional assays, Merck Millipore provides membranes for hERG radioligand binding assays. These have been validated using several different radioligands and provide comparable data found in published literature. While not providing a functional measure of hERG activity, the very high throughput of radioligand binding assays have made this a very useful approach for monitoring potential hERG liability at the earliest phase of drug discovery. Here, the primary aims are to raise flags which could trigger follow-up studies, such as electrophysiological screening.

| Description | Species | Background Cell Type | Cell Line Catalog No. |
|-------------|---------|----------------------|-----------------------|
| hERG        | human   | HEK                  | CYL4039               |

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# Enzymes and Profiler Services

## Kinase Targets

Merck Millipore has a broad and continuously expanding portfolio of recombinant proteins. This portfolio includes more than 350 active, inactive and unactivated kinases, phosphatases and the largest available selection of disease-relevant mutants. All of our enzymes are available in quantities sufficient for high throughput screening.

| Enzyme                                   | Catalogue No. | Kinase Profiler™ Service | Assay Kit | Substrate              |
|--|---------------|--------------------------|-----------|------------------------|
| Abl, active                              | 14-529        | ✓                        |           | 12-493, 12-525, 12-539 |
| Abl, active, mouse                       | 14-459        | ✓                        |           | 12-493, 12-525, 12-539 |
| Abl (H396P), active                      | 14-750        | ✓                        |           | 12-493, 12-525, 12-539 |
| Abl (M351T), active                      | 14-757        | ✓                        |           | 12-493, 12-525, 12-539 |
| Abl (Q252H), active                      | 14-751        | ✓                        |           | 12-493, 12-525, 12-539 |
| Abl (T315I), active                      | 14-522        | ✓                        |           | 12-493, 12-525, 12-539 |
| Abl (Y253F), active                      | 14-759        | ✓                        |           | 12-493, 12-525, 12-539 |
| ACK1, active                             | 14-756        | ✓                        |           |                        |
| Akt1/PKBα (ΔPH), active                  | 14-341        |                          |           |                        |
| Akt1/PKBα (ΔPH, S473D), active           | 14-453        |                          |           |                        |
| Akt1/PKBα, active                        | 14-276        | ✓                        |           |                        |
| Akt1/PKBα, unactive                      | 14-279        |                          |           |                        |
| Akt1/PKBα-GST, unactivated agarose conj. | 14-241        |                          |           |                        |
| Akt2/PKBβ (ΔPH, S474D), active           | 14-339        | ✓                        |           |                        |
| Akt3/PKBγ (S472D), active                | 14-502        | ✓                        |           |                        |
| Akt3/PKBγ, unactive                      | 14-416        |                          |           |                        |
| ALK, active                              | 14-555        | ✓                        |           |                        |
| ALK4, active                             | 14-614        | ✓                        |           |                        |
| AMPK (α1, β1, γ1), active                | 14-840        | ✓                        |           | 12-355                 |
| AMPK (α2, β1, γ1), active                | 14-902        | ✓                        |           | 12-355                 |
| Arg, active, human                       | 14-521        | ✓                        |           |                        |
| Arg, active, mouse                       | 14-460        | ✓                        |           |                        |
| ARK5, active                             | 14-661        | ✓                        |           |                        |
| ASK1, active                             | 14-606        | ✓                        |           |                        |
| Aurora A, active                         | 14-511        | ✓                        |           |                        |
| Aurora B, active                         | 14-835        | ✓                        |           |                        |
| Aurora C, active                         | 14-911        | ✓                        |           |                        |
| Axl, active                              | 14-512        | ✓                        |           | 12-516                 |
| Blk, active, human                       | 14-517        | ✓                        |           |                        |
| Blk, active, mouse                       | 14-316        | ✓                        |           |                        |
| Bmx, active                              | 14-499        | ✓                        |           |                        |

| Enzyme                                   | Catalogue No. | Kinase Profiler™ Service | Assay Kit | Substrate      |
|--|---------------|--------------------------|-----------|----------------|
| B-Raf (V599E), active                    | 14-557        |                          |           |                |
| B-Raf (Δ1-415), active                   | 14-530        |                          |           |                |
| Brk, active                              | 14-613        | ✓                        |           |                |
| BRSK1, active                            | 14-675        | ✓                        |           |                |
| BRSK2, active                            | 14-655        | ✓                        |           |                |
| BTK, active                              | 14-552        | ✓                        |           |                |
| BTK (E41K), active                       | 14-773        |                          |           |                |
| BTK (R28H), active                       | 14-765        | ✓                        |           |                |
| CaM Kinase I, active                     | 14-663        | ✓                        |           | 12-433, 12-451 |
| CaM Kinase IIβ, active                   | 14-718        | ✓                        |           | 12-433, 12-451 |
| CaM Kinase IIγ, active                   | 14-719        | ✓                        |           | 12-433, 12-451 |
| CaM Kinase IIδ, active                   | 14-723        | ✓                        |           | 12-433, 12-451 |
| CaM Kinase IV, active                    | 14-547        | ✓                        |           |                |
| CaM Kinase Iδ, active                    | 14-731        | ✓                        |           |                |
| Casein Kinase 1, active, yeast           | 14-112        | ✓                        |           | 12-423, 12-529 |
| Casein Kinase 1γ1, active                | 14-711        | ✓                        |           | 12-423, 12-529 |
| Casein Kinase 1γ2, active                | 14-712        | ✓                        |           | 12-423, 12-529 |
| Casein Kinase 1γ3, active                | 14-713        | ✓                        |           | 12-423, 12-529 |
| Casein Kinase 1δ (aa 1-294), active      | 14-520        | ✓                        |           | 12-423, 12-529 |
| Casein Kinase 2, active                  | 14-197        | ✓                        |           | 12-330         |
| Casein Kinase 2α, active                 | 14-445        |                          |           | 12-330         |
| Casein Kinase 2α2, active                | 14-689        | ✓                        |           | 12-330         |
| cdk1/cyclin B, active                    | 14-450        | ✓                        |           |                |
| cdk2/cyclin A, active                    | 14-448        | ✓                        |           |                |
| cdk2/cyclin E, active                    | 14-475        | ✓                        |           |                |
| cdk3/cyclin E, active                    | 14-487        | ✓                        |           |                |
| cdk5/p25, active                         | 14-516        | ✓                        |           |                |
| cdk5/p35, active                         | 14-477        | ✓                        |           |                |
| cdk6/cyclin D3, active                   | 14-519        | ✓                        |           |                |
| cdk7/cyclin H/MAT1 (CAK complex), active | 14-476        | ✓                        |           | 12-526         |
| cdk9/cyclin T1, active                   | 14-685        | ✓                        |           |                |
| CHK1, active                             | 14-346        | ✓                        |           | 12-373, 12-414 |
| CHK2, active                             | 14-347        | ✓                        |           | 12-373, 12-414 |
| CHK2 (I157T)                             | 14-741        | ✓                        |           | 12-373, 12-414 |
| CHK2 (R145W)                             | 14-740        | ✓                        |           | 12-373, 12-414 |
| c-Kit (D816H), active                    | 14-726        | ✓                        |           |                |

| Enzyme                                    | Catalogue No. | Kinase Profiler™ Service | Assay Kit | Substrate |
|---|---------------|--------------------------|-----------|-----------|
| c-Kit (D816V), active                     | 14-611        | ✓                        |           |           |
| c-Kit (V560G), active                     | 14-730        | ✓                        |           |           |
| c-Kit (V654A), active                     | 14-733        | ✓                        |           |           |
| c-Kit, active                             | 14-559        | ✓                        |           |           |
| CLK2, active                              | 14-774        | ✓                        |           |           |
| CLK3, active                              | 14-724        | ✓                        |           |           |
| Cot1, active                              | 14-703        |                          |           |           |
| CSK, active                               | 14-458        | ✓                        |           |           |
| C-TAK1 (cdc25C associated protein kinase) | 14-375        |                          |           |           |
| DAPK1, active                             | 14-692        | ✓                        |           |           |
| DAPK2, active                             | 14-657        | ✓                        |           |           |
| DCAMKL2, active                           | 14-716        | ✓                        |           |           |
| DDR2, active                              | 14-579        | ✓                        |           |           |
| DMPK, active                              | 14-649        | ✓                        |           |           |
| DRAK1, active                             | 14-668        | ✓                        |           |           |
| DYRK1A, active                            | 14-435        |                          |           |           |
| DYRK2, active                             | 14-669        | ✓                        |           |           |
| eEF-2K, active                            | 14-654        | ✓                        |           | 12-550    |
| EGFR, active                              | 14-531        | ✓                        |           |           |
| EGFR (L858R), active                      | 14-626        | ✓                        |           |           |
| EGFR (L861Q), active                      | 14-627        | ✓                        |           |           |
| EGFR (T790M), active                      | 14-725        | ✓                        |           |           |
| EGFR (T790M, L858R), active               | 14-721        | ✓                        |           |           |
| EphA1, active                             | 14-653        | ✓                        |           |           |
| EphA2, active                             | 14-560        | ✓                        |           |           |
| EphA3, active                             | 14-644        | ✓                        |           |           |
| EphA4, active                             | 14-574        | ✓                        |           |           |
| EphA5, active                             | 14-639        | ✓                        |           |           |
| EphA7, active                             | 14-672        | ✓                        |           |           |
| EphA8, active                             | 14-673        | ✓                        |           |           |
| EphB1, active                             | 14-674        | ✓                        |           |           |
| EphB2, active                             | 14-553        | ✓                        |           |           |
| EphB3, active                             | 14-561        | ✓                        |           |           |
| EphB4, active                             | 14-554        | ✓                        |           |           |
| erbB-4/HER-4, active                      | 14-569        | ✓                        |           |           |
| FAK, active                               | 14-720        | ✓                        |           |           |
| Fer, active                               | 14-605        | ✓                        |           |           |
| FES/FPS, active                           | 14-473        | ✓                        |           |           |
| FGFR1, active                             | 14-582        | ✓                        |           |           |
| FGFR1 (V561M), active                     | 14-734        | ✓                        |           |           |
| FGFR2, active                             | 14-617        | ✓                        |           |           |
| FGFR2 (N549H), active                     | 14-742        | ✓                        |           |           |
| FGFR3, active                             | 14-464        | ✓                        |           |           |
| FGFR4, active                             | 14-583        | ✓                        |           |           |
| Fgr, active                               | 14-568        | ✓                        |           |           |
| Flt-1, active                             | 14-562        | ✓                        |           |           |
| Flt-3 (D835Y), active                     | 14-610        | ✓                        |           |           |
| Flt-3, active                             | 14-500        | ✓                        |           |           |
| Flt-4, active                             | 14-681        | ✓                        |           |           |

✓ Service Available. No catalogue number required.

## Kinase Targets

| Enzyme                       | Catalogue No. | Kinase Profiler™ Service | Assay Kit | Substrate |
|------------------------------|---------------|--------------------------|-----------|-----------|
| Fms, active                  | 14-551        | ✓                        |           |           |
| Fms (Y969C), active          | 14-820        | ✓                        |           |           |
| Fyn, active                  | 14-441        | ✓                        |           |           |
| Fyn, partially purified      | 14-107        |                          |           |           |
| GCK, active                  | 14-743        | ✓                        |           |           |
| GRK5, active                 | 14-714        | ✓                        |           |           |
| GRK6, active                 | 14-715        | ✓                        |           |           |
| GRK7, active                 | 14-752        | ✓                        |           |           |
| GSK3α, active                | 14-492        | ✓                        |           | 12-533    |
| GSK3β, active                | 14-306        | ✓                        |           | 12-533    |
| GSK3β, active, rat           | 14-538        |                          |           | 12-533    |
| Haspin, active               | 14-744        | ✓                        |           |           |
| Hck, activated               | 14-843        | ✓                        |           |           |
| Hck, active                  | 14-577        | ✓                        |           |           |
| HIPK1, active                | 14-679        | ✓                        |           |           |
| HIPK2, active                | 14-623        | ✓                        |           |           |
| HIPK3, active                | 14-680        | ✓                        |           |           |
| IGF-1R, activated            | 14-802        | ✓                        |           | 12-527    |
| IGF-1R, active               | 14-465        | ✓                        |           | 12-527    |
| IKKα, active                 | 14-461        | ✓                        |           | 12-459    |
| IKKβ, active                 | 14-485        | ✓                        |           | 12-460    |
| Insulin Receptor, activated  | 14-803        | ✓                        |           |           |
| Insulin Receptor, active     | 14-466        | ✓                        |           |           |
| IRAK1, active                | 14-684        | ✓                        |           |           |
| IRAK4, active                | 14-599        | ✓                        |           |           |
| IRR, active                  | 14-645        | ✓                        |           |           |
| Irk, active                  | 14-660        | ✓                        |           |           |
| JAK2, active                 | 14-640        | ✓                        |           |           |
| JAK2, immune complex agarose | 14-134        |                          |           |           |
| JAK3, active                 | 14-629        | ✓                        |           | 12-547    |
| JNK1α1/SAPK1c, active        | 14-327        | ✓                        |           |           |
| JNK1α1/SAPK1c, unactive      | 14-328        |                          |           |           |
| JNK2α2/SAPK1a, active        | 14-329        | ✓                        |           |           |
| JNK2α2/SAPK1a, unactive      | 14-330        |                          |           |           |
| JNK3/SAPK1b (K55R), inactive | 14-239        |                          |           | 12-528    |
| JNK3/SAPK1b, active          | 14-501        | ✓                        |           | 12-528    |
| JNK3/SAPK1b, unactive        | 14-523        |                          |           | 12-528    |
| KDR, active                  | 14-630        | ✓                        |           |           |
| Lck, activated               | 14-842        | ✓                        |           |           |
| Lck, active                  | 14-442        | ✓                        |           |           |
| Lck, unactive                | 14-708        |                          |           |           |

| Enzyme                              | Catalogue No. | Kinase Profiler™ Service | Assay Kit | Substrate |
|-------------------------------------|---------------|--------------------------|-----------|-----------|
| LIM Kinase 1, active                | 14-656        | ✓                        |           |           |
| LIM Kinase 1, active, mouse         | 14-457        |                          |           |           |
| LIM Kinase 1, unactive              | 14-659        |                          |           |           |
| LKB1/STRADα/MO25α, active           | 14-596        | ✓                        |           |           |
| LOK, active                         | 14-686        | ✓                        |           |           |
| Lyn, active                         | 14-510        | ✓                        |           |           |
| Lyn, active, mouse                  | 14-315        | ✓                        |           |           |
| Lyn (p56), partially purified       | 14-105        |                          |           |           |
| MAP Kinase 1/Erk1, active           | 14-439        | ✓                        |           |           |
| MAP Kinase 1/Erk1, unactive         | 14-515        |                          |           |           |
| MAP Kinase 1/Erk1 agarose, unactive | 14-121        |                          |           |           |
| MAP Kinase 2/Erk2, active           | 14-550        | ✓                        |           |           |
| MAP Kinase 2/Erk2, active, mouse    | 14-173        | ✓                        |           |           |
| MAP Kinase 2/Erk2, unactive         | 14-536        |                          |           |           |
| MAP Kinase 2/Erk2, unactive, mouse  | 14-198        |                          |           |           |
| MAPKAP Kinase 2, active             | 14-337        | ✓                        |           |           |
| MAPKAP Kinase 2, unactive           | 14-349        |                          |           |           |
| MAP Kinase 2/Erk 2 (K52R), Inactive | 14-696        |                          |           |           |
| MAPKAP Kinase 3, active             | 14-585        | ✓                        |           |           |
| MAPKAP Kinase 3, unactive           | 14-586        |                          |           |           |
| MARK1, active                       | 14-651        | ✓                        |           |           |
| MEK1, active                        | 14-429        | ✓                        |           |           |
| MEK1, unactive                      | 14-420        |                          |           |           |
| MEK1 (K97R), inactive               | 14-737        |                          |           |           |
| MEK2, active                        | 14-528        |                          |           |           |
| MEK2, unactive                      | 14-532        |                          |           |           |
| MEK2, unactive                      | 14-541        |                          |           |           |
| MEK2 (K101M), inactive              | 14-709        |                          |           |           |
| MELK, active                        | 14-909        | ✓                        |           |           |
| Mer, active                         | 14-728        | ✓                        |           |           |
| Met, active                         | 14-526        | ✓                        |           |           |
| Met (D1246H), active                | 14-915        | ✓                        |           |           |
| Met (D1246N), active                | 14-818        | ✓                        |           |           |
| Met (M1268T), active                | 14-817        | ✓                        |           |           |
| Met (Y1248C), active                | 14-805        | ✓                        |           |           |
| Met (Y1248D), active                | 14-816        | ✓                        |           |           |

| Enzyme                           | Catalogue No. | Kinase Profiler™ Service | Assay Kit | Substrate      |
|----------------------------------|---------------|--------------------------|-----------|----------------|
| Met (Y1248H), active             | 14-804        | ✓                        |           |                |
| MINK, active                     | 14-615        | ✓                        |           |                |
| MKK4/SKK1, active, mouse         | 14-377        | ✓                        |           |                |
| MKK4/SKK1, unactive, mouse       | 14-378        |                          |           |                |
| MKK6/SKK3 (S599D, T603D), active | 14-537        |                          |           |                |
| MKK6/SKK3, active                | 14-303        | ✓                        |           |                |
| MKK6/SKK3, unactive              | 14-304        |                          |           |                |
| MKK7β1, active                   | 14-543        | ✓                        |           |                |
| MKK7β1, unactive                 | 14-542        |                          |           |                |
| MLCK, active                     | 14-638        | ✓                        |           |                |
| MLK1, active                     | 14-690        | ✓                        |           |                |
| Mnk2, active                     | 14-664        | ✓                        |           |                |
| MRCKα, active                    | 14-691        | ✓                        |           |                |
| MRCKβ, active                    | 14-643        | ✓                        |           |                |
| MSK1, active                     | 14-548        | ✓                        |           | 12-331, 12-385 |
| MSK2, active                     | 14-616        | ✓                        |           |                |
| MSK2, unactive                   | 14-625        |                          |           |                |
| MSSK1, active                    | 14-665        | ✓                        |           |                |
| MST1, active                     | 14-624        | ✓                        |           |                |
| MST2, active                     | 14-524        | ✓                        |           |                |
| MST3, active                     | 14-695        | ✓                        |           |                |
| mTOR                             |               | ✓                        |           | 12-645         |
| mTOR (1362-end) / FKBP12         | 14-784        |                          |           | 12-645         |
| mTOR (1362-end), active          | 14-770        |                          |           | 12-645         |
| mTOR / FKBP12                    |               | ✓                        |           | 12-645         |
| MuSK, active                     | 14-634        | ✓                        |           |                |
| NEK2, active                     | 14-545        | ✓                        |           |                |
| NEK3, active                     | 14-694        | ✓                        |           |                |
| NEK6, active                     | 14-578        | ✓                        |           |                |
| NEK7, active                     | 14-565        | ✓                        |           | 12-544         |
| NEK11, active                    | 14-700        | ✓                        |           |                |
| NLK, active                      | 14-676        | ✓                        |           |                |
| p38α/SAPK2a, active              | 14-251        | ✓                        |           |                |
| p38α/SAPK2a, unactive            | 14-252        |                          |           |                |
| p38α/SAPK2a (6His-tag), active   | 14-587        |                          |           |                |
| p38α/SAPK2a (6His-tag), unactive | 14-588        |                          |           |                |
| p38α/SAPK2a (T106M), active      | 14-687        | ✓                        |           |                |
| p38β2/SAPK2b2, active            | 14-253        | ✓                        |           |                |
| p38γ/SAPK3, active               | 14-246        | ✓                        |           |                |
| p38δ/SAPK4, active               | 14-249        | ✓                        |           |                |

✓ Service Available. No catalogue number required.



# Enzymes and Profiler Services

## Kinase Targets

| Enzyme  | Catalogue No. | Kinase Profiler™ Service | Assay Kit      | Substrate |
|---|---------------|--------------------------|----------------|-----------|
| p70 S6 Kinase (T141E), active                   | 14-486        | ✓                        |                | 12-420    |
| PAK2, active                                    | 14-481        | ✓                        |                |           |
| PAK3, active                                    | 14-683        |                          |                |           |
| PAK4, active                                    | 14-584        | ✓                        |                |           |
| PAK5, active                                    | 14-699        | ✓                        |                |           |
| PAK6, active                                    | 14-633        | ✓                        |                |           |
| PAR-1Ba, active                                 | 14-544        | ✓                        |                |           |
| PASK, active                                    | 14-701        | ✓                        |                |           |
| PDGFRα, active                                  | 14-467        | ✓                        |                |           |
| PDGFRα (550-end, V561D), active human           | 14-735        | ✓                        |                |           |
| PDGFRα (D842V), active                          | 14-729        | ✓                        |                |           |
| PDGFRβ, active                                  | 14-463        | ✓                        |                |           |
| PDK1, active                                    | 14-452        | ✓                        |                | 12-401    |
| PEK, active •                                   | 14-916        | ✓                        |                |           |
| PhKγ2, active                                   | 14-698        | ✓                        |                |           |
| PI3 Kinase (p110α (E542K)/p85α), active, human  | 14-782        | ✓                        | 17-494, 17-495 |           |
| PI3 Kinase (p110α (E542K)/p85α), mouse          | 14-791        | ✓                        | 17-494, 17-495 |           |
| PI3 Kinase (p110α (E545K)/p85α), active, human  | 14-783        | ✓                        | 17-494, 17-495 |           |
| PI3 Kinase (p110α (E545K)/p85α), active, mouse  | 14-781        | ✓                        | 17-494, 17-495 |           |
| PI3 Kinase (p110α (H1047R)/p85α), active, mouse | 14-787        | ✓                        | 17-494, 17-495 |           |
| PI3 Kinase (p110α (H1047R)/p85α), human         | 14-792        | ✓                        | 17-494, 17-495 |           |
| PI3 Kinase (p110α/p65α), active, human          | 14-790        | ✓                        | 17-494, 17-495 |           |
| PI3 Kinase (p110α/p65α), active, mouse          | 14-786        | ✓                        | 17-494, 17-495 |           |
| PI3 Kinase (p110α/p85α), active, human          | 14-602        | ✓                        | 17-494, 17-495 |           |
| PI3 Kinase (p110α/p85α), active, mouse          | 14-785        | ✓                        | 17-494, 17-495 |           |
| PI3 Kinase (p110β/p85α), active, mouse          | 14-794        | ✓                        | 17-494, 17-495 |           |
| PI3 Kinase (p110β/p85α), active, human          | 14-603        | ✓                        | 17-494, 17-495 |           |
| PI3 Kinase (p110β/p85α), active, mouse          | 14-788        | ✓                        | 17-494, 17-495 |           |
| PI3 Kinase (p110δ/p85α), active, mouse          | 14-789        | ✓                        | 17-494, 17-495 |           |

| Enzyme                                 | Catalogue No. | Kinase Profiler™ Service | Assay Kit      | Substrate                      |
|--|---------------|--------------------------|----------------|--------------------------------|
| PI3 Kinase (p110δ/p85α), active, human | 14-604        | ✓                        | 17-494, 17-495 |                                |
| PI3 Kinase (p120γ), human              | 14-558        | ✓                        | 17-494, 17-495 |                                |
| PI3 Kinase C2α, active                 | 14-906        | ✓                        | 17-494, 17-495 |                                |
| PI3 Kinase C2β, active                 | 14-907        | ✓                        | 17-494, 17-495 |                                |
| PI3 Kinase C2γ, active                 | 14-910        | ✓                        | 17-494, 17-495 |                                |
| PI4KIIIα, active                       | 14-908        | ✓                        |                |                                |
| Pim-1, active                          | 14-573        | ✓                        |                |                                |
| Pim-2, active                          | 14-607        | ✓                        |                | 12-542                         |
| Pim-3, active                          | 14-738        | ✓                        |                |                                |
| PIP4K2α, active                        | 14-901        | ✓                        |                |                                |
| PIP5K1α, active                        | 14-844        | ✓                        |                |                                |
| PIP5K1γ, active                        | 14-845        | ✓                        |                |                                |
| PKA, catalytic subunit, recombinant    | 14-440        | ✓                        |                | 12-151, 12-152, 12-394         |
| PKC, active                            | 14-115        |                          |                | 12-121, 12-123, 12-411, 12-536 |
| PKCα, active                           | 14-484        | ✓                        |                |                                |
| PKCβI, active                          | 14-503        | ✓                        |                |                                |
| PKCβII, active                         | 14-496        | ✓                        |                |                                |
| PKCγ, active                           | 14-483        | ✓                        |                |                                |
| PKCδ, active                           | 14-504        | ✓                        |                |                                |
| PKCε, active                           | 14-518        | ✓                        |                |                                |
| PKCζ, active                           | 14-525        | ✓                        |                |                                |
| PKCη, active                           | 14-497        | ✓                        |                |                                |
| PKCθ, active                           | 14-444        | ✓                        |                |                                |
| PKCι, active                           | 14-505        | ✓                        |                |                                |
| PKCιu, active                          | 14-508        | ✓                        |                |                                |
| PKD2, active                           | 14-506        | ✓                        |                |                                |
| PKG1α, active                          | 14-688        | ✓                        |                |                                |
| PKG1β, active                          | 14-650        | ✓                        |                |                                |
| PKR agarose, active                    | 14-423        |                          |                |                                |
| Plk1, active                           | 14-777        | ✓                        |                |                                |
| Plk3, active                           | 14-572        | ✓                        |                |                                |
| PRAK, active                           | 14-334        | ✓                        |                | 12-363                         |
| PRAK, unactive                         | 14-335        |                          |                | 12-363                         |
| PRK2, active                           | 14-549        | ✓                        |                |                                |
| PRKX, active                           | 14-677        | ✓                        |                |                                |
| PTK5, active                           | 14-693        | ✓                        |                |                                |
| Pyk2, active                           | 14-567        | ✓                        |                |                                |
| Raf-1 (truncated), active              | 14-352        | ✓                        |                |                                |
| Ret (V804L), active                    | 14-758        | ✓                        |                |                                |

| Enzyme                             | Catalogue No. | Kinase Profiler™ Service | Assay Kit | Substrate |
|------------------------------------|---------------|--------------------------|-----------|-----------|
| Ret (V804M), active                | 14-760        | ✓                        |           |           |
| Ret, active                        | 14-570        | ✓                        |           |           |
| RIPK2, active                      | 14-612        | ✓                        |           |           |
| ROKα/ROCK-II, active               | 14-451        | ✓                        |           |           |
| ROKα/ROCK-II, active, rat          | 14-338        | ✓                        |           |           |
| ROKβ/ROCK-I, active                | 14-601        | ✓                        |           |           |
| Ron, active                        | 14-581        | ✓                        |           |           |
| Ros, active                        | 14-527        | ✓                        |           |           |
| Rse, active                        | 14-535        | ✓                        |           |           |
| Rsk1/MAPKAP Kinase 1a, active      | 14-509        | ✓                        |           | 12-124    |
| Rsk1/MAPKAP Kinase 1a, active, rat | 14-479        | ✓                        |           |           |
| Rsk2/MAPKAP Kinase 1b, active      | 14-480        | ✓                        |           | 12-243    |
| Rsk3, active                       | 14-462        | ✓                        |           |           |
| Rsk4, active                       | 14-702        | ✓                        |           |           |
| SGK1 (Δ1-59, S422D), active        | 14-331        | ✓                        |           |           |
| SGK1 (Δ1-59, S422D), unactive      | 14-332        |                          |           |           |
| SGK2, active                       | 14-635        | ✓                        |           |           |
| SGK2, unactive                     | 14-636        |                          |           |           |
| SGK3, active                       | 14-647        | ✓                        |           |           |
| SGK3, unactive                     | 14-648        |                          |           |           |
| SIK, active                        | 14-652        | ✓                        |           |           |
| Snk, active                        | 14-637        | ✓                        |           |           |
| Src, active                        | 14-326        | ✓                        |           | 12-543    |
| Src (1-530), active                | 14-746        | ✓                        |           |           |
| Src (p60c-src)                     | 14-117        |                          |           |           |
| Src (T341M), active                | 14-748        | ✓                        |           |           |
| SRPK1, active                      | 14-564        | ✓                        |           | 12-532    |
| SRPK2, active                      | 14-666        | ✓                        |           |           |
| STK33, active                      | 14-671        | ✓                        |           |           |
| Syk, active                        | 14-314        | ✓                        |           |           |
| TAK1-TAB1 fusion, active           | 14-600        | ✓                        |           |           |
| TAO1, active                       | 14-749        | ✓                        |           |           |
| TAO2, active                       | 14-736        | ✓                        |           |           |
| TAO3, active                       | 14-745        | ✓                        |           |           |
| TBK1, active                       | 14-628        | ✓                        |           |           |
| Tec, activated                     | 14-801        | ✓                        |           |           |
| TGFBR1, active                     | 14-912        | ✓                        |           |           |
| Tie2, active                       | 14-540        | ✓                        |           |           |
| Tie2 (R849W), active               | 14-763        | ✓                        |           |           |
| Tie2 (Y1108F)                      | 14-766        |                          |           |           |
| Tie2 (Y897S)                       | 14-764        | ✓                        |           |           |
| TLK2, active                       | 14-739        | ✓                        |           |           |
| TrkA, active                       | 14-571        | ✓                        |           |           |
| TrkB, active                       | 14-507        | ✓                        |           |           |
| TSSK1, active                      | 14-670        | ✓                        |           |           |

• New Release ✓ Service Available. No catalogue number required.

| Enzyme         | Catalogue No. | Kinase Profiler™ Service | Assay Kit | Substrate |
|----------------|---------------|--------------------------|-----------|-----------|
| TSSK2, active  | 14-632        | ✓                        |           |           |
| Txk, active    | 14-761        | ✓                        |           |           |
| ULK2, active   | 14-772        | ✓                        |           |           |
| ULK3, active   | 14-755        | ✓                        |           |           |
| VRK2, active   | 14-732        | ✓                        |           |           |
| Wee1, active   | 14-408        |                          |           |           |
| WNK2, active   | 14-678        | ✓                        |           |           |
| WNK3, active   | 14-658        | ✓                        |           |           |
| Yes, active    | 14-478        | ✓                        |           |           |
| ZAP-70, active | 14-404        | ✓                        |           |           |
| ZIPK, active   | 14-608        | ✓                        |           | 12-545    |

## Phosphatase Targets

| Enzyme                          | Catalogue No. | Phosphatase Profiler™ Service |
|---------------------------------|---------------|-------------------------------|
| Calcineurin/PP2B, active        | 14-390        |                               |
| Calcineurin/PP2B, active        | 14-446        |                               |
| CD45                            | 14-618        | ✓                             |
| cdc25A, active                  | 14-369        |                               |
| cdc25B, active                  | 14-370        |                               |
| DUSP22                          | 14-641        | ✓                             |
| HePTP                           | 14-593        | ✓                             |
| Lambda Protein Phosphatase      | 14-405        |                               |
| Lambda Protein Phosphatase, GST | 14-598        |                               |
| LMPTP-A                         | 14-619        | ✓                             |
| LMPTP-B                         | 14-620        | ✓                             |
| MKP-1/CL100, active             | 14-391        |                               |
| MKP5, active                    | 14-779        | ✓                             |
| PP1, purified                   | 14-110        |                               |
| PP1 $\alpha$                    | 14-595        | ✓                             |
| PP2A, purified                  | 14-111        | ✓                             |
| PP5, active                     | 14-778        | ✓                             |
| PTEN, active                    | 14-488        |                               |
| PTP-1B                          | 14-358        |                               |
| PTP-1B                          | 14-621        | ✓                             |
| PTP-1B, active, agarose conj.   | 14-109        |                               |
| PTP $\beta$                     | 14-350        | ✓                             |
| PTPMEG-1                        | 14-642        | ✓                             |
| PTPMEG-2                        | 14-592        | ✓                             |
| PTPN22                          | 14-768        | ✓                             |
| RPTP $\mu$ , active             | 14-780        | ✓                             |
| SHP-1/SHPTP-1                   | 14-113        | ✓                             |
| SHP-1                           | 14-591        | ✓                             |
| SHP-2                           | 14-622        | ✓                             |
| TCPTP                           | 14-646        | ✓                             |
| TMDP                            | 14-767        | ✓                             |
| VHR                             | 14-594        | ✓                             |
| YopH, active                    | 14-590        | ✓                             |

✓ Service Available. No catalogue number required.

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## Ubiquitin

### UbiquitinProfiler™: At a Glance

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#### Cascades **NOW AVAILABLE**

| E1 ligase | E2 ligase | E3 ligase                | Substrate |
|-----------|-----------|--------------------------|-----------|
| Ube1      | UbcH3     | SCF <sup>Skp2/Cks1</sup> | p27       |
| Ube1      | UbcH3     | SCF <sup>βTrCP1</sup>    | β-catenin |
| Ube1      | UbcH3     | SCF <sup>βTrCP1</sup>    | IκBα      |
| Ube1      | UbcH4     | SCF <sup>βTrCP1</sup>    | β-catenin |
| Ube1      | UbcH4     | SCF <sup>βTrCP1</sup>    | IκBα      |
| Ube1      | UbcH3     | SCF <sup>Fbw7</sup>      | Cyclin E  |
| Ube1      | UbcH5a    | SCF <sup>Fbw7</sup>      | Cyclin E  |

#### Cascades **IN DEVELOPMENT**

| E1 ligase | E2 ligase | E3 ligase | Substrate             |
|-----------|-----------|-----------|-----------------------|
| UBE1      | UbcH4     | MDM2/CK1δ | p53                   |
| UBE1      | UbcH5c    | MDM2/CK1δ | p53                   |
| UBE1      | UbcH4     | MDM2      | (auto-ubiquitination) |
| UBE1      | UbcH5c    | MDM2      | (auto-ubiquitination) |
| UBE1      | UbcH4     | c-Cbl     | Src                   |
| UBE1      | UbcH4     | c-Cbl     | Kit                   |
| UBE1      | UbcH4     | VHL       | HIF-1α                |
| UBE1      | UbcH5a    | VHL       | HIF-1α                |
| UBE1      | UbcH5c    | VHL       | HIF-1α                |

### GET STARTED

Visit Merck Millipore's Drug Discovery and Development Portal to download a request form - [www.millipore.com/portal](http://www.millipore.com/portal), or email [ubiquitinprofiler@merckgroup.com](mailto:ubiquitinprofiler@merckgroup.com).



## Specialty Services

### FlexLab<sup>SM</sup> Service

Obtaining the reagents you need to drive your discovery program is not limited to our existing product line. Our FlexLab<sup>SM</sup> Service provides you with experience, expertise and the flexibility you require to drive your discovery program. We offer custom protein production for Kinases, Phosphatases and protein substrates and custom cell line development for GPCRs and Ion Channels. In addition, our custom assay development team can design unique assays or extend our current services to fit individual needs.

### Cross-Target Safety & Liability Screening

Merck Millipore also offers a unique cross-target service panel for early drug discovery screening against a liability focused functional assay panel of GPCRs, Ion Channels, Kinases and Phosphatases.



### Find the real target hiding in your hit list. DART<sup>TM</sup> Target Visualization.

Merck Millipore has introduced the first software application for in-depth visualization of GPCR and kinase profiling data. Our Data Analysis and Report Tool (DART<sup>TM</sup>) creates an interactive map of target profiling assay results, enabling you to make faster, more informed decisions in your drug development projects.

With the DART<sup>TM</sup> Tool, you can:

- Securely load previously obtained KinaseProfiler<sup>TM</sup>, GPCRProfiler<sup>®</sup> or AllostericProfiler<sup>TM</sup> service data
- Map data onto interactive KINome and GPCROME diagrams
- Visualize % inhibition, % activity and other data correlated with submitted compounds
- Customize normalization and display options
- Compare activities of up to 4 compounds at once
- Save or print mapped data

Try the demo: [www.millipore.com/visualize](http://www.millipore.com/visualize)

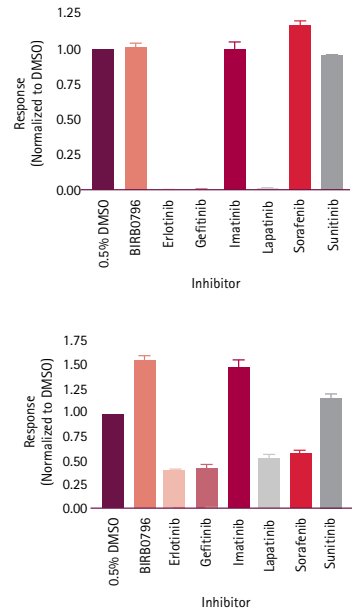


## Specialty Services: SignalProfiler™ Services

### NEW

#### SignalProfiler™ Service

Utilizing MILLIPLEX® MAPmate™ cell signaling kits, SignalProfiler™ Service profiles samples against a panel of 90+ post-translationally modified and total proteins. This service can be used to measure the effectiveness of drug candidates, like kinase inhibitors and GPCR ligands, by measuring changes in signaling cascades in relevant cell backgrounds. In addition, now early signaling events leading to cellular toxicity can be studied to help assess potential compound liabilities in early stages of drug development.



A549 human lung carcinoma epithelial cells were serum starved for 3 hrs, then treated with 10  $\mu$ M of the indicated kinase inhibitors for 1 hr after which time the cells were treated with 100 ng/mL of EGF for 30 minutes. As anticipated the clinical EGFR inhibitors, Erlotinib, Gefitinib and Lapatinib, prevented EGFR phosphorylation (upper panel) as well as the downstream activation of Erk-1/2 (lower panel). Presumably, Sorafenib, a Raf inhibitor, prevents the activation of Erk-1/2 following EGF treatment by blocking the signal transduction through the Ras/Raf/MAPK pathway. Two independent cell wells were measured for each inhibitor.

### FEATURES

- Inspection of common intracellular signal transduction cascades and networks
- Use cells that are relevant for your research
- Cell-based assays for compound efficacy and liability
- Run by experts in compound selectivity-profiling

### Flexible Post-Translationally Modified (PTM) Panels

| Panel                          | BioAnalyte                               | Related MAPmate Cat Nos. |
|--------------------------------|--|--------------------------|
| Pan Tyrosine Panel 1           | Phospho ErbB2/Her2 (Tyr)                 | 46-649                   |
|                                | Phospho IRS1 (Tyr)                       | 46-627                   |
|                                | Phospho IGF1R (Tyr)                      | 46-647                   |
|                                | Phospho EGF Rec (Tyr)                    | 46-603                   |
|                                | Phospho c-Met/HGFR (Tyr)                 | 46-651                   |
| Pan Tyrosine Panel 2           | Phospho c-Kit (Tyr)                      | 46-619                   |
|                                | Phospho ZAP-70 (Tyr)                     | 46-661                   |
|                                | Phospho PDGF Receptor $\alpha$ (Tyr)     | 46-614                   |
| Cell Health Panel 1            | Phospho Lck (Tyr)                        | 46-616                   |
|                                | Phospho p53 (Ser46)                      | 46-664                   |
|                                | Phospho Histone H2A.X (Ser139)           | 46-692                   |
| Cell Health Panel 2            | Active Caspase 3                         | 46-604                   |
|                                | Cleaved PARP (Asp214)                    | 46-656                   |
| Cell Stress Panel              | Phospho p53 (Ser15)                      | 46-663                   |
|                                | Phospho Bcl-2 (Ser70)                    | 46-660                   |
| Cell Metabolism Panel          | Phospho p38/SAPK (Thr180/Tyr182)         | 46-610                   |
|                                | Phospho JNK/SAPK1 (Thr183/Tyr185)        | 46-613                   |
|                                | Phospho MKK6 (Ser207/Thr211)             | 46-672                   |
|                                | Phospho c-Jun (Ser73)                    | 46-622                   |
|                                | Phospho Hsp27 (Ser78)                    | 46-607                   |
|                                | Phospho CREB (Ser133)                    | 46-631                   |
|                                | Phospho ATF2 (Thr69/71)                  | 46-658                   |
| General Cell Signaling Panel 1 | Phospho PTEN (Ser380)                    | 46-679                   |
|                                | Phospho PRAS40 (Thr246)                  | 46-681                   |
|                                | Phospho STAT2 (Tyr690)                   | 46-635                   |
|                                | Phospho mTOR (Ser2448)                   | 46-686                   |
| General Cell Signaling Panel 2 | Phospho IR (Tyr1162/1163)                | 46-688                   |
|                                | Phospho Akt/PKB (Thr308), Assay Buffer 1 | 46-645                   |
|                                | Phospho STAT3 (Tyr705)                   | 46-623                   |
|                                | Phospho Rsk1 (Ser380)                    | 46-611                   |
|                                | Phospho GSK3 $\beta$ (Ser9)              | 46-690                   |
|                                | Phospho Bad (Ser112)                     | 46-694                   |
|                                | Phospho STAT6 (Tyr641)                   | 46-633                   |
| General Cell Signaling Panel 2 | Phospho Akt/PKB (Ser473), Assay Buffer 2 | 46-677                   |
|                                | Phospho p70 S6 Kinase (Thr412)           | 46-629                   |
|                                | Phospho MSK1 (Ser212)                    | 46-674                   |
|                                | Phospho ERK 1/2 (Thr185/Tyr187)          | 46-602                   |
|                                | Phospho STAT5A/B (Tyr694/Tyr699)         | 46-641                   |
|                                | Phospho STAT1 (Tyr701)                   | 46-655                   |
|                                | Phospho MEK1 (Ser222)                    | 46-670                   |
|                                | Phospho I $\kappa$ B $\alpha$ (Ser32)    | 46-643                   |
|                                | Phospho STAT3 (Ser727)                   | 46-624                   |

## Fixed Post-Translationally Modified (PTM) Panels

| Panel                                  | BioAnalyte                               | Related MAPmate Cat Nos. |
|--|--|--------------------------|
| Human T Cell Receptor - 7 plex Panel   | Phospho CREB (Ser133)                    | 48-690                   |
|  | Phospho ERK 1/2 (Thr185/Tyr187)          |                          |
|  | Phospho Lck (Tyr)                        |                          |
|  | Phospho ZAP-70 (Tyr)                     |                          |
|  | Phospho Lat (Tyr)                        |                          |
|  | Phospho CD3ε(Tyr)                        |                          |
|  | Phospho Syk (Tyr)                        |                          |
| Human SRC Family Kinase - 8 Plex Panel | Phospho Lck (Tyr)                        | 48-650                   |
|  | Phospho Src (Tyr)                        |                          |
|  | Phospho Fyn (Tyr)                        |                          |
|  | Phospho Blk (Tyr)                        |                          |
|  | Phospho Fgr (Tyr)                        |                          |
|  | Phospho Hck (Tyr)                        |                          |
|  | Phospho Lyn (Tyr)                        |                          |
|  | Phospho Yes (Tyr)                        |                          |
| Akt / mTOR Panel - 11 plex             | Phospho Akt/PKB (Ser473), Assay Buffer 2 | 48-611                   |
|  | Phospho GSK3β (Ser9)                     |                          |
|  | Phospho IR (Tyr1162/1163)                |                          |
|  | Phospho mTOR (Ser2448)                   |                          |
|  | Phospho p70 S6 Kinase (Thr412)           |                          |
|  | Phospho PTEN (Ser380)                    |                          |
|  | Phospho RPS6 (Ser235/Ser236)             |                          |
|  | Phospho TSC2 (Ser939)                    |                          |
|  | Phospho IRS (Ser312)                     |                          |
|  | Phospho GSK3α(Ser21)                     |                          |
|  | Phospho IGF1R (Tyr1135/Tyr1136)          |                          |
| Human MAPK/SAPK - 10 Plex Panel        | Phospho ATF2 (Thr69/71)                  | 48-660                   |
|  | Phospho c-Jun (Ser73)                    |                          |
|  | Phospho ERK 1/2 (Thr185/Tyr187)          |                          |
|  | Phospho Hsp27 (Ser78)                    |                          |
|  | Phospho JNK/SAPK1 (Thr183/Tyr185)        |                          |
|  | Phospho MEK1 (Ser222)                    |                          |
|  | Phospho MSK1 (Ser212)                    |                          |
|  | Phospho p38/SAPK (Thr180/Tyr182)         |                          |
|  | Phospho p53 (Ser15)                      |                          |
|  | Phospho STAT1 (Tyr701)                   |                          |
| Multi-pathway - 8 Plex Panel           | Phospho CREB (Ser133)                    | 48-680                   |
|  | Phospho ERK 1/2 (Thr185/Tyr187)          |                          |
|  | Phospho Iκα (Ser32)                      |                          |
|  | Phospho JNK/SAPK1 (Thr183/Tyr185)        |                          |
|  | Phospho p38/SAPK (Thr180/Tyr182)         |                          |
|  | Phospho p70 S6 Kinase (Thr412)           |                          |
|  | Phospho STAT3 (Ser727)                   |                          |
| Phospho STAT5A/B (Tyr694/Tyr699)       |  |                          |

## Flexible Total Panels

| Panel                              | BioAnalyte                    | Related MAPmate Cat Nos. |
|------------------------------------|-------------------------------|--------------------------|
| Total Panel A (Tyr related)        | Total Lck                     | 46-617                   |
|                                    | Total IRS1                    | 46-628                   |
|                                    | Total IGF1R                   | 46-646                   |
|                                    | Total ErbB2/Her2              | 46-648                   |
|                                    | Total EGF Receptor            | 46-606                   |
|                                    | Total c-Met/HGFR              | 46-650                   |
| Total Panel B (Gen Signal related) | Total PRAS40                  | 46-680                   |
|                                    | Total Akt/PKB, Assay Buffer 1 | 46-605                   |
|                                    | Total GSK3β                   | 46-689                   |
|                                    | Total Bad                     | 46-695                   |
| Total Panel C                      | Total p53                     | 46-662                   |
|                                    | Total MSK1                    | 46-673                   |
|                                    | Total p21                     | 46-621                   |
|                                    | Total IR                      | 46-687                   |
|                                    | Total c-Kit                   | 46-620                   |
| Total Panel D (Stress related)     | Total p38                     | 46-612                   |
|                                    | Total MKK6                    | 46-671                   |
|                                    | Total JNK                     | 46-618                   |
|                                    | Total PTEN                    | 46-678                   |
|                                    | Total Hsp27                   | 46-608                   |
|                                    | Total STAT2                   | 46-634                   |
|                                    | Total mTOR                    | 46-685                   |
|                                    | Total CREB                    | 46-632                   |
|                                    | Total Bcl-2                   | 46-659                   |
|                                    | Total ATF2                    | 46-657                   |
| Total Panel E (General sig 2)      | Total Human GAPDH             | 46-667                   |
|                                    | Total ERK 1/2                 | 46-609                   |
|                                    | Total Akt/PKB, Assay Buffer 2 | 46-675                   |
|                                    | Total STAT1                   | 46-654                   |
|                                    | Total IκBα                    | 46-644                   |
|                                    | Total STAT3                   | 46-625                   |
|                                    | Total p70 S6 Kinase           | 46-630                   |
|                                    | Total MEK1                    | 46-669                   |
|                                    | Total HIF1α                   | 46-665                   |

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