Kinexus Identifies Over 966,000 Phosphorylation Sites in the Human Proteome

Prediction of over 45 million human kinase-substrate interactions now available at PhosphoNET website

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VANCOUVER, British Columbia – Kinexus Bioinformatics Corporation, a world-leader in molecular intelligence research, announced a major upgrade in its PhosphoNET KnowledgeBase (www.phosphonet.ca) for the study of cell communication systems. This open-access, on-line resource for the scientific community now features data on over 177,000 experimentally-confirmed human phosphorylation sites (P-sites) and 789,000 additional P-sites predicted with a powerful algorithm trained with over 22,000 kinase-substrate pairs. PhosphoNET also includes prediction of which kinases individually target each of these human P-sites, and whether each of these P-site are present in over 20 other diverse organisms. The more that a P-site is found in other species, the more likely that it plays a functionally important role in the regulation of the protein that contains the P-site. This information can guide biomedical researchers in the discovery of promising diagnostic biomarkers and therapeutic drug targets.

The human genome encodes at least 538 protein kinases that regulate each other and another 21,500 diverse proteins to coordinate all cellular operations. Protein kinases are unique networking enzymes that function by tagging target proteins at specific P-sites with phosphates, which act as molecular on/off switches. They are well recognized by the pharmaceutical and biotech industry as highly productive targets for drug development with applications for many diseases, including cancer, diabetes and Alzheimer's disease. Genetic mutations in the genes that encode protein kinases and environmental exposures to toxins that target these kinases have been linked to over 400 human diseases.

Over the last three years, Kinexus and their collaborators in the Mathematics of Information Technology and Complex Systems (MITACS) groups at the University of British Columbia and Simon Fraser University cracked the target specificity codes for about 500 human protein kinases and then applied this information to predict the locations of putative P-sites in all of the proteins encoded by the human genome. Most recently, these algorithms were further trained with data generated in-house at Kinexus with the individual testing of purified preparations of over 200 human protein kinases with the company's peptide microarrays. These custom microarrays featured diverse synthetic peptides that could act as artificial substrates for these kinases. In combination with Kinexus' gene expression database (www.TranscriptoNET.ca) with information on the levels of over 22,000 proteins in 600 types of human cells and tissues, the company is now poised with PhosphoNET for drafting its first atlas of high resolution maps of the molecular intelligence system of human cells. The company is using this information to produce novel antibody probes for tracking key P-sites with its protein microarray technology for applications in disease biomarker identification for its clients.

"After the initial sequencing of the human genome 12 years ago, the next major challenge was to identify how the various proteins encoded by these genes are regulated and how they interact," commented Dr. Steven Pelech, President and Chief Scientific Officer of Kinexus and a professor in the Department of Medicine at the University of British Columbia. "Progress on this front has been hampered, in part because only 6 years ago less than 10,000 P-sites were actually known. Today, with over 966,000 P-sites now identified, PhosphoNET is a valuable tool to guide biomedical researchers in more fruitful directions to define the best biomarkers for disease diagnosis and kinase targets for development of new drugs."

Dr. Pelech added, "We believe that the approaches taken at Kinexus to establish protein kinase target specificities and the identification of their target proteins can be extended broadly to rapidly elucidate the complex architectures of protein kinase-based communications systems for any animal, plant or microbe for which the complete genome sequence is known. Our next goal is to use our algorithms to predict the sensitivities of 500 protein kinases to more than 500 drugs that have been reported to inhibit the catalytic activities of these enzymes. By carefully identifying the portions of these kinases that are important for substrate and drug recognition, we should be able to help predict those genetic mutations in human genes that have the greatest impact on human health. Over the next decade, it is expected that hundreds of thousands of individual genomes will be sequenced, and our efforts should contribute to further understanding which gene changes are significant for disease development and what drugs are best suited for personalized patient medical treatment."

Kinexus currently has agreements with over 1700 research laboratories in companies, universities, government institutions and hospitals in over 35 different countries. To learn more about the diverse proteomics and bioinformatics services offered by Kinexus, please visit http://www.kinexus.ca. Kinexus is a private, biotechnology company engaged in the research and development of innovative methods to map, track and manipulate cellular communication networks. The application of this knowledge positions Kinexus and its clients in drug development, rational drug design, disease diagnosis and personalized therapies to improve human health.

For further information, please contact Kinexus Bioinformatics Corporation toll free at 1-866-KINEXUS or email csutter@kinexus.ca or visit our website at www.kinexus.ca