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Kinexus Validates PLK1 as a Cancer Drug Target

Polo-like kinase-1 inhibition blocks B23/nucleophosmin-mediated centrosome duplication in tumour cells

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VANCOUVER, British Columbia - Kinexus Bioinformatics Corporation, a Canadian proteomics company, is pleased to announce the validation of its unique approach for protein kinase drug target discovery with the publication of its latest research findings in the September printed issue of the Journal of Biological Chemistry (currently available in JBC Online: M403264200). The human genome is known to encode over 500 different protein kinases, which are the key regulatory enzymes inside of cells that catalyze the phosphorylation of proteins at about 100,000 different sites to reversibly control their functional activities. Defects in specific protein kinases have been linked to over 400 diseases including cancer, diabetes and Alzheimer, and about 25% of all pharmaceutical industry research and development is now focused on the discovery and evaluation of protein kinase inhibitors for therapeutic applications.

In partnership with scientists at the Brain Research Centre at the University of British Columbia, Kinexus exploited its commercial Kinetworks™ phosphoprotein profiling service to discover a novel phosphorylation site on the abundant nuclear protein B23 (also known as nucleophosmin). This phosphorylation event was shown to be necessary for the duplication of centrosomes, which is a required step to permit the equal separation of a cell's chromosomes prior to its division. Polo-like kinase-1 (PLK1) was identified as the principal protein kinase that carried out B23 phosphorylation at this site, and these findings point to PLK1 as a very promising cancer drug target. Inhibition of PLK1 is predicted to block the reproduction of cancer cells without the side effects that are commonly associated with existing cancer drugs. Finally, the research also resulted in the development of a proprietary assay to screen for PLK1 inhibitory compounds that could serve as cancer drug candidates.

"We feel that the PLK1-B23 phosphorylation story nicely demonstrates the power of the Kinetworks™ approach to rapidly and cost-effectively discover protein kinase drug targets and the means to identify their inhibitors" said Dr. Steven Pelech, President and Chief Scientific Officer of Kinexus. He added that "Kinexus is routinely tracking over 1000 phosphorylation sites with its proteomics screening services, and it has already identified the JNK and p38 MAPK protein kinases as drug targets using the Kinetworks™ method.

Kinexus is poised to become a major proteomics and bioinformatics player with its focus on protein kinases and other cell signalling proteins for diagnostic and therapeutic applications. The company currently offers 10 unique signal transduction protein profiling services for tracking over 180 protein kinases, 130 known phosphorylation site targets of kinases and 110 other signalling proteins that regulate cell proliferation, stress and death. Over 450 major research centers, institutes and laboratories world-wide, including over 80 pharmaceutical and biotech companies, have used the Kinetworks™ Services as a cost-effective solution to identify proteins that may be relevant in different model systems and disease states. All of the Kinetworks™ screens are reliable, robust, highly sensitive, and utilize proprietary technologies designed to mitigate the risk while maximizing prospects in discovery-based research. The application of these methods in characterizing cell signalling networks provides Kinexus, its clients and associates with powerful knowledge for drug development, rational drug design, disease diagnosis, and ultimately personalized therapies.

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