

### 3D Structure of Key Drug Target Sheds Light on How to Design Better Drugs

LA JOLLA, Calif. – JANUARY 12, 2010 – Intellikine announced today the publication of an article entitled, “The p110delta structure: mechanisms for selectivity and potency of new PI(3)K inhibitors,” now available as an advanced online publication at *Nature Chemical Biology*.

The paper provides the first glimpse at the three-dimensional structure of PI3Kdelta (p110delta), an important drug target implicated in a wide range of diseases, including cancer, asthma and rheumatoid arthritis. There has been intense interest in the design of selective inhibitors against the family of PI3K drug targets, and this paper reports the atomic structure of the PI3Kdelta enzyme co-crystallized with both broad spectrum and isoform-selective inhibitors.

The pioneering work was the result of a collaboration led by Roger Williams, Ph.D., Professor in the Laboratory of Molecular Biology at the Medical Research Council in Cambridge, U.K., and it included scientists from the University of California, San Francisco, Intellikine in La Jolla, California, and Merck-Serono Research Center in Geneva, Switzerland.

“This work is significant in that it explains for the first time the structure-based design of highly-selective inhibitors of PI3Kdelta,” said Kevan Shokat, Ph.D., Professor of Chemistry and Howard Hughes Medical Institute Investigator at the University of California, San Francisco. “Nine structurally-distinct inhibitors are reported and, as such, this paper represents the cutting-edge of isoform-selective inhibitor design in the PI3K drug target family.” Professor Shokat heads the UCSF team that contributed to the collaboration and is a co-founder of Intellikine.

“We believe that the discovery of isoform-selective inhibitors is critical if chronic treatment with kinase inhibitors is to become reality,” added Christian Rommel, Ph.D., Chief Scientific Officer of Intellikine. “Over the past several years, we have exploited the insights from these co-crystal structures to design multiple series of isoform-selective inhibitors, and this work was instrumental to our designing INK1197, an exquisitely selective inhibitor which we are advancing as a therapy for inflammatory and respiratory disease.”

A. Berndt et al., “The p110 $\delta$  structure: mechanisms for selectivity and potency of new PI(3)K inhibitors,” published online 10 Jan 2010 | doi:10.1038/nchembio.293

#### About Intellikine

Intellikine is a private, clinical-stage company focused on the discovery and development of innovative small molecule drugs against the PI3K/mTOR pathway. The Company recently announced the initiation of a Phase I trial for INK128, a selective TORC1/2 inhibitor for oncology and is advancing INK1197, a PI3K-delta/gamma dual-selective inhibitor for the treatment of inflammatory and respiratory diseases. Other programs include PI3K-delta/gamma dual-selective inhibitors for oncology, PI3K-alpha/beta selective inhibitors for oncology, as well as other isoform-selective inhibitors. Intellikine has raised \$63.5 million from an outstanding group of life science investors including Abingworth, Sofinnova Ventures, CMEA Capital, Novartis Venture Funds, U.S. Venture Partners, Biogen Idec and FinTech Global Capital. For more information, please visit the company’s website at [www.intellikine.com](http://www.intellikine.com).