SuperGen Presents Preclinical Data at EORTC On MP-529, a Selective Kinase Inhibitor and CLIMB(TM) Process in Drug Discovery and Design

PRAGUE, Czech Republic, Nov. 9 /PRNewswire-FirstCall/ -- SuperGen Inc. (Nasdaq: SUPG) today announced the presentation of four posters describing preclinical data on MP-529, one of the company's selected lead compounds, and several discovery programs during the scientific sessions at the 18th EORTC- NCI-AACR Symposium on Molecular Targets and Cancer Therapeutics.

The research presented by SuperGen scientists during Thursday's sessions included data pertaining to MP-529, a potent and selective Aurora-A kinase inhibitor (Poster 341). Three posters also demonstrated the use of SuperGen's proprietary CLIMB technology and drug discovery process to facilitate lead development and design of several novel small molecule inhibitors, including Axl kinase (Poster 413); Pim-1 kinase (Poster 414); and Polo-like kinase-1 (Poster 415).

"We are extremely pleased that SuperGen's drug discovery and development capabilities are well-represented at this internationally recognized scientific forum that showcases the latest breakthrough discoveries and early clinical data on advances in the treatment of cancer," said Dr. James S. Manuso, SuperGen's Chairman, President and Chief Executive Officer. "We have a total of six posters and a podium presentation at EORTC this year. The research we presented today highlights the rapid progress we have made on our late-stage preclinical compounds and also validates CLIMB as a rapid and powerful process for the identification of potent small molecule inhibitors."

Poster No. 341

The discovery of MP529, a potent and selective aurora kinase inhibitor, using CLIMB

SuperGen developed a series of lead compounds for use in anticancer therapy using rational-based drug design. Extensive in silico screening reduced the number of compounds submitted to physical assays to less than 100, saving significant time and resources over standard drug discovery practices. MP-529 series compounds such as SGI-498, SGI-503, SGI-1097 and SGI-1215 exhibit potent inhibitory activity against the Aurora-A enzyme with IC50 concentrations all in the nanomolar range. All four leads have greater than 10-fold selectivity for the Aurora-A enzyme over the Aurora-B enzyme which distinguishes them from other reported Aurora kinase inhibitors.

Poster No. 413

Discovery and characterization of a series of Axl kinase inhibitors using the CLIMB process

Using CLIMB, a model of Axl kinase was created and used to screen a large virtual library of chemical structures from which five chemical lead scaffolds were selected. Inhibitor SGI-AXL-277, a pyrrolopyrimidine, has demonstrated low micromolar activity against the Axl enzyme and in cell proliferation assays and lead optimization from this initial lead is ongoing leading toward the selection of a clinical candidate.

Poster No. 414

Discovery and characterization of a small molecule inhibitor for Pim-1 kinase

Using CLIMB, researchers identified imidazo[1,2-b]pyridazine and pyrazolo[1,5-a]pyrimidine derivatives as scaffolds for inhibitors of Pim kinases. The primary screen of compounds selected from the in silico virtual screens identified inhibitors with good activity against the Pim enzyme at low micromolar activity. Optimization of compounds based on SAR led to next-generation compounds that retained the potent activity against the Pim-1 enzyme, but dramatically increased the cell-based activity of these compounds. Lead candidate properties were optimized using CLIMB and animal testing has initiated.

Poster No. 415

Discovery and characterization of novel small molecule inhibitors of polo- like kinase-1 using a computational development process

The CLIMB process of model development and testing reduced a very large selection of potential polo-like kinase-1 inhibitors to an easily manageable selection of hits, all with measurable activity against the target. A polo- like kinase-1 homology model was generated based on Aurora-A kinase and Protein Kinase-B. Using CLIMB, researchers generated three scaffold leads based on the 6_3_8, 6_23_118, and pyrimidine backbones were shown to have good inhibitory activity against the Plk-1 enzyme. These compounds were also shown to inhibit Panc1 and HT29 cancer cell proliferation and increase the rate of apoptosis in MiaPaCa-2 cancer cells in a dose-dependent manner.

About SuperGen

Based in Dublin, Calif., SuperGen is a pharmaceutical company dedicated to the discovery, acquisition, rapid development and commercialization of therapies for solid tumors and hematological malignancies. SuperGen is developing a number of therapeutic anticancer products focused on inhibitors of aurora-A, tyrosine kinase and DNA methyltransferase. For more information about SuperGen, please visit http://www.supergen.com.

This press release contains "forward-looking" statements within the meaning of Section 21A of the Securities Act of 1933, as amended, and Section 21E of the Securities Exchange Act of 1934, as amended, and is subject to the safe harbor created thereby. The actual results could differ materially from those projected in the forward-looking statements as a result of a number of risks and uncertainties. These forward-looking statements include statements regarding SuperGen's estimate of its potential tax liability. Important factors that could cause the potential tax liability to be higher or lower include, but are not limited to, the final determination of the potential liability which is currently being assessed. Other factors that could cause actual results to differ materially from expectations include, but are not limited to, the risk factors detailed in the Company's filings with the Securities and Exchange Commission including reports on its most recently filed Form 10-K and Form 10-Q. These forward-looking statements are made only as of the date hereof, and we disclaim any obligation to update or revise the information contained in any such forward-looking statements, whether as a result of new information, future events or otherwise.

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