

## **Ensemble Therapeutics Advances Macrocycle IL-17 Antagonist Program: Reports First Known Small Molecule Inhibitors of Important Autoimmune Target**

*Company Expects to Nominate Orally Active IL-17 Drug Candidate During 2012*

*Increases Focus of Proprietary Discovery Portfolio on Immuno-inflammatory Diseases and  
Oncology*

CAMBRIDGE, MA – January 5, 2012 -- Ensemble Therapeutics, a biotechnology company developing Ensemblins™, a novel class of small molecule therapeutics with the power of biologics, announced today that the company has identified a series of unique small molecule antagonists of Interleukin-17, a pro-inflammatory cytokine implicated in multiple inflammatory and autoimmune diseases such as psoriasis, rheumatoid arthritis, Crohn's and intestinal bowel disease. The Ensemblins represent first-in-class small molecule antagonists of this important, clinically validated protein-protein-interaction target that has proven impervious to traditional small molecule pharmaceutical approaches and has only been addressed to date with protein therapeutics. A small orally active inhibitor of IL-17 would have significant advantages over the current class of clinical stage anti-IL-17 antibody products.

“To our knowledge, no other small molecule antagonists of IL-17 exist in spite of the active efforts of a number of major pharmaceutical companies to find such compounds.” said Dr. Michael D. Taylor, CEO of Ensemble Therapeutics. “The discovery of a series of small molecule macrocycles that exhibit single-digit nanomolar potency, and are selective and druggable with excellent potential for oral administration is a compelling example of the power of Ensemble’s drug discovery platform against a most challenging and valuable target.”

The company expects this rapidly advancing program to produce an orally active development candidate by the end of 2012.

The IL-17 program reflects, in part, Ensemble’s increasing focus on the key therapeutic areas of oncology and immuno-inflammatory diseases for its internal macrocycle drug discovery and development efforts.

In addition to Ensemble’s proprietary programs focused on oncology and immuno-inflammatory diseases, the company also remains active with partnered drug programs including the collaboration with Bristol-Myers Squibb, which is deploying Ensemble’s proprietary drug discovery platforms and Ensemblin compound libraries, which now exceed 4 million macrocycles, to discover and advance drug candidates against up to eight undisclosed pharmaceutical targets for which a strong therapeutic rationale exists but which have not previously been successfully addressed with small molecules. In April 2011, Ensemble announced the achievement of the development of Ensemblins against one of the designated targets in the collaboration, resulting in transition of this drug target program to Bristol-Myers Squibb for further development and a milestone payment to Ensemble.

Under an extension agreement also announced today, Ensemble and Bristol-Myers Squibb will continue to pursue all collaboration targets beyond the original collaboration term.

### **About Ensemblins**

**Ensemblins™** are a new class of synthetic macrocycles developed by Ensemble using its proprietary chemistry platforms, including DNA-Programmed Chemistry. Macrocyclic rings are found in many natural product-based drugs and bestow favorable pharmaceutical properties and powerful protein surface binding properties upon such drugs. Thus, macrocycles are uniquely suited to address many protein targets that cannot be modulated effectively by traditional small molecule pharmaceutical compounds. Macrocycles have been challenging to synthesize in large numbers and this has constrained their wider use in the industry. By extending beyond the limits of traditional small molecule drug discovery, Ensemble's platform provides unmatched capabilities to successfully and reliably generate millions of macrocyclic Ensemblins as drug candidates, larger than any collection previously synthesized in the pharmaceutical industry.

### **About Ensemble Therapeutics Corporation**

Based in Cambridge, MA, **Ensemble Therapeutics** is deploying its proprietary chemistry platforms to develop a novel class of therapeutics known as "Ensemblins". Ensemble is leveraging its macrocycle drug discovery expertise to fuel its proprietary drug candidate pipeline while also pursuing collaborations with pharmaceutical partners. Ensemble has established high-value partnerships including alliances with Bristol-Myers Squibb and Pfizer. Ensemble's internal discovery and development efforts are focused on the key therapeutic areas of oncology and immuno-inflammatory diseases, with its lead program, a small molecule antagonist of Interleukin-17, a cytokine implicated in multiple inflammatory and autoimmune diseases, poised to enter development with an orally active candidate by the end of 2012. For more information, visit: [www.ensembletx.com](http://www.ensembletx.com).

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