

## Galapagos initiates second Phase I clinical trial for GLPG0259

- **Following successful outcome of first-in-human trial**
- **Addressing combination with existing standard treatment**
- **Extended dosing in healthy volunteers**

**Mechelen, Belgium; 10 September 2009 – Galapagos NV (Euronext: GLPG) announced today that it has initiated a second Phase I clinical trial for GLPG0259, a novel candidate drug being developed for rheumatoid arthritis (RA). The new trial is based on the positive outcome of the first-in-human trial conducted earlier in 2009, which showed a good safety profile in healthy volunteers and pharmacokinetics (PK) supporting once daily oral dosing. The trial is aimed at assessing the combination of GLPG0259 with methotrexate (the current standard treatment for RA), and at extending the treatment duration.**

Galapagos plans to conduct the multiple ascending dose study in 24 healthy volunteers using three 14-day dosing regimens. The results of the study will aid in the design of a Phase IIa study planned for 2010, where the efficacy of the novel candidate drug will be assessed in RA patients.

“We are pleased to progress our lead program based on our novel target discovery platform to this next step of clinical development,” stated Onno van de Stolpe, Galapagos’ CEO. “This latest Phase I trial is designed to measure the longer term safety and tolerability profile of GLPG0259, while also assessing any interactions with the current standard treatment for RA. These results will provide information critical to the design of Phase II trials planned for next year, where we will evaluate the candidate drug’s efficacy in treating RA patients.”

### **About MAPKAPK5 and candidate drug GLPG0259**

Target MAPKAPK5 is a new starting point for the treatment of rheumatoid arthritis (RA). Galapagos discovered and validated the key role of this target in the RA disease process, using its proprietary target discovery technology. MAPKAPK5 had not been previously associated with RA; however, Galapagos showed that it plays a key role in inflammation and in the breakdown of collagen in human cartilage. The candidate drug GLPG0259 inhibits MAPKAPK5 in the human cell, and demonstrated excellent bone protection and reduced inflammation in a standard RA animal model.

GLPG0259 is a novel mechanism-of-action inhibitor of the protein kinase MAPKAPK5, which was discovered through Galapagos’ target discovery platform and represents a new approach for the treatment of RA. It is a compound in Galapagos’ internal RA program, which is part of an option agreement with Janssen Pharmaceutica. Upon the completion of a dose finding Phase II clinical trial for GLPG0259, Janssen has the exclusive option to license the program for €60 million, with further potential milestones to Galapagos of €776 million and up to double-digit royalties on global sales.



## **About Galapagos**

[Galapagos](#) (Euronext: GLPG; OTC: GLPYY) is a drug discovery and development company with small molecule programs in bone and joint diseases, bone metastasis, cachexia, anti-infectives and metabolic diseases. It has established risk sharing alliances with GSK, Janssen Pharmaceutica, Eli Lilly and Merck and Co. Through an alliance with MorphoSys, Galapagos is also developing new antibody therapies in bone and joint diseases. Its division BioFocus DPI offers a full suite of target-to-drug discovery products and services to pharmaceutical and biotech companies and to patient foundations, encompassing target discovery and validation, screening and drug discovery through to delivery of pre-clinical candidates. Galapagos currently employs 495 people and operates facilities in six countries, with global headquarters in Mechelen, Belgium. More info at: [www.glp.com](http://www.glp.com).

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