

Press release 28 February 2008

# Galapagos announces pre-clinical candidates and clinical plans in rheumatoid arthritis and bone metastasis

# Webcast today at 14.00 CET/8 AM US EST via www.glpg.com

Mechelen, Belgium; 28 February 2008 – Galapagos NV (Euronext & LSE: GLPG) announced today that it has selected pre-clinical candidates in its rheumatoid arthritis and bone metastasis programs. It is the Company's intention to submit IND (Investigational New Drug) applications for these programs later this year, with initiation of clinical trials for bone metastasis by yearend and for rheumatoid arthritis early 2009. The Company also intends to select a pre-clinical candidate in osteoarthritis in 2008. Progress on these and other drug discovery programs will be discussed during the webcast this afternoon.

"By moving the first drug from our rheumatoid arthritis program into the pre-clinical development phase, Galapagos is taking an important step toward discovering first-in-class, disease modifying small molecule drugs for bone and joint diseases," said Onno van de Stolpe, Chief Executive Officer of Galapagos. "In addition, we are excited that the bone metastasis program has accelerated to the stage where we can dose first patients in a clinical trial before year end. This program, with a potential secondary indication in osteoporosis, provides a relatively fast path toward a marketed drug with significant revenue potential for Galapagos and its shareholders."

#### Pre-clinical candidate in rheumatoid arthritis

The Company announced that its rheumatoid arthritis (RA) candidate against kinase target GT418 demonstrates significant bone protection and reduced inflammation in the industry standard mouse model. The effect of this oral compound was at least equivalent to Enbrel® (etanercept), the injectable anti-TNF treatment for rheumatoid arthritis. The compound also demonstrates good bioavailability in three animal species. Based on these encouraging results, pre-clinical development has started, with the aim to file an IND by the end of 2008 and initiate a clinical Phase I trial shortly thereafter. The Phase I trial will be designed to provide safety and dosage data as endpoints, with preliminary data on pharmacodynamic properties.

Galapagos' rheumatoid arthritis target GT418 was discovered and validated in cells from rheumatoid arthritis patients, using the Company's proprietary target discovery platform. The Company's pre-clinical program in rheumatoid arthritis marks the first ever in this undisclosed mode of action. Galapagos has filed patent protection on both the role of the target in rheumatoid arthritis as well as on the candidate drug. Galapagos' rheumatoid arthritis programs are partnered with Janssen Pharmaceutica, a Johnson & Johnson company. Galapagos' candidate drug is a compound in Galapagos' internal program within the alliance with Janssen. Upon successful completion of a Phase IIa trial in Galapagos' internal RA programs, Janssen has the exclusive option to license a program for €60 million, with further potential milestones to Galapagos in excess of €776 million and tiered double-digit royalties on global sales.

#### Pre-clinical candidate in bone metastasis

Galapagos' program in bone metastasis is based on the integrin receptor antagonist (IRA) compound series in the portfolio of research programs acquired with ProSkelia in late 2006. The



# Galápagos

IRA program is based on a known target characterized in scientific literature. The Company's aim in bone metastasis is to deliver an oral drug which reduces progression of bone metastases and bone degeneration. Galapagos' candidate drug has shown reduction of bone metastasis and bone degeneration comparable to Zometa<sup>®</sup> (zolendronate), the industry gold standard treatment for metastatic bone cancer, and superior prevention of metastases to other organs. The drug has also demonstrated a reduction in tumor growth and prevention of blood vessel formation in pre-clinical animal studies, clearly differentiating the compound from Zometa. Galapagos' candidate drug in bone metastasis has a potential second indication in osteoporosis and has already demonstrated anti-osteoporotic activity in pre-clinical animal studies. Based on these encouraging results, Galapagos announced the initiation of pre-clinical development of the compound, with the planned initiation of dosing in man in a Phase I clinical trial before the end of 2008. The Phase I trial is designed to deliver safety and tolerability at various dose levels with preliminary pharmacokinetic data for use in designing later trials.

"The speed with which our research teams were able to deliver these candidate compounds in pre-clinical development testifies to the quality of our science and approach in drug discovery," added Dr Graham Dixon, Senior Vice President Drug Discovery. "Once we have initiated clinical studies with both known and novel targets, our remaining discovery programs will also benefit from the processes and infrastructures put into place."

#### Advancement to pre-clinical development in osteoarthritis in 2008

Galapagos announced achievement of a Proof of Principle (reduction of a disease marker) and Proof of Concept (reduction of targeted symptoms) in pre-clinical models in its osteoarthritis (OA) program. Galapagos compounds block cartilage degradation in diseased cartilage explants, while diseased mouse joints treated with this compound also showed reduced cartilage destruction. Galapagos' osteoarthritis program has progressed from validated targets to a Proof of Concept in 18 months, in this challenging area where there are currently no marketed disease-modifying drugs. The data generated thus far encourage the Company to aim for delivery of a pre-clinical candidate in OA by end 2008. Galapagos' osteoarthritis program was partnered in an alliance with GlaxoSmithKline (GSK) in June 2006, which was expanded in June 2007. Galapagos has received €15.1 million in payments on this alliance to date and may receive up to €171 million in further milestones as well as up to double-digit royalties on commercial products.

## Progress in Alzheimer's Disease program

Galapagos announced progress in its Alzheimer's Disease program in collaboration with Professor Bart De Strooper of the VIB and KU Leuven (Belgium). Galapagos' target GT177 was confirmed in *in vivo* animal models, including knock-outs, to be a key regulator in the production of the amyloid  $\beta$  peptides that are central to the pathogenesis of Alzheimer's Disease. Galapagos is now actively looking for a licensee with the capability to develop a drug based on Galapagos' intellectual property covering target GT177.

## Discontinuation of SARM program and return of E2G program to ProStrakan

The Company further announced that it will discontinue drug discovery activity on the lead series from its SARM program in osteoporosis and cachexia due to the limited bioavailability of the compounds and the strong success of the Company's other R&D programs. Galapagos is seeking partners to out-license this program. In addition, Galapagos will return the Estrogen Glucoside (E2G) program to ProStrakan. Galapagos had an option to license this program for the treatment of 'hot flashes' in menopausal women. On 8 August 2007, Galapagos announced that it would only pursue this program if a commercial partner were found. As the right partner was not identified, it was decided to return the program to ProStrakan.



#### **R&D Update Webcast**

Galapagos will give further details on research data and plans during a live audio webcast presentation starting at 14.00 CET/8 AM US Eastern time. To participate in the meeting remotely by telephone, dial +32 2290 1608 prior to 13.55 CET (7:55 AM US EST). The live audio webcast of the press conference can be accessed on the Galapagos website at www.glpg.com.

#### About bone metastasis

In a process known as metastasis, cancer cells break away from a primary tumor and form new tumors elsewhere in the body. When a new tumor forms in bone, it is referred to as bone metastasis or metastatic bone cancer. The forms of cancer that lead most commonly to metastatic bone cancer are breast, prostrate, and lung cancer. Approximately 500,000 new cases of bone metastasis are diagnosed annually in the US and Europe. As there is no cure for bone metastasis, current therapies focus on slowing cancer growth. Sales of these therapies amounted to €1.5 billion in 2007, with growth to over €3.5 billion expected by 2023.<sup>1</sup>

#### **About Galapagos**

Galapagos (Euronext Brussels, GLPG; Euronext Amsterdam, GLPGA; London AiM: GLPG) is a drug discovery company with pre-clinical programs in bone and joint diseases and bone metastasis. Its division BioFocus DPI offers a full suite of target-to-drug discovery products and services to pharmaceutical and biotech companies, encompassing target discovery and validation, screening and drug discovery through to delivery of pre-clinical candidates. BioFocus DPI also provides adenoviral reagents for rapid identification and validation of novel drug targets, compound libraries for drug screening as well as chemogenomics and ADMET database products to select targets and compounds. Galapagos currently employs 460 people and operates facilities in seven countries, with global headquarters in Mechelen, Belgium. More information about Galapagos and BioFocus DPI can be found at www.glpg.com and www.biofocusdpi.com.

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<sup>&</sup>lt;sup>1</sup> JRpH December 2007