

## Galapagos' R&D Roundtable showcases Toledo program

- Comprehensive preclinical package elucidates dual mode of action (MoA) and potential broad applicability of salt-inducible kinase (SIK) inhibitors in inflammation
- Innovative chemistry generated multiple series of SIK compounds with distinct selectivity profiles, aimed at a range of inflammatory & fibrotic conditions
- Phase 1 data with SIK2/3 selective GLPG3970 confirm dose-dependent dual MoA effect
- Data package supports comprehensive clinical development of GLPG3970 in multiple Proof of Concept trials

**Mechelen, Belgium; 27 October 2020, 16.15 CET – Galapagos NV (Euronext & NASDAQ: GLPG) unveils the Toledo target family as a series of salt-inducible kinase inhibitors. Toledo exhibits a dual mode of action characterized by enhanced transcription of anti-inflammatory cytokines and inhibited transcription of pro-inflammatory cytokines. Today, Galapagos also presents new preclinical and healthy volunteer data, and details its broad program to discover and develop multiple series of Toledo compounds with different selectivity profiles, aimed at treating a broad range of autoimmune conditions with important unmet medical need.**

"The discovery of the SIK family of targets in our dual layer assays a number of years ago goes hand in hand with the scientific literature pointing to a dual mode of action of SIKs in inflammatory conditions," said Dr. Piet Wigerinck, Chief Scientific Officer at Galapagos. "Galapagos developed innovative chemistry to address a number of selectivity profiles, and we now also show promising preclinical activity in fibrotic models, further broadening the scope of the Toledo program to a second disease paradigm where we built up substantial scientific know-how over the years. In the Phase 1 trial, we have shown a favorable PK profile and confirmed the dual mode of action, observing a dose-dependent effect in *ex vivo* healthy volunteers with GLPG3970."

"We generated the data package to take our first Toledo compound, GLPG3970, confidently into multiple proof of concept studies running in parallel. Currently the CALOSOMA study in psoriasis, the SEA TURTLE study in ulcerative colitis, and the LADYBUG study in rheumatoid arthritis are actively recruiting patients, and we aim to initiate two additional Phase 2 studies in Sjögren's and systemic lupus erythematosus early next year," said Dr. Walid Abi-Saab, Chief Medical Officer at Galapagos. "Furthermore, we continue to take a programmatic approach, cross-learning from the different proof-of-concept studies and biomarkers in our comprehensive development plan. Building up our knowledge with rapid signal detection studies, we aim to understand as well as maximize the potential of our Toledo program to become a new paradigm in the treatment of inflammatory and fibrotic diseases. Our development strategy is aimed at optimizing the route of GLPG3970 to the market."

## About the GLPG3970 clinical portfolio

### **CALOSOMA study: Phase 1 trial in psoriasis**

The Calosoma Phase 1 trial (NCT04106297) is a double-blind, placebo-controlled study evaluating the safety, tolerability, PK and PD<sup>1</sup> of GLPG3970 single and multiple ascending doses in up to 52 adult healthy male subjects. GLPG3970 will now be investigated for 6 weeks in 25 subjects with moderate to severe psoriasis. The first patient was dosed recently.

### **SEA TURTLE study: Phase 2 trial in ulcerative colitis (UC)**

This Phase 2 trial is a double-blind, placebo-controlled study evaluating the efficacy, safety, tolerability, PK and PD of GLPG3970 in up to 30 subjects with moderately to severely active UC. GLPG3970 or a placebo will be administered orally once daily for 6 weeks, with the primary endpoint of change from baseline in total Mayo Clinical Score (MCS).

### **LADYBUG study: Phase 2 trial in rheumatoid arthritis (RA)**

This Phase 2 trial is a double-blind, placebo-controlled study evaluating the efficacy, safety, tolerability, PK and PD of GLPG3970 in up to 25 participants with severely active RA and an inadequate response to methotrexate. GLPG3970 or a placebo will be administered orally once-daily for 6 weeks, with the primary endpoint of change from baseline of DAS28 CRP at week 6.

*GLPG3970 is an investigational drug and its efficacy and safety have not been established.*

For information about clinical trials with GLPG3970: [www.clinicaltrials.gov](http://www.clinicaltrials.gov).

For more information about the Toledo program: [www.glpq.com/toledo-program](http://www.glpq.com/toledo-program)

## **About Galapagos**

Galapagos (Euronext & NASDAQ: GLPG) discovers and develops small molecule medicines with novel modes of action, several of which show promising patient results and are currently in late-stage development in multiple diseases. The company's pipeline comprises early discovery through to Phase 3 programs in inflammation, fibrosis, and other indications. Galapagos' ambition is to become a leading global biopharmaceutical company focused on the discovery, development and commercialization of innovative medicines. More information at [www.glpq.com](http://www.glpq.com).

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**Forward-looking statements**

*This press release includes forward-looking statements within the meaning of the Private Securities Litigation Reform Act of 1995, as amended, that are subject to risks, uncertainties and other factors that could cause actual results to differ materially from those referred to in the forward-looking statements and, therefore, the reader should not place undue reliance on them. These risks, uncertainties and other factors include, without limitation, the risk that ongoing and future clinical studies with GLPG3970 and other Toledo program molecules may not be completed in the currently envisaged timelines or at all, the inherent uncertainties associated with competitive developments, clinical trial and product development activities and regulatory approval requirements (including that data from the ongoing and planned clinical research programs may not support registration or further development of GLPG3970 and other Toledo program molecules due to safety, efficacy or other reasons), and that Galapagos' estimations regarding the mode of action of GLPG3970 and other Toledo program molecules, regarding its GLPG3970 and other Toledo program molecules development program and regarding the commercial potential of GLPG3970 and other Toledo program molecules, may be incorrect, as well as those risks and uncertainties identified in our Annual Report on Form 20-F for the year ended 31 December 2019 and our subsequent filings with the SEC. All statements other than statements of historical fact are statements that could be deemed forward-looking statements. The forward-looking statements contained herein are based on management's current expectations and beliefs and speak only as of the date hereof, and Galapagos makes no commitment to update or publicly release any revisions to forward-looking statements in order to reflect new information or subsequent events, circumstances or changes in expectations.*