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# TGFTX1 PROGRAM: GENFIT DISCLOSES ITS TECHNOLOGICAL ACCOMPLISHMENTS IN A NEW SCIENTIFIC PUBLICATION

 Using innovative screening and plant extract deconvolution procedures, GENFIT and BICOLL have identified the first potent and selective RORα agonist to be used as a probe in orphan target validation studies

**Lille (France), Boston (Massachusetts, United States), August 26, 2013** – GENFIT (Alternext: ALGFT; ISIN: FR0004163111), a biopharmaceutical company at the forefront of drug discovery and development, focusing on the early diagnosis and preventive treatment of cardiometabolic and associated disorders, announces a publication of results produced in a drug discovery and target validation program, previously known as TGFTX1.

Chronic inflammatory responses have a central role in a broad range of diseases that affect CNS function, metabolic homeostasis, bone health, autoimmune disorders and other diseases. Substantial evidence has arisen for the last decades to support the key role of certain nuclear receptors, including the RAR-related Receptor alpha (ROR $\alpha$ ), in the modulation of inflammatory and immune responses in diverse organs. ROR $\alpha$  receptor is a difficult orphan target that escaped successful drug discovery efforts for two decades and most of our current knowledge on ROR $\alpha$  physiology comes from genetic models.

Since conventional drug discovery approaches have not proven efficient in the identification of potent ROR $\alpha$  agonists, GENFIT has developed innovative, highly robust and reproducible screening procedure that enabled the identification of minute quantities of natural ROR $\alpha$  ligands in a complex matrix of medicinal plant extracts. This program, called OLNORME (Occurrence of Ligands for Nuclear Orphan Receptors in plant MEtabolites) was accomplished in collaboration with Bicoll GmbH, a German company that specializes in natural product chemistry and was financed in part by the EuroTransBio initiative.

A publication<sup>1</sup> that appeared in the Journal of Biomolecular Screening describes the technological approach that was used for time and resource efficient identification of a naturally occurring neoruscogenin as a potent, selective and bioavailable ROR $\alpha$  agonist.

**Dr. Robert Walczak, Head of Pharmacology at GENFIT and OLNORME program coordinator, declared:** "We are really pleased to share our scientific achievements with the general public, especially with respect to difficult technological issues. In this context, the collaboration with Bicoll GmbH, who provided its BILOBAC library of medicinal plant extracts and its expertise in natural product chemistry, was important for the advancement of the OLNORME program."

**Jean-François Mouney, Chairman and Chief Executive Officer of GENFIT, concluded**: "It is a role of GENFIT as a company at the forefront of nuclear receptor drug discovery research to seek nonconventional solutions to evaluate the suitability of difficult orphan targets for drug discovery programs. Our approach to identify high quality pharmacological probes within a couple of months is a real advantage in a situation where R&D budgets are becoming very tight and a pressure to make timely GO/noGO decisions is on constant rise."



<sup>1</sup>Helleboid *et al.* (2013): The identification of naturally occurring neoruscogenin as a bioavailable, potent and high affinity agonist of the nuclear receptor RORα (NR1F1); J Biomol Screen 1087057113497095, first published on July 29, 2013 doi:10.1177/1087057113497095; http://jbx.sagepub.com/content/early/2013/07/18/1087057113497095.abstract?papetoc

# About GENFIT:

GENFIT is a biopharmaceutical company focused on the Discovery and Development of drug candidates in therapeutic fields linked to cardiometabolic disorders (prediabetes/diabetes, atherosclerosis, dyslipidemia, inflammatory diseases...). GENFIT uses a multi-pronged approach based on early diagnosis, preventive solutions, and therapeutic treatments and advances therapeutic research programs, either independently or in partnership with leading pharmaceutical companies, including Sanofi, to address these major public health concerns and their unmet medical needs.

GENFIT's research programs have resulted in the creation of a rich and diversified pipeline of drug candidates at different stages of development, including GENFIT's lead proprietary compound, GFT505 that is currently in Phase IIb.

With facilities in Lille, France, and Cambridge, MA (USA), the Company has approximately 80 employees. GENFIT is a public company listed on the Alternext trading market by Euronext<sup>™</sup> Paris (Alternext: ALGFT; ISIN: FR0004163111). <u>www.genfit.com</u>

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#### About BICOLL:

BICOLL is a biopharmaceutical company, offering pre-clinical support in the area of Drug Discovery from Natural Products and Medicinal Chemistry. Dedicated to the discovery and optimization of the highest quality lead compounds, BICOLL provides an efficient, multi-disciplinary approach to drug discovery. With outstanding expertise in high tech natural product chemistry and validated experience in medicinal chemistry, BICOLL increases quality and quantity of the drug discovery pipeline of its partner's candidates portfolio.

The BICOLL Group provides its services to a number of international clients of various fields of interest, e.g. pharmaceutical and agrochemical industry. The BICOLL Group comprises two legal entities: BICOLL GmbH in Munich, Germany and BICOLL Biotechnology (Shanghai) Co. Ltd., P.R. China. Technology development, cooperation management and marketing are functions in Munich, while facilities for research and development are located in Shanghai. BICOLL currently employs 40 people at both locations. <u>www.bicoll-group.com</u>

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