DIACCURATE

NEWS RELEASE

Diaccurate Acquires Clinical Stage Sole-in-Class Targeted Cancer Therapy from Merck

- **DIACC3010, formerly M2698, is a Phase II-ready dual PAM inhibitor with rare brain-penetration properties**
- **Merck to become a shareholder of Diaccurate, remains fully committed to the success of the drug candidate**
- **Diaccurate to start exploratory Phase II programs in incurable solid tumors and lymphomas by H2 2022**
- **Acquisition broadens Diaccurate's sole-in-class oncology and immunotherapy product pipeline and transforms it into a clinical−stage biotech company**

Paris, France, September 8, 2021

Diaccurate, a French biotech company that develops sole-in-class drug candidates in oncology and immunotherapy, today announces the exclusive worldwide in-licensing of the dual targeted PAM inhibitor, formerly M2698, now DIACC3010, from Merck thus, transforming Diaccurate into a clinical-stage company.

DIACC3010 is an oral small molecule inhibitor of the PAM pathway[*], one of the most frequently dysregulated molecular circuits involved in cancer progression and resistance to therapies). By acting simultaneously on two key steps of the pathway - AKT1/3 and p70S6 - DIACC3010 is expected to result in a more favorable efficacy and safety profile when compared to other PAM inhibitors. Pre-clinical and Phase I studies have demonstrated that DIACC3010 crosses the blood-brain barrier, a physical frontier that protects the brain from numerous threats but also prevents most drugs from reaching it.

Merck KGaA has completed a multi-institutional Phase I trial led by Apostolia-Maria Tsimberidou, M.D., Ph.D., professor of Investigational Cancer Therapeutics at The University of Texas MD Anderson Cancer Center. The study evaluated the safety and efficacy of DIACC3010 as monotherapy in patients with advanced, refractory solid tumors or as combination therapy with trastuzumab and/or tamoxifen in patients with advanced breast cancer[†]. DIACC3010 was well tolerated as monotherapy. Combined with trastuzumab or

[*] PAM, by reference to the three main factors that condition the pathway activity: **P**I3K, **A**KT and **m**TOR.

[†] Tsimberidou et al. in press in *Journal of Hematology and Oncology*.

tamoxifen, DIACC3010 demonstrated early signs of antitumor activity in patients with advanced breast cancer resistant to multiple standard therapies. Potential biomarkers of DIACC3010 pharmacological activity were seen in peripheral blood mononuclear cells and tumor tissues. Diaccurate will continue to characterize this potential sole-in-class asset in Proof-of-Concept (PoC) Phase II clinical trials in solid tumors enriched with PAM mutations and aggressive lymphomas to be initiated by H2 2022.

"We are confident that Diaccurate's strong scientific background in developing novel mechanisms makes them the right partner to advance the development of M2698 in cancers with high unmet needs," ***said Andreas Stickler, Chief Financial Officer and Head of Strategy, Business Development and Portfolio Management of the Healthcare business sector of Merck.***

"We are very proud that, after a highly competitive selection process, Merck has chosen Diaccurate to bring DIACC3010 into advanced clinical development", said ***Dominique Bridon, Ph.D., CEO of Diaccurate****. "This dual PAM inhibitor could overcome limitations of existing anti-cancer treatments, and, if successful, would be a breakthrough innovation providing hope to patients with high unmet medical needs."*

As part of its plan to develop DIACC3010, Diaccurate has designed an extensive PoC clinical program exploring both incurable solid tumors and refractory hemato-oncology indications. The solid tumor component of the program will first focus on cancers associated with a high-prevalence of PAM mutations: Triple Negative Breast Cancer and Gastric Cancer. The hemato-oncology program will address cancers in which the PI3K pathway plays a major role: relapsed/refractory B-cell and T-cell lymphomas. Both Phase II trials are scheduled to be initiated in H2 2022. Further details on the clinical program will be provided later on.

"Diaccurate is laying the foundations of a successful modern biotech company with a new highly specialized portfolio approach. This is an exciting agreement that will take the Company to the next level, bringing the best of medical science innovation to patients", concluded **Philippe Pouletty, M.D., Co-founder & Chairman of Diaccurate, Co-founder & CEO of Truffle Capital.**

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About DIACC3010

DIACC3010 is an oral small molecule that crosses the Blood Brain Barrier and specifically inhibits two out of the three existing AKT isoforms(AKT1 and AKT3), as well as p70S6K. DIACC3010 presents several advantages over other PAM inhibitors with respect to both activity and safety. Drugs that only target one component of the PAM axis have limited efficacy owing to incomplete inhibition of the pathway; in addition, inhibition of a single node in the PAM pathway (e.g. mTOR) leads to compensatory activation of Akt as negative feedback loop and increased PAM signaling. DIACC3010 blocks p70S6K to provide potent PAM pathway inhibition while simultaneously targeting AKT1/3 to overcome the compensatory feedback loop, supporting potentially improved efficacy.

Furthermore, AKT2 is specifically involved in the insulin-dependent translocation of glucose transporter type 4 (GLUT4), and its inactivation in mice causes hyperglycemia, an adverse event commonly observed in patients treated with other PAM pathway inhibitors that likely results from AKT2 inhibition; by sparing AKT2, DIACC3010 is not expected to cause hyperglycemia and, therefore, would display a more favorable safety profile.

In preclinical studies, DIACC3010 demonstrated antitumor activity, the ability to inhibit proliferation of tumor cell lines harboring PAM alterations, and the capacity to cross the blood-brain barrier.

In a first-in-human Phase I trial in 101 patients, DIACC3010 was well tolerated and, combined with trastuzumab or tamoxifen, demonstrated antitumor activity in patients with advanced breast cancer resistant to multiple standard therapies[*]

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Pancreatic cancers, stomach cancers, triple-negative breast tumors, aggressive blood cancers, brain metastases, HIV... to beat incurable diseases, Diaccurate is exploring new frontiers of oncology and immunology in search of daring novel therapeutic approaches able to save lives. Now in the clinic, the French biotech is currently developing three sole-in-class drug candidates: the first dual targeted therapy that reaches brain metastases (the two-in-one PAM inhibitor DIACC3010), the first targeted chemotherapy (the KIF20A inhibitor DIACC2010), and the first CD4 immunotherapy (the anti-PLA2G1B antibody DIACC1010).

Co-founded by Truffle Capital, the company has forged alliances with leaders in academia and industry, including Institut Pasteur, Institut Paoli-Calmettes and Merck. It relies on a high-level management team led by Dominique Bridon, Ph.D., and a world-class Scientific Advisory Board chaired by Prof. Tasuku Honjo, recipient of the 2018 Nobel Prize in Medicine.

For more information, visit **www.diaccurate.com** and follow **@DiaccurateTx**

[*] Tsimberidou et al. in press in *Journal of Hematology and Oncology*.

TRUFFLE CAPITAL

Created in 2001, Truffle Capital is an independent European Venture Capital company, specialising in life sciences (MedTech and Biotech) and in breakthrough IT technologies (FinTech and InsurTech). The mission of Truffle Capital is to help the creation and development of young innovating companies, capable of becoming tomorrow's leaders.

Chaired by Patrick Kron and led by Dr. Philippe Pouletty et Bernard-Louis Roques, co-founders and CEOs, Truffle Capital has raised over €1.1 billion since its inception and helped over 70 companies in the life sciences and digital technology sectors. In 2019, Truffle Capital announced having raised almost €400 million in new institutional funds, including €250 million for new BioMedTech investments.

For more information, visit **www.truffle.com** and follow **@trufflecapital**

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