



**Leap Therapeutics Presents Positive Top-line Results of  
DKN-01 Combination Therapy for Cholangiocarcinoma  
at the European Society for Medical Oncology 2016 Congress**

- *DKN-01 in combination with gemcitabine and cisplatin generated a 33% Objective Response Rate and 95% disease control rate*

**Cambridge, MA** — October 8, 2016 — Leap Therapeutics, Inc. today announced the presentation of top-line data from its clinical trial of DKN-01 combination therapy in patients with cholangiocarcinoma at the European Society for Medical Oncology 2016 Congress (ESMO 2016) in Copenhagen, Denmark. Lipika Goyal, MD, of Massachusetts General Hospital, an investigator on the study, presented a poster entitled “Phase I study of DKN-01, an anti-DKK1 monoclonal antibody, in combination with gemcitabine and cisplatin in patients with advanced biliary cancer.” DKN-01 is a humanized monoclonal antibody targeting Dickkopf-1 (DKK1), a secreted protein that modulates cell signaling of the Wnt pathways and that promotes an immunosuppressive tumor microenvironment. Published studies have indicated that DKK1 expression levels are elevated in patients with cholangiocarcinoma and associated with worse overall survival.

The two-part open-label, dose-escalating study enrolled 27 patients with cholangiocarcinoma who were treated with DKN-01 in combination with gemcitabine and cisplatin. In Part A, patients received DKN-01 at either 150 (n=4) or 300 mg (n=3) with 1000 mg/m<sup>2</sup> of gemcitabine and 25 mg/m<sup>2</sup> of cisplatin on days 1 and 8 of each 21-day cycle. The Part B expansion portion of the study evaluated the 300 mg dose of DKN-01 in combination with gemcitabine and cisplatin in 20 additional patients. The primary objective of this study was to evaluate the safety, pharmacokinetics, and efficacy of DKN-01 in combination with gemcitabine and cisplatin in treatment-naïve and previously-treated patients.

Data from the study showed that DKN-01 in combination with gemcitabine and cisplatin was well tolerated and safe at each dose level. There were no DKN-01 reported related serious adverse events or dose limiting toxicities. At the selected 300 mg DKN-01 dose level, 7 of 21 evaluable patients (33%) experienced a partial response and 20 patients experienced a partial response or stable disease, representing a disease control rate of 95%. The median progression-free survival and overall survival have not yet been reached, as many patients remain on study receiving therapy.



“Patients with advanced cholangiocarcinoma have no approved therapies beyond standard gemcitabine/cisplatin chemotherapy and desire better clinical outcomes. These early but promising results from the ongoing single arm study of DKN-01 in combination with gemcitabine and cisplatin demonstrate the potential of DKN-01 in aggressive cancers, such as cholangiocarcinoma, and provide a strong rationale for further clinical development,” commented Andrew Zhu, MD, of Massachusetts General Hospital, an investigator in the study and co-author on the poster.

#### **About Cholangiocarcinoma**

Cholangiocarcinoma is a cancer that starts in the bile duct, a thin tube about 4 to 5 inches long that reaches from the liver to the small intestine. The major function of the bile duct is to move a fluid called bile from the liver and gallbladder to the small intestine, where it helps digest the fats in food. The Cholangiocarcinoma Foundation estimates that approximately 6,000 patients will be diagnosed with cholangiocarcinoma in the United States each year, with publications estimating that nearly 200,000 patients are diagnosed worldwide each year. The majority of cholangiocarcinoma cases are diagnosed with advanced stage disease with a 5-year survival rate of less than 10%. The standard treatment option for advanced patients is systemic chemotherapy and supportive care.

#### **About DKN-01**

DKN-01 is a humanized IgG4 monoclonal antibody with neutralizing activity against the Dickkopf-1 (DKK1) protein. High levels of DKK1 expression has been associated with poor prognosis in multiple cancers, and DKK1 has a critical role in mediating Wnt signaling pathways and maintaining an immunosuppressive tumor microenvironment. DKN-01 is currently being studied in clinical trials in esophageal cancer and cholangiocarcinoma. DKN-01 additionally demonstrated single agent activity in NSCLC in a Phase 1 dose escalation study.

#### **About Leap Therapeutics**

Leap Therapeutics is an immuno-oncology company with two clinical stage programs. Leap’s most advanced clinical candidate, DKN-01, is a humanized monoclonal antibody targeting the Dickkopf-1 (DKK1) protein. DKN-01 is in clinical trials in patients with esophageal cancer in combination with paclitaxel and in patients with cholangiocarcinoma in combination with gemcitabine and cisplatin. Leap’s second clinical candidate, TRX518, is a novel, humanized G1TR agonist monoclonal antibody designed to enhance the immune system’s anti-tumor response. Leap has signed a Merger Agreement with Macrocura Ltd. (Nasdaq: MCUR) which is expected to result in Leap becoming a public company. For more information about Leap Therapeutics or the merger with



Macrocare, visit <http://www.leaptx.com> or our public filings with the SEC that are available via EDGAR at <http://www.sec.gov>.

## **FORWARD LOOKING STATEMENTS**

Some of the statements in this release are forward looking statements within the meaning of Section 27A of the Securities Act of 1933, Section 21E of the Securities Exchange Act of 1934 and the Private Securities Litigation Reform Act of 1995, which involve risks and uncertainties. These statements relate to the potential merger with Macrocare Ltd., future events of Leap's preclinical and clinical development of DKN-01, TRX518 and other programs, future expectations, plans and prospects. Although Leap believes that the expectations reflected in such forward-looking statements are reasonable as of the date made, expectations may prove to have been materially different from the results expressed or implied by such forward-looking statements. Leap has attempted to identify forward looking statements by terminology including "believes," "estimates," "anticipates," "expects," "plans," "projects," "intends," "potential," "may," "could," "might," "will," "should," "approximately" or other words that convey uncertainty of future events or outcomes to identify these forward-looking statements. These statements are only predictions and involve known and unknown risks, uncertainties, and other factors. Any forward looking statements contained in this release speak only as of its date. We undertake no obligation to update any forward-looking statements contained in this release to reflect events or circumstances occurring after its date or to reflect the occurrence of unanticipated events.

## **Additional Information and Where to Find It**

This communication does not constitute an offer to sell or the solicitation of an offer to buy any securities or a solicitation of any vote or approval with respect to the proposed Merger or otherwise. No offering of securities shall be made except by means of a prospectus meeting the requirements of Section 10 of the Securities Act of 1933, as amended, and otherwise in accordance with applicable law.

In connection with the Merger Agreement with Macrocare Ltd. (Nasdaq: MCUR) which is expected to result in Leap becoming a public company, Leap has filed with the U.S. Securities and Exchange Commission (SEC) a registration statement on Form S-4 containing a prospectus and plans to file other relevant documents relating to the proposed merger and combined company. Macrocare intends to file a current report on Form 6-K containing its proxy statement and other documents relating to the proposed merger. This communication is not a substitution for the registration statement, final prospectus, proxy statement, or any other documents that Leap and Macrocare may file with the SEC or send to shareholders in connection with the proposed transaction. INVESTORS AND SECURITY HOLDERS ARE URGED TO READ THE REGISTRATION



STATEMENT, THE PROSPECTUS, THE PROXY STATEMENT AND ANY OTHER RELEVANT DOCUMENTS FILED, OR TO BE FILED, WITH THE SEC CAREFULLY AND IN THEIR ENTIRETY WHEN THEY BECOME AVAILABLE BECAUSE THEY CONTAIN IMPORTANT INFORMATION ABOUT LEAP, MACROCURE, AND THE PROPOSED MERGER. Investors and security holders will be able to obtain free copies of the registration statement, the prospectus, the proxy statement, and any other documents filed by Leap and Macrocare with the SEC (when available) at the SEC's website at [www.sec.gov](http://www.sec.gov). Copies of documents filed by Leap may be obtained for free by contacting Leap Investor Relations by mail at Leap Therapeutics, Inc., 47 Thorndike Street, Suite B1-1, Cambridge, MA 02141, Attention: Investor Relations or by telephone at (617)-714-0360. Copies of documents filed by Macrocare may be obtained for free by contacting Macrocare Investor Relations by mail at Macrocare Ltd., 25 Hasivim Street, Kiryat Matalon, Petach Tikva 4959383, Israel, Attention: Investor Relations, by telephone at +(972)-54-565-6011, or by going to Macrocare's Investor Relations page at <http://investor.macrocare.com/>. The contents of Macrocare's website are not deemed to be incorporated by reference into the registration statement, the prospectus, or the proxy statement.

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