Antengene Announces First Patient Dosed in the Phase I STAMINA-001 Study of ATG-037 for the Treatment of Patients with Locally Advanced or Metastatic Solid Tumors

The STAMINA-001 study will evaluate the safety, pharmacology and preliminary efficacy of ATG-037 as a monotherapy or in combination with pembrolizumab, an immune checkpoint inhibitors (ICIs), in patients with ***locally advanced or metastatic solid tumors***

ATG-037 is ***an orally-available, small molecule CD73 inhibitor.*** *Antengene has exclusive* ***global rights*** *to develop, commercialize and manufacture ATG-037*

Shanghai and Hong Kong, PRC, June 8, 2022 — Antengene Corporation Limited (**"Antengene"** SEHK: 6996.HK), a leading innovative, commercial-stage global biopharmaceutical company dedicated to discovering, developing and commercializing first-in-class and/or best-in-class therapeutics in hematology and oncology, today announced that the **first patient has been dosed in the Phase I STAMINA-001 trial to evaluate ATG-037 as a monotherapy or in combination with pembrolizumab in patients with locally advanced or metastatic solid tumors in Australia**.

The primary objective of the study is to evaluate the safety, tolerability, recommended Phase II dose and preliminary antitumor efficacy of ATG-037 as a monotherapy and in combination with pembrolizumab. Secondary objectives include characterization of the pharmacology of ATG-037.

ATG-037 is an orally available, small molecule CD73 inhibitor. CD73 is an "immune checkpoint mediator" [1] that interferes with anti-tumor immune responses by generating adenosine, which leads to immunosuppression in the tumor microenvironment. ATG-037 can reverse adenosine-mediated immunosuppression[2]. It has demonstrated promising preclinical efficacy as a monotherapy and in combination with ICIs and chemotherapy agents. In preclinical studies, this compound overcomes the "hook effect" that is

common in anti-CD73 antibodies. In addition, GLP toxicology studies indicate the compound has a potentially wide therapeutic window.

"While ICIs are widely used in the treatment of various cancers, many patients have resistant or refractory disease, which has created a large unmet need," said **Dr. Ganessan Kichenadasse, principal investigator, Southern Oncology Clinical Research Unit in Adelaide, Australia.** "Mounting evidence suggests that adenosine plays a critical role in suppressing anti-tumor immunoactivity. CD73 can convert adenosine monophosphate (AMP) to adenosine. ATG-037, an orally available, small molecule CD73 inhibitor, can block the generation of adenosine. We are excited to be a part of the STAMINA-001 Trial. This Phase I study brings together a group of highly experienced Australian investigators to collaborate with Antengene. We are excited to assess the therapeutic potential of ATG-037 for patients with solid tumors as a single agent as well the exploring the opportunity for benefit with the addition of an ICIs."

"Developing agents that can act in the tumor microenvironment to reverse immunosuppression is one of the key focus areas for Antengene, " said **Dr. Kevin Lynch, Antengene's Chief Medical Officer**. "Preclinical data presented at the 2022 American Association of Cancer Research Annual Meeting (AACR 2022) showed that ATG-037 had a stronger ability to restore T-cell function in higher-AMP environments compared with anti-CD73 monoclonal antibodies. These data highlighted the potential therapeutic advantages of small molecule inhibitors of CD73 over blocking antibodies, either as a monotherapy, or in combination with other immune-oncological treatments. We have been very pleased with the drug's performance in preclinical studies and are hopeful that in this Phase I study ATG-037 can demonstrate the tolerability and signals of activity that will allow us to move forward into a broader development program. We are very excited about the start of this first in human clinical trial and look forward to next steps with ATG-037."

About the STAMINA-001 Trial

The STAMINA-001 trial is a Phase I multi-center, open-label, dose finding study of ATG-037 monotherapy or combination therapy with pembrolizumab in patients with locally advanced and metastatic solid tumors. Subjects will begin

with two monotherapy cycles and then be allowed to receive the addition of pembrolizumab. The primary objective of the study is to evaluate the safety and tolerability of ATG-037 and to determine the maximum tolerated dose (MTD) and/or recommended Phase II dose (RP2D) and/or optimal biological dose of ATG-037 monotherapy and preliminary efficacy. Secondary objectives include characterization of the pharmacology of ATG-037. As a Phase I study, there will be intensive safety monitoring throughout the trial.

About ATG-037

ATG-037 is an orally-available, highly selective small molecule that completely blocks the activity of CD73. CD73, an ecto-5'-nucleotidase, catalyzes the conversion of adenosine monophosphate (AMP) to adenosine. Adenosine production leads to significant immunosuppression in the tumor microenvironment, now recognized as one of the most important immunomodulatory pathways in the tumor microenvironment.

Many human tumors overexpress CD73 and this expression is frequently associated with poor prognosis. Blocking CD73 has been shown to be effective in controlling tumor growth and metastases and CD73 inhibitors may increase the therapeutic activity of ICIs and chemotherapy agents. Clinical data so far indicate that CD73 inhibitors add little additional toxicity to standard of care treatments.

About Antengene

Antengene Corporation Limited (**"Antengene"** , SEHK: 6996.HK) is a leading commercial-stage R&D-driven global biopharmaceutical company focused on the discovery, development, manufacturing and commercialization of innovative first-in-class/best-in-class therapeutics for the treatment of hematologic malignancies and solid tumors, in realizing its vision of **"Treating Patients Beyond Borders"** .

Since 2017, Antengene has a built broad and expanding pipeline of 15 clinical and preclinical assets, of which 10 are global rights assets, and 5 came with rights for Asia Pacific markets including the Greater China region. To date, Antengene has obtained 24 investigational new drug (IND) approvals in the U.S.

and Asia, and submitted 6 new drug applications (NDAs) in multiple Asia Pacific markets, with the NDA for XPOVIO® (selinexor) already approved in mainland China, South Korea, Singapore and Australia.

Forward-looking statements

The forward-looking statements made in this article relate only to the events or information as of the date on which the statements are made in this article. Except as required by law, we undertake no obligation to update or revise publicly any forward-looking statements, whether as a result of new information, future events or otherwise, after the date on which the statements are made or to reflect the occurrence of unanticipated events. You should read this article completely and with the understanding that our actual future results or performance may be materially different from what we expect. In this article, statements of, or references to, our intentions or those of any of our Directors or our Company are made as of the date of this article. Any of these intentions may alter in light of future development. For a further discussion of these and other factors that could cause future results to differ materially from any forward-looking statement, see the section titled "Risk Factors" in our periodic reports filed with the Hong Kong Stock Exchange and the other risks and uncertainties described in the Company's Annual Report for year-end December 31, 2021, and subsequent filings with the Hong Kong Stock Exchange.

References:

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2. Antengene R&D Day, 2021

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