PRESS RELEASE

Basilea announces clinical supply agreement for its planned study FIDES-03 with derazantinib in gastric cancer

- **Extension of existing agreement with Roche for supply of PD-L1 checkpoint inhibitor atezolizumab (Tecentriq®)**

Basel, Switzerland, January 22, 2020 – Basilea Pharmaceutica Ltd. (SIX: BSLN) announced today that it extended its clinical supply agreement (CSA) with Roche (SIX: RO, ROG) to explore a combination of Basilea's panFGFR kinase inhibitor derazantinib and Roche's atezolizumab (Tecentriq®)[1] in patients with gastric (stomach) cancer. The initial CSA covered urothelial (bladder) cancer and was concluded in January 2019. Basilea expects to start a biomarker-driven multi-cohort phase 1/2 study (FIDES-03) in advanced gastric cancer patients with FGFR genetic aberrations in the third quarter of 2020. The study will assess the efficacy and safety of derazantinib as mono- and combination therapy in the second-line setting. Basilea will be the sponsor of the study and Roche will provide clinical supply of atezolizumab, a PD-L1 checkpoint inhibitor.

Dr. Marc Engelhardt, Chief Medical Officer of Basilea, said: "The unique kinase inhibition profile of derazantinib and convincing pre-clinical in vivo data in gastric cancer models support this phase 1/2 study with derazantinib alone and as a combination therapy in biomarker-defined groups of patients with advanced gastric cancer. Advanced gastric cancer is associated with a very poor prognosis and is an area of high unmet medical need."

Gastric cancer is the fifth most common cancer worldwide and the third most lethal cancer type.[2] Median survival rarely exceeds twelve months and the five-year-survival is less than 10%.[3] Basilea estimates that there are approximately 190,000 new cases of gastric cancer per year in total across the EU top 5 countries, Japan and the U.S. FGFR genetic aberrations have been observed in about 10% of gastric cancers.[4]

About derazantinib

Derazantinib (formerly ARQ 087) is an investigational orally administered small-molecule panFGFR kinase inhibitor with strong activity against FGFR1, 2, and 3.[5] FGFR kinases are key drivers of cell proliferation, differentiation and migration. FGFR genetic aberrations, e.g. gene fusions, mutations or amplifications, have been identified as potentially important therapeutic targets for various cancers, including intrahepatic cholangiocarcinoma (iCCA), urothelial, gastric, breast and lung cancers.[6] In these cancers, FGFR genetic aberrations are found in a range of 5% to 30%.[7]
Derazantinib also inhibits the colony-stimulating-factor-1-receptor kinase (CSF1R).[5, 8] CSF1R-mediated signaling is important for the maintenance of tumor-promoting macrophages and therefore has been identified as a potential target for anti-cancer drugs.[9] Pre-clinical data has shown that tumor macrophage depletion through CSF1R blockade renders tumors more responsive to T-cell checkpoint immunotherapy, including approaches targeting PD-L1/PD-1.[10, 11] Derazantinib has demonstrated antitumor activity and a manageable safety profile in previous clinical studies, including a biomarker-driven phase 1/2 study in iCCA patients,[12] and has received U.S. and EU orphan drug designation for iCCA. Basilea is currently conducting two

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clinical studies with derazantinib. The first study, FIDES-01, is a registrational phase 2 study in patients with inoperable or advanced iCCA. It comprises one cohort of patients with FGFR2 gene fusions and another cohort of patients with FGFR2 gene mutations or amplifications.[13] The second study, FIDES-02, is a phase 1/2 study evaluating derazantinib alone and in combination with Roche's atezolizumab (Tecentriq®) in patients with advanced urothelial cancer, including metastatic, or recurrent surgically unresectable disease, expressing FGFR genetic aberrations.[14] Basilea in-licensed derazantinib from ArQule Inc, a wholly-owned subsidiary of Merck & Co., Inc., Kenilworth, N.J., U.S.A.

About Basilea

Basilea Pharmaceutica Ltd. is a commercial stage biopharmaceutical company, focused on the development of products that address the medical challenges in the therapeutic areas of oncology and infectious diseases. With two commercialized drugs, the company is committed to discovering, developing and commercializing innovative pharmaceutical products to meet the medical needs of patients with serious and life-threatening conditions. Basilea Pharmaceutica Ltd. is headquartered in Basel, Switzerland and listed on the SIX Swiss Exchange (SIX: BSLN). Additional information can be found at Basilea's website www.basilea.com.

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This press release can be downloaded from www.basilea.com.

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14 ClinicalTrials.gov identifier: NCT04045613

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