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**Press release**

# Basilea presents preclinical data on synergy between derazantinib and paclitaxel in gastric tumor models at ANE Conference

**Basel, Switzerland, October 11, 2021**

Basilea Pharmaceutica Ltd. (SIX: BSLN) announced today the presentation of data from preclinical studies demonstrating synergistic anti-tumor effects in a number of gastric cancer models for the combination of Basilea's fibroblast growth factor receptor (FGFR) inhibitor, derazantinib, with the chemotherapy paclitaxel. The data were presented at the AACR-NCI-EORTC (ANE) Virtual International Conference on Molecular Targets and Cancer Therapeutics, that took place on 07-10 October, 2021, and provide further support for the potential role of derazantinib in the treatment of patients with gastric cancer, which is currently being explored in the FIDES-03 study.[1]

In the preclinical studies, synergistic anti-tumor effects were reported across a number of gastric cancer models, including in-vivo tumor models with different FGFR aberrations. Complete tumor regression was observed in most cases in models with FGFR2 fusions. In addition, it was shown that higher levels of immunosuppressive tumor-associated macrophages of the M2 phenotype (M2-TAMs) were associated with a more profound response to the combination of derazantinib and paclitaxel. Derazantinib inhibits Colony Stimulating Factor 1 Receptor (CSF1R), which plays an important role in the formation and function of M2-TAMs. Therefore, tumors with higher levels of M2-TAMs may be more sensitive to derazantinib.

Dr. Laurenz Kellenberger, Chief Scientific Officer, said: "These new combination treatment data build upon previous preclinical studies that showed significant activity of derazantinib as monotherapy in gastric cancer models. The synergistic effect between derazantinib and paclitaxel is particularly encouraging as we are exploring derazantinib alone and in combination settings, including paclitaxel, in our clinical phase 1/2 study FIDES-03 in patients with gastric cancer."

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]

**Derazantinib ePoster presented at the AACR-NCI-EORTC Conference**

| Presentation # | Authors/title |
|---|---|
| P238 | P. McSheehy, M. El-Shemerly, F. Bachmann, L. Kellenberger, H. Lane<br>Derazantinib, an inhibitor of fibroblast growth factor receptors 1-3, synergises with paclitaxel in pre-clinical gastric tumor models. |

For further information please visit aacr.org/meeting/aacr-nci-eortc-international-conference-on-molecular-targets-and-cancer-therapeutics/.

## About derazantinib

Derazantinib is an investigational orally administered small-molecule FGFR 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, breast, gastric 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 a previous 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 three clinical studies with derazantinib. The first study, FIDES-01, is a 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 mutations or amplifications.[13] The second study, FIDES-02, is a phase 1/2 study evaluating derazantinib alone and in combination with Roche's PD-L1 checkpoint inhibitor, atezolizumab, in patients with advanced urothelial cancer, including metastatic, or recurrent surgically unresectable disease, expressing FGFR genetic aberrations.[14] The third study, FIDES-03, is a phase 1/2 study evaluating derazantinib alone and in combination with Lilly's anti-VEGFR2 antibody ramucirumab and paclitaxel, or with Roche's PD-L1 checkpoint inhibitor atezolizumab, in patients with advanced gastric cancer with FGFR genetic aberrations.[1] Basilea has in-licensed derazantinib from ArQule Inc., a wholly-owned subsidiary of Merck & Co., Inc., Kenilworth, N.J., U.S.A.

## About Basilea

Basilea is a commercial-stage biopharmaceutical company founded in 2000 and headquartered in Switzerland. We are committed to discovering, developing and commercializing innovative drugs to meet the medical needs of patients with cancer and infectious diseases. We have successfully launched two hospital brands, Cresemba for the treatment of invasive fungal infections and Zevtera for the treatment of severe bacterial infections. We are conducting clinical studies with two targeted drug candidates for the treatment of a range of cancers and have a number of preclinical assets in both cancer and infectious diseases in our portfolio. Basilea is listed on the SIX Swiss Exchange (SIX: BSLN). Please visit basilea.com.

## Disclaimer

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

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