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Philogen
innovating targeting

Philogen announces publication of best-in-class FAP-targeting small molecule ligand (OncoFAP) in PNAS

Study shows impressive tumor uptake of OncoFAP with unprecedented selectivity against healthy organs

OncoFAP-based molecules are currently being developed for the imaging and therapy of cancer

Siena, Italy, April 12th, 2021 - Philogen S.p.A., a listed clinical-stage biotechnology company focused on the development of innovative medicines based on tumor targeting antibodies and small molecule ligands, is pleased to announce a publication describing the development and the *in vivo* characterization of OncoFAP, a best-in-class FAP-targeting small molecule for applications in cancer and inflammation. The paper entitled "An Ultra-High Affinity Small Organic Ligand of Fibroblast Activation Protein for Tumor Targeting Applications" was published in the peer-reviewed journal *PNAS*. The work was performed by scientists at Philochem AG, the wholly owned Swiss subsidiary company of Philogen.

Fibroblast Activation Protein (FAP) has recently emerged as a tumor-associated antigen with abundant and selective expression in the majority of human solid malignancies.

OncoFAP is, to the best of our knowledge, the small organic ligand with the highest affinity to the FAP antigen reported to date with a dissociation constant of 680 pM. Upon intravenous administration, both fluorescently- and radiolabeled OncoFAP derivatives exhibited a rapid and selective accumulation in FAP-positive tumors, while sparing normal tissues. OncoFAP was further used as modular component for the generation of therapeutic products enabling the targeted delivery of a potent beta-emitter (lutetium-177), of fluorescein-specific CAR T-cells, and of highly cytotoxic auristatin derivatives to FAP-positive tumors *in vitro* and *in vivo*.

Dario Neri, Chief Executive Officer of Philogen commented: "Our study demonstrates the impressive tumor uptake of OncoFAP with unprecedented selectivity against healthy organs. Some of these findings have already been successfully transformed to generate drug prototypes. We look forward to growing our small molecule pipeline based on the OncoFAP platform."

Moreover, clinical validation is becoming available regarding the exquisite tumor targeting performance of OncoFAP in patients with cancer.

The article can be accessed from the PNAS website under the following link.

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About Philogen

Philogen is a Swiss-Italian clinical-stage biotechnology company listed on the Italian Stock Exchange. It is engaged in the discovery and development of novel pharmaceutical and biopharmaceutical products. Philogen's strategy is to deliver bioactive agents, for example cytokines or drugs, to the site of disease using antibodies and other ligands that specifically and efficiently target stromal antigens. This technology has generated a strong proprietary pipeline of clinical-stage products and preclinical compounds in an array of disease indications. Philogen is headquartered in Siena, Italy, and has research activities at its subsidiary company Philochem near Zurich, Switzerland. Philogen has signed agreements with several major pharmaceutical companies. For more information, please visit www.philogen.com and www.philochem.com.

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