EISAI AND PIQUR SIGN LANDMARK COLLABORATION AGREEMENT TO INVESTIGATE HALAVEN® (ERIBULIN) AND PQR309 IN HARD TO TREAT FORM OF BREAST CANCER

Agreement will see eribulin used in combination with novel PI3K/mTOR inhibitor in patients with triple negative breast cancer

Hatfield, UK and Basel, Switzerland, 17 February 2016 – Eisai and PIQUR Therapeutics today announce a landmark agreement to conduct a Phase 1/2b clinical study to investigate PQR309 in combination with Halaven® (eribulin) in patients with triple-negative breast cancer (TNBC).

A significant number of HER2-negative breast cancer patients are expected to have activated PI3K, de novo or induced by prior chemotherapy administration. PQR309 is currently engaged in multiple Phase 1 and Phase 2 studies as a single agent and has shown promising activity. The combination of a PI3K/mTOR inhibitor with eribulin may prove to be an effective treatment in second line therapy for locally advanced or metastatic TNBC patients.

The Phase 1/2b study is scheduled to begin in early 2016. The initial Phase 1 dose-escalation part of the study will assess the safety and tolerability of PQR309 combined with eribulin in patients with locally advanced or metastatic HER2-negative and triple-negative breast cancer. The Phase 2b expansion part of the study will enroll patients with advanced or metastatic TNBC. The primary objective of this part of the study is to evaluate the efficacy of the PQR309 in combination with eribulin. In total, the Phase1/2b study will enroll approximately 60 patients.

PIQUR will be responsible for conducting the Phase 1/2b clinical trial and the parties may extend the collaboration to include a Phase 3 clinical trial as well as additional trials in new indications of mutual interest.

“We are delighted to enter into this collaboration with PIQUR Therapeutics, which has rapidly established itself as one of the leading experts in the field of PI3K/mTOR inhibitors. Based on convincing pre-clinical data and on the promising results of PIQUR’s front runner compound PQR309 shown in Phase 1 study, we believe that combining eribulin with PQR309 may provide new treatment options for patients with TNBC,” said Dr Takashi Owa, Chief Innovation Officer, Vice President Eisai Co., Ltd.

"We are very pleased to enter into this collaboration with Eisai, one of the worldwide leaders in oncology and to jointly explore new avenues to address an unmet need in breast cancer. We look forward to a fruitful partnership and to pursue the development of this combination treatment following the completion of this first study,” said Hervé Girsault, Chief Business Officer of PIQUR Therapeutics.

Eribulin is the first in the halichondrin class, microtubule dynamics inhibitors with a novel mechanism of action. Structurally eribulin is a simplified and synthetically produced version of halichondrin B, a natural product isolated from the marine sponge *Halichondria okadai*. Eribulin is believed to work by inhibiting the growth phase of microtubule dynamics which prevents cell division.[1]

Eribulin remains the only single agent chemotherapy to significantly improve overall survival in women with advanced breast cancer after anthracycline and taxane treatment. Metastatic breast cancer is a very difficult condition to treat and only 15% of women will survive beyond five years.[2]

This collaboration underscores Eisai’s *human health care (hhc)* mission, the company’s commitment to innovative solutions in disease prevention, cure and care for the health and well-being of people worldwide. Eisai is committed to oncology to address the unmet medical needs of patients and their families.

*****ENDS*****

Notes to Editors

About Eisai Co., Ltd.
Eisai Co., Ltd. is a leading global research and development-based pharmaceutical company headquartered in Japan. We define our corporate mission as "giving first thought to patients and their families and to increasing the benefits health care provides," which we call our *human health care* (*hhc*) philosophy. With over 10,000 employees working across our global network of R&D facilities, manufacturing sites and marketing subsidiaries, we strive to realise our *hhc* philosophy by delivering innovative products in various therapeutic areas with high unmet medical needs, including Oncology and Neuroscience.

As a global pharmaceutical company, our mission extends to patients around the world through our investment and participation in partnership-based initiatives to improve access to medicines in developing and emerging countries.

For more information about Eisai Co., Ltd., please visit www.eisai.com

About PIQUR Therapeutics AG
PIQUR Therapeutics is a Swiss clinical-stage pharmaceutical company incorporated in August 2011 as a spin-off of the University of Basel, focusing on the discovery and development of innovative anti-cancer drugs based on lipid kinase (PI3K) and mTOR inhibition. PIQUR's pipeline originates from one of the most promising research areas in oncology. Both PI3K and mTOR are clinically validated drug targets in oncology. PIQUR has a secured patent scope protecting many chemical compounds. www.piqur.com

About eribulin
Eribulin was first approved as a treatment for breast cancer in the United States in November 2010, and is now approved in nearly 60 countries worldwide, including Japan and countries in the Americas, Europe and Asia. In Japan, eribulin has been approved to treat inoperable or recurrent breast cancer and was launched in the country in July 2011. Eribulin has also been approved in countries in Europe and Asia indicated as a treatment for patients with locally advanced or metastatic breast cancer who have progressed after at least one chemotherapeutic regimen for advanced disease. Prior therapy should have included an anthracycline and a taxane in either the adjuvant or metastatic setting, unless patients were not suitable for these treatments.[3]

In July a Type II variation application to extend the indication of eribulin was submitted in the European Union for the treatment of patients with unresectable soft tissue sarcoma who have received prior chemotherapy for locally advanced disease. In the US, Food and Drug Administration (FDA) approval was granted in January 2016 for eribulin in the treatment of patients with unresectable liposarcoma who have received a prior anthracycline containing regimen. A similar application was submitted in Japan.

About PQR309
PIQUR's lead compound, PQR309, is a novel, oral, balanced pan-PI3K/mTOR inhibitor with excellent prospects to become a powerful anti-cancer drug. PQR309 compares favorably to current and clinically most advanced pan-PI3K/mTOR inhibitors with respect to the drug-like properties. Unlike most of its competitors, PQR309 crosses the blood-brain barrier, expanding its use to malignant diseases involving the brain. PQR309 showed preclinical activity in various tumour models inhibiting the PI3K/mTOR pathway, as well as clinical activity in Phase 1.

Media Contacts

PIQUR
Tatsuo Satoh
Head IT & Communications
+41 61 633 29 38
tatsuo.satoh@piqur.com

Daniel Piller
Partner IRF Communications
+41 43 244 81 54
daniel.piller@irfcom.ch
www.irfcom.ch

Eisai
Cressida Robson
+44(0)7908 314 155
Cressida_Robson@eisai.net

Alex Davies
+44 (0)7716 324722
Alex.Davies@toniclc.com

References

1. Cortes J. O'Shaughnessy J, Loesch D, *et al.* Eribulin monotherapy versus treatment of physician's choice in patients with metastatic breast cancer (EMBRACE): a phase III open-label randomised study. *The Lancet.* 2011; 377: 914-923
2. Cancer Research UK, Breast Cancer – Outlook by Grade. Available at: http://www.cancerresearchuk.org/about-cancer/type/breast-cancer/treatment/statistics-and-outlook-for-breast-cancer#overall Accessed February 2016
3. SPC Halaven (Updated November 2015) Available at: https://www.medicines.org.uk/emc/medicine/24382 Accessed February 2016