IDF INNOV

From invention to innovation

NEW POTENT BLOCKERS OF HER2 RECEPTOR FOR TREATMENT OF METASTATIC BREAST CANCER

Selective compounds inhibiting HER2 by an original mechanism of action for treatment of brain metastases in HER2+ breast cancer

HER2 ▪ Breast Cancer ▪ Targeted Therapy ▪ Small Molecule ▪ Brain Metastasis

APPLICATIONS

- Treatment of HER2+ breast cancer-derived brain metastases
- Treatment of trastuzumab-resistant HER2+ breast cancers
- Potential treatment of other HER2+ cancers (ovarian, gastric, salivary, neuroblastoma etc)

DEVELOPMENT PHASE

In vitro proof of concept in human HER2+ dependant breast (SKBR3 and BT474), gastric (N87) and ovarian (SKOV3) cancer cell lines ; *in vivo* proof of concept with hits compounds on human breast tumor xenografts (BT474)

Ongoing : *in vivo* proof of concept with hit compound on HER2+ breast cancer-derived brain metastases (BT474) in mouse

INTELLECTUAL PROPERTY

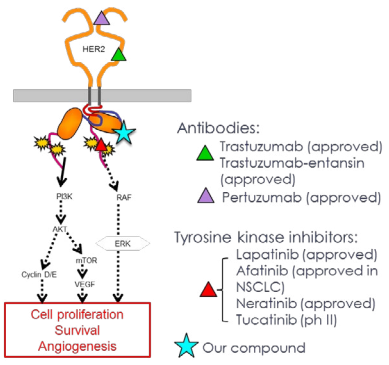
Priority patent application, filed on January 2016, PCT number WO2017121755

CONTACT

Davide D'ALIA
Mail : dda@idfinnov.com
Phone : +33(0)7.63.12.71.96

PRESENTATION

20% to 30% of primary breast cancers are due to the overexpression of HER2 or the expression of mutated or truncated forms of HER2. Despite the benefits of the recent therapies targeting HER2 (Herceptin®, Perjeta®, Kadcyla®,Tykerb® and Nerlynx®), their efficacy is limited by the development of therapeutic resistance mainly attributed to the HER2 truncated form or toxicity issues. Notably, 30% to 50% of patients develop brain metastases, which lack an effective treatment. Compounds selectively inhibiting HER2 activation by a mechanism that differs from the one of Herceptin®, Perjeta®, Kadcyla® and Tykerb®, Nerlynx® were recently identified and may constitute a novel therapeutic approach. **The present offer proposes two families of chemical compounds presenting a specific and original mechanism of HER2 signaling pathway inhibition in breast cancer**. One of these compounds is known to cross the blood-brain barrier and should also target brain metastases.



Current strategy to selectively inhibit HER2 receptor[1]

[1]Trastuzumab a.k.a. Herceptin® (Roche), Trastuzumab-entansine a.k.a Kadcyla® (Roche), Pertuzumab a.k.a. Perjeta® (Roche), Lapatinib a.k.a. Tykerb® / Tyverb® (GSK), Afatinib a.k.a. Giotrif® (Boehringer Ingheleim); Neratinib a.k.a Nerlynx® (Puma Biotechnology); Tucatinib (Cascadian Therapeutics) © SATT IDF Innov 2016

COMPETITIVE ADVANTAGES

- Target an intracellular domain of HER2
- Effective on drug resistant mutant forms of HER2
- Small molecules crossing the blood-brain barrier to target brain metastases