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Biotechnology, Cancer Therapeutics, Drug Discovery, Life Science, Imaging

Her2/Neu inhibitors for cancer therapy and molecular imaging

Docket # V5021, W5581

STATE OF DEVELOPMENT

- In vitro and in vivo data.

ADVANTAGES

- Small molecule inhibitors that can be developed into oral compounds
- Non-TKI; Alternative treatment for patients developed resistance to current tyrosine kinase inhibitors.

INTELLECTUAL PROPERTY

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INVENTOR

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http://www.afcri.upenn.edu/ourfaculty/green_bio.html

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Technology Overview

Cancer affects approximately 10 million people globally, which breast and lung cancer account for 25% of cancer incidences. Overexpression of Her2, a tyrosine kinase receptor regulating cell growth, has been reported in about 25% of breast cancer and 4-27% of lung cancer.

Dr. Greene and his colleagues have developed a new class of small molecules that selectively inhibit Her2 activity, leading to a targeted therapy against Her2-related cancer. This new class of Her2 inhibitors target the extracellular domain of the receptor and offer an alternative treatment for patients developing resistance to current tyrosine kinase inhibitors. They are also potential oral compounds as they are small molecules.
In addition, these molecules can be labeled with imaging agent to monitor Her2-expresssing tumors in vivo. The discovered molecules, which are attainable for oral administration, can be used alone or in combination with cytotoxic drugs, hormonal agent, radiation, or other Her2 inhibitors to treat breast, lung, prostate, and other Her2-associated cancers.

Applications

1. Anti-cancer drug: The development of acquired resistance to anti-cancer drugs is one of the major limitations of anti-cancer therapy. The invention provides a novel class of Her2 and EGFR inhibitors that might halt the resistance to current tyrosine kinase inhibitors hence increase treatment efficacy. In addition, the discovered compounds exhibit great specificity against Her2/EGFR activity by selectively binding to only dimeric Her2. This might cause less severe side effects in comparison to the conventional tyrosine kinase inhibitors.
2. Molecular imaging agent: The identified compounds can be tagged with fluorescent probe or radioisotopes for imaging of Her2-expressing tumor using PET, SPECT, optical, and MR imaging. Long wavelength fluorescent probes are suitable for optical imaging, while radio labeled compounds are required for PET and SPECT. Anti-Her2 molecules can be coated with contrast agents, such as lignosite FML, for MRI. In vivo monitoring of the Her-2 expressing tumor is useful in monitoring the disease progression and assessing the treatment efficacy.

Market Overview

Worldwide cancer affects approximately 10 million people each year and it is expected to increase to 15 million by 2020. Worldwide approximately 23 million people are living with cancer and about 5.2 million people die. Breast cancer is the most common cancer among women with 1.2 million diagnosed each year globally. Lung cancer is the most common cancer worldwide accounting for approximately 12% pf all cancer incidences. Assuming over expression of Her2 is responsible for 25% of the breast cancer and lung cancer, and then the estimated market is about one million. The estimated price of Lapatinib, a small molecule tyrosine kinase inhibitor, is $ 5000/ dose (500mg) and the total market will be over one trillion ($ 5000 * 365 * 1 million) dollars worldwide.