Novel Radiotracers for Imaging Glucocorticoid Receptor in Treatment-resistant Cancer Patients

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Technology description

UCSF investigators have developed a novel class of PET radiotracers to detect GR expression level in the clinical setting. These probes are synthesized from two GR-binding scaffolds conjugated with artificial moieties to facilitate radiolabeling and improve metabolic stability. The scaffolds have demonstrated high-affinity and specific GR-bindingin vivo. These new PET tracers will allow clinicians and researchers to establish the prevalence of GR upregulation in patients failing standard of care therapy. It will also aid in the preclinical development of therapeutic GR antagonists and in identifying GR-positive treatment-resistant cancer patients who are likely to benefit from these drugs.
UCSF researchers have invented novel radiotracers that allow imaging of glucocorticoid receptor (GR) expression using positron emission tomography (PET) in patients with treatment-resistant solid cancer, including prostate, breast, ovarian and lung cancer.

Additional Information

Data Availability
Animal data

Advantages

Prostate cancer is the most prevalent non-skin cancer in men, with ~233,000 new cases diagnosed each year. Although prostate cancer can be slow growing, the disease still accounts for almost 10% of cancer-related deaths in men. Androgen deprivation therapy (ADT) is highly effective in treating prostate cancer, reaching 80%-90% initial response rates. However, even treated with the second-generation anti-androgen drugs, Abiraterone and Enzalutamide, the vast majority of patients will eventually develop aggressive castration-resistant prostate cancer (CRPC) within a median of 18-24 months. It was recently found that upregulation of glucocorticoid receptor (GR) can recapitulate androgen receptor (AR)-like signaling and induce AR-target genes, which might be responsible for

resistance to Abir and Enz. The new technology described here allows direct detection of GR protein overexpression with PET imaging in prostate cancer, especially CRPC patients. It may also be applied to evaluate GR levels in other types of cancer, including breast, ovarian and lung cancers, in which GR upregulation is implicated in treatment resistance to chemotherapy.

The current invention provides the following advantages:

The first metabolically stable PET radiotracers capable of accurately measuring GR expression in the clinic

Allow imaging with probes of high-affinity and specific GR-bindingin vivo

Probes are synthesized through an efficient and high-yielding radiolabeling process with chemistry that is safe for human use

Non-invasive and fast detection method to evaluate the potential for resistance to standard of care therapy

Institution

[University of California, San Francisco](#)

Inventors

[Matthew Parker](#)
[Michael Evans](#)
[Charles Truillet](#)

联系我们

叶先生

电 话：021-65679356
手 机：13414935137
邮 箱：yeyingsheng@zf-ym.com