Nonconfidential Summary Disclosure

UM 3430: Highly S1R-selective PET/MR imaging for localizing and managing pain treatment

THE TECHNOLOGY

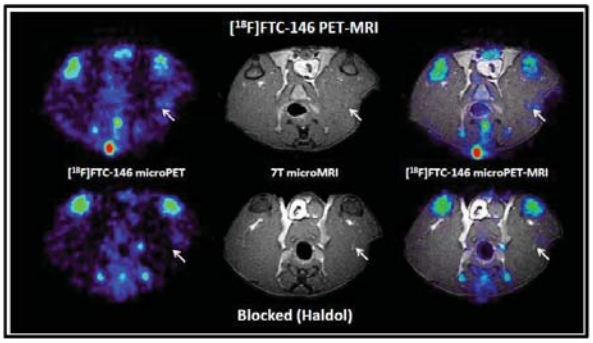
Radioligands selective for sigma-1 receptors (S1Rs) have the potential to non-invasively detect and monitor various pathologies, including neurodegenerative diseases and cancer. Researchers at Stanford University and the University of Mississippi have discovered a new selective PET radioligand to interrogate S1Rs in living subjects. They have identified and modified SN-56 (a lead compound from the benzothiazolone class), in a way that will allow incorporation of a carbon-11 and also a fluorine-18 radiolabel without greatly altering the structure of the molecule in order to maintain its high affinity and selectivity for S1Rs.

Applications for the technology include: use as a novel diagnostic tool and in assessing treatment effectiveness; use of highly selective S1R radioligand for PET-MRI imaging and autoradiography; detecting and monitoring neurodegenerative diseases and cancer; and treating cocaine overdose.

Figure A: Representative transaxial PET, MRI and PET-MRI showing injured sciatic nerves (arrows). Top row: Increased [18F] FTC-146 uptake is seen on the side with spared-nerve injury (left), compared with the uninjured side (right). Bottom row: When blocked with Haloperidol, no increase in [18F]FTC-146 uptake is seen in the left side over the right side.

Figure B: Autoradiography of sciatic nerve specimens from spared-nerve injury model showed that [18F]FTC-146 uptake is higher in injured left sciatic nerve than in the uninjured right sciatic nerve.

A



COMPETITIVE ADVANTAGE

PET radioligands specific for S1Rs could provide a non-invasive means of:

- Visualizing and investigating the inner workings of these sites
- Assessing receptor occupancy (to determine doses of therapeutic drugs)
- Early detection and staging of S1R related diseases
- Monitoring therapeutic response

DEVELOPMENT POTENTIAL

Currently in Phase I trials

See video for more info - https://youtu.be/Yw3AlQXL9t0

PATENT STATUS

Issued: U.S. 9,724,435; U.S. 9,604,926; U.S. 8,809,381; U.S. 8,686,008; and JP 6,591,431

Patent Pending: Europe, Canada, and Australia

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Co-Owned with Stanford University

KEYWORDS

Receptor Neurodegeneration, Radioligand, Fluorine-18, Isotopic Labeling, PET / MRI, Neuropathic Pain, Non-invasive, Autoradiography

PUBLICATIONS

"Characterization of Sigma 1 Receptor Antagonist CM-304 and Its Analog, AZ-66: Novel Therapeutics Against Allodynia and Induced Pain". Front. Pharmacol., 14 June 2019 https://doi.org/10.3389/fphar.2019.00678

More publications available upon request

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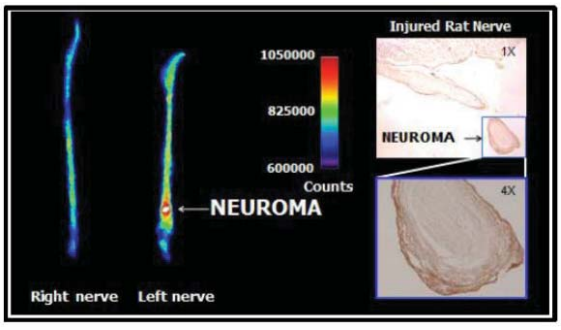

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