Imperial College London

Octreotate Radioligand for Imaging Neuroendocrine Tumors

^{18}F-FET-βAG-TOCA is a novel, 'click' ^{18}F-labelled octreotate PET imaging radiopharmaceutical that detects tumour lesions in patients with neuroendocrine tumours (NETs).

Proposed use

^{18}F-FET-βAG-TOCA is clinically safe and has high tumour uptake, showing better resolution than the existing radiotracers in imaging NETs.

Its high production yields and increased accessibility make it suitable for large multicentre studies.

Problem addressed

Compared to radioligand widely used in PET imaging, ^{68}Ga-DOTATATE, ^{18}F-FET-βAG-TOCA is not dependent on availability of ^{68}Ga and so is cheaper and easier to synthesize.

The market for nuclear imaging, driven by increasing demand in personalized healthcare, is worth $2.6 Billion and growing by a CAGR of 3.3%. ^{18}F-FET-βAG-TOCA benefits from better tumour uptake and increased accessibility compared to the in-use ^{68}Ga-DOTATATE and can play an important role in the therapeutic decision-making process of NET patients.

Technology overview

A team at Imperial College London, led by Professor Eric Aboagye, has developed a novel and a highly specific radiotracer that can accurately identify neuroendocrine tumours in patients using the Positron Emission Tomography (PET) scanning platform. The key features include:

^{18}F-FET-βAG-TOCA was generated using 'click' chemistry through a triazole-based method. The radioisotope has acceptable metabolic stability with little or no defluorination.

In-human study on patients with NET showed that ^{18}F-FET-βAG-TOCA had no adverse effects on the health. The radiotracer is detectable in the vascular compartment, liver, spleen, kidneys within first 6 minutes of radioligand injection.

While retaining reasonably high binding affinity compared to existing clinically applicable radioligands (68Ga- DOTATATE and ^{18}F FDG), a lower background distribution in liver of ^{18}F-FET-βAG-TOCA was observed in the liver, where metastases is common.

Benefits

- Higher penetration and tumour uptake compared to ^{68}Ga-DOTATATE and ^{18}F FDG radioligands
- Cheap and easy to synthesize
- Longer half-life and available for large multi-centre studies
- Specific uptake in somatostatin receptor-high tumours
- Clinically safe, good biodistribution and better image resolution than clinically applicable imaging agents
- Improved detection of tumour lesions in patients with NETs

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Intellectual property information

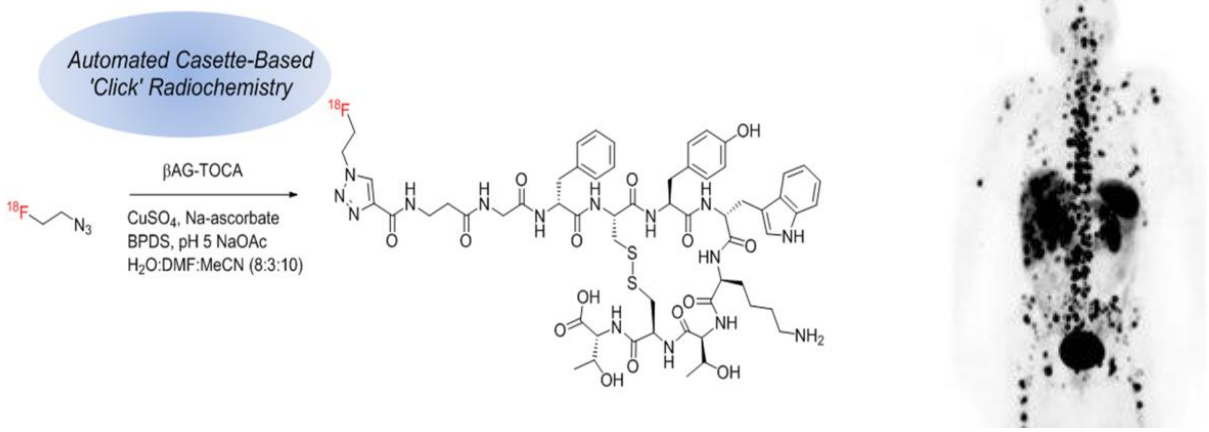
The patent protecting the ^{18}F-FET-βAG-TOCA radiotracer has been granted in US and EU and pending in Hong Kong. Patent number WO2012118909A1.

Link to published paper(s)

- https://www.sciencedirect.com/science/article/pii/S0960894X11003271?via%3Dihub
- https://jnm.snmjournals.org/content/52/9/1441
- https://pubs.rsc.org/en/content/articlehtml/2019/re/c8re00279g
- https://www.mdpi.com/2072-6694/12/4/865/htm

Inventor information

Professor Eric Aboagye is a professor at Imperial College and leads the NIHR Biomedical Research Centre Imaging Theme. He was recipient of the 2009 Sir Mackenzie Davidson Medal and was elected as a Fellow of the Academy of Medical Sciences in 2010 for outstanding contributions to the advancement of medical science. He has also acted as an advisor to GE-Healthcare, GSK, Roche and Novartis



^{18}F-label is bound to the somostatin analogue octreotate through “click” chemistry to form ^{18}F-FET-βAG-TOCA, giving high resolution and high uptake specificity in patients.