Executive summary
A research group has generated a fluorogenic probe with unparalleled new features to label peptides, based on a Bodipy amino acid, exploiting a new chemical concept.

The group is looking for a license, but other collaborations may be considered.

Introduction
Fluorescent probes are chemical entities of enormous importance in biomedical research and medical imaging. The BODIPY scaffold is one of the most exploited fluorophores due to its excellent photophysical properties.

While BODIPY dyes have been widely used to label biomolecules and prepare the corresponding fluorescent analogues, they are not usually employed to generate fluorogenic compounds.

There are a number of commercially available fluorogenic amino acids; however, they are limited by short emission wavelengths, low extinction coefficients and are limited to one single reactive group (e.g. succinidimyl ester, maleimide). Therefore, they cannot be used as building blocks for solid-phase peptide synthesis, which limits their scope to labelling N- or C-terminal groups.

Description
The invention relates to the preparation and application of new amino acids that exhibit very strong fluorogenic behaviour and can be incorporated at any point of a peptide sequence and are especially useful as Trp surrogates.

As a proof of concept the research group has used one of our green-emitting fluorogenic amino acid to label a known antifungal hexapeptide targeting the cell membrane of fungal cells. The incorporation of the amino acid as a Trp surrogate did not affect the antifungal activity of the peptide and enabled fungal cell membrane imaging using confocal fluorescence microscopy with high signal-to-noise ratios and without the need of any washing steps. The synthesis of the amino acids was accomplished using palladium-catalysed couplings of BODIPY iodides to Fmoc-Trp-OH.

Advantages
- Superior spectral properties to commercially available fluorogenic amino acids.
- Labelling not limited to N- or C-terminal Groups.
- Ability to generate fluorogenic peptides/proteins as molecular probes or reagents for biochemical assays.
- High fluorescent signal-to-noise ratios for washing-free cell imaging and high chemical stability for in vivo imaging.

Current stage of development
Proof of concept already done.

Goal
The group is looking for a license agreement, but other collaborations may be considered.

Patent
A priority patent application was filed in June 2015.

Reference
AVCR1266-E

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