

A New Class of Stable Heptamethine Cyanine Fluorophores and Biomedical Applications Thereof

Summary (1024-character limit)

Researchers at the National Cancer Institute (NCI) have developed an improved class of heptamethine cyanine fluorophore dyes useful for imaging applications in the near-IR range (750-850 nm). A new chemical reaction has been developed that provides easy access to novel molecules with improved properties. Specifically, the dyes display greater resistance to thiol nucleophiles, and are more robust while maintaining excellent optical properties. The dyes have been successfully employed in various in vivo imaging applications and in vitro labeling and microscopy applications. The NCI seek co-development or licensees to develop them as targetable agents for optical-guided surgical interventions.

NIH Reference Number

E-271-2014

Product Type

- Research Tools

Keywords

- Heptamethine Cyanines, Fluorophore, near-IR fluorophores, Dye, Imaging, Imaging reagent, Antibody labeling, Microscopy, Imaging Microscopy, Fluorescence-activated cell sorting (FACS), Optical-Guided Surgery

Collaboration Opportunity

This invention is available for licensing and co-development.

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Description of Technology

Heptamethine cyanines are among the most widely used near-IR fluorophores. The near-IR range (between about 650 nm and 900 nm) is very useful for imaging applications due to the absence of background autofluorescence. Despite extensive use, many of these fluorophores suffer from chemical instability. Specifically, most of the current and commonly used fluorophores undergo a phenoxy to thiol exchange reaction in the presence of primary thiols. This exchange reaction is problematic during

conjugation reactions of cysteine containing macromolecules. These exchange reactions are further complication by the fact that they occur intracellularly.

Researchers at the National Cancer Institute (NCI) have developed an improved class of fluorophore dyes comprised of heptamethine cyanines. These improved dyes present a novel and much needed tool for imaging applications in the near-IR range. Specifically, these dyes display greater resistance to thiol nucleophiles, and are therefore, more robust while maintaining their useful optical properties. The preparation of these dyes is more facile with higher yields than preparative routes for other competing fluorophore dyes. The inventors have taken advantage of these useful properties to apply the dyes to various in vivo imaging applications.

The researchers at the National Cancer Institute (NCI) continue to develop these dyes as targetable agents for optical-guided surgical interventions.

This technology is available for licensing and collaboration opportunities for joint development are available to qualified entities.

Potential Commercial Applications

- Antibody labeling
- FACS and related microscopy
- Imaging reagent
- Imaging microscopy
- In vivo imaging applications
- Optical-guided surgical interventions

Competitive Advantages

- Greater chemical stability in the presence of thiol nucleophiles
- More facile and higher yielding synthetic preparation
- More robust while maintaining excellent optical properties
- Successfully utilized for in vivo imaging application

Inventor(s)

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Development Stage

- Pre-clinical (in vivo)

Publications

RR Nani et al. [[doi/10.1021/ol503398f](https://doi.org/10.1021/ol503398f)]

K Sato et al. [[PMID 26261913](https://pubmed.ncbi.nlm.nih.gov/26261913/)]

Patent Status

- **U.S. Patent Filed:** U.S. Patent Application Number PCT/US2014/064136, Filed 05 Nov 2014

Related Technologies

- [E-143-2017 - Conformational Restriction of Cyanine Fluorophores in Far-Red and Near-IR Range](#)

Therapeutic Area

- Cancer/Neoplasm