Genetically Encoded Fluorescent Sensors for Probing the Action of G-Protein Coupled Receptors (GPCRs)

Tech ID: 27314 / UC Case 2016-974-0

ABSTRACT

Researchers at the University of California, Davis have developed a genetically encoded fluorescent sensor toolbox for the probing of G-protein coupled receptors.

FULL DESCRIPTION

Drugs targeting G-protein coupled receptor (GPCR) account for over 40% of all prescription pharmaceuticals on the market. Currently available systems to study GPCR-drug interactions primarily rely on the principles of Forster Resonance Energy Transfer (FRET) or Bioluminescence Resonance Energy Transfer (BRET), taking a single measurement averaged over the different species present in solution and weighted by fluorescence emission intensities. These methods have poor signal to noise ratios and offer limited dynamic range.

Researchers at the University of California, Davis have developed a toolbox of optogenetic sensors to visualize GPCR activation. Direct ligand binding to the receptor induces conformational changes, triggering changes in fluorescence intensity of a single wavelength GFP chromophore. Prototype GPCR Beta2AR was used to confirm that we can use this sensor to visualize the conformational dynamics of GPCR in the presence of drugs. We demonstrated the utility of our sensors in visualizing the structural rearrangement of GPCR triggered by binding of ligands in real-time, which will aid in screening and design of new GPCR-targeted drugs with tailored pharmacological efficacy. Given the structural similarity of GPCRs, the sensor design strategy represents a universal scaffold that can be readily applied to other GPCR types, so that the action of different types of GPCRs can be visualized simultaneously. The toolbox could enable high-throughput cell-based screening, mapping of neuromodulation networks in the brain and in vivo validation of potential therapeutics.

APPLICATIONS

- Pharmaceutical drug development
- In vitro high throughput drug screening
- In vivo drug efficacy evaluation
- In vivo and in vitro characterization of mechanistic action of drugs
- Research reagent to study GPCR dynamics in response to ligand binding

FEATURES/BENEFITS

- Direct imaging of GPCR ligand-induced dynamic changes
- Molecular specificity at subcellular resolution for evaluating drug effects and targets
- Ability to study the molecular mechanism of GPCR activation
- Probe ligand concentration, targeting sites, efficacy in cells and animals, especially diseased models

RELATED MATERIALS

- Patriarchi T. et al. Ultrafast neuronal imaging of dopamine dynamics with designed genetically encoded sensors. Science. 2018 Jun 29;360(6396). pii: eaat4422. doi:10.1126/science.aat4422. Epub 2018 May 31. - 05/31/2018

PATENT STATUS

Country	Type	Number	Dated	Case
United States Of America	Issued Patent	12,459,985	11/04/2025	2016-974

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OTHER INFORMATION

KEYWORDS

GPCR, ligand, toolbox, genetically encoded fluorescent sensor, drug screening, drug efficacy, imaging

CATEGORIZED AS

- **Biotechnology**
  - Other
- **Imaging**
  - Molecular
  - Other
- **Medical**
  - Diagnostics
  - Imaging
  - Research Tools
  - Screening
- **Research Tools**
  - Other
  - Screening Assays
- **Sensors & Instrumentation**
  - Analytical
  - Biosensors
  - Scientific/Research

RELATED CASES

2016-974-0

ADDITIONAL TECHNOLOGIES BY THESE INVENTORS

- Functional Illumination in Living Cells
- GPCR Screening Method to Identify Non-Hallucinogenic Compounds

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