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Innovation Infosheet
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Tool to Rapidly Discover Biased Agonists of Delta Opioid Receptor and Other G Protein-Coupled Receptors

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- Biotechnology
- Pharmaceuticals

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- Assay
- Biological & Chemical Assay
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- Fluorescent Protein
- GPCR
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- Wavelength

Researchers at Purdue University have developed a technology to assess the cellular effects of potential drugs designed as agonists of cell surface proteins known as G protein-coupled receptors (GPCRs). GPCR agonists carry out their therapeutic effect by controlling GPCR-mediated signaling. Agonist binding can result in recruitment of beta arrestin proteins to the GPCR. Whether the drug preferentially recruits beta-arrestin 1 or beta-arrestin 2 will influence the drug's therapeutic and adverse effects. Traditional setups that assess beta-arrestin recruitment require two separate assays. The Purdue system empowers researchers to quickly identify agonists that are biased toward recruitment of the desired beta-arrestin isoform by monitoring both isoforms over time in a single cell. The Purdue technology uses protein complementation, with a fragment of a luminescent protein fused to the GPCR and different complementary fragments fused to each arrestin isoform. The assay yields green, red, or a combination of green and red luminescence depending on how the GPCR recruits beta-arrestins in the presence of an agonist. The researchers have successfully demonstrated the concept with the GPCR, delta opioid receptor, and obtained dose-response curves with two delta opioid receptor agonists. The approach demonstrated with the delta opioid receptor will also be useful for screening other GPCR drug targets.

Advantages:
-Single assay for simultaneously assessing recruitment of two beta-arrestin isoforms
-Kinetic tracking of beta-arrestin recruitment in real-time

-Rapid discovery of beta-arrestin isoform biased agonists

Potential Applications:
-Drug Discovery and Development
-Biochemical Assays

Technology Validation: Obtained dose-response curves with two delta opioid receptor agonists.

People:

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Intellectual Property:

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