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A Fluorescence-based Assay Benefitting PROTAC Drug Discovery and Development

A reproducible and selective fluorescence polarization (FP) based assay screens for tight binders to novel membrane-bound E3 ligases and identifies deubiquitinating enzymes (DUBs) for new drug discovery and PROTAC development.

Researchers at Purdue University have developed a fluorescence polarization (FP)-based activity assay to monitor tight binders to the substrate recognition domain of a family of E3 ligases. The design of proteolysis targeting chimeras (PROTACs) has so far relied on a limited amount of well-characterized E3 ligases. Most of these ligases are either cytosolic or nuclear in their cellular distribution.

By targeting membrane-bound proteins for their assay, researchers at Purdue University have opened new paths to the discovery and development of therapeutics. This assay can be used to screen for binders, which would open new avenues in the PROTAC field (i.e., utilization of novel E3 ligases). The FP-based assay is reproducible, and specific. Further, the assay may have other uses such as deubiquitinating enzyme (DUB) identification. The identification of DUBs in and of itself, presents new targets for drug discovery and development.

Technology Validation: Fluorescence Polarization was used to monitor ubiquitination of the peptide. Ubiquitination was confirmed via SDS-PAGE. Upon the addition of DUB, deubiquitination occurred, as shown by decreased FP. Deubiquitination was also confirmed by SDS-PAGE.

Advantages:

-Screen binders of novel E3 ligases

-Reproducible and selective

-Assay can also be used to screen for DUBs

Applications:

Technology ID
2023-DAS-69989

Category
Biotechnology & Life Sciences/Biomarker Discovery & Diagnostics
Biotechnology & Life Sciences/Analytical & Diagnostic Instrumentation
Pharmaceuticals/Research Tools & Assays

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- Drug development

- Drug discovery

TRL: 3

Intellectual Property:

Provisional-Patent, 2022-12-16, United States | PCT-Patent, 2023-12-15, WO | NATL-Patent, 2025-06-16, United States