# MICRO-TAG™ Cell Target Engagement Platform From Discovery to Optimization

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## Abstract

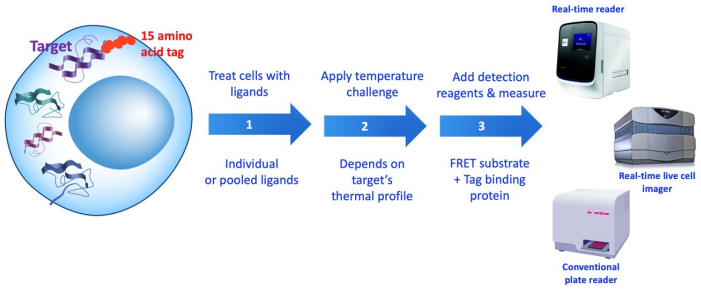
Smartly designed drug discovery programs can amplify the success rate and accelerate the progress from hit discovery to optimization. Drug discovery for challenging drug targets necessitates the proteomic complexities of the cellular milieu for proper folding and function. Therefore, the conventional biophysical methods are not sufficient due to the artificial acellular environment they impose on the target.

Cell target engagement is a powerful paradigm in drug discovery, as it measures transitions in the thermodynamic state of target protein during engagement with drug molecules. The currently available luminescence-based cell target engagement methods are limited to measurement of end-point signal, poor utility across multiple challenging target families and insufficient resolution for kinetic and mechanistic readouts.

Here we present MICRO-TAG™ cell target engagement platform for challenging drug targets.


## Robust Enzyme Complementation

The MICRO-TAG™ platform is built on a novel fluorescence-based cell target engagement system. It enables interrogation of drug targets without interfering with folding, localization and function - all within the physiological milieu of the cell.




## Next-Gen Cell Target Engagement

MICRO-TAG platform represents the next-gen of cell target engagement technology and offers unprecedented features and capabilities.

| | | | |
|---|---|---|---|
| Scalable technology | ✓ | **Tag size** | **15 aa** |
| Real-time Cell target engagement | ✓ | **Signal type** | **Fluorescence** |
| Challenging drug targets + modalities | ✓ | **Target class** | **Unlimited** |
| DEL integration | ✓ | **Tracer** | **No** |
| End-to-end platform | ✓ | **Signal resolution** | **Real-time** |
| | | **Sensitivity** | **Pico – micro M** |
| | | **Programmability** | **Yes** |
| | | **DEL Discovery** | **Yes** |

## End-to-End Platform

MICRO-TAG cell target engagement platform enables end-to-end solution for starting top-in-class discovery programs from hit identification, to hit validation and lead optimization, de-risking and accelerating the process.

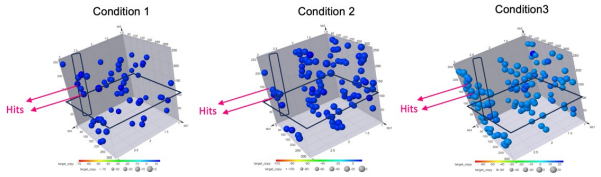



| Discovery | Validation | Analysis |
|---|---|---|
| Billions of compounds | 50-500 selected compounds | 10-50 top compounds |
| ▪ Pooled screen using DNA-Encoded Libraries<br>▪ Plated high-throughput screen | • Rank by potency<br>• Target interrogation<br>• Validate target selectivity | • Real-time cellular kinetics<br>• Real-time live microscopy |

CTE = Cell Target Engagement

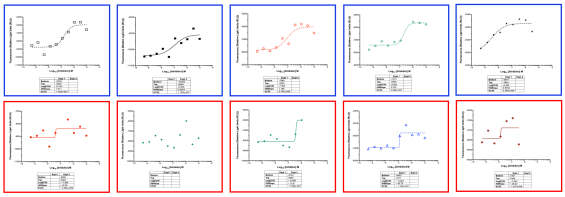
## From Discovery to Lead Optimization

### DEL Discovery



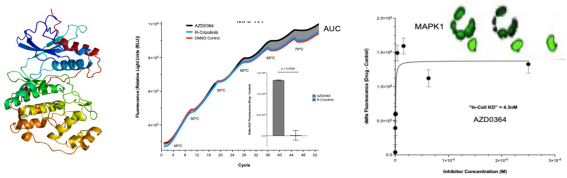

What is the desired DEL space?
What is desired therapeutic modality?

### Hit Validation



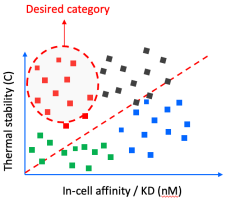
Do hits pass potency & selectivity bar?
Can hits be separated by potency or class?

### Real-Time Analysis




What is in-cell affinities of hits?
Are MoA expectations met?

### Hit expansion & Optimization




Further validation
AI-enhanced

Novel optimized ligands

What are desired chem properties?
What is desired potency and selectivity level?

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SCAN ME

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