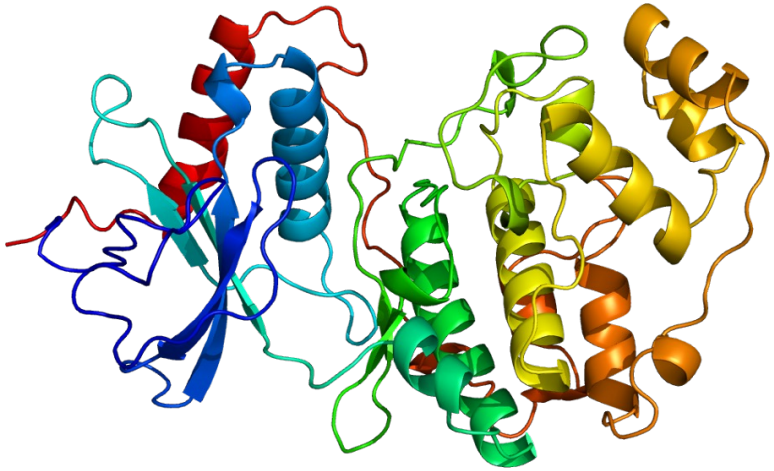
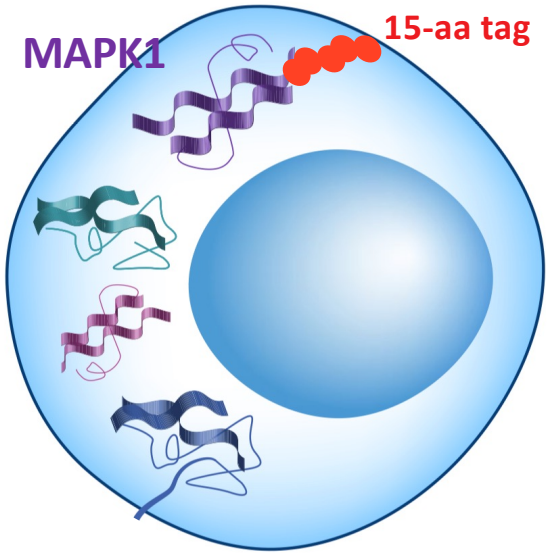
Case Study: MAPK1

Real-Time Step-Gradient Cell Target Engagement

MAPK1 -- Real-Time Cell target Engagement



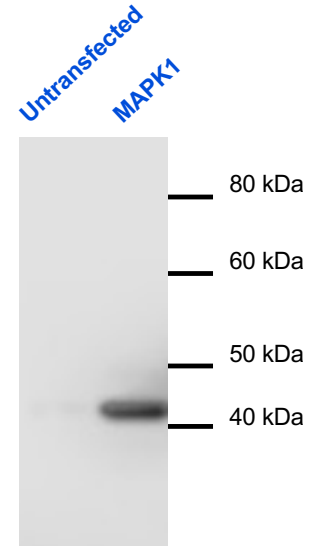
Molecular structure of MAPK1.



Reporter cells expressing KRAS Micro-Tag.

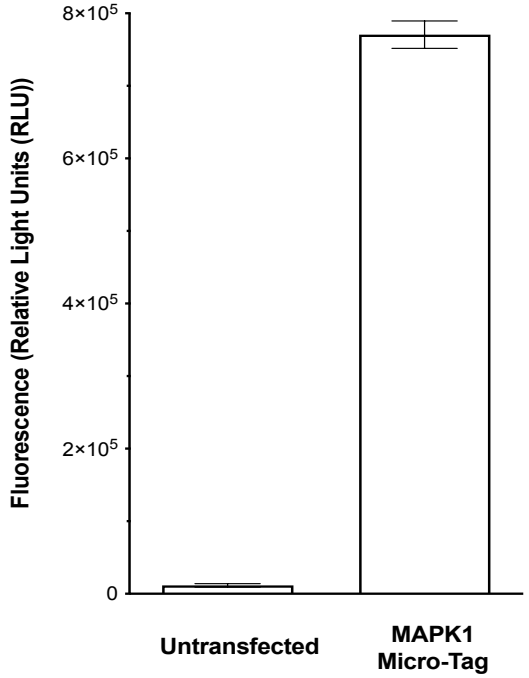
MAPK1 -- Real-Time Cell target Engagement

CellarisBio

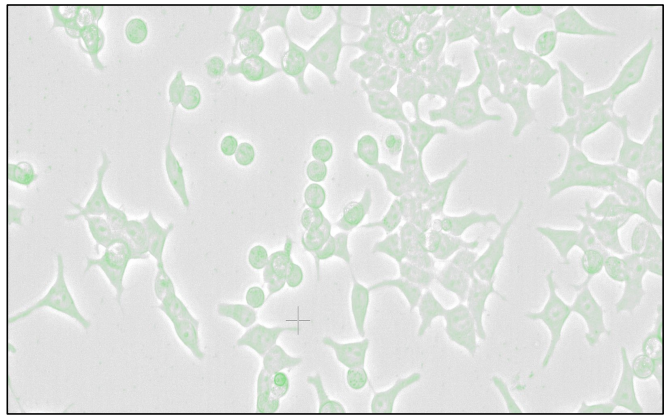


Immunoblot:
Micro-Tag antibody

Immunoblot showing clean expression of MAPK1 with Micro-Tag

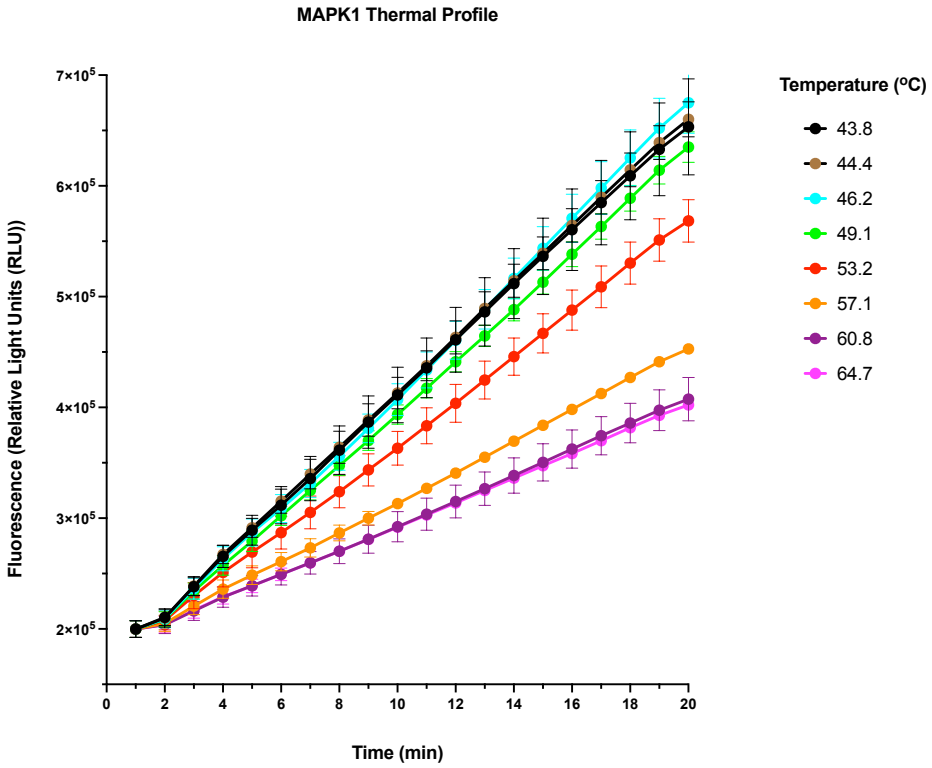


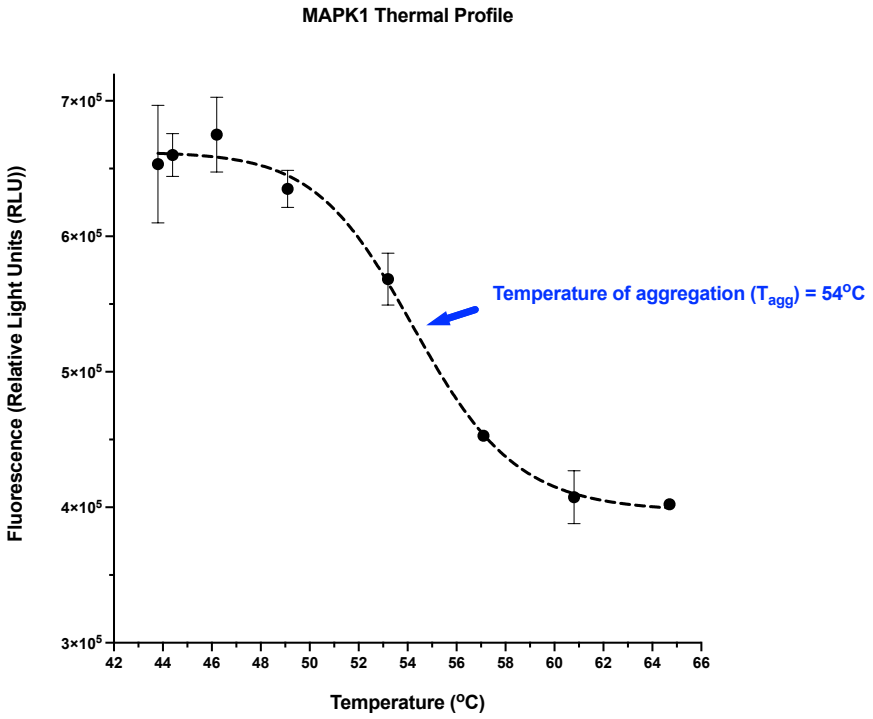
Data confirming enzyme complementation of MAPK1 in Micro-Tag assay



MICRO-TAG reporter cells for MAPK1 showing fluorescence in real time.

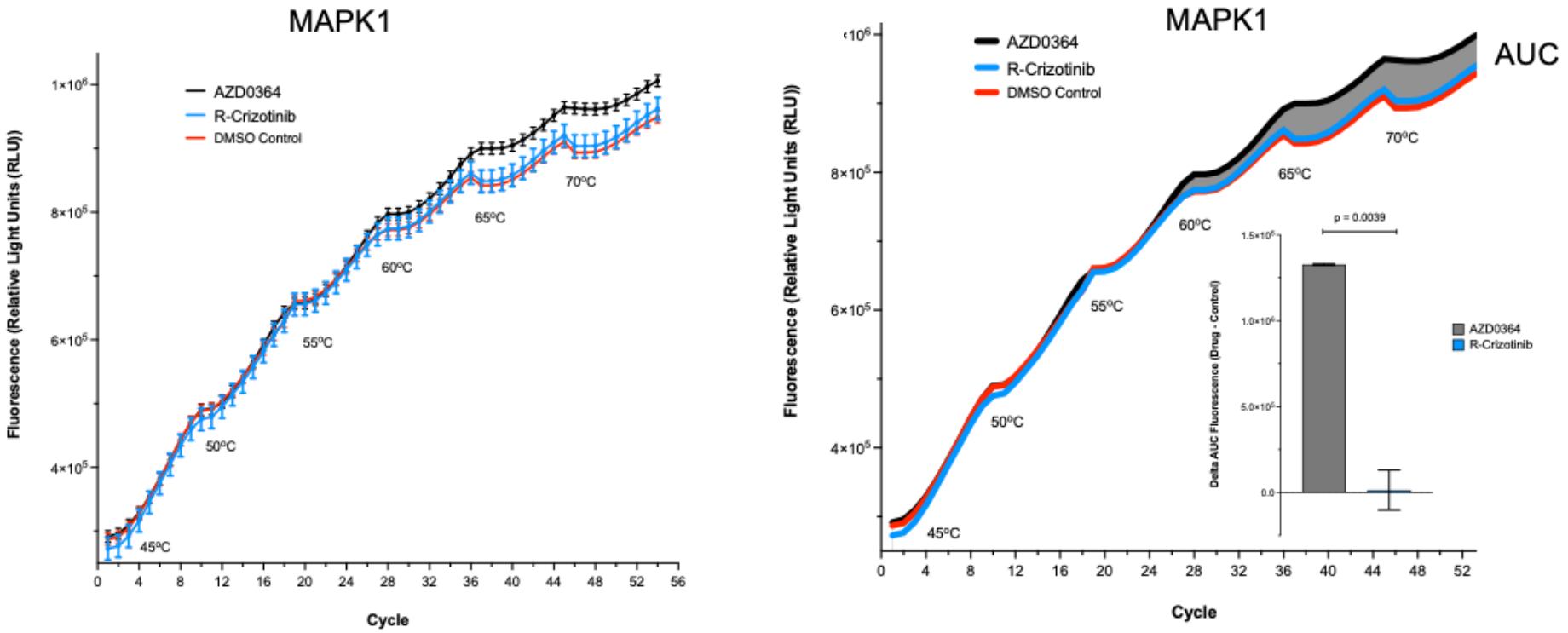
MAPK1 – Thermal Melting Profile (Optional)





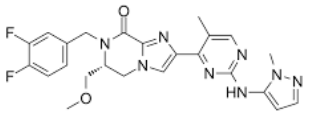
T-agg(50) point for MAPK1 is optionally detected as 54C.
This is consistent with previous reports.

MAPK1 -- Real-Time Cell target Engagement

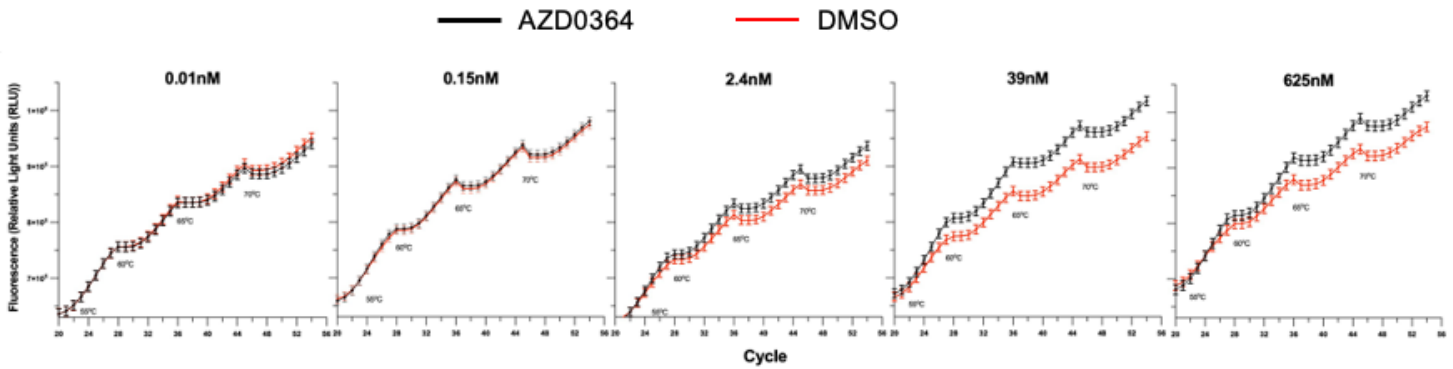


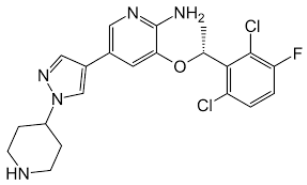
Step-gradient real-time cell target engagement of MAPK1 reveals positive signal with AZD00364 (positive control) as compared to R-Crizotinib (negative control) and DMSO.

MAPK1 -- Real-Time Cell target Engagement

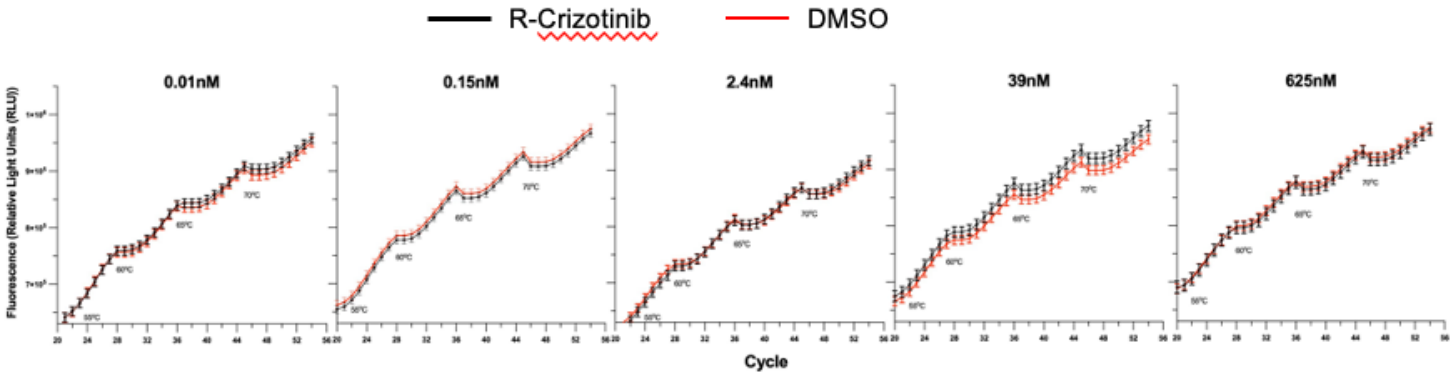


AZD0364





Crizotinib



Testing of various doses of tools compounds in step-gradient real-time cell target engagement reveals in – cell KD (affinity) of 4.3nM for AZD00364 (positive control).

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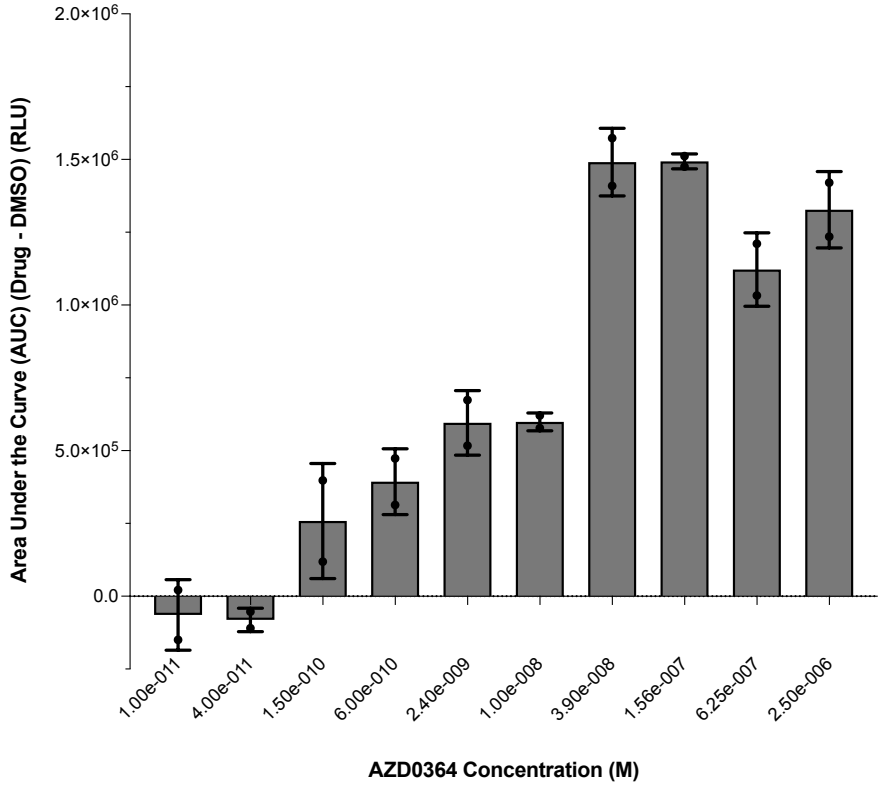

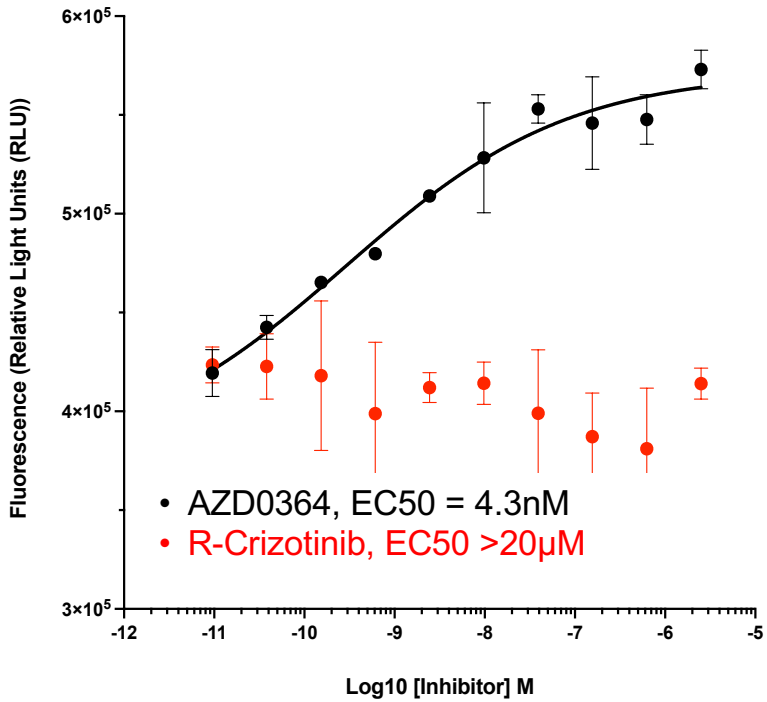

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