

Supporting Information

**Accelerated cellular on- and off-target screening of bioactive
compounds using microarrays**

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1. Materials

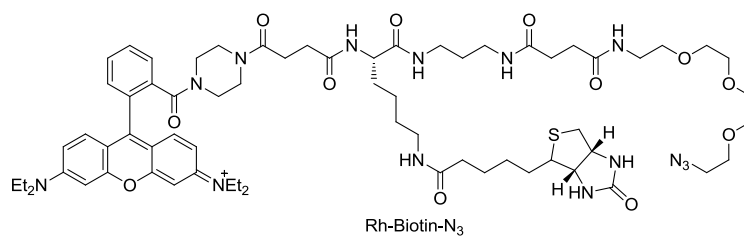


Fig. S1 Structure of Biotin-TER-N₃²

Structure of Inhibitor	Structure of Probe	Known protein targets	Ref.
<p style="text-align: center;">Dasatinib</p>	<p style="text-align: center;">DA-3</p>	ABL1, SRC	3
<p style="text-align: center;">Imatinib</p>	<p style="text-align: center;">IM-1</p>	ABL, Kit, PDGFR	4
<p style="text-align: center;">PD0325901</p>	<p style="text-align: center;">PD-1</p>	MEK1	5
<p style="text-align: center;">staurosporine</p>	<p style="text-align: center;">STS-2</p>	PRKCH pan- kinase	6
<p style="text-align: center;">Compound C</p>	<p style="text-align: center;">CC-1</p>	AMPK, SRC	7

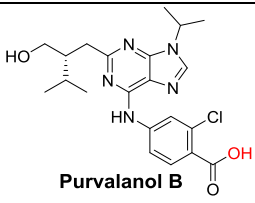
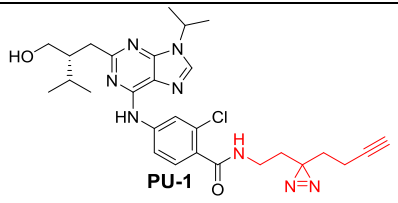
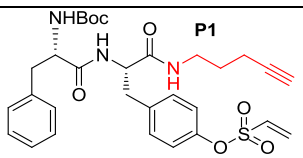
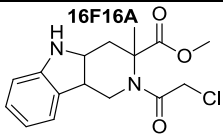
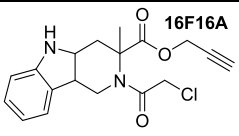
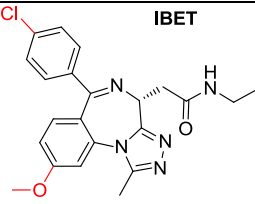
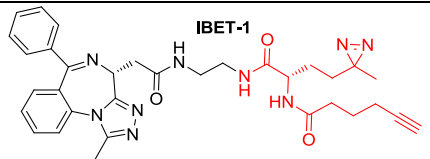
 <p>Purvalanol B</p>	 <p>PU-1</p>	MEK1	8
NA	 <p>P1</p>	PDI	1
 <p>16F16A</p>	 <p>16F16A</p>	PDI	1
 <p>IBET</p>	 <p>IBET-1</p>	Brd-4	9

Table. S1 Design and structures of ABP and AfBP

Antibody	Company	WB	Microarray	Product code
<i>anti-c-Src</i>	Santa Cruz	1: 500	1: 100	sc-8056
<i>anti-PDI</i>	Santa Cruz	1: 500	1: 50	sc-166474
<i>anti-PKA</i>	Santa Cruz	1: 2000	1: 500	sc-903
<i>anti-MEK1</i>	Abcam	1: 2000	1: 100	ab32091
<i>anti-CDK1</i>	Abcam	1: 10000	1: 1000	ab133327
<i>anti-Tubulin</i>	Abcam	1: 5000	1: 500	ab6064
<i>anti-rabbit</i>	Cell signalling	1: 5000	1: 500	7074S
<i>anti-mouse</i>	Santa Cruz	1: 5000	1: 500	sc-358917

Table. S2 Antibodies used for screening and their corresponding dilutions.

2. Supporting Results

2.1. Pure protein labelling and spike-in experiment

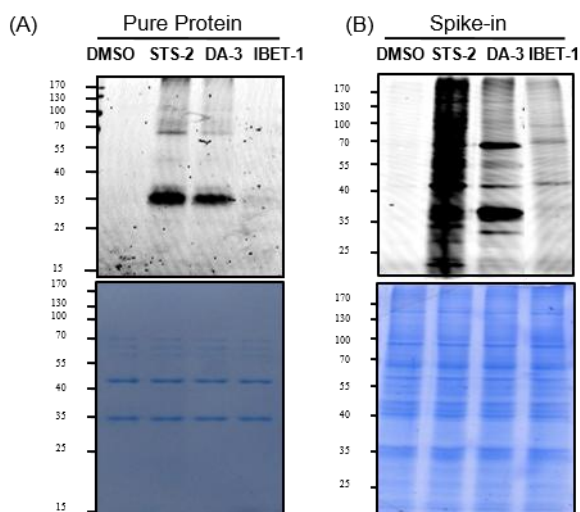


Fig. S2 (A) Recombinant c-Src proteins are labelled with three probes (**STS-2**, **DA-3**, **IBET-1**) separately. (B) Spiked cell lysate (10,000ng/ml) are labelled with three probes (**STS-2**, **DA-3**, **IBET-1**) separately.

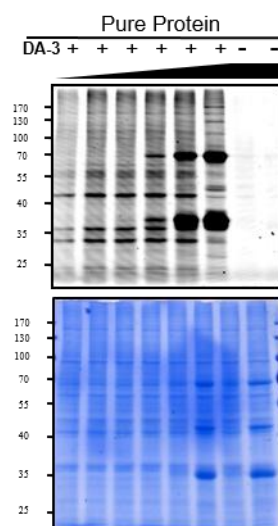


Fig. S3 Spiked cell lysate (1, 10, 100, 1,000, 10,000, 100,000ng/ml) are labeled with **DA-3**. Fluorescent gel (Top) and Coomassie stain (Bottom).

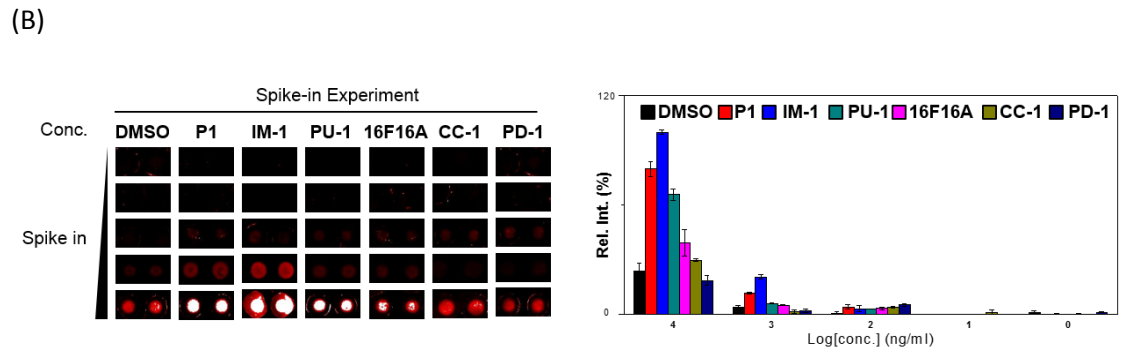
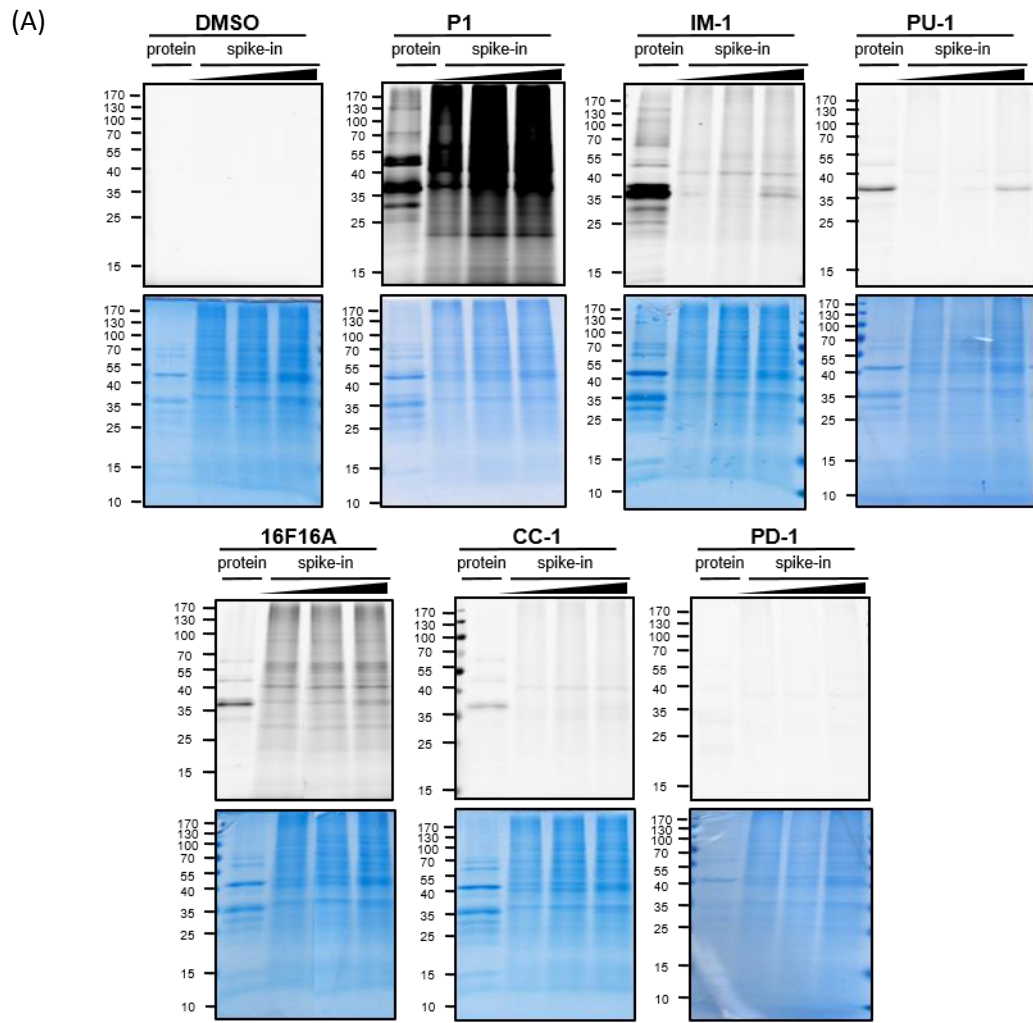


Fig. S4 (A) Recombinant c-Src and spiked cell lysate (1, 100, 10,000 ng/ml) are labeled with **P1**, **IM-1**, **PU-1**, **16F16A**, **CC-1** and **PD-1**. Fluorescent gel (Top) and Coomassie stain (Bottom). (B) HepG2 lysate samples were capture on microarray and visualized with *anti-c-Src* Abs and a TSA Cy5 kit. Bottom to top (ng/ml): 10,000, 1000, 100, 10, 1.

2.2. *In situ* target profiling on microarrays

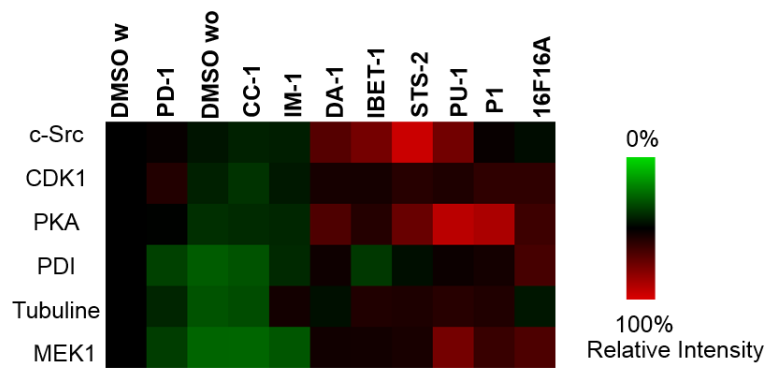


Fig. S5 Heatmap of nine probes against six antibodies.

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