# <Supporting Information>

# Surface modification for small-molecule microarrays and its application to the discovery of a tyrosinase inhibitor

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## 1. General Information and Materials

Mushroom tyrosinase, 3,4,-dihydroxyl-L-phenylalanine (L-DOPA), *N,N*-disuccinimidyl carbonate, bovine serum albumin (BSA), *O,O′*-bis(2-aminopropyl) polypropylene glycol-*block*-polyethylene glycol-*block*-polypropylene glycol 700 (Jeffamine ED-800), Chitosan, Fmoc-8-amino-3,6,-dioxoctanoic acid, piperidine, potassium phosphate monobasic, ethylene glycol, and 1,6-diisocyanatohexane were purchased from Sigma-Aldrich [USA]. Benzotriazole-1-yl-oxy-tris-pyrrolidino-phosphonium hexafluorophosphate (PyBOP) was purchased from Novabiochem [Germany]. Phosphate-buffered saline (PBS) was purchased from Welgene Inc.[South Korea]. Amine functionalized glass slide (GAPS II) and epoxide functionalized glass slide were purchased from Corning [USA]. CM5 series S sensor chip, N-hydroxysuccinimide (NHS), N-ethyl-N'-(3-dimethylaminopropyl)carbodiimide (EDC), 1 M ethanolamine-HCl solution, Cy5-NHS ester, and anti-GST antibody were purchased from GE Healthcare [USA]. OmniGrid Accent microarrayer [Gene Machine, USA] was used for small molecule printing. Binding analysis was performed with Surface Plasmon Resonance (SPR) using Biacore T100 instruments [Biacore, Sweden]. For the measurement of absorbance at 475 nm, Synergy HT microplate reader [BioTek Instruments, Inc., USA] was used.

## 2. Supplementary Scheme

@ **Supplementary Scheme S1.** S Photo-activated carbine-based immobilization on the surface of Jeffamine modified glass slides for small-molecule microarray. Jeffamine was functionalized on epoxide glass slide as shown in Scheme 1, followed by diazirin introduction via PyBOP-mediated amide bond formation.
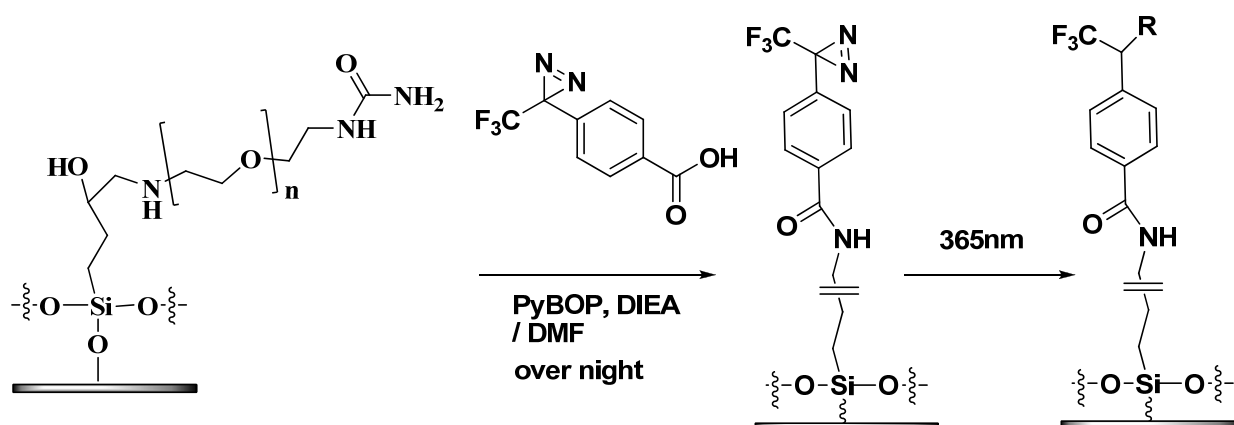
## 3. Supplementary Figure
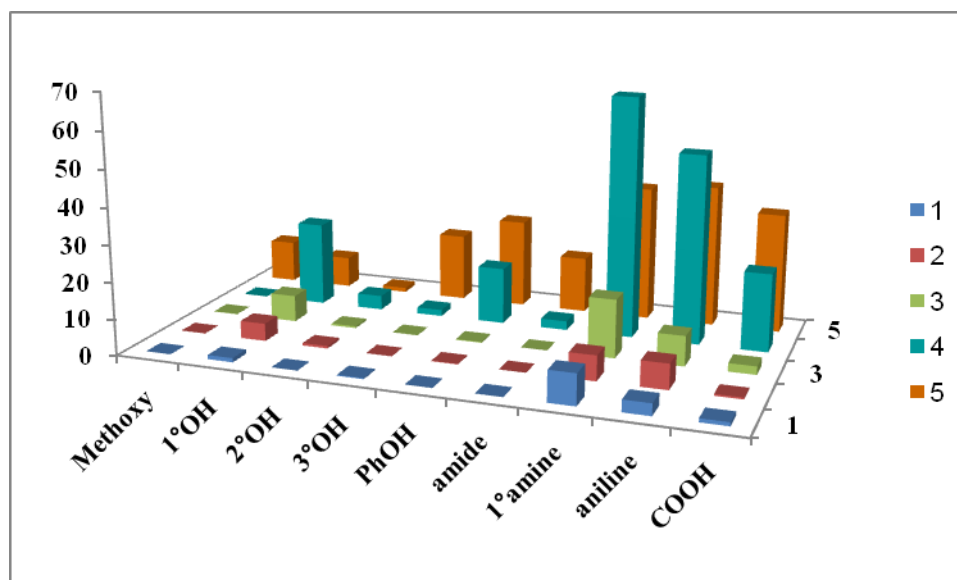


@ **Supplementary Figure S1.** Calculated signal-to-noise ratio of small-molecule microarray

through the immobilization of AP1497 derivatives on five discrete surface modification

methods; amine slide (1), short PEG-modified slide (2), BSA-coated slide (3), Jeffamine- (4),

and Chitosan-modified slide (5). Jeffamine-coated slide showed the highest signal-to-noise

ratio.