

**S2** Fig. Compound 1 and analogues in VLA-4 activation and LDV-FITC dissociation assays. (A) Analogues of 1 showed varied activity in the VLA-4 binding assay. Experiments were carried out as described in the main text. Fluorescence was monitored after adding compounds. Early data points were not shown. (B) A model for the dissociation rate constant determination. Non-fluorescent LDV was added to the cell suspension after either LDV-FITC, *N*- fMLFF or compounds. (C) Dissociation after inhibition by compound 1. The decreasing fluorescence was regraphed as a ratio to the fluorescence at the time of the LDV addition. (D) Dissociation after addition of compound 3. (E) Quantification of the dissociation rate constants according to Equation 2 in S1 Text.