

P-selectin-Biacore Inhibition Assay

P-selectin inhibition assays for **3** and **4** were performed using a surface plasmon (Biacore) assay on a Biacore 3000 instrument, following the published protocol.¹ Biotinylated 19ek (a purified monomeric truncated form of human PSGL-1) was immobilized on SA sensor chip 11 and a soluble recombinant truncated form of human P-selectin was delivered at to the coated 19ek sensor chip at 30 L/min and 25 °C in the presence and absence of the test ligand.

sample	conc	units	Avg % inhib	sd	10% DMSO
Glycyrrhizin² (control)	1000	μM	52	0.99	No ppt
1	12000	μM	48	0.53	No ppt
1	6000	μM	33	0.52	No ppt
3	12000	μM	39	0.41	No ppt
3	6000	μM	19	0.56	No ppt
4	12000	μM	26	0.36	No ppt
4	6000	μM	13	0.45	No ppt
Glycyrrhizin (control)	1000	μM	51	0.88	No ppt

12000 and 6000 uM

Date:

1/5/2006

References

¹ Kaila, N.; Somers, W. S.; Thomas, B. E.; Thakker, P.; Janz, K.; DeBernardo, S.; Tam, S.; Moore, W. J.; Yang, R.; Wrona, W.; Bedard, P. W.; Crommie, D.; Keith, Jr.; J. C.; Tsao, D. H. H.; Alvarez, J. C.; Ni, H.; Marchese, E.; Patton, J. T.; Magnani, J. L.; Camphausen, R. T. *J. Med. Chem.* **2005**, 48, 4346-4357.

² Rao, B. N. N.; Anderson, M. B.; Musser, J. H.; Gilbert, J. H.; Schaefer, M. E.; Foxall, M. E.; Brandley, B. K. *J. Biol. Chem.* **1994**, 269, 19663-19666.