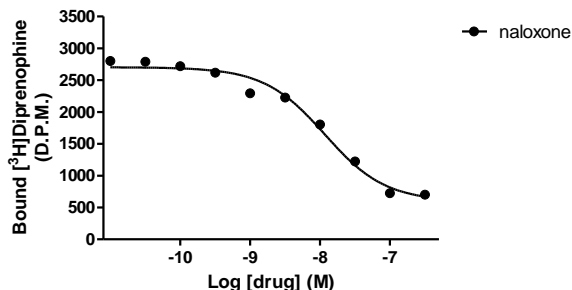


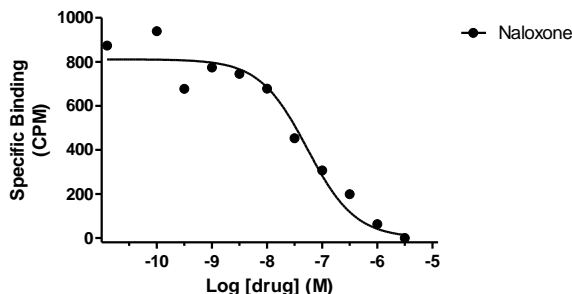
COMPETITION BINDING

[<sup>3</sup>H]diprenorphine binding to opioid receptors;  
rat brain



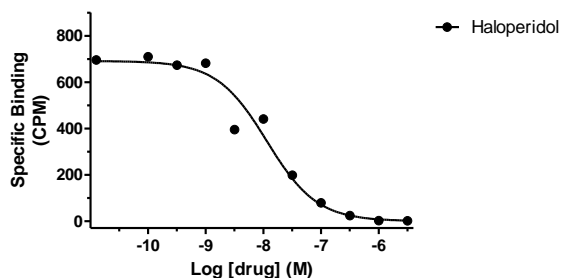
Log IC<sub>50</sub> (M): -8.10

[<sup>125</sup>I]deltorphin binding to opiate receptors;  
rat brain



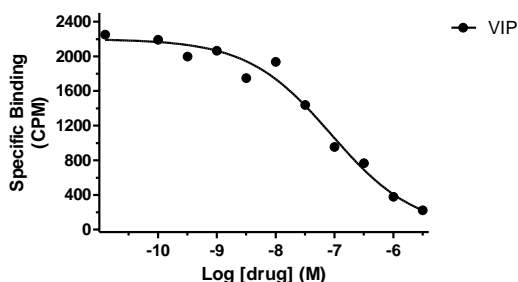
Log IC<sub>50</sub>: -7.27

[<sup>3</sup>H]Pentazocine binding to sigma 1 receptors;  
guinea pig brain



Log IC<sub>50</sub> (M): -7.9

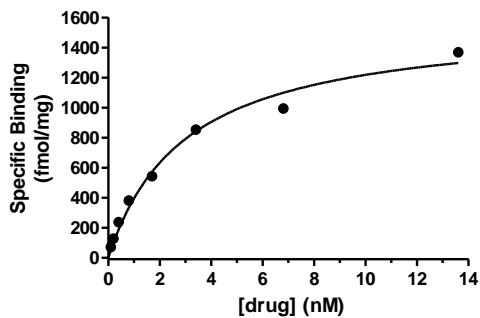
[<sup>125</sup>I]VIP binding to VPAC2 receptors;  
human recombinant



Log IC<sub>50</sub> (M): -7.02

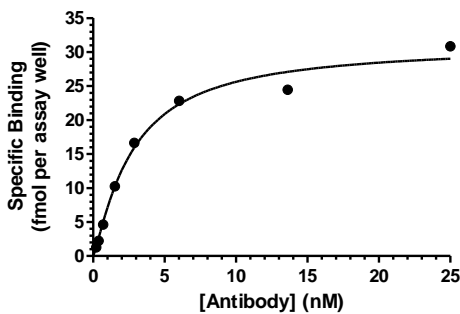
HOT SATURATION

[<sup>3</sup>H]flumazenil binding to benzodiazepine receptors;  
human cortex (post-mortem)



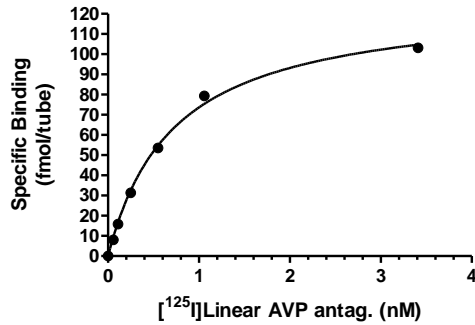
K<sub>d</sub>: 3.2 nM  
B<sub>max</sub>: 1584 fmol/mg

[<sup>125</sup>I]antibody binding to cell surface antigen sites;  
SKBR3 cells



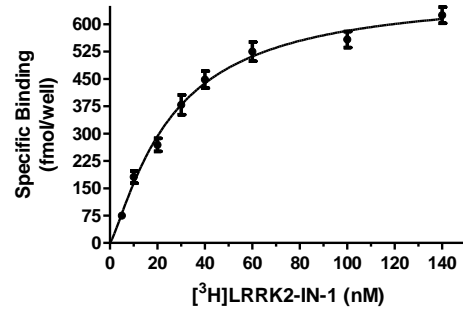
K<sub>d</sub>: 2.76 nM  
B<sub>max</sub>: 31.1 fmol per well  
(557,680 sites/cell)

$[^{125}\text{I}]$ Linear AVP antagonist binding to vasopressin V1a receptors:  
rat liver membranes



$K_d$ : 0.72 nM  
 $B_{max}$ : 126.9 fmol/tube

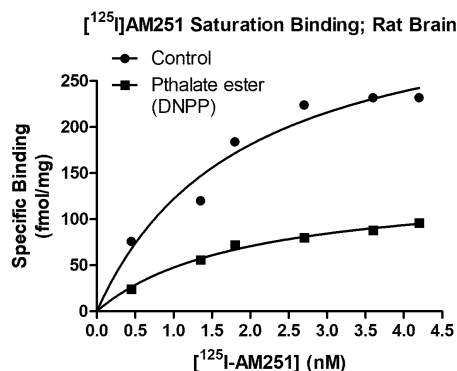
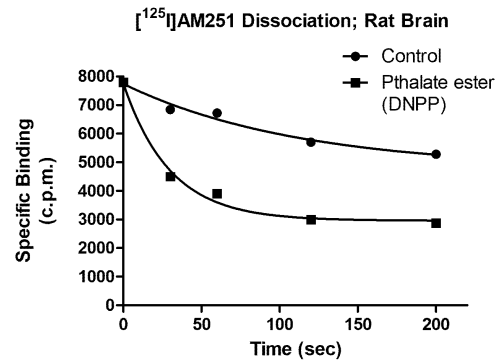
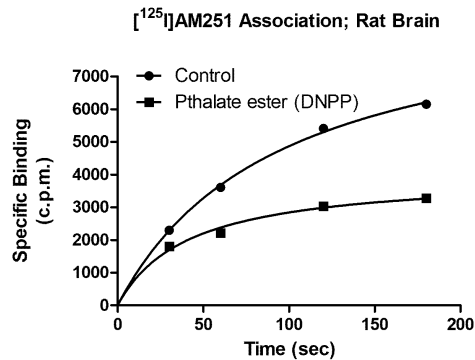
$[^3\text{H}]$ LRRK2-IN-1 binding to membrane-associated  
LRRK2 enzyme in rat kidney



$K_d$ :  $26 \pm 3$  nM  
 $B_{max}$ :  $688 \pm 35$  fmol/well  
 $6.4 \pm 0.04$  pmol/mg

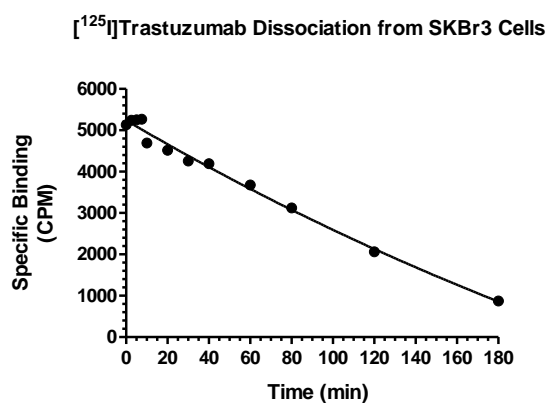
## KINETICS AND MECHANISM-OF-ACTION

Fig. 1. Effect of Di-n-pentyl phthalate (DNPP; 40  $\mu\text{M}$ ) on association and dissociation rate and saturation binding of the cannabinoid ligand  $[^{125}\text{I}]$ AM251 in rat brain. The enhanced dissociation rate and lowered  $B_{max}$  for  $[^{125}\text{I}]$ AM251 binding in the presence of the inhibitor is consistent with an allosteric binding site for DNPP on the  $\text{CB}_1$  receptor.



|                         |                         |
|-------------------------|-------------------------|
| <b>Control:</b>         | <b>DNPP:</b>            |
| $K_d$ : 1.88 nM         | $K_d$ : 1.90 nM         |
| $B_{max}$ : 351 fmol/mg | $B_{max}$ : 138 fmol/mg |

Fig. 2. Dissociation of an [<sup>125</sup>I]-labeled antibody (Trastuzumab) from live SKBr3 cells.



T<sub>1/2</sub>: 106 min