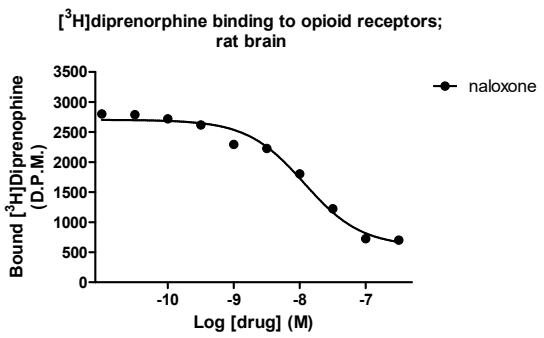
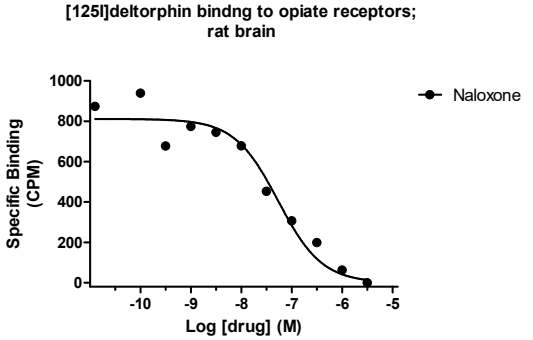
## COMPETITION BINDING

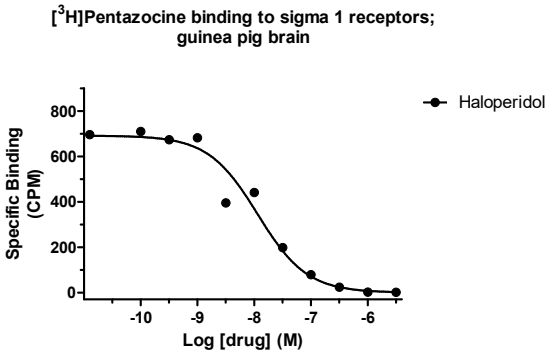
### a) Rodent



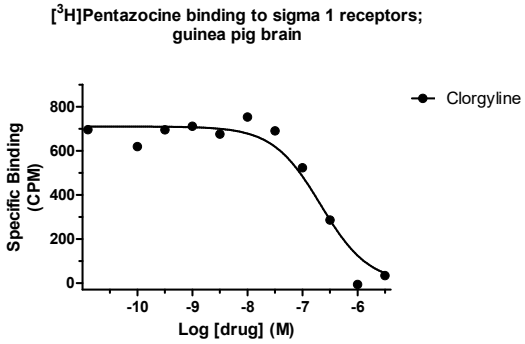

Log $IC_{50}$ (M): -8.10




Log $IC_{50}$: -7.27

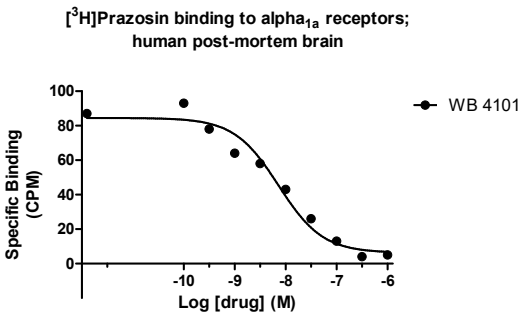



Log $IC_{50}$ (M): -7.9

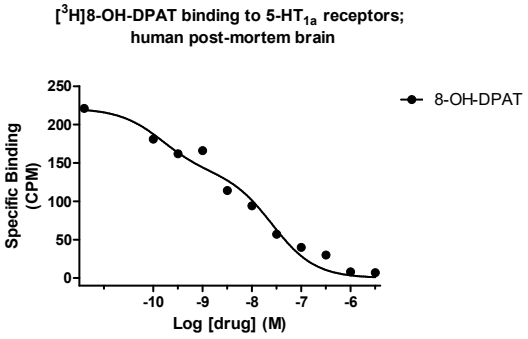



Log $IC_{50}$ (M): -6.7

### b) Human (postmortem)



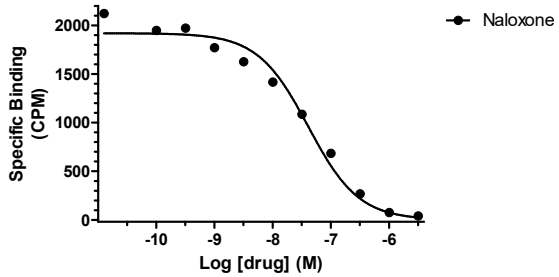

Log $IC_{50}$ (M): -8.14




Log $IC_{50}$ (M): -9.79 (high) and -7.57 (low)

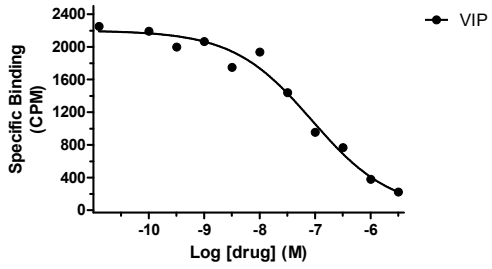
## c) Human (recombinant)

**[$^3$H]U69593 binding to kappa receptors; human recombinant**




Log $IC_{50}$ (M): -7.39

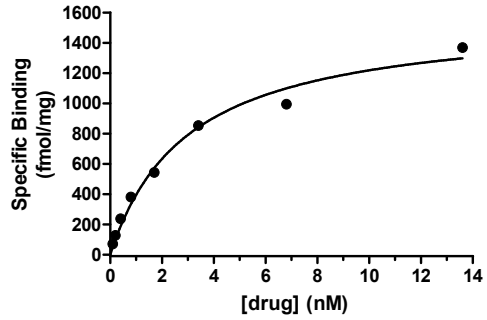
**[$^{125}$I]VIP binding to VPAC2 receptors; human recombinant**




Log $IC_{50}$ (M): -7.02

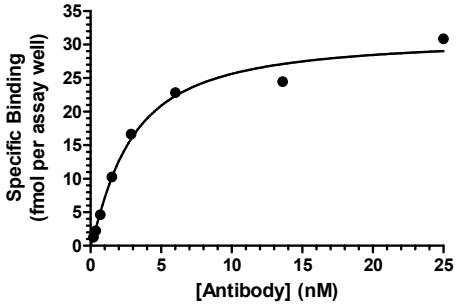
## HOT SATURATION

**[$^3$H]flumazenil binding to benzodiazepine receptors; human cortex (post-mortem)**



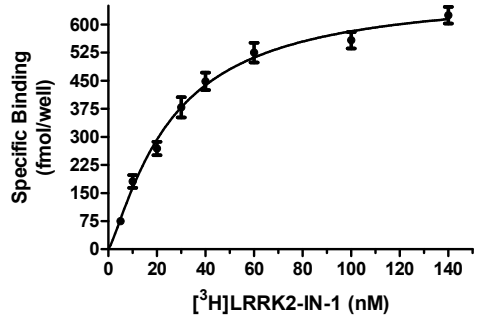

$K_d$: 3.2 nM
$B_{max}$: 1584 fmol/mg

**[$^{125}$I]antibody binding to cell surface antigen sites; SKBR3 cells**




$K_d$: 2.76 nM
$B_{max}$ : 31.1 fmol per well
(557,680 sites/cell)

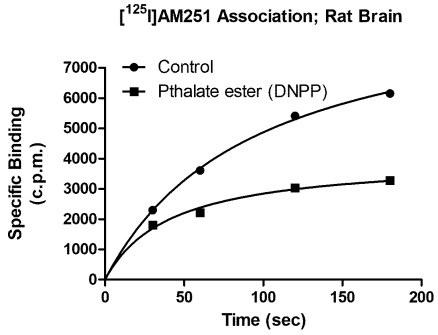
**[$^3$H]LRRK2-IN-1 Binding to Rat Kidney**

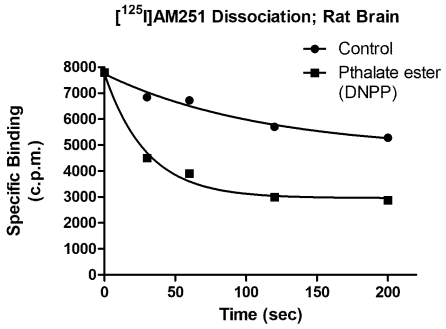


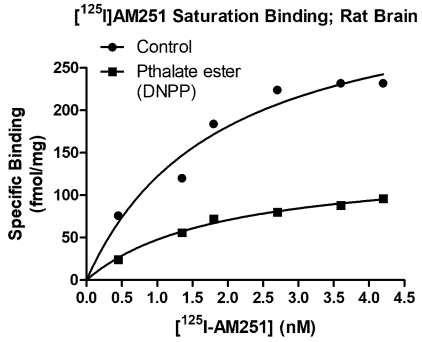

$K_d$: 26±3 nM
$B_{max}$: 688±35 fmol/well
6.4±0.04 pmol/mg

## KINETICS AND MECHANISM-OF-ACTION

Fig 1. Effect of Di-n-pentyl phthalate (DNPP; 40 µM) on association and dissociation rate and saturation binding of the cannabinoid ligand [$^{125}$I]AM251 in rat brain. The enhanced dissociation rate and lowered $B_{max}$ for [$^{125}$I]AM251 binding in the presence of the inhibitor is consistent with an allosteric binding site for DNPP on the $CB_1$ receptor.



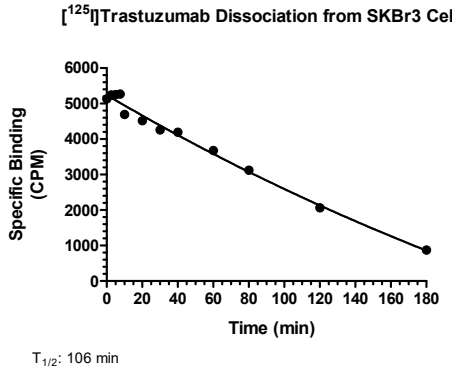




**Control:**
$K_d$: 1.88 nM
$B_{max}$: 351 fmol/mg

**DNPP:**
$K_d$: 1.90 nM
$B_{max}$: 138 fmol/mg

Fig 2. Dissociation of an [$^{125}$I]-labeled antibody (Trastuzumab) from live SKBr3 cells.




$T_{1/2}$: 106 min