

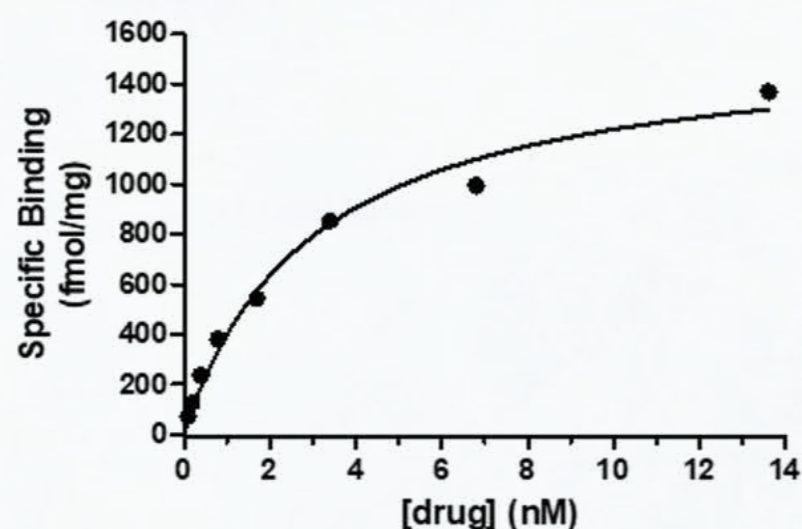
# Data Sheet

## Radioligand Binding Assay Examples

Gifford Bioscience specialize in radioligand binding assays to both recombinant and native receptors in a wide variety of cell and tissue receptor systems.

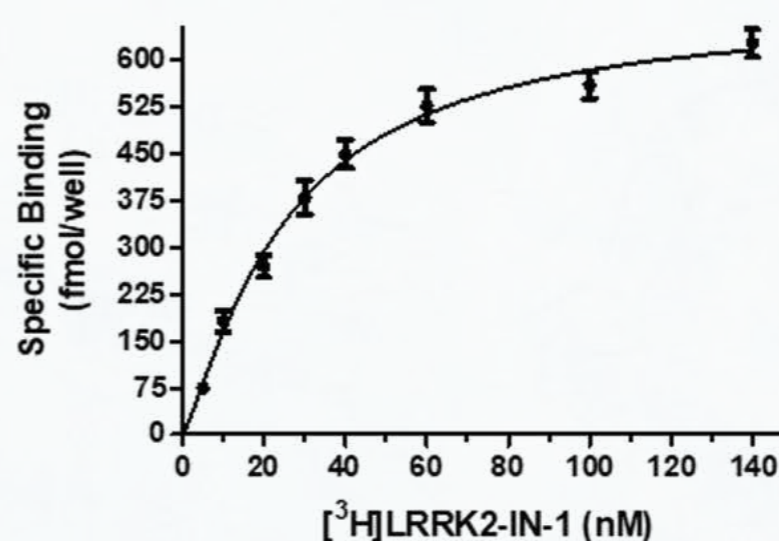
### SATURATION BINDING

$[^3\text{H}]$ flumazenil binding to benzodiazepine receptors; human cortex (post-mortem)



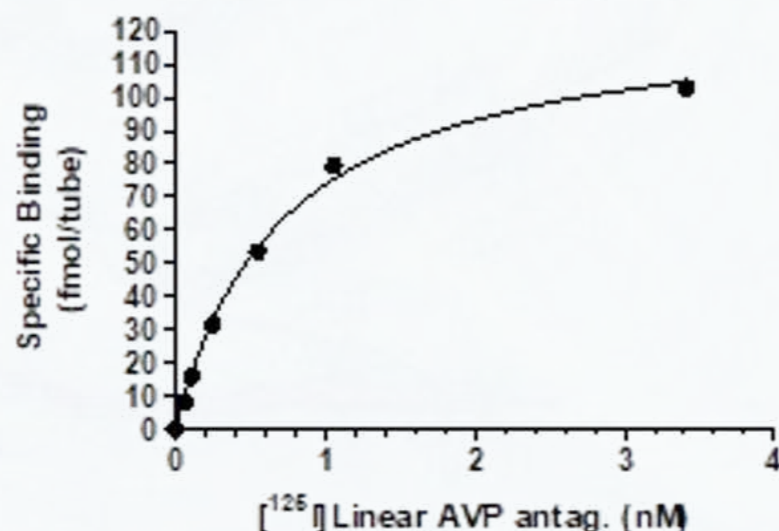
$K_d$ : 3.2 nM  
 $B_{max}$ : 1584 fmol/mg

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



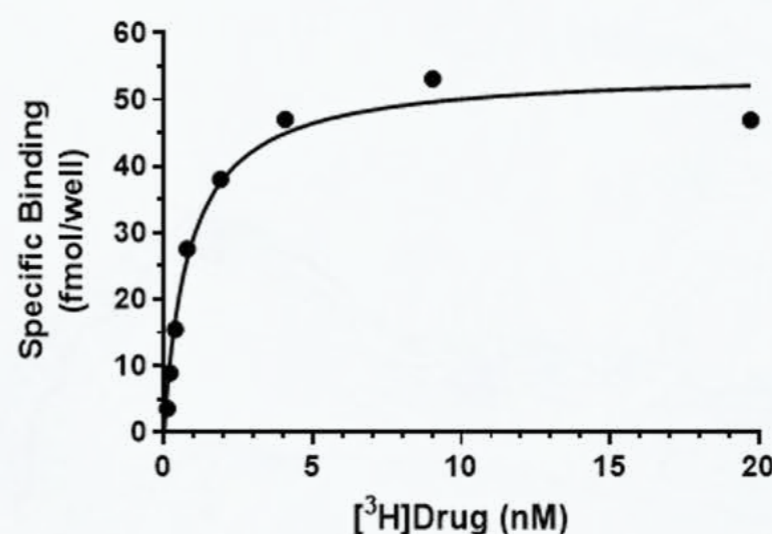
$K_d$ :  $26 \pm 3$  nM  
 $B_{max}$ :  $688 \pm 35$  fmol/well  
 $6.4 \pm 0.04$  pmol/mg

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



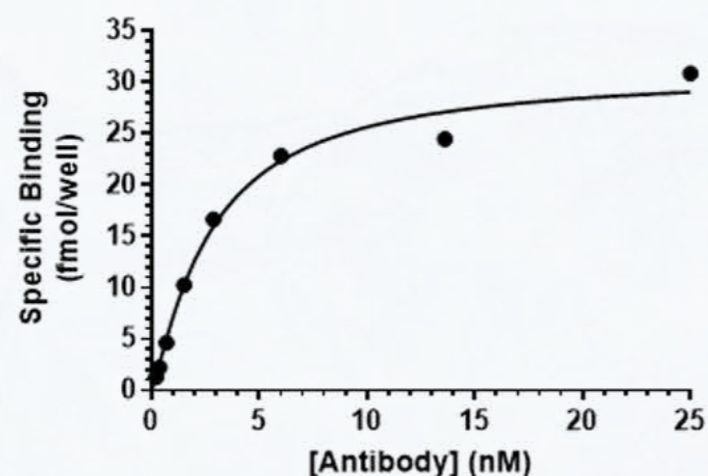
$K_d$ : 0.72 nM  
 $B_{max}$ : 128.9 fmol/tube

$[^3\text{H}]$ SR141716A binding to CB1 receptors; rat cerebellum



$K_d$ : 0.87 nM  
 $B_{max}$ : 54.4 fmol/well (0.79 pmol/mg protein)

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



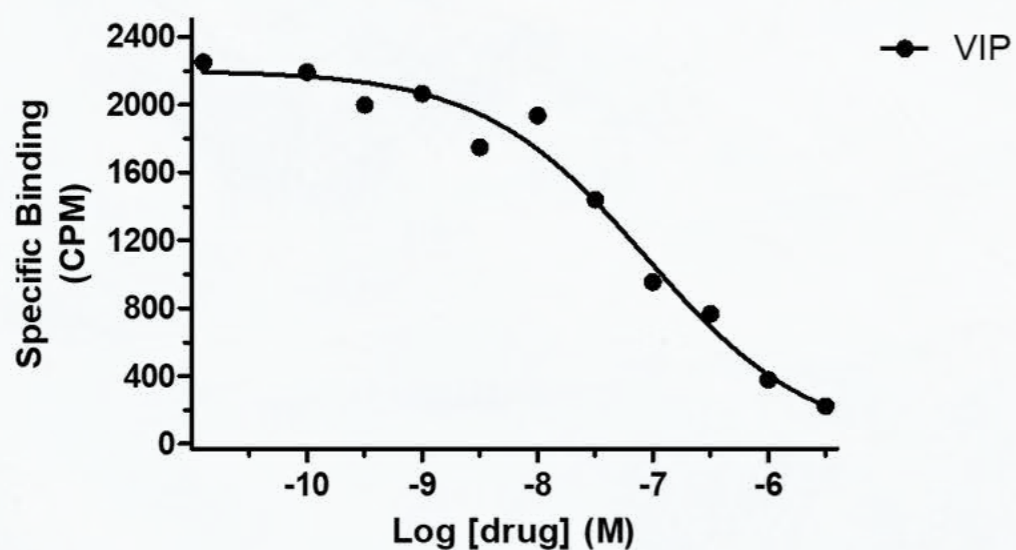
$K_d$ : 2.76 nM  
 $B_{max}$ : 31.1 fmol per well  
(557,680 sites/cell)

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## Radioligand Binding Assay Examples

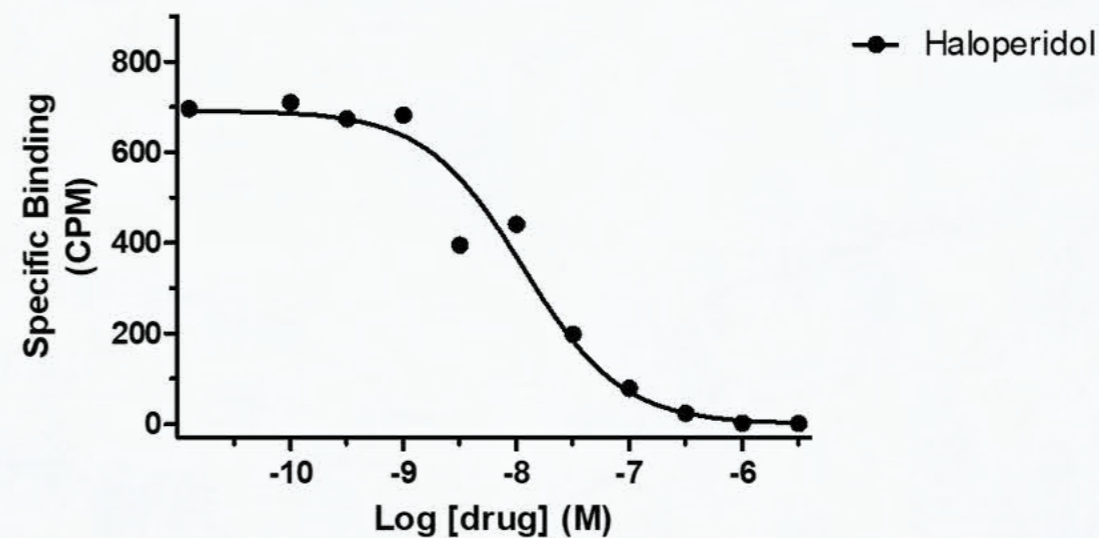
### COMPETITION BINDING

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



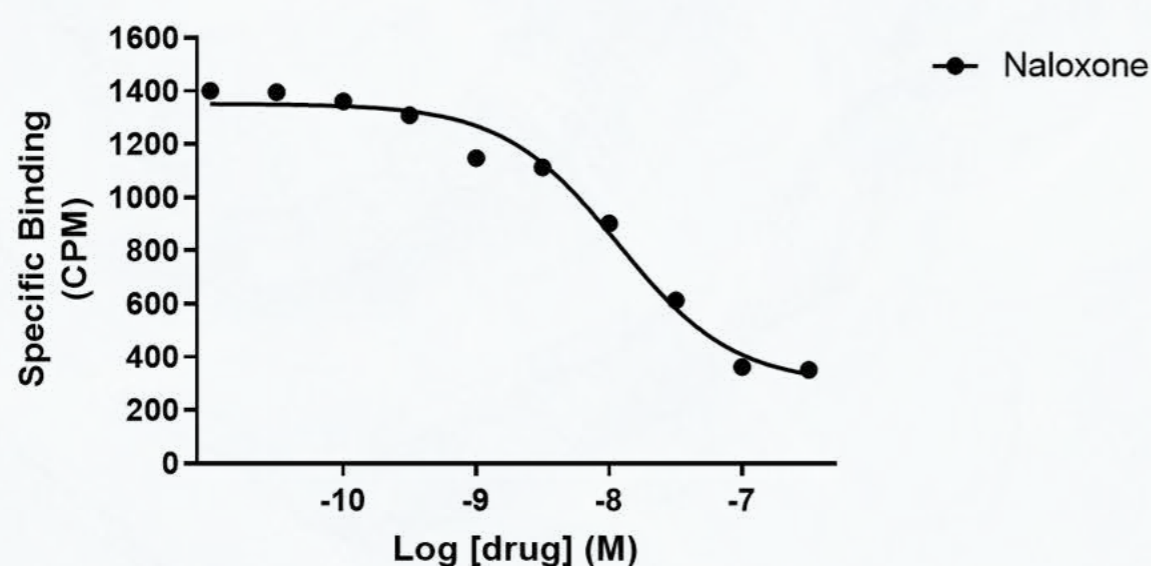
Log IC<sub>50</sub> (M): -7.02

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



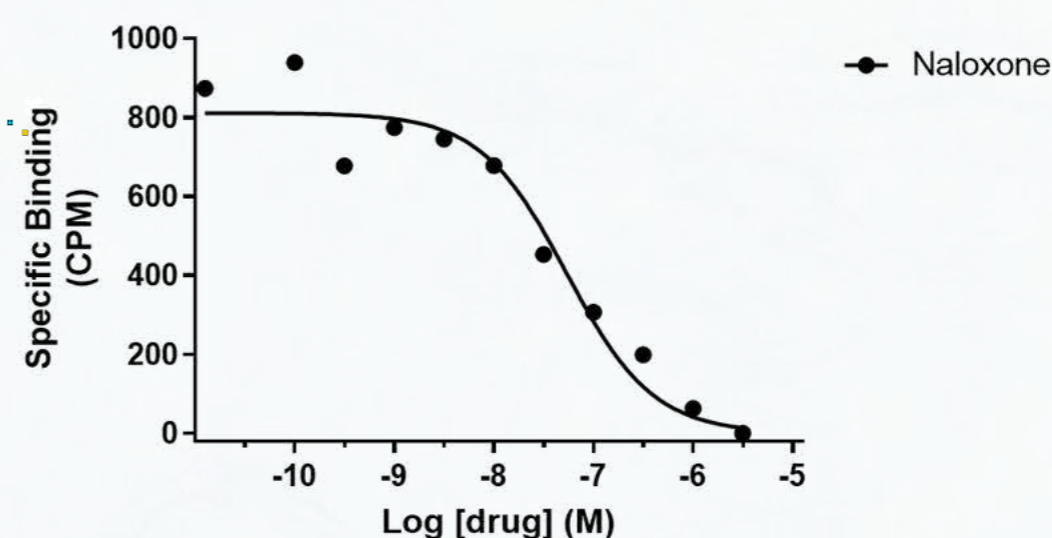
Log IC<sub>50</sub> (M): -7.9

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



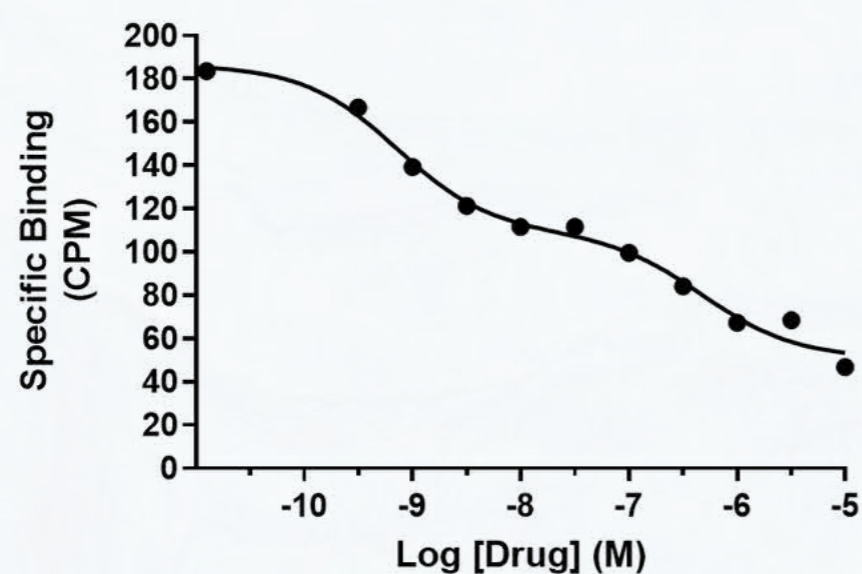
Log IC<sub>50</sub> (M): -7.93

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



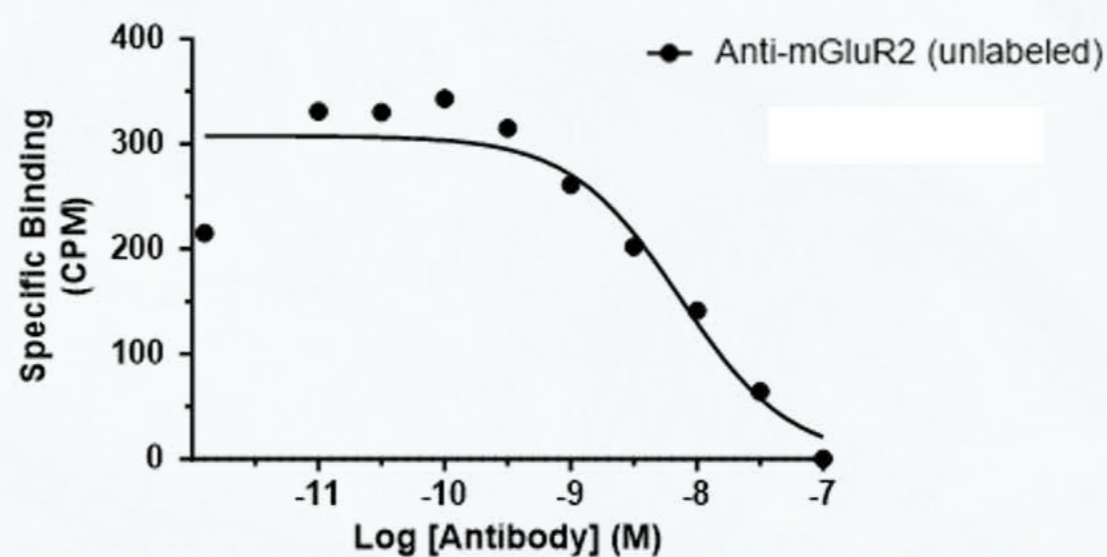
Log IC<sub>50</sub> (M): -7.27

[<sup>3</sup>H]CGS 21680 Binding to Adenosine A<sub>2a</sub> receptors in Human Caudate-Putamen



Two site binding  
Log IC<sub>50</sub> (M): -9.22 (High), -6.52 (Low)

[<sup>125</sup>I]Anti-mGluR2 monoclonal antibody binding to mGluR2 receptors in rat cortex

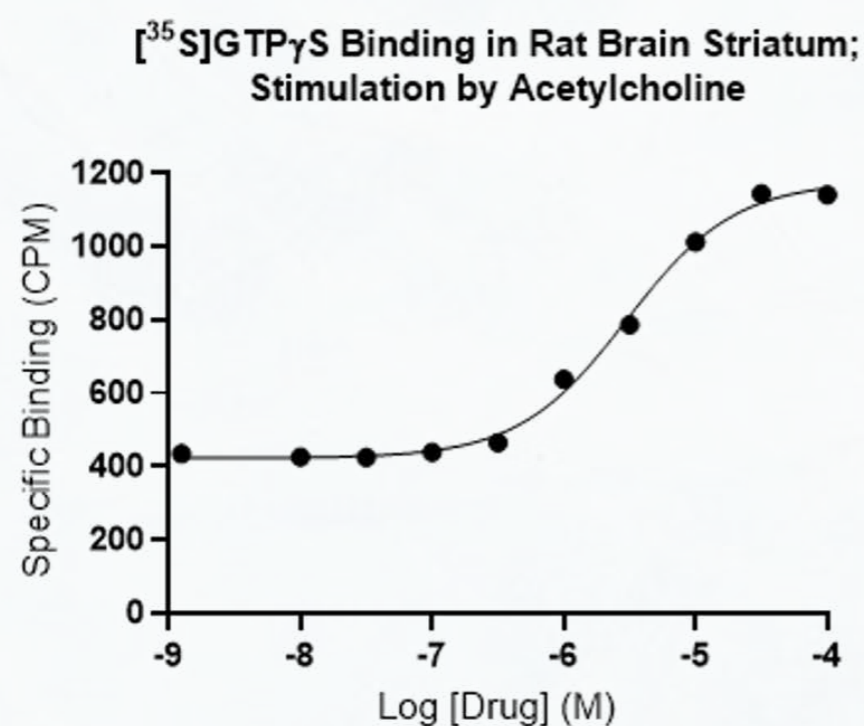


K<sub>d</sub>: 6.85 nM

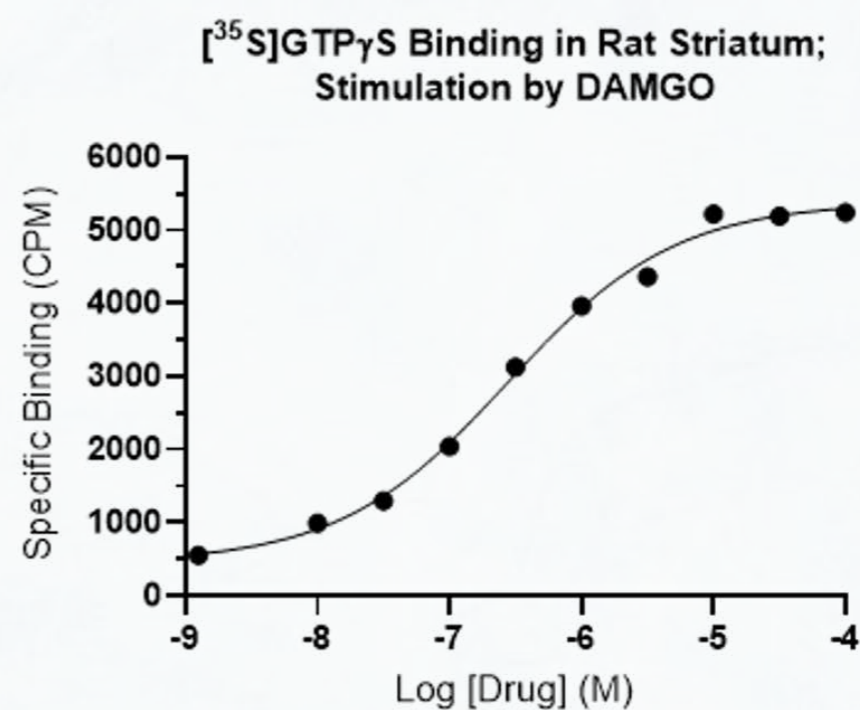
# Data Sheet

## Radioligand Binding Assay Examples

### STIMULATION [<sup>35</sup>S]GTP $\gamma$ S BINDING



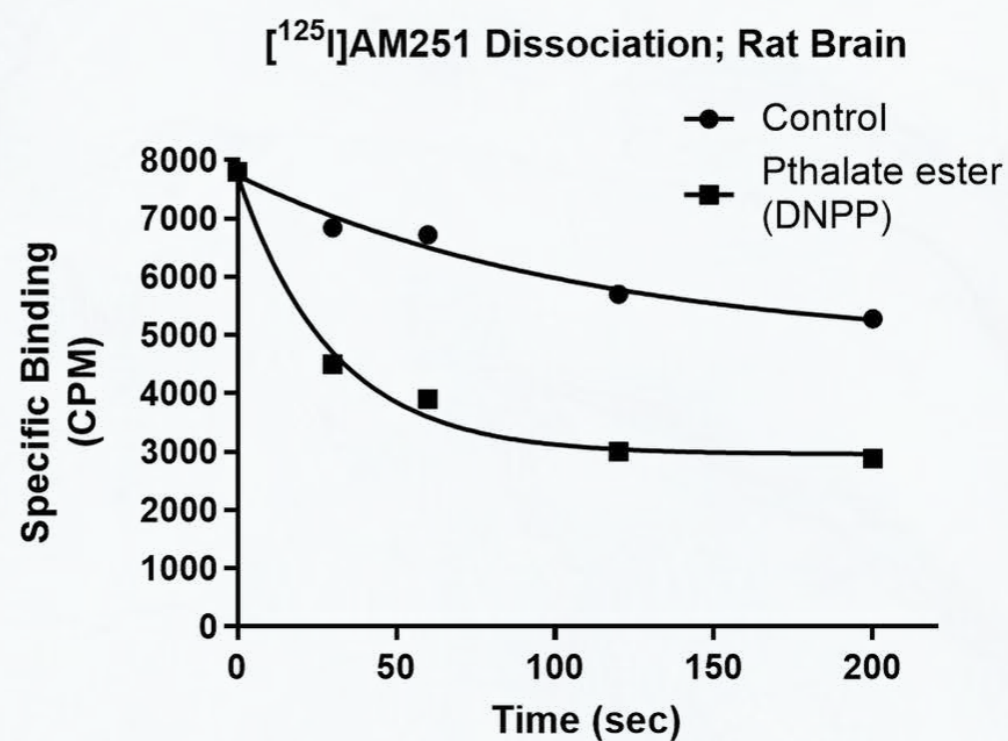
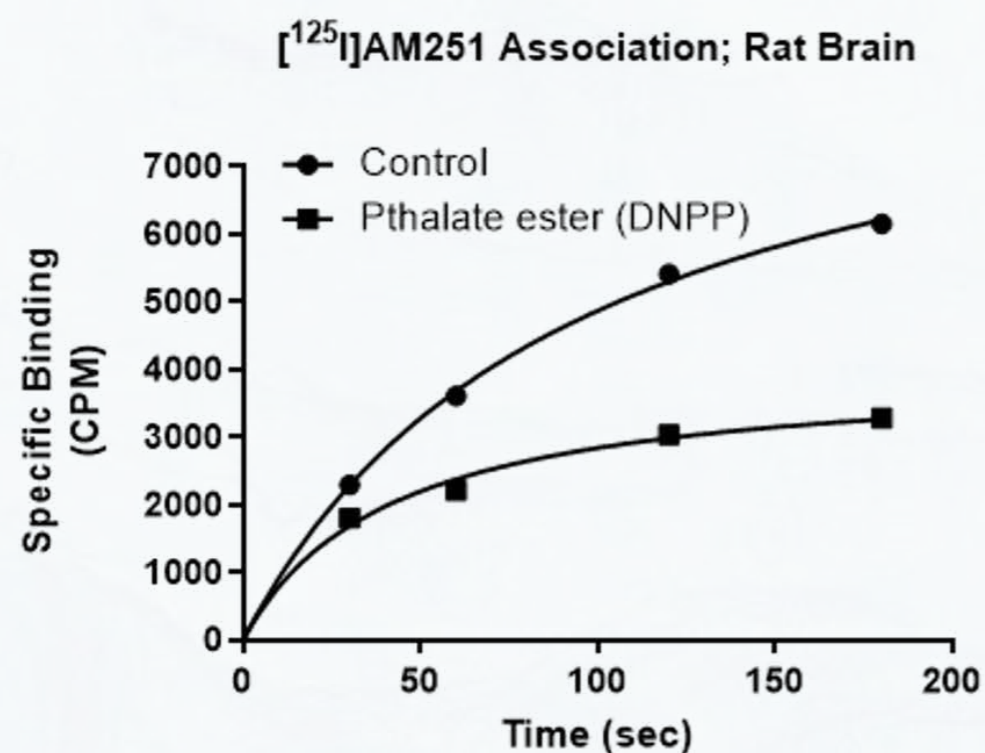
Log EC<sub>50</sub> (M) -5.52  
 EC<sub>50</sub> (μM) 3.01  
 E<sub>max</sub> (C.P.M.) 1177



Log EC<sub>50</sub> (M) -6.39  
 EC<sub>50</sub> (μM) 0.405  
 E<sub>max</sub> (C.P.M.) 5385

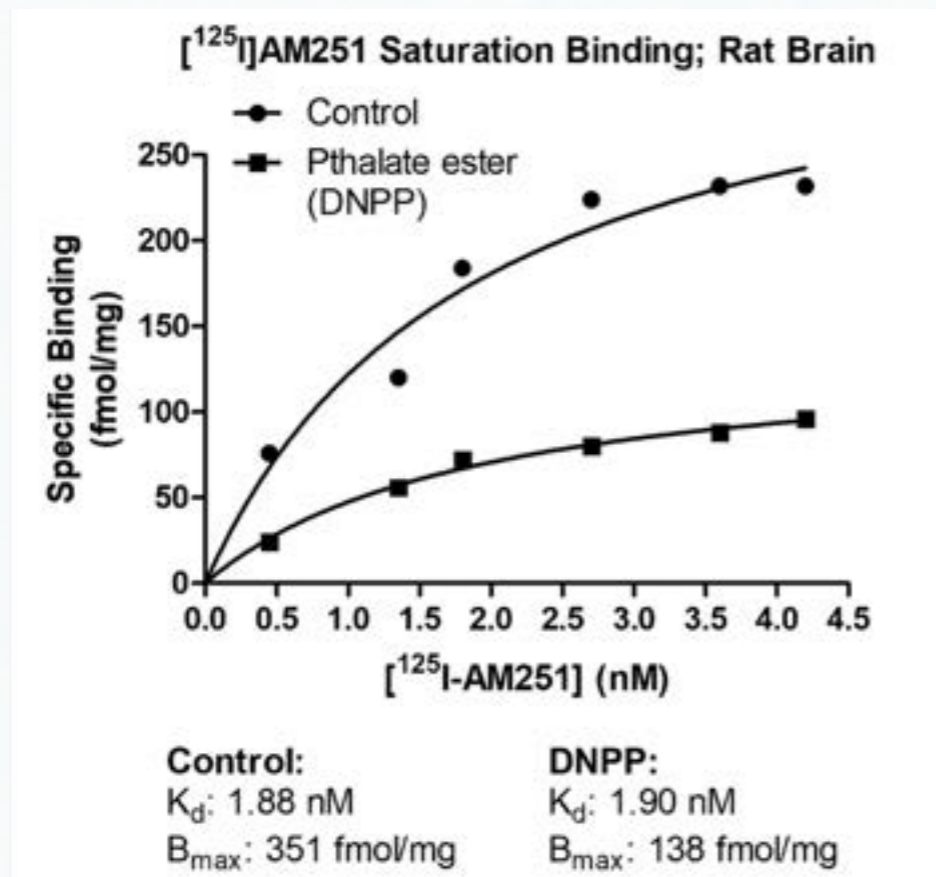
### KINETICS AND MECHANISM-OF-ACTION

Effect of Di-n-pentyl phthalate (DNPP; 40 μM) on association rate, dissociation rate and saturation binding of the cannabinoid ligand [<sup>125</sup>I]AM251 in rat brain. The enhanced dissociation rate and lowered B<sub>max</sub> for [<sup>125</sup>I]AM251 binding in the presence of the inhibitor is consistent with an allosteric binding site for DNPP on the CB1 receptor:

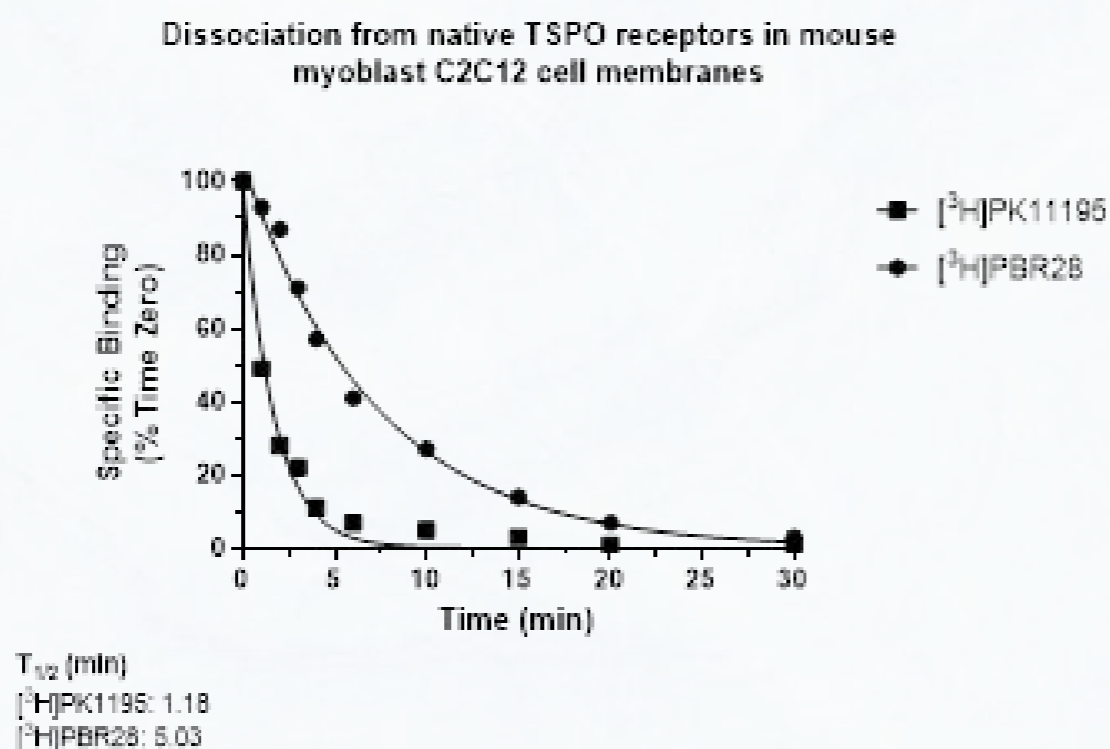


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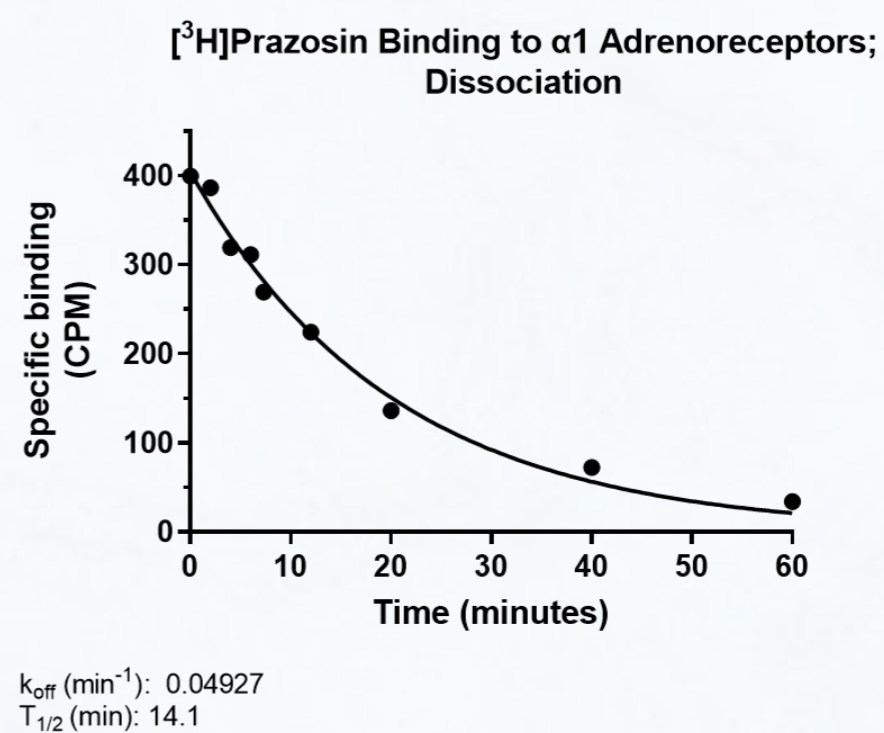
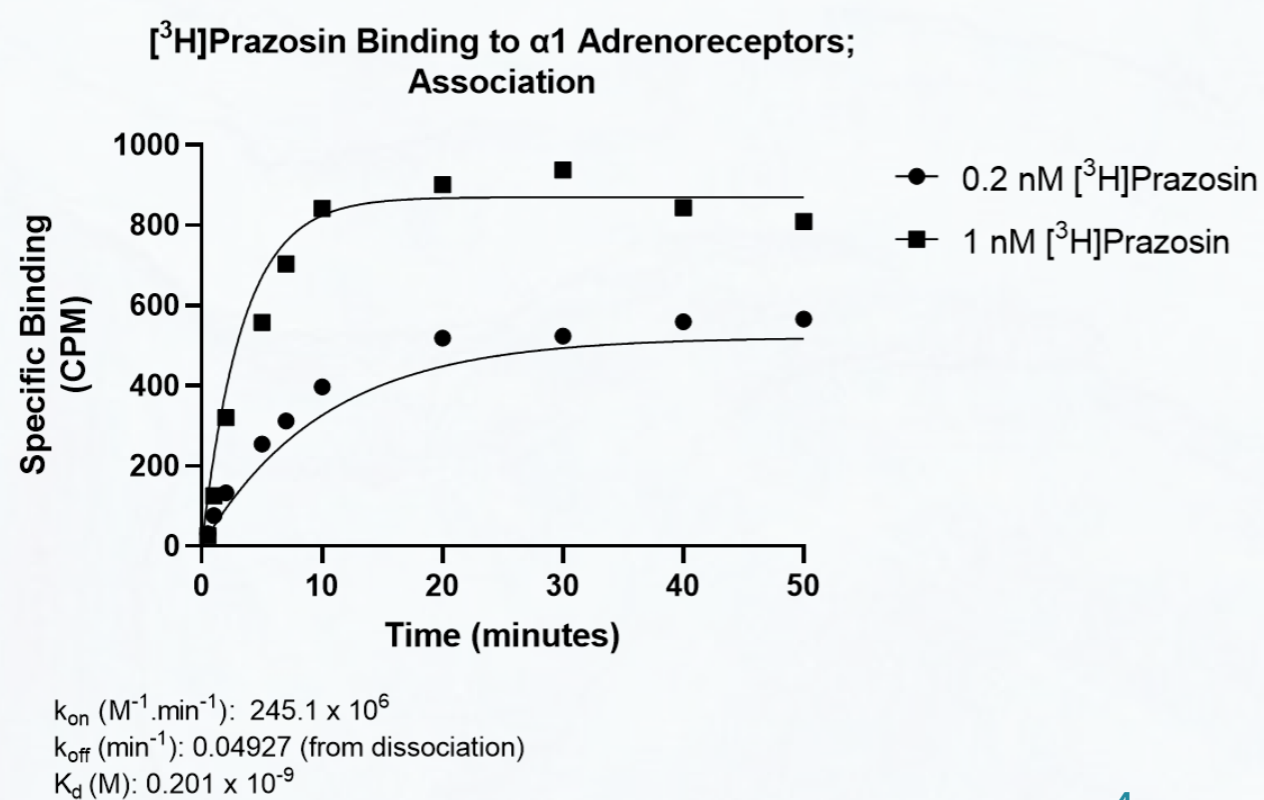
## Radioligand Binding Assay Examples



Comparison of dissociation rates of two TSPO receptor radioligands in washed membranes from C2C12 cells, measured at 30 °C:



Kinetic assay for [<sup>3</sup>H]prazosin binding to pig brain  $\alpha_1$  adrenoreceptors:



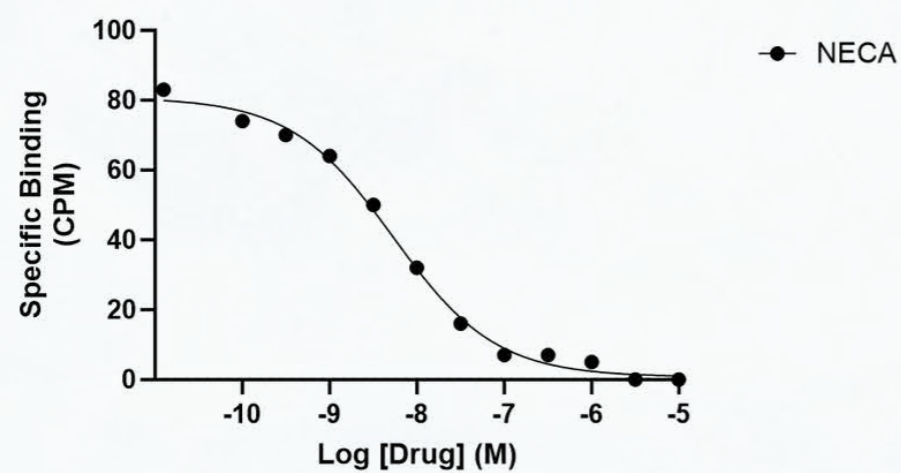
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## Radioligand Binding Assay

### Examples

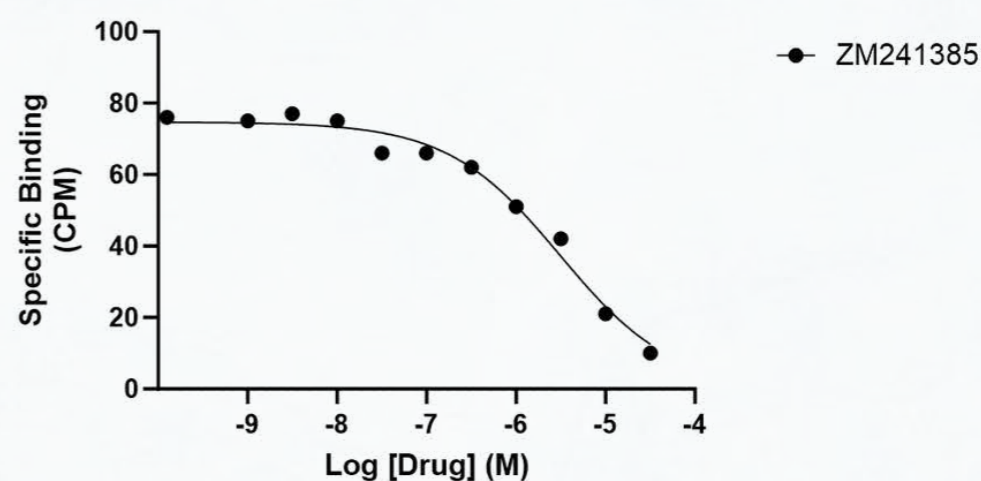
#### SCINTILLATION PROXIMITY ASSAY

[<sup>3</sup>H]NECA Binding to A<sub>1</sub> Adenosine Receptors (SPA Format);  
Competition with Unlabeled NECA



Log IC<sub>50</sub> (M): -8.271

[<sup>3</sup>H]NECA Binding to A<sub>1</sub> Adenosine Receptors (SPA Format);  
Competition with ZM241385



Log IC<sub>50</sub> (M): -5.506