

# Data Sheet

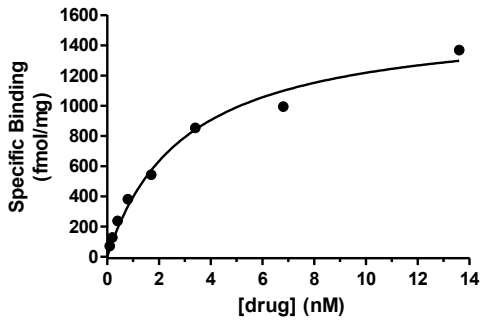
## Radioligand Binding Assay

### Examples

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

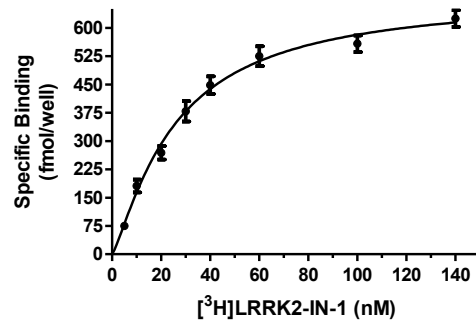
#### SATURATION BINDING

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



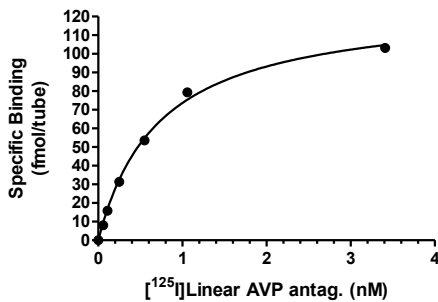
$K_d$ : 3.2 nM  
 $B_{max}$ : 1584 fmol/mg

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



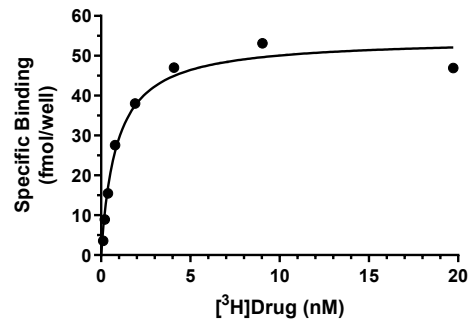
$K_d$ :  $26 \pm 3$  nM  
 $B_{max}$ :  $688 \pm 35$  fmol/well  
 $6.4 \pm 0.04$  pmol/mg

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



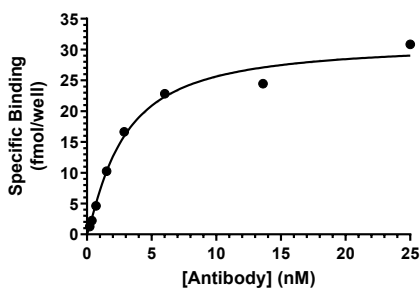
$K_d$ : 0.72 nM  
 $B_{max}$ : 126.9 fmol/tube

$[^3\text{H}]$ 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)

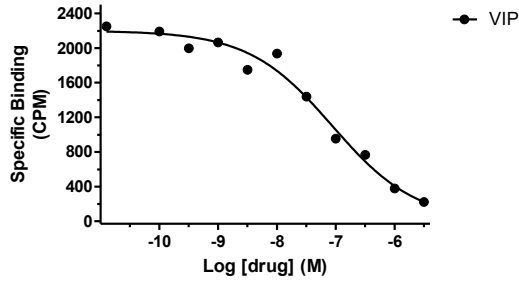
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## Radioligand Binding Assay

### Examples

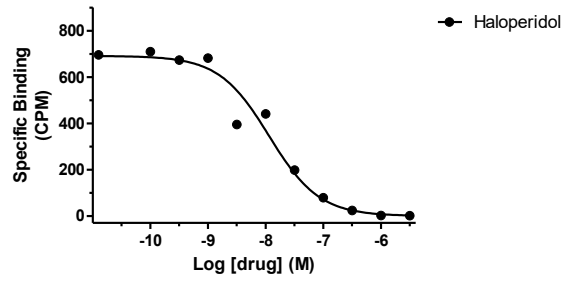
#### COMPETITION BINDING

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



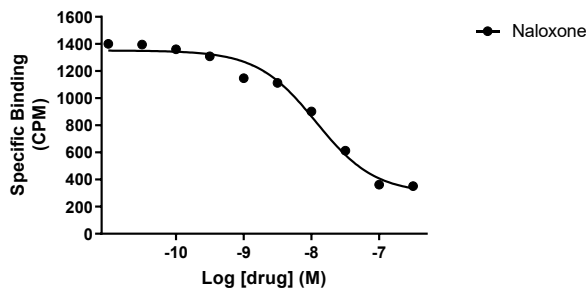
Log IC<sub>50</sub> (M): -7.02

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



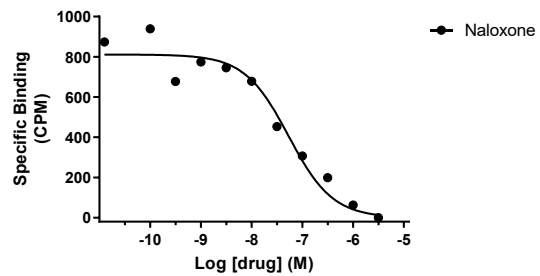
Log IC<sub>50</sub> (M): -7.9

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



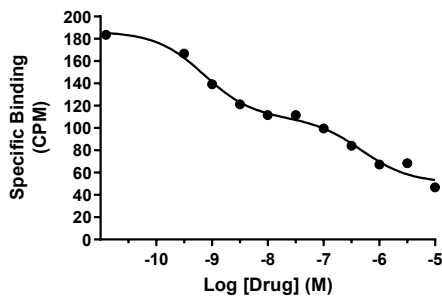
Log IC<sub>50</sub> (M): -7.93

[<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



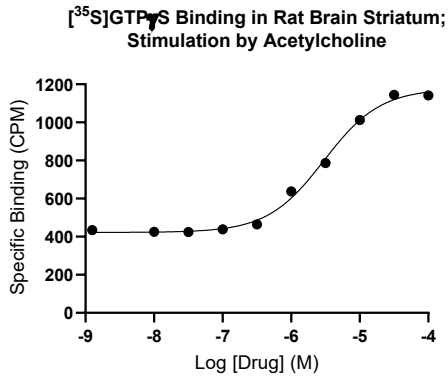
Two site binding  
Log IC<sub>50</sub> (M): -9.22 (High), -6.52 (Low)

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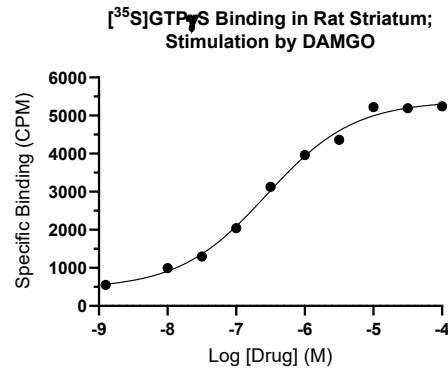
## Radioligand Binding Assay

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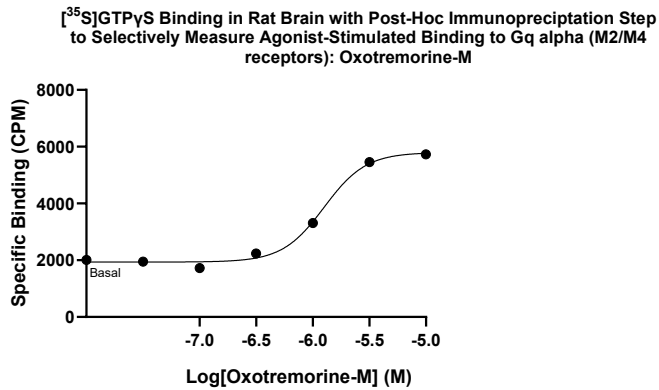
#### STIMULATION [<sup>35</sup>S]GTP $\gamma$ S BINDING



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



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



Log EC<sub>50</sub> (M): -5.90  
EC<sub>50</sub> ( $\mu$ M): 1.25

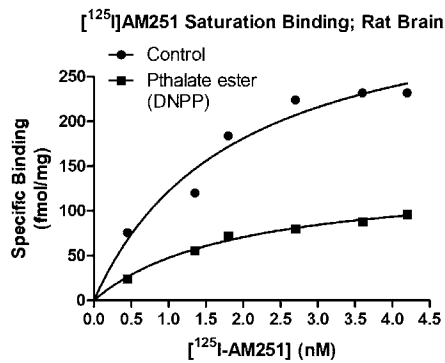
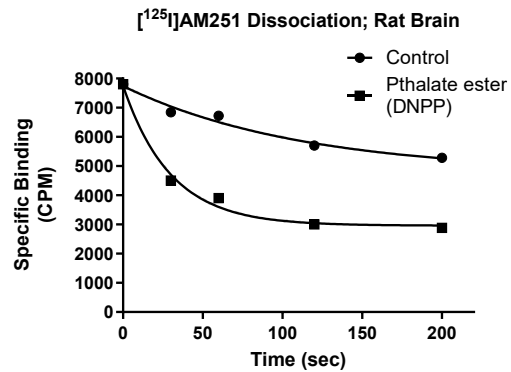
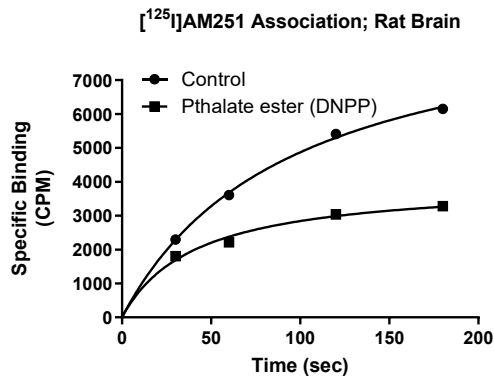
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## Radioligand Binding Assay

### Examples

#### KINETICS AND MECHANISM-OF-ACTION

Effect of Di-n-pentyl phthalate (DNPP; 40  $\mu$ M) on association rate, 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<sub>1</sub> 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

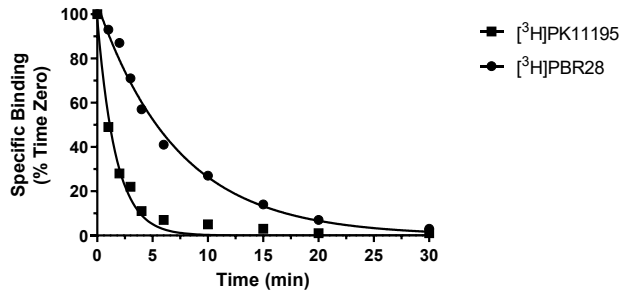
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## Radioligand Binding Assay

### Examples

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

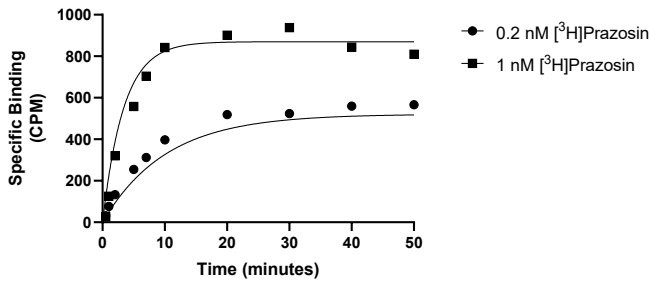
Dissociation from native TSPO receptors in mouse myoblast C2C12 cell membranes



$T_{1/2}$  (min)  
<sup>[<sup>3</sup>H]PK11195: 1.18</sup>  
<sup>[<sup>3</sup>H]PBR28: 5.03</sup>

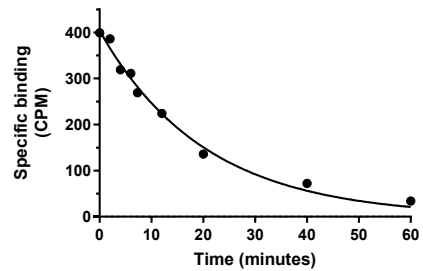
Kinetic assay for [<sup>3</sup>H]prazosin binding to pig brain  $\alpha_1$  adrenoreceptors:

[<sup>3</sup>H]Prazosin Binding to  $\alpha_1$  Adrenoreceptors; Association



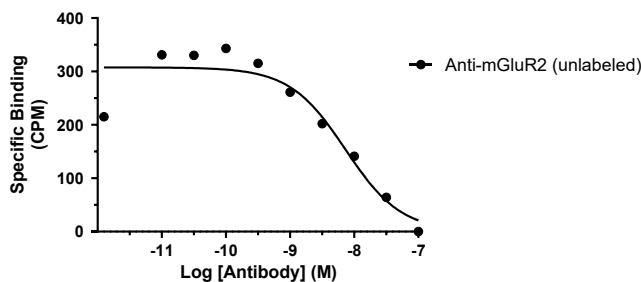
$k_{on}$  ( $M^{-1} \cdot min^{-1}$ ):  $245.1 \times 10^6$   
 $k_{off}$  ( $min^{-1}$ ): 0.04927 (from dissociation)  
 $K_d$  (M):  $0.201 \times 10^{-9}$

[<sup>3</sup>H]Prazosin Binding to  $\alpha_1$  Adrenoreceptors; Dissociation



$k_{off}$  ( $min^{-1}$ ): 0.04927  
 $T_{1/2}$  (min): 14.1

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



$K_d$ : 6.85 nM

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## Radioligand Binding Assay

### Examples

Radiolabeled-antibody binding assay for [<sup>125</sup>I]Anti-mGluR2 binding to rat cortex mGluR2 receptors:

