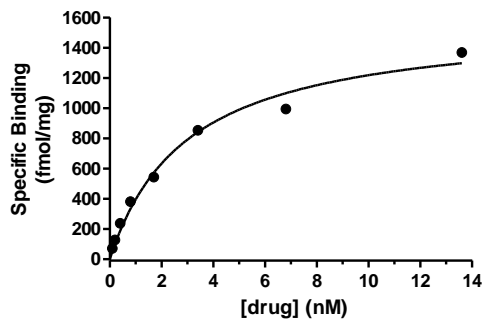


Gifford Bioscience specialize in radioligand binding assays to both recombinant and native receptors in a wide variety of cell and tissue receptor systems.

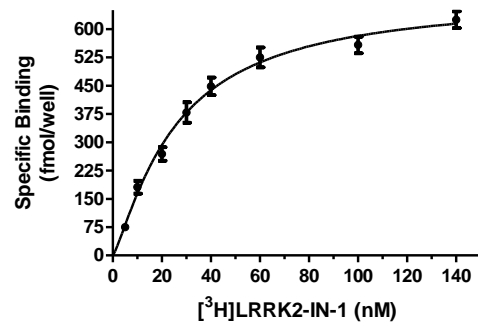
## SATURATION BINDING

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



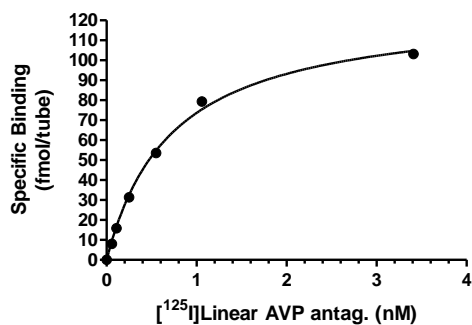
$K_d$ : 3.2 nM  
 $B_{max}$ : 1584 fmol/mg

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



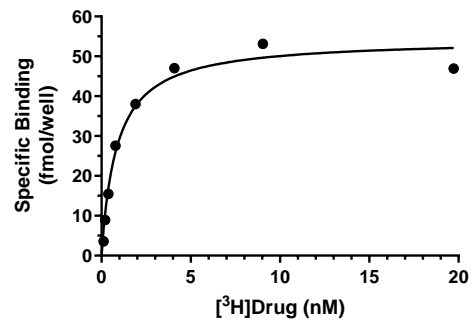
$K_d$ :  $26 \pm 3$  nM  
 $B_{max}$ :  $688 \pm 35$  fmol/well  
 $6.4 \pm 0.04$  pmol/mg

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



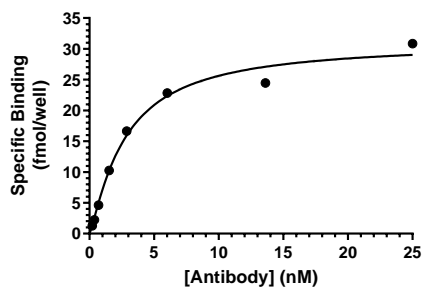
$K_d$ : 0.72 nM  
 $B_{max}$ : 126.9 fmol/tube

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



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

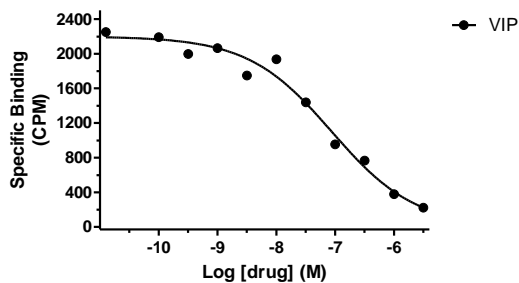
[<sup>125</sup>I]antibody binding to cell surface antigen sites;  
SKBR3 cells



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

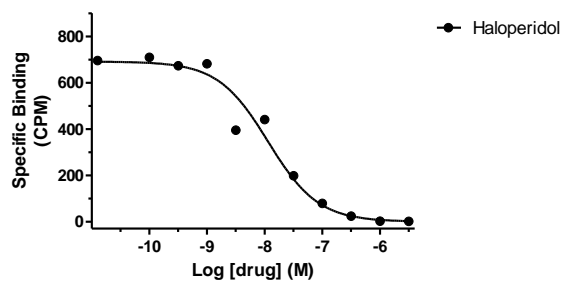
## COMPETITION BINDING

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



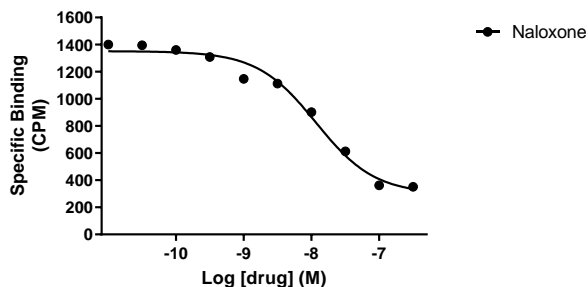
Log  $IC_{50}$  (M): -7.02

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



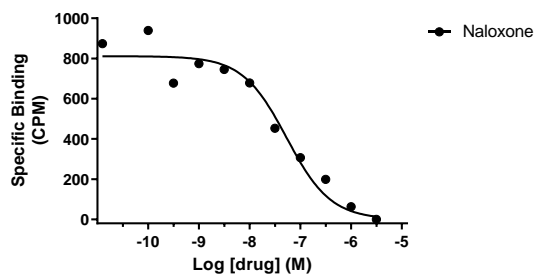
Log  $IC_{50}$  (M): -7.9

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



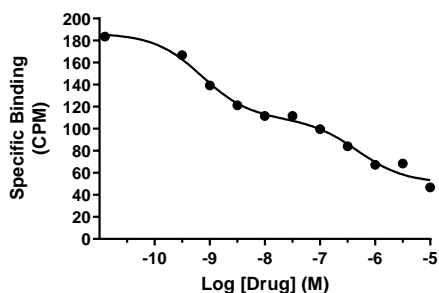
Log  $IC_{50}$  (M): -7.93

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



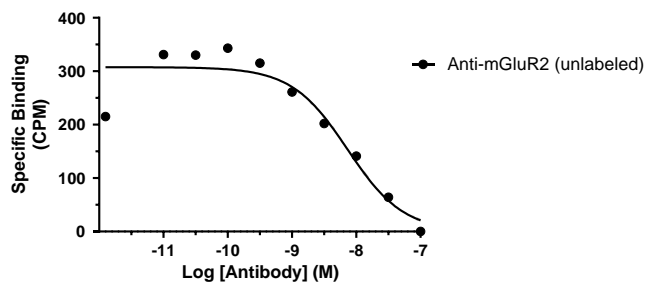
Log  $IC_{50}$  (M): -7.27

$[^3\text{H}]\text{CGS 21680}$  Binding to Adenosine  $\text{A}_{2a}$  receptors in Human Caudate-Putamen



Two site binding  
Log  $\text{IC}_{50}$  (M): -9.22 (High), -6.52 (Low)

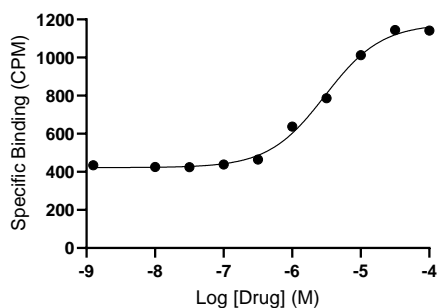
$[^{125}\text{I}]\text{Anti-mGluR2}$  monoclonal antibody binding to mGluR2 receptors in rat cortex



$K_d$ : 6.85 nM

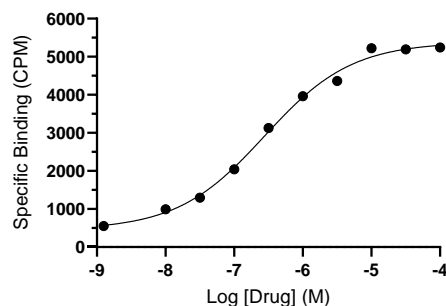
## STIMULATION $[^{35}\text{S}]\text{GTP}\gamma\text{S}$ BINDING

$[^{35}\text{S}]\text{GTP}\gamma\text{S}$  Binding in Rat Brain Striatum; Stimulation by Acetylcholine



Log  $\text{EC}_{50}$  (M) -5.52  
 $\text{EC}_{50}$  ( $\mu\text{M}$ ) 3.01  
 $E_{\text{max}}$  (C.P.M.) 1177

$[^{35}\text{S}]\text{GTP}\gamma\text{S}$  Binding in Rat Striatum; Stimulation by DAMGO

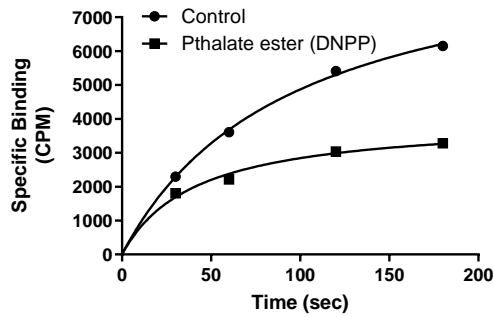


Log  $\text{EC}_{50}$  (M) -6.39  
 $\text{EC}_{50}$  ( $\mu\text{M}$ ) 0.405  
 $E_{\text{max}}$  (C.P.M.) 5385

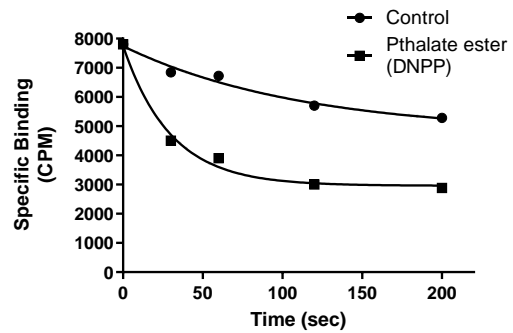
## KINETICS AND MECHANISM-OF-ACTION

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

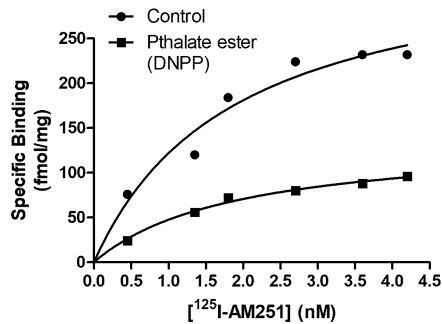
[<sup>125</sup>I]AM251 Association; Rat Brain



[<sup>125</sup>I]AM251 Dissociation; Rat Brain



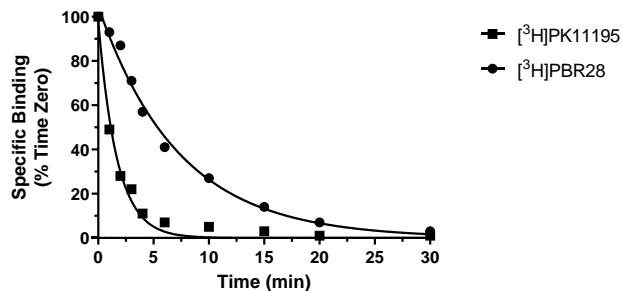
[<sup>125</sup>I]AM251 Saturation Binding; Rat Brain



<b>Control:</b>	<b>DNPP:</b>
K <sub>d</sub> : 1.88 nM	K <sub>d</sub> : 1.90 nM
B <sub>max</sub> : 351 fmol/mg	B <sub>max</sub> : 138 fmol/mg

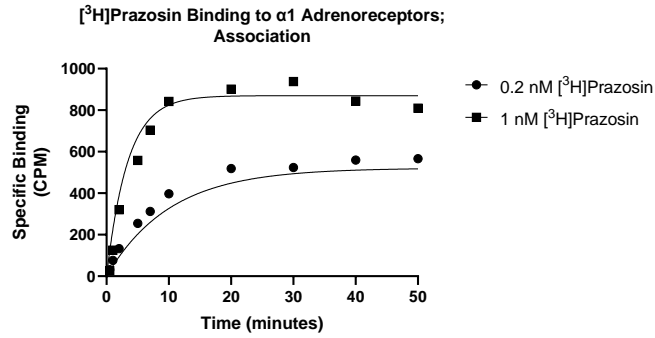
Comparison of dissociation rates of two TSPO receptor radioligands in washed membranes from C2C12 cells, measured at 30 °C:

Dissociation from native TSPO receptors in mouse myoblast C2C12 cell membranes

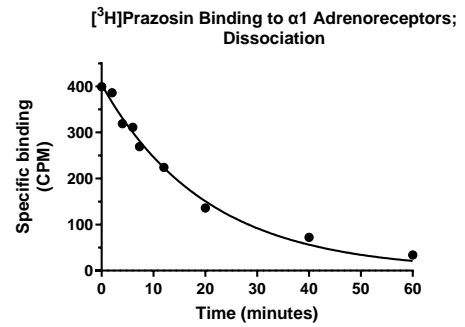


T<sub>1/2</sub> (min)  
[<sup>3</sup>H]PK11195: 1.18  
[<sup>3</sup>H]PBR28: 5.03

Kinetic assay for [<sup>3</sup>H]prazosin binding to pig brain α1 adrenoreceptors:



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



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