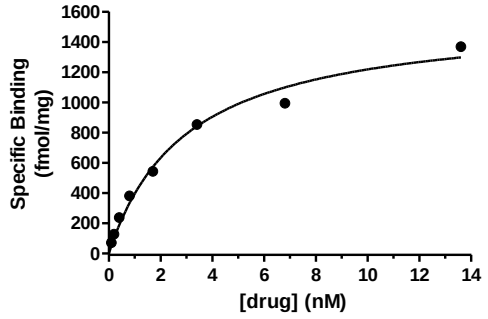
Gifford Bioscience specialize in radioligand binding assays to both recombinant and native receptors in a wide variety of cell and tissue receptor systems.

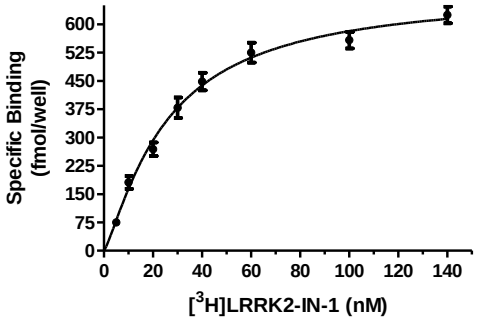
SATURATION BINDING

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



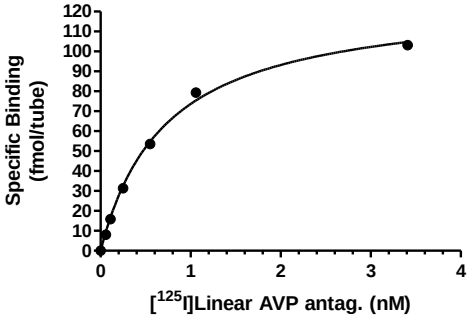
K_d: 3.2 nM
B_{max}: 1584 fmol/mg

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



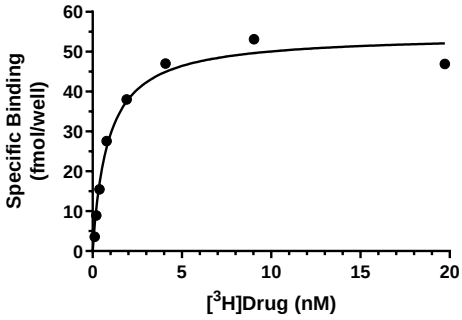
K_d: 26 ± 3 nM
B_{max}: 688 ± 35 fmol/well
6.4 ± 0.04 pmol/mg

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



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

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



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

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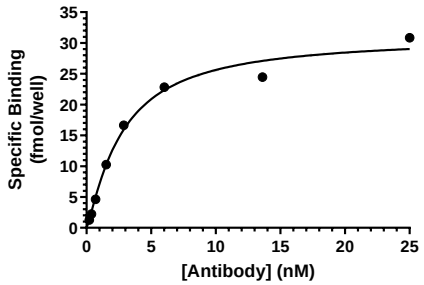
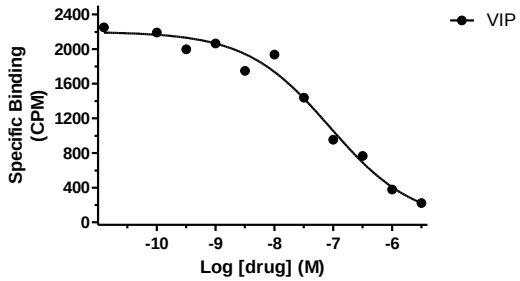
[^{125}I]antibody binding to cell surface antigen sites; SKBR3 cells



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

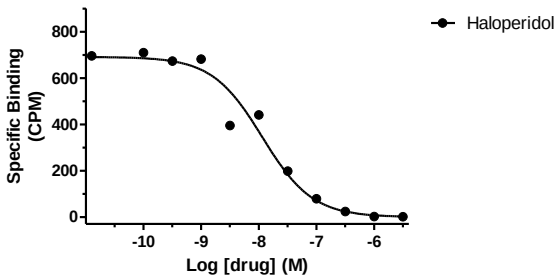
COMPETITION BINDING

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



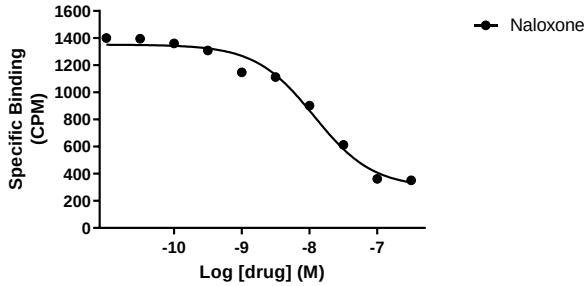
Log IC_{50} (M): -7.02

[^{3}H]Pentazocine binding to sigma 1 receptors; guinea pig brain



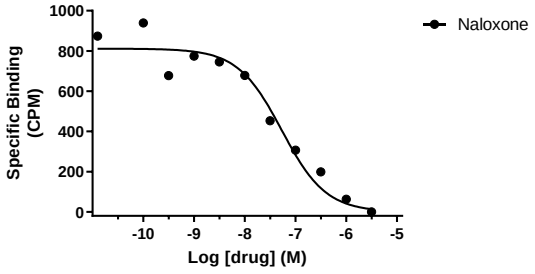
Log IC_{50} (M): -7.9

[^{3}H]Diprenorphine binding to opioid receptors; rat brain

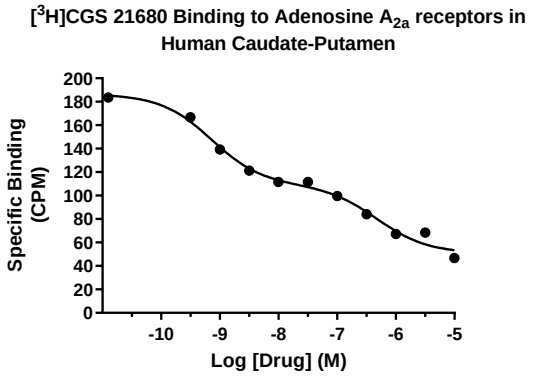


Log IC_{50} (M): -7.93

[^{125}I]Deltorphin binding to opiate receptors; rat brain

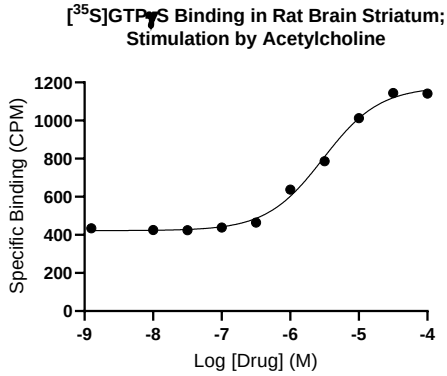


Log IC_{50} (M): -7.27

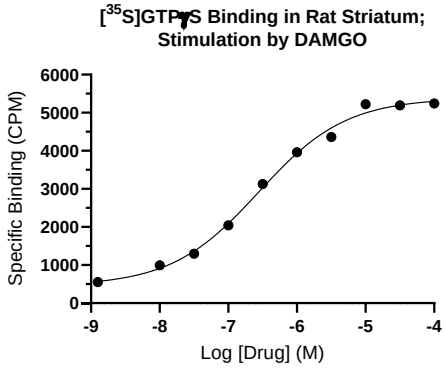


Two site binding
Log IC_{50} (M): -9.22 (High), -6.52 (Low)

STIMULATION [^{35}S]GTPγS BINDING



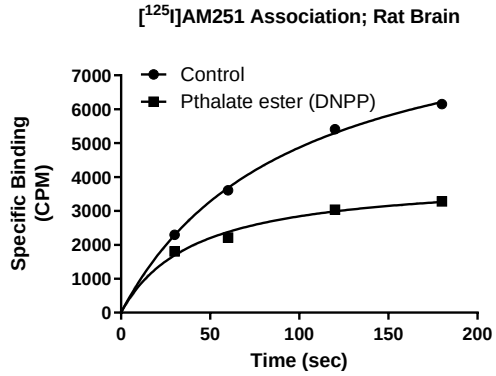
Log EC_{50} (M) -5.52
EC_{50} (μM) 3.01
E_{max} (C.P.M.) 1177

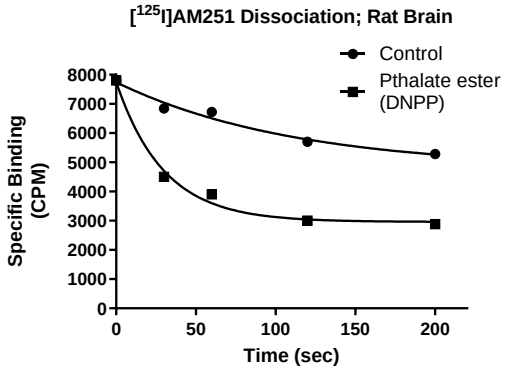


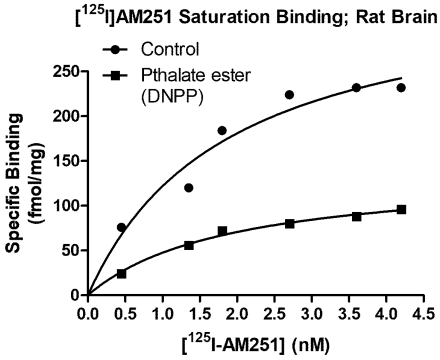
Log EC_{50} (M) -6.39
EC_{50} (μM) 0.405
E_{max} (C.P.M.) 5385

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 [^{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:



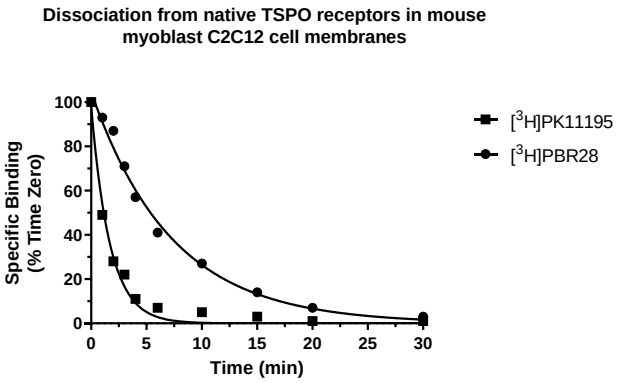




Control:
K_d: 1.88 nM
B_{max}: 351 fmol/mg

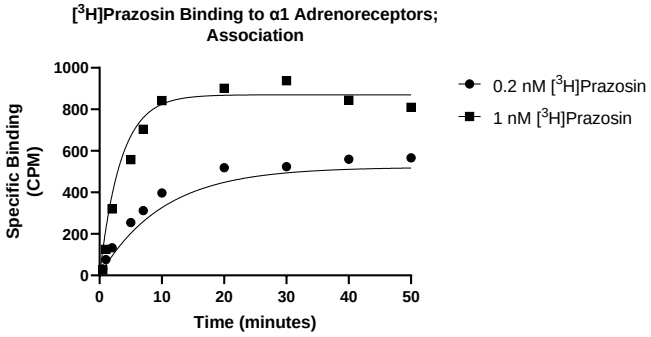
DNPP:
K_d: 1.90 nM
B_{max}: 138 fmol/mg

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

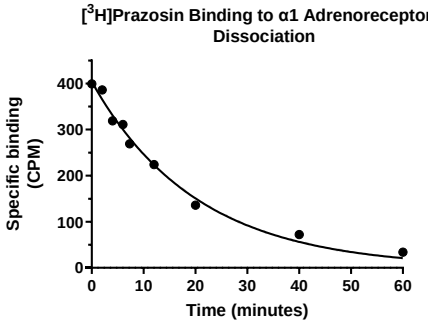


$T_{1/2}$ (min)
[^{3}H]PK1195: 1.18
[^{3}H]PBR28: 5.03

Kinetic assay for [^{3}H]prazosin binding to pig brain α1 adrenoreceptors:



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



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