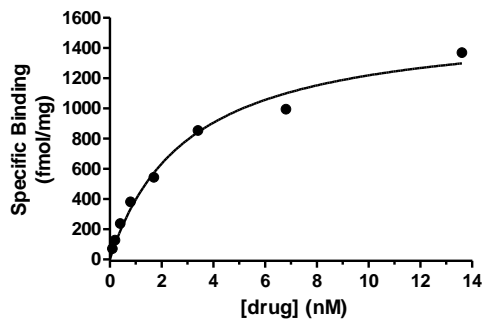


Gifford Bioscience specialize in radioligand binding assays to both recombinant and native receptors in a wide variety of cell and tissue receptor systems.

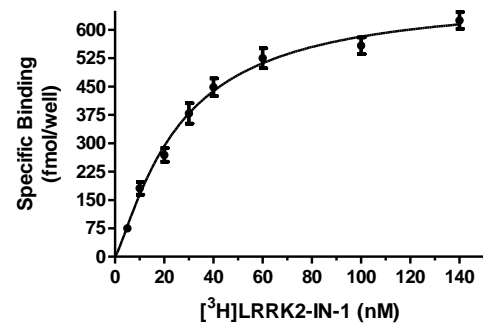
SATURATION BINDING

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



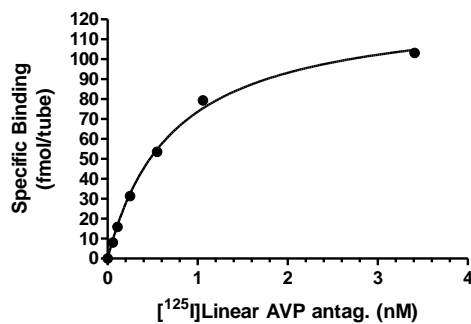
K_d : 3.2 nM
 B_{max} : 1584 fmol/mg

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



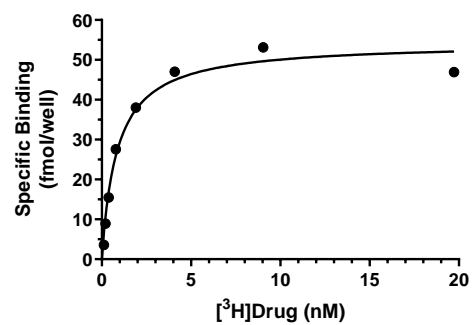
K_d : 26 ± 3 nM
 B_{max} : 688 ± 35 fmol/well
 6.4 ± 0.04 pmol/mg

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



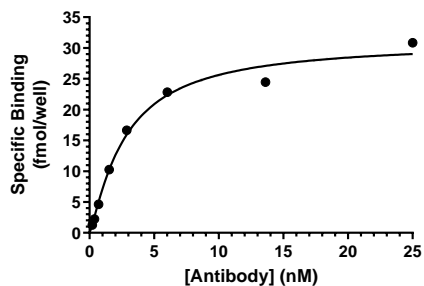
K_d : 0.72 nM
 B_{max} : 126.9 fmol/tube

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



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

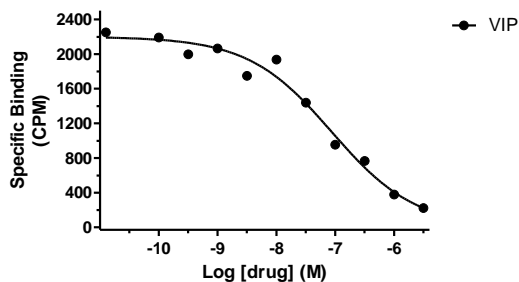
[¹²⁵I]antibody binding to cell surface antigen sites;
SKBR3 cells



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

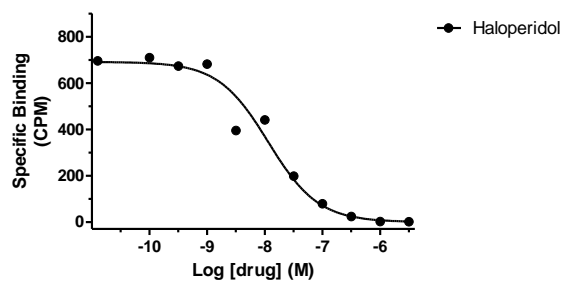
COMPETITION BINDING

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



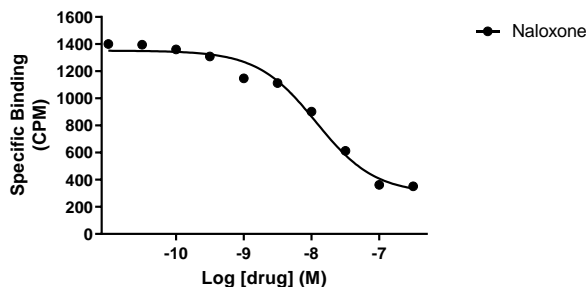
Log IC_{50} (M): -7.02

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



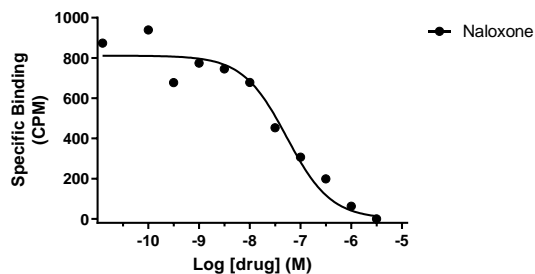
Log IC_{50} (M): -7.9

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



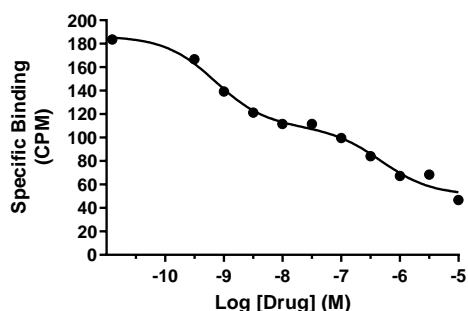
Log IC_{50} (M): -7.93

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



Log IC_{50} (M): -7.27

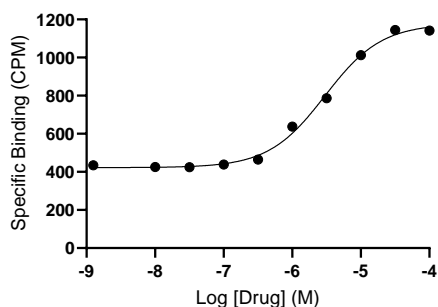
³H]CGS 21680 Binding to Adenosine A_{2a} receptors in Human Caudate-Putamen



Two site binding
Log IC₅₀ (M): -9.22 (High), -6.52 (Low)

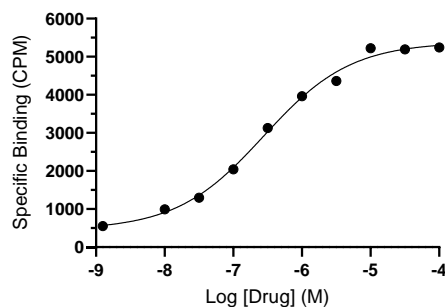
STIMULATION [³⁵S]GTPγS BINDING

³⁵S]GTPγS Binding in Rat Brain Striatum; Stimulation by Acetylcholine



Log EC₅₀ (M) -5.52
EC₅₀ (μM) 3.01
E_{max} (C.P.M.) 1177

³⁵S]GTPγS Binding in Rat Striatum; Stimulation by DAMGO

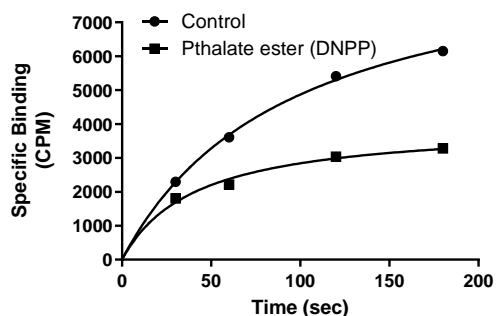


Log EC₅₀ (M) -6.39
EC₅₀ (μM) 0.405
E_{max} (C.P.M.) 5385

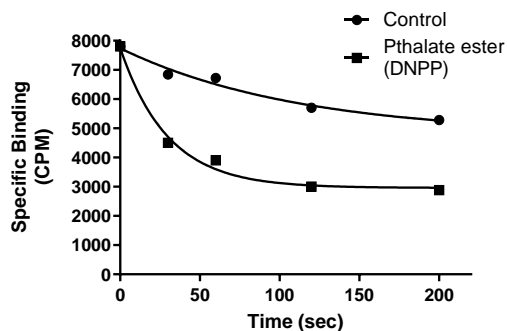
KINETICS AND MECHANISM-OF-ACTION

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

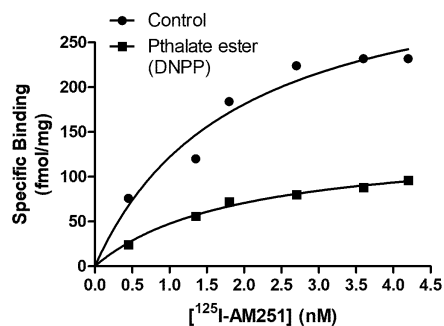
[¹²⁵I]AM251 Association; Rat Brain



[¹²⁵I]AM251 Dissociation; Rat Brain



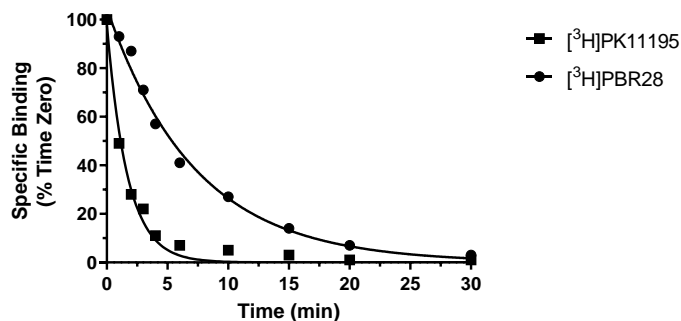
[¹²⁵I]AM251 Saturation Binding; Rat Brain



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:

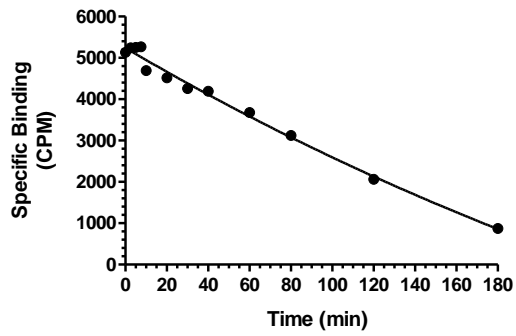
Dissociation from native TSPO receptors in mouse myoblast C2C12 cell membranes



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

Dissociation of an [¹²⁵I]-labeled antibody (Trastuzumab) from live SKBr3 cells.

¹²⁵I]Trastuzumab Dissociation from SKBr3 Cells



T_{1/2}: 106 min