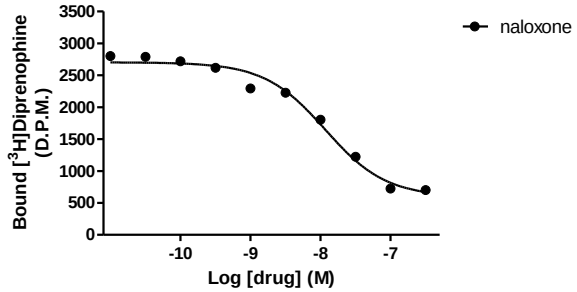
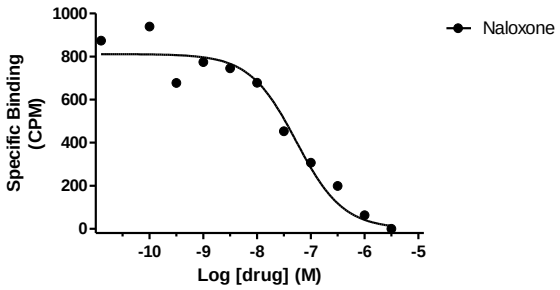
COMPETITION BINDING

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



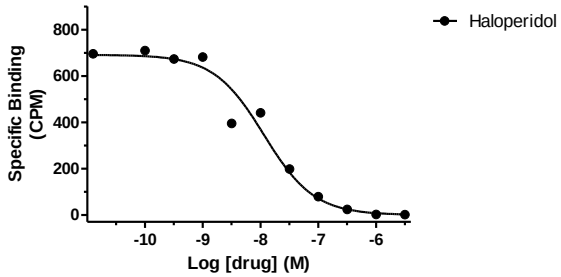
Log IC_{50} (M): -8.10

[125I]deltorphin bindng to opiate receptors; rat brain



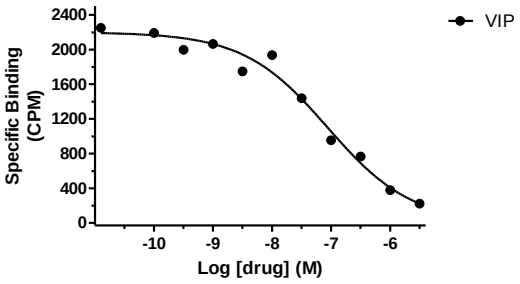
Log IC_{50}: -7.27

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



Log IC_{50} (M): -7.9

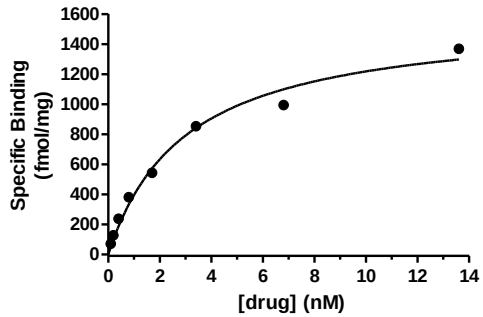
[^{125}I]VIP binding to VPAC2 receptors; human recombinant



Log IC_{50} (M): -7.02

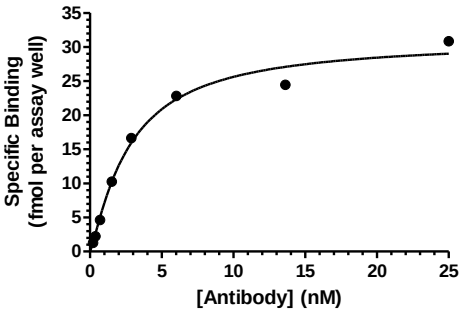
HOT SATURATION

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



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

[^{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)

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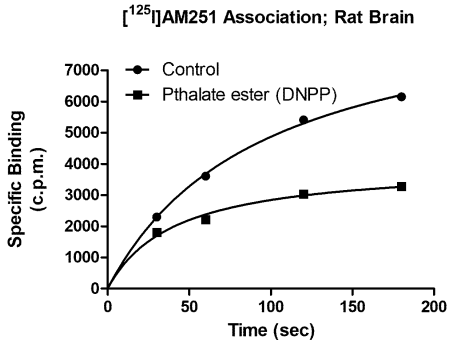
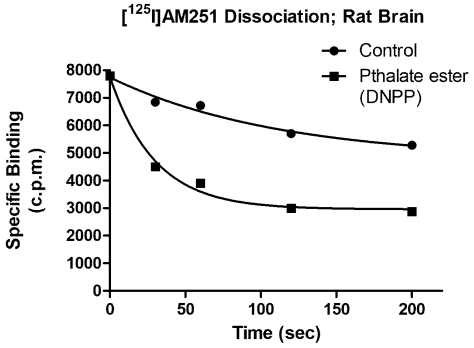
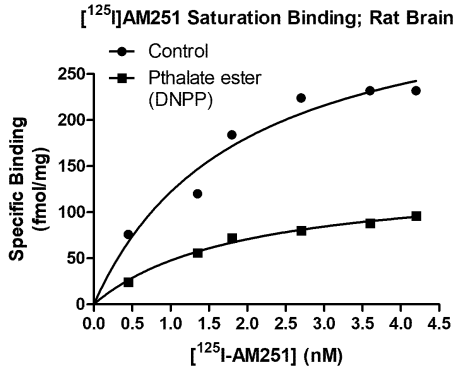
KINETICS AND MECHANISM-OF-ACTION

Fig. 1. Effect of Di-n-pentyl phthalate (DNPP; 40 µM) on association and 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.



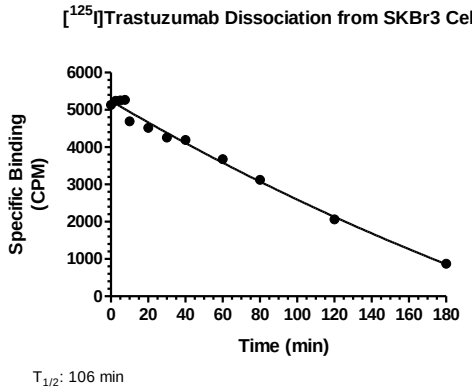




Control:
K_d: 1.88 nM
B_{max}: 351 fmol/mg

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

Fig. 2. Dissociation of an [^{125}I]-labeled antibody (Trastuzumab) from live SKBr3 cells.



$T_{1/2}$: 106 min