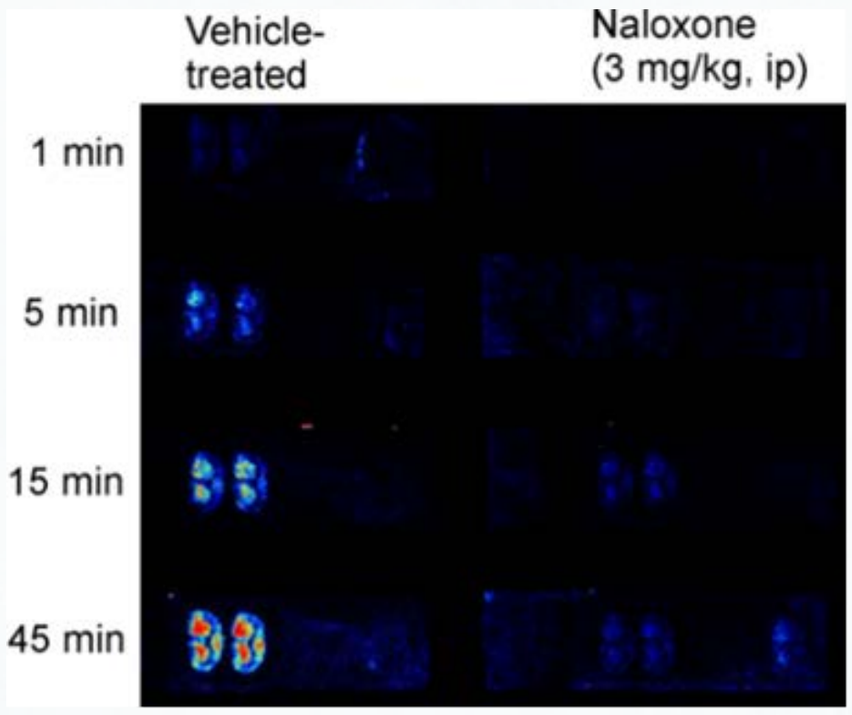
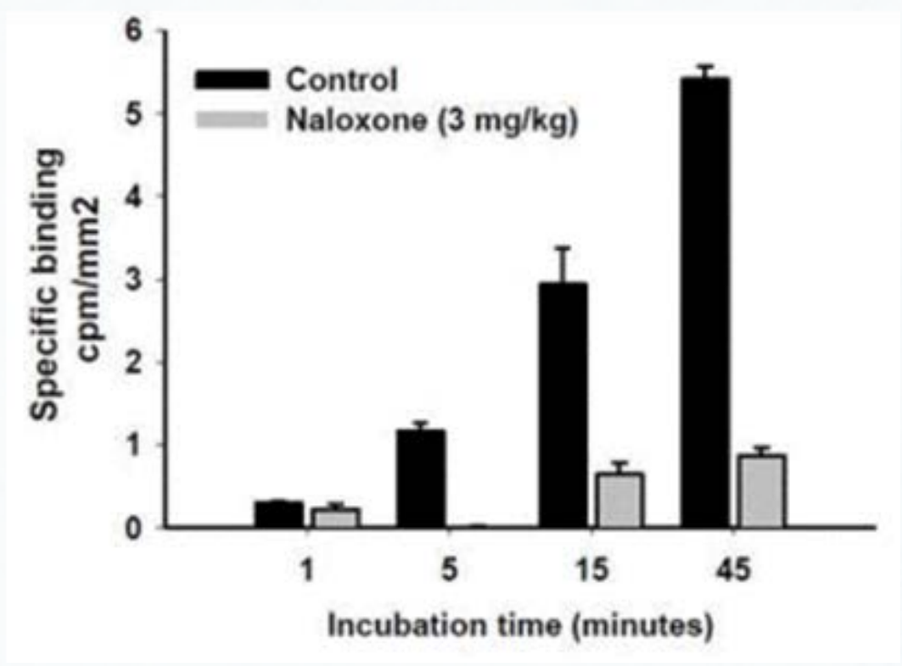
Data Sheet
Receptor Occupancy
Examples

RECEPTOR OCCUPANCY – *EX VIVO* AUTORADIOGRAPHY



(a) Autoradiographic image



(b) ROI quantification of radiotracer binding

Figure 1: **Autoradiographic binding of [^{3}H]DAMGO to striatal sections from rats given naloxone (3 mg/kg, i.p.) or vehicle, 20 minutes prior to sacrifice.** After being cut, sections were incubated in vitro in [^{3}H]DAMGO for between 1 and 45 minutes. Binding of [^{3}H]DAMGO to opiate receptors in the sections from the drug-treated animal is reduced at all incubation time points, due to occupancy of opiate receptors in the tissue by the drug.

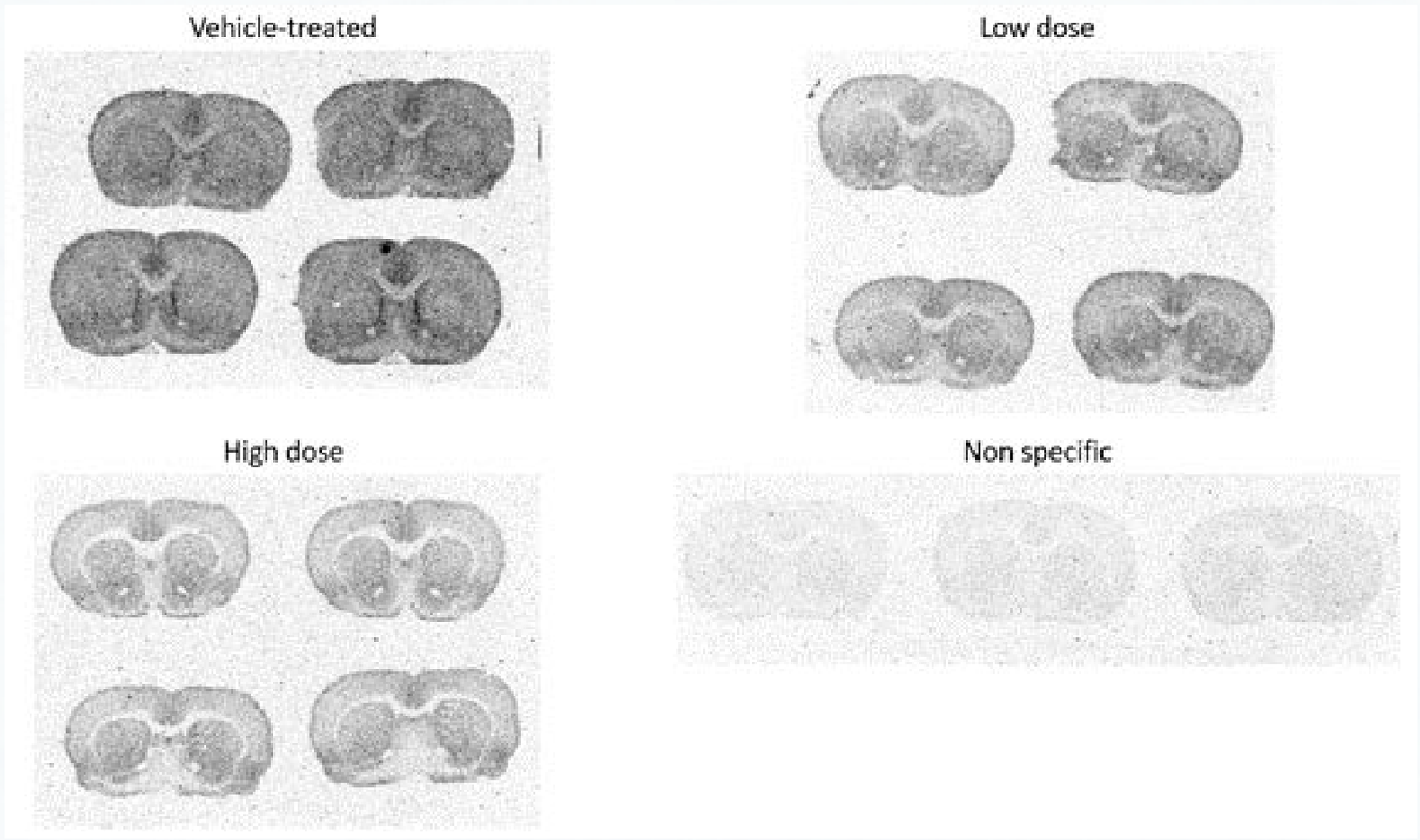


Figure 2: **Inhibition of binding of a P2X7 receptor radioligand in brain sections from rats given a P2X7 receptor-active drug at either a low dose (n=2) or high dose (n=2).** Radioligand binding to the sections was significantly reduced in all 4 drug-treated animals compared to that in the vehicle controls.

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RECEPTOR OCCUPANCY – TISSUE DISSECTION AND COUNTING

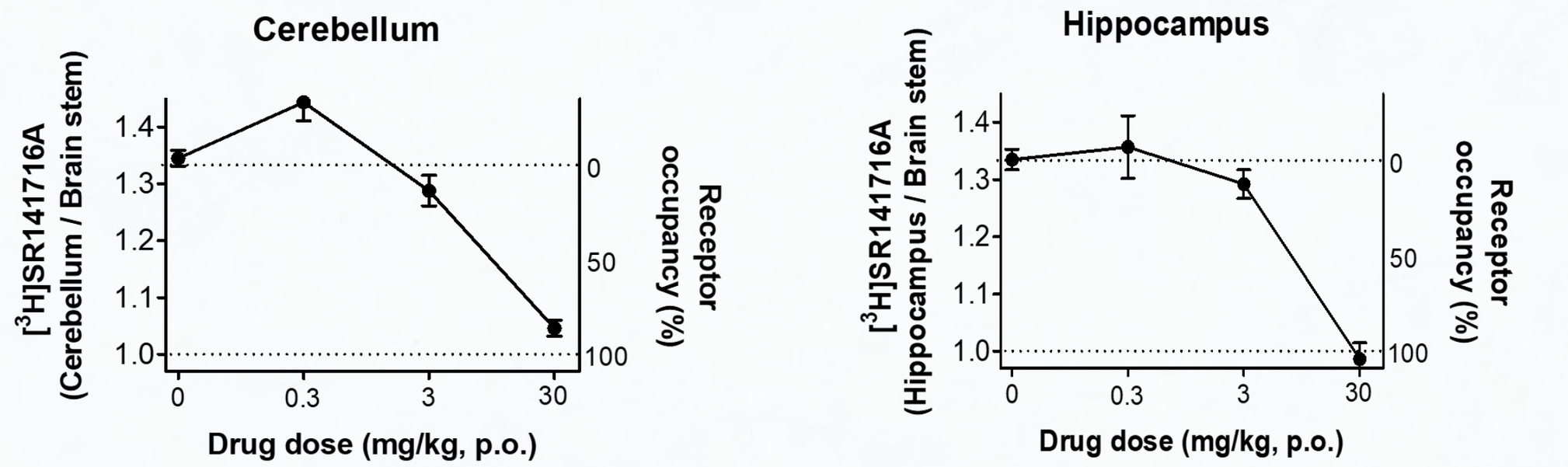

Figure 1: ***In vivo*** **CB1 receptor occupancy of a test drug determined by inhibition of [³H]SR141716A binding in the rat brain.** The test drug was given by oral gavage 1 hour prior to sacrifice and [³H]SR141716A via a tail vein 30 minutes prior to sacrifice. Plotted values are ratios of radioactivity in a receptor-rich region (cerebellum or hippocampus) relative to that in a receptor-poor reference region (brain stem) and are the means of 5 - 6 animals per dose. At the highest dose, test drug reduced both hippocampal:brain stem and cerebellum:brain stem ratios to close to one. This indicates complete occupancy of brain CB1 receptors.

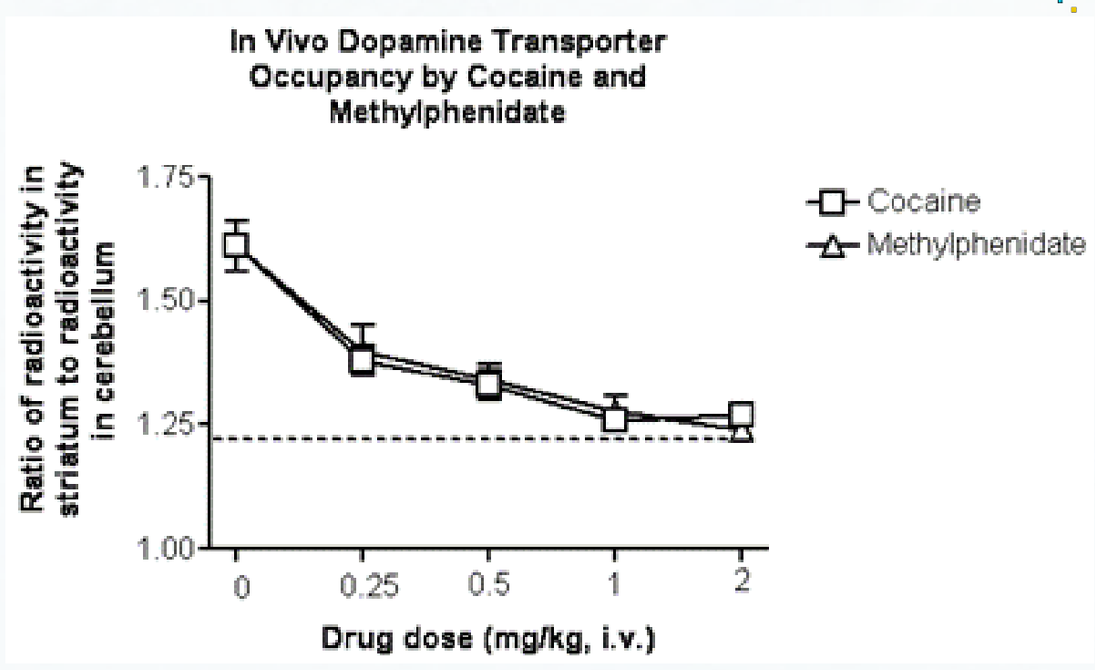

Figure 2: ***In vivo*** **dopamine transporter occupancy of cocaine and methylphenidate as determined by inhibition of [³H]cocaine binding in the striatum in mice.** Values are ratios of radioactivity in striatum (receptor-rich region) to cerebellum (reference region) and are the means of 5 - 6 animals per dose. Both cocaine and methylphenidate reduced specific [³H]cocaine binding with 50% inhibition at about 0.25 mg/kg for both drugs. Dotted line indicates the level of non-specific binding, as determined by administration of a blocking dose of a high-affinity cocaine analogue.

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