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PRODUCT DATASHEET

ChemiScreen™ Recombinant Human δ Opioid Receptor Membrane Preparation

CATALOG NUMBER:	HTS100M	**QUANTITY:**	200 units
LOT NUMBER:	22H1008	**VOLUME/CONCENTRATION:**	1 mL, 2 mg/mL

BACKGROUND:

Opiates derived from the opium poppy, *Papaver somniferum*, have been used in for millenia for their anti-diarrheal, analgesic and euphoric properties. More recently, endogenous peptides, enkephalins, dynorphins, and endorphins, were found to bind to the same sites as opiate alkaloids. The receptors for the classical opioids are three related GPCRs, δ, κ, and μ (also known as OP_1, OP_2 and OP_3, respectively), that activate $G_{i/o}$ to reduce intracellular cAMP levels. Although most clinically used opioids function by activation of the μ opioid receptor, agonists of spinal δ opioid receptors have antinociceptive activity that is independent of μ. In addition, activation of δ increases locomotor activity, inhibits gastrointestinal motility, and decreases respiratory frequency (Dhawan *et al.*, 1996). Agonists for δ opioid receptors also exhibit antidepressant-like activity in animal models (Broom *et al.*, 2002). Millipore's δ membrane preparations are crude membrane preparations made from our proprietary stable recombinant cell lines to ensure high-level of GPCR surface expression; thus, they are ideal HTS tools for screening of agonists and antagonists at δ.

APPLICATIONS:

Radioligand binding assay

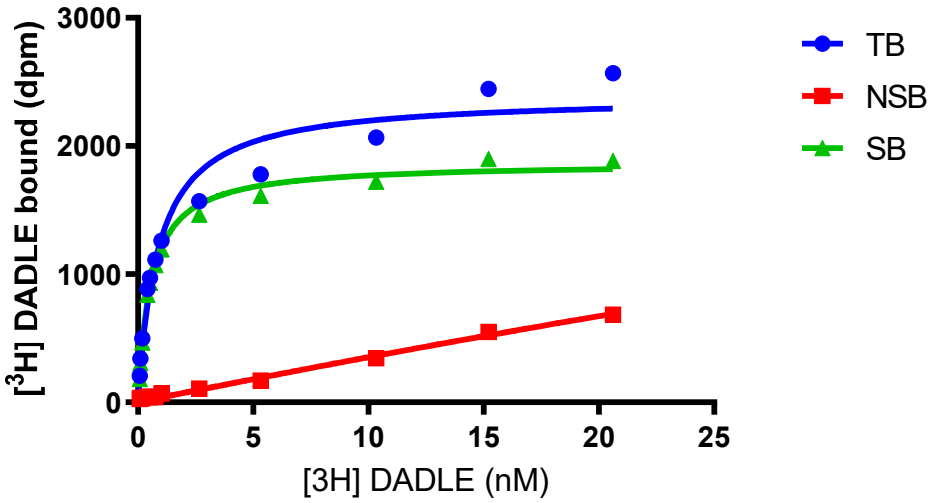

Figure 1. Saturation binding for δ. 1.5 μg/well δ Membrane Preparation was incubated with increasing amount of ^{3}H-labeled DADLE in the absence (total binding, TB) or presence (nonspecific binding, NSB) of unlabeled DPDPE at 10μM. Specific binding (SB) was determined by subtracting NSB from TB.

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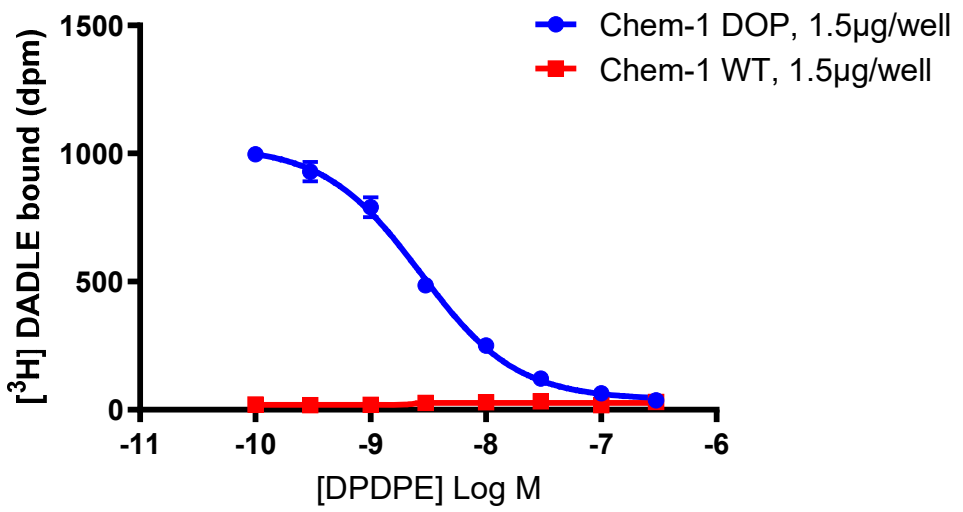

Figure 2. Competition binding for δ. 1.5 µg/well δ Membrane Preparation and wild-type Chem-1 Membrane Preparation (Chemicon catalog # HTS000MC1) were incubated in a 96-well plate with 0.5 nM ^{3}H-labeled DADLE and increasing concentrations of unlabeled DPDPE. More than 10- fold signal:background was obtained with DPDPE.

SPECIFICATIONS: 1 unit = 10 µg
B_{max} for [^{3}H] DADLE binding: 10.7 pmol/mg protein
K_d for [^{3}H] DADLE binding: 0.56 nM
Signal:background: >10-fold

TRANSFECTION: Full-length human OPRD1 cDNA encoding δ opioid receptor (Accession Number: NM_000911)

Species: Human

HOST CELLS: Chem-1, an adherent mammalian cell line with minimum amount of endogenous δ expression.

RECOMMENDED ASSAY CONDITIONS: Membranes are mixed with radioactive ligand and unlabeled competitor (see Figures 1 and 2 for concentrations tested) in binding buffer in a nonbinding 96-well plate, and incubated for 1h. Prior to filtration, an GF/B 96-well harvest plate is coated with 50 mM Tris-HCl, pH 7,4 + 0.3% polyethyleneimine. Binding reaction is transferred to the filter plate, and washed 4 times (~1 mL per well per wash) with Wash Buffer. The plate is dried and counted.

Binding buffer: 50 mM Tris-HCl pH 7.4, 5 mM MgCl2

Radioligand: [^{3}H]-DADLE (Perkin Elmer # NET-648)

Wash Buffer: 50 mM Tris-HCl pH 7.4

One package contains enough membranes for at least 1000 assays (units), where a unit is the amount of membrane that will yield greater than 10-fold signal:background with ^{3}H-labeled DADLE.

PRESENTATION: Liquid in packaging buffer: 50 mM Tris pH 7.4, 10% glycerol and 1% BSA with no preservatives.

Packaging method: Membrane proteins were adjusted to the indicated concentration in 1 ml packaging buffer, rapidly frozen, and stored at -80ºC.

STORAGE/HANDLING: Store at –70°C. Product is stable for at least 6 months from the date of receipt when stored as directed. Do not freeze and thaw.

REFERENCES:

1. Broom DC et al. (2002) Behavioral effect of δ-opioid receptor agonists: potential antidepressants? Jpn. J. Pharmacol. 90: 1-6.
2. Dhawan BN et al. (1996) International Union of Pharmacology. XII. Classification of opioid receptors. Pharmacol. Rev. 48: 567-92: