

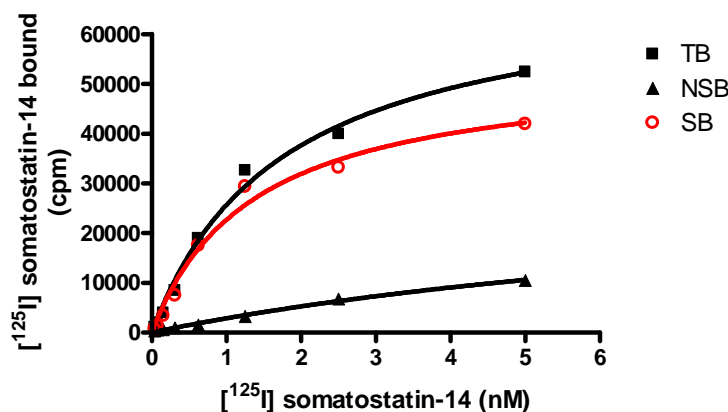


## CHEMISCREEN™ MEMBRANE PREPARATION RECOMBINANT HUMAN SST<sub>1</sub> SOMATOSTATIN RECEPTOR

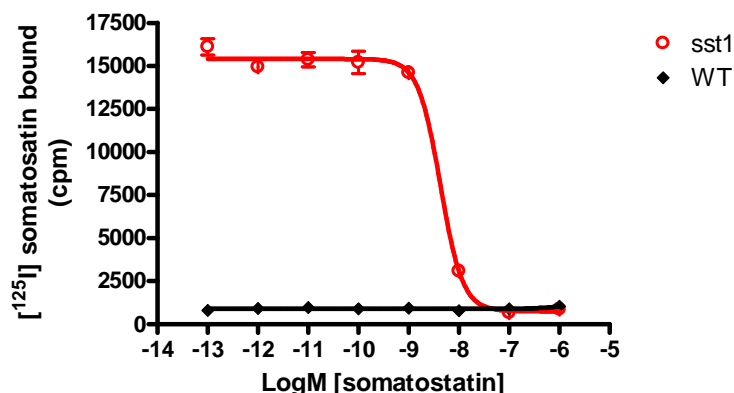
**CATALOG NUMBER:** HTS170M      **QUANTITY:** 200 units  
**LOT NUMBER:**      **VOLUME/CONCENTRATION:** 1 mL, 1 mg/mL

**BACKGROUND:** Somatostatin, a 14 or 28 amino acid peptide, inhibits hormone secretion from exocrine glands, and displays an antiproliferative activity on a number of cell types. A family of 5 G<sub>i</sub>-coupled receptors mediates the effects of somatostatin. The classical activity of somatostatin of inhibiting growth hormone release from the pituitary appears to be mediated by sst<sub>1</sub>. In addition, sst<sub>1</sub> functions as an inhibitory autoreceptor on somatostatin neurons in the hippocampus, hypothalamus, basal ganglia and retina (Thermos *et al.*, 2006). The somatostatin receptors are targets for anticancer drugs and for treatment of hormonal dysfunction. Millipore's sst<sub>1</sub> 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 of sst<sub>1</sub>. The membrane preparations exhibit a K<sub>d</sub> of 1.4 nM for [<sup>125</sup>I]-somatostatin-14. With 0.5 nM [<sup>125</sup>I]-somatostatin-14, 5 µg/well sst<sub>1</sub> Membrane Prep yields greater than 12 fold signal-to-background ratio.

**APPLICATIONS:** Radioligand binding assay



**Figure 1. Saturation binding for sst<sub>1</sub>.** 5 µg/well sst<sub>1</sub> Membrane Preparation was incubated with increasing amount of [<sup>125</sup>I]-somatostatin-14 in the absence (total binding, TB) or presence (nonspecific binding, NSB) of 200-fold excess unlabeled somatostatin-14. Specific binding (SB) was determined by subtracting NSB from TB. Sample data from a representative Lot.



**Figure 2. Competition binding for sst<sub>1</sub>.** sst<sub>1</sub> Membrane Preparation (5 µg/well) and Wild-Type Chem-1 membrane preparation (10 µg/well) were incubated with 0.5 nM [<sup>125</sup>I]-somatostatin-14 and increasing concentrations of unlabeled somatostatin, and more than 12-fold signal:background was obtained. Sample data from a representative Lot.

**Table 1.** Signal:background and specific binding values obtained in a competition binding assay with varying amounts of sst<sub>1</sub> membrane prep.

|                        | 5 µg/well |
|------------------------|-----------|
| Signal:background      | 20.4      |
| Specific binding (cpm) | 14,647    |

SPECIFICATIONS: 1 unit = 5 µg membrane preparation  
Bmax: 8.4 pmol/mg  
K<sub>d</sub>: 1.4 nM

Species: Human sst<sub>1</sub> (Accession number NM\_000868)

HOST CELLS: Chem-1, an adherent mammalian cell line without detectable endogenous somatostatin receptor 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 1-2 h. Prior to filtration, an FC 96-well harvest plate (Millipore cat. # MAHF C1H) is coated with 0.33% polyethyleneimine for 30 min, then washed with 50mM HEPES, pH 7.4, 0.5% BSA. Binding reaction is transferred to the filter plate, and washed 3 times (1 mL per well per wash) with Wash Buffer. The plate is dried and counted.

Binding buffer: 50 mM HEPES, pH 7.4, 5 mM MgCl<sub>2</sub>, 1 mM CaCl<sub>2</sub>, 0.2% BSA, filtered and stored at 4°C

Radioligand: [<sup>125</sup>I]-somatostatin-14 (PerkinElmer NEX389)



Wash Buffer: 50 mM HEPES, pH 7.4, 500 mM NaCl, 0.1% BSA, filtered and stored at 4°C

**PRESENTATION:**

One package contains enough membranes for at least 200 assays (units), where an unit is the amount of membrane that will yield greater than 12-fold signal:background with [<sup>125</sup>I]-somatostatin-14 at 0.5 nM.

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

Packaging method: Membrane protein was adjusted to the indicated concentration in packaging buffer, rapidly frozen, and stored at -80°C.

**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:**

Thermos K *et al.* (2006) The somatostatin sst<sub>1</sub> receptor: an autoreceptor for somatostatin in brain and retina? *Pharmacol. Ther.* 110: 455-464.

**Important Note:** *During shipment, small volumes of product will occasionally become entrapped in the seal of the product vial. For products with volumes of 200 µL or less, we recommend gently tapping the vial on a hard surface or briefly centrifuging the vial in a tabletop centrifuge to dislodge any liquid in the container's cap.*

FOR RESEARCH USE ONLY; NOT FOR USE IN DIAGNOSTIC  
PROCEDURES. NOT FOR HUMAN OR ANIMAL CONSUMPTION

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