

ChemiScreen A1 Membrane Preparation Human A1 GPCR membrane preparation for Radioligand binding Assays & GTPgammaS binding.

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Unit EA

Description

Extracellular adenosine mediates a multitude of biological effects, including wakefulness, antiarrhythmia, bronchoconstriction and response to ischemia and oxidative stress. A family of four GPCR adenosine receptors, A1, A2A, A2B and A3, is responsible for these effects. The A1 receptor, which couples to Gi/o, is most highly expressed in brain, and mediates endogenous antinociception and neuronal response to hypoxia (Fredholm et al., 2001). A1 is also expressed in kidney, where it contributes to tubuloglomerular feedback. Chemicon's cloned human A1-expressing cell line is made in the Chem-3 host, which supports high levels of recombinant A1 expression on the cell surface and contains high levels of the promiscuous G protein Galpha15 to couple the receptor to the calcium signaling pathway. Thus, the cell line is an ideal tool for screening for antagonists of interactions between A1 and its ligands. Chemicon's A1 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 antagonists of A1 interactions with its ligands. The membrane preparations exhibit a Kd of 1.2 nM for [3H]-Cyclopentyl-1, 3-dipropylxanthine, 8-[dipropyl-2, 3-3H (N)]. With 5mg/well A1 Membrane Prep and 20nM [3H]-DPCPX, a greater than 6-fold signal-to-background ratio is obtained.