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<u>ChemiSCREEN CRF1 Membrane Preparation Human CRF1 GPCR membrane preparation for Radioligand binding Assays & GTPgammaS binding.</u>

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Unit EA

Description

The CRF1 receptor is a Gs-coupled GPCR expressed in the brain and pituitary gland that binds to several neuropeptides, including corticotropin-releasing factor (CRF) and urocortin, and the amphibian peptide sauvagine (Chen et al., 1993, Dautzenberg and Hauger, 2002, Bale and Vale, 2004). CRF plays a predominant role in stress response mediated by the hypothalamic-pituitary-adrenal axis, and alterations in CRF and its receptors CRF1 and CRF2 appear to be linked to depression and anxiety (Holsboer, 1999, Bale and Vale, 2004). A number of small molecule antagonists of the CRF1 receptor have been characterized, including R121919, SC241, NBI27914, antalarmin, DMP-696, and CP 154,526. When delivered in animal models of psychiatric disorders, these antagonists display effectiveness in reducing stress-related behaviors (Kehne and De Lombaert, 2002). Chemicon&prime,s CRF1 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 CRF1 interactions with its ligands. The membrane preparations exhibit a Kd of 1 nM for [125I]-sauvagine. With 2.5 &mu,g/well CRF1 Membrane Prep and 0.5 nM [125I]-sauvagine, a greater than 12-fold signal-to-background ratio is obtained., Full-length Human CRF1