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ChemiSCREEN Membrane Preparation Recombinant Human alpha1D Adrenergic Receptor with N-terminal truncation Human alpha1D GPCR membrane preparation for Radioligand binding Assays & GTPgammaS binding.

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Description

Truncated human ADRA1D cDNA encoding alpha1D lacking residues 2-79, The endogenous catecholamines epinephrine and norepinephrine have profound effects on smooth muscle activity, cardiac function, carbohydrate and fat metabolism, hormone secretion, neurotransmitter release, and central nervous system actions. These activities are mediated by GPCRs belonging to two subfamilies, the alpha- and beta-adrenoceptors (Bylund et al., 1994). The three members of the alpha1 subclass of adrenoceptors, alpha1A, alpha1B and alpha1D, couple to Gq, and promote contraction of vascular and urinary tract smooth muscle, relaxation of intestinal smooth muscle, increased contractile force in the heart, and glycogenolysis and gluconeogenesis in the liver. The different subtypes have overlapping distributions and variably contribute to these effects depending on species and tissue. The alpha1D adrenergic receptor mediates smooth muscle contraction in several tissues. In the vasculature, activation of alpha1D increases blood pressure (Tanoue et al., 2002, Hosoda et al., 2005). In the urinary tract, alpha1D promotes bladder contraction. Antagonists of alpha1 receptors are used to treat bladder outlet obstruction, and this effect is thought to be mediated by alpha1D (Chen et al., 2005). The alpha1D adrenergic receptors has a relatively long N-terminal extracellular domain, and truncation of this domain has been shown to increase expression of the receptor at the cell surface (Pupo et al., 2003). Millipore's alpha1D membrane preparations, which contain a version of alpha1D lacking residues 2-79, 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 alpha1D. The membrane preparations exhibit a Kd of 0.4 nM for [3H]-prazosin. With 0.5 nM [3H]-prazosin, 5 &#181,g/well alpha1D (Delta2-79) Membrane Prep typically yields greater than 5-fold signal-to-background ratio.