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ProductInformation

β₂ ADRENERGIC RECEPTOR (SF9)

Product Number B-144

Product Description

β-Adrenergic receptors are widely distributed, found at both central and peripheral sites, and are activated either via norepinepherine released from sympathetic terminals or via epinepherine released from the adrenal medulla. Important physiological consequences of β-adrenergic receptor activation include stimulation of cardiac rate and force, relaxation of vascular, urogenital and bronchial smooth muscle, stimulation of renin secretion from the juxta-glomerular apparatus, stimulation of insulin and glucagon secretion from the endrocrine pancreas, stimulation of glycogenolysis in liver and skeletal muscle and stimulation of lipolysis in the adipocyte. Most β adrenergic receptor mediated actions involve stimulation of adenylyl cyclase via interaction of the agonist-receptor complex with $G_{\rm S}$.

Three subtypes of the β adrenergic receptor have been cloned, and the characteristics of these receptors correspond with those of the three well characterized β adrenergic receptors on native tissues, designated β_1 , β_2 and β_3 .

The β_2 adrenergic receptor subtype appears to be involved in the mediation of bronchodilation. Agonists to the β_2 adrenergic receptor are generally targeted for use as bronchodilators.

Reagents

 β_2 Adrenergic Receptor (Sf9) consists of cell membrane protein containing the receptor diluted in 50 mM TRIS-HCl, pH 7.4, 10% glycerol and 1% bovine serum albumin (BSA).

Storage/Stability

Store tightly sealed at -70 °C. When stored in its original packaging solution, the membranes retain their original specific activity for several months.

Procedure

- Membranes
 Dilute in incubation buffer (0.5 ml membrane to 24.5 ml incubation buffer.)
- Assay mixture
 500 μl of diluted membranes 20 μl of
 [³H]-radioligand 20 μl of incubation buffer or
 unlabeled ligand in buffer
 <u>Radioligand:</u> [³H]-CGP 12177 at a concentration of
 0.16 nM for competition studies.
 <u>Unlabeled ligand:</u> S(–)-Propranolol (P-110) at a
 final concentration of 1 μM.
- 3. Incubation time 60 minutes at 27 °C.
- Separation Over GF/C filter (5 mm diam., presoaked in 0.3% polyethyleneimine, Cat. No. P-182) then wash 9x with 500 μl of ice cold 50 mM Tris-HCl at pH 7.4.

Results

Ligand	Affinity (K _D) (nM)
[³ H]CGP 12177	0.16
S(-)-Propranolol (P-110)	0.37
Alprenolol (A-131)	0.57
ICI 118551 (I-128)	1.2
Betaxolol	222

References

- 1. Emorine, L.J. et al. "Structure of the gene for the human β_2 adrenoceptor." Neurol. Neurobiol. **42A**, 345-349 (1988).
- 2. Emorine, L.J. et al. "Structure of the gene for human β_2 adrenergic receptor: Expression and promoter characterization." Proc. Natl. Acad. Sci. USA **84**, 6995-6999 (1987).
- 3. Kobilka, B.K. et al. "Delineation of the intronless nature of the genes for the human and hamster β_2 adrenergic receptor and their putative promoter regions." J. Biol. Chem. **262**, 7321-7327 (1987).

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