Inhibitors, Agonists, Screening Libraries

Data Sheet

Product Name: Isoprenaline (hydrochloride)
Cat. No.: HY-B0468
CAS No.: 51-30-9
Molecular Formula: C_{11}H_{18}ClNO_{3}
Molecular Weight: 247.72
Target: Adrenergic Receptor
Pathway: GPCR/G Protein
Solubility: DMSO: 14.5 mg/mL

BIOLOGICAL ACTIVITY:
Isoprenaline (hydrochloride) is a non–selective beta-adrenergic receptor agonist, used for the treatment of bradycardia and heart block.

In Vitro: Isoprenaline (300 nM, 3 min) increases particulate cGMP– and cilostamide–inhibited, low–K\textsubscript{m} cAMP phosphodiesterase (cAMP–PDE) activity by about 100% in intact rat fat cells\textsuperscript{[1]}. Isoprenaline inhibits insulin–stimulated glucose transport activity in rat adipocytes. Isoprenaline, in the absence of adenosine, promotes a time–dependent (t1/2 approximately 2 min) decrease in the accessibility of insulin–stimulated cell surface GLUT4 of > 50%, which directly correlated with the observed inhibition of transport activity\textsuperscript{[2]}. Isoprenaline (5 nM and 10 mM) increases cyclic AMP levels and this effect is potentiated by cilostamide (10 mM), by rolipram, a cyclic AMP–specific PDE (PDE 4) inhibitor (10 mM) and by cyclic GMP–elevating agents (50 nM ANF or 30 nM SNP plus 100 nM DMPPO)\textsuperscript{[3]}. Isoprenaline increases the transcriptional activity of Gi alpha–2 gene to 140% of the control value, whereas gene specific hybridization for Gs alpha remains unchanged\textsuperscript{[4]}. Isoprenaline (20 nM) increases the amplitude of total iK and causes a negative shift of approximately 10 mV in the activation curve for iK, both in the absence and in the presence of 300 nM nisoldipine to block the L–type Ca\textsuperscript{2+} current. Isoprenaline (20 nM) increases the spontaneous pacemaker rate of sino–atrial node pacemaker cells by 16% in rabbit isolated pacemaker cells\textsuperscript{[5]}.  

PROTOCOL (Extracted from published papers and Only for reference)

Cell Assay: \textsuperscript{[3]}Cells are seeded in 24–well culture dishes at a density of 2 to 5×10\textsuperscript{4} cells per well. Experiments are performed after 3 to 5 days in culture when cells has reached confluence. Culture medium is aspirated and replaced by 0.5 mL of PBS containing the pharmacological agents. Treatments are performed in quadruplicate at 37°C. The type 3, 4 and 5 PDE inhibitors cilostamide (10 gM), rolipram (10 pM) and DMPPO (10 gM), respectively, are incubated with cells for 30 min before addition of adenylate or guanylate cyclase activators. Cyclic GMP and cyclic AMP are respectively increased in RASMC by stimulation of particulate guanylate cyclase with ANF (50 nM for 10 min) or fl–adrenoceptors with isoprenaline (5 nm for 5 min). At the end of the incubation period, the medium is removed and intracellular cyclic nucleotides are extracted by two ethanolic (65%) ishes at 4°C for 5 min. Ethanolic extracts are pooled, evaporated to dryness by a Speed–Vac system. The dried extract is dissolved in a suitable amount of assay buffer and cyclic nucleotide levels are measured by scintillation proximity assay.

References:
\textsuperscript{[1]} Degerman E, et al. Evidence that insulin and isoprenaline activate the cGMP–inhibited low–K\textsubscript{m} cAMP phosphodiesterase in rat fat cells by phosphorylation. Proc Natl Acad Sci U S A. 1990 Jan;87(2):533–7.


Caution: Product has not been fully validated for medical applications. For research use only.
Tel: 609-228-6898   Fax: 609-228-5909   E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr; Suite Q, Monmouth Junction, NJ 08852, USA