Model Name
Adrenergic β1 Antagonism

Item Number
502500

Introduction
Adrenoreceptors act on G protein-coupled receptors. The β1-adrenoceptor activates Gs and stimulates adenylate cyclase. The receptors are dominant in heart and adipose tissue and best known for increasing heart rate and force of contraction.

Procedure Summary
Test substance is administered p.o. to a group of 5 ICR male mice weighing 23 ± 3 g. Heart rate is recorded 60 minutes later in pentobarbital (80 mg/kg, i.p.) anesthetized animals challenged with isoproterenal (0.01 mg/kg i.v.). Reduction of isoproterenol-induced tachycardia by 50 percent or more (≥50) relative to vehicle treated control animals indicates adrenergic b1 receptor antagonist activity.

Suggested Testing
• n=5/group (study design dependent).
• Adrenergic b1 antagonism assessed at initial an dose of 30 mg/kg.
• Dosing volume at 10 mL/kg.

Turnaround Time(s)
• Acute Assay: In-Life completion in 2-4 weeks from sample receipt
• For Subacute Assays: 6 weeks to 3 months

Literature

Related Assay(s) (Item # - Assay Name - Species)
204010* - Adrenergic β1 - Human
*provided by partner lab Eurofins Pharma Discovery Services

Modified Protocols
We will readily accommodate client-specified alterations.

Laboratory
These assays are performed at our AAALAC accredited laboratory in Taipei.

Animal Welfare
All aspects of this work is performed in general accordance with the Guide for the Care and Use of laboratory animals (National Academy Press, Washington, DC, 2011). The study protocol was approved by the Pharmacology Discovery Services IACUC and is performed with the oversight of veterinarians to assure the humane treatment of laboratory animals.

Reference Compound(s)
Atenolol, Labetalol, Pindolol, Practolol, * Propranolol, (-)-Alprenolol
Graph(s)

56% Inhibition

Changes in heart rate (beats/minute)

Vehicle, PO
Propranolol 30 mg/kg PO

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