Model Name
Adrenergic α2A Antagonism

Item Number
502010

Introduction
Adrenoceptors act on G protein-coupled receptors. All three known adrenergic α2 (α2A, α2B, α2C) receptors activate Gi/o, inhibit adenylate cyclase and decrease cAMP levels as well as inhibit voltage-gated Ca2+ channels and activate Ca2+ dependent K+ channels. Adrenergic α2A receptor antagonism may facilitate hypertension and tachycardia.

Procedure Summary
Test substance is p.o. to a group of 5 Sprague-Dawley male rats weighing 150 ± 20 g. Heart rate is recorded 60 minutes later in pentobarbital (50 mg/kg, i.p.) anesthetized animals challenged with clonidine (0.03 mg/kg i.p.). Test substance antagonism of clonidine-induced bradycardia by 50% or more (≥50) indicates adrenergic α2A receptor antagonist activity.

Suggested Testing
• n=5/group (study design dependent).
• Adrenoceptor a2A antagonism assessed at an initial 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)
302110* - Adrenergic α2A, GTPγS Binding - 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)
* Rauwolscine, Yohimbine