CREATIVE BIOMART®
Assay Kit

Cytochrome P450 1A2 Inhibitor Screening Kit

Product Information

Cat.No.

Kit-2127

Product Overview

CYP1A2 Inhibitor Screening Kit enables rapid screening of drugs and other new chemical entities (NCEs) for compound-CYP1A2 interaction in a reliable, high-throughput fluorescence-based assay. The kit provides a yeast microsomal preparation of human CYP1A2 and human cytochrome P450 reductase (CPR) enzymes. The assay utilizes a non-fluorescent CYP1A2 substrate that is converted into a highly fluorescent metabolite detected in the visible range (Ex/Em = 406/468 nm), ensuring a high signal-to-background ratio with little interference by autofluorescence. The kit contains a complete set of reagents sufficient for performing 100 reactions in a 96- well plate format.

Size

100 assays

Description

Cytochrome P450 1A2 (CYP1A2, EC 1.14.14.1) is a member of the cytochrome P450 monooxidase (CYP) family of microsomal xenobiotic metabolism enzymes. CYPs are membrane-bound hemeproteins responsible for Phase I biotransformation reactions, in which lipophilic drugs and other xenobiotic compounds are converted to more hydrophilic products to facilitate excretion from the body. CYP1A2 is primarily expressed in liver, intestinal and olfactory mucosal tissue and catalyzes oxidation of planar polyaromatic and heterocyclic molecules such as aromatic amines. CYP1A2 is responsible for metabolism of approximately 10% of all small molecule drugs commonly used by humans. Polymorphisms in the human CYP1A2 gene have been implicated in clinical drug/drug interactions involving widely-used drugs, including methylxanthines (caffeine and theophylline), ciprofloxacin and a number of antidepressants and antipsychotics. Isoforms of the CYP1A subfamily are also involved in metabolic activation of environmental pro-carcinogens in cigarette smoke and combustion exhaust fumes.

Applications

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Rapid, high-throughput screening of drugs and novel ligands. Development of structure-activity relationship (SAR) models to predict CYP1A2 inhibition liability of novel compounds.Prediction of adverse drug-drug interaction potential and bioavailability for compounds metabolized by CYP1A2.

Target Species

Eukaryotes

Storage

Store kit at -20°C and protected from light. Briefly centrifuge all small vials prior to opening. Allow the CYP1A2 Assay Buffer to warm to room temperature (RT) prior to use. Read entire protocol before performing the assay procedure.3-CHC Standard: Reconstitute in 110 µl of DMSO and vortex until fully dissolved to yield a 5 mM stock solution. The 3-CHC stock solution should be stored at -20°C and is stable for at least 3 freeze/thaw cycles.CYP1A2 Inhibitor (a-naphthoflavone): Reconstitute in 110 µl of acetonitrile and vortex until fully dissolved to yield a 1 mM stock solution. The stock solution is stable for 2 months at -20°C. To obtain a 30 µM working solution of a-naphthoflavone (5X final concentration), add 30 µl of the 1 mM stock solution to 970 µl of CYP1A2 Assay Buffer. The 30 µM working solution should be stored at -20°C and used within one week.NADPH Generating System (100X): Reconstitute with 110 µl CYP1A2 Assay Buffer, aliquot and store at -20°C. Avoid repeated freeze/thaw cycles. Keep on ice while in use.β-NADP+ Stock (100X): Dissolve in 110 µl CYP1A2 Assay Buffer and vortex thoroughly (100X stock). Store at -20°C, stable for at least 3 freeze/thaw cycles.CYP1A2 Substrate: Reconstitute with 110 µl anhydrous HPLC-grade acetonitrile and vortex until fully dissolved to obtain a 5 mM stock solution. Store at -20°C. When using the CYP1A2 Substrate stock solution, allow the vial to warm to RT before opening and promptly retighten cap after use to avoid absorption of airborne moisture.Recombinant Human CYP1A2: The Recombinant Human CYP1A2 should be reconstituted immediately before use as directed in Protocol.2 below. Each vial is sufficient for preparation of 50 reactions in a 96-well plate format.

Kit Components

CYP1A2 Assay Buffer: 100 ml3-CHC Standard: 1 viaCYP1A2 Inhibitor (a-naphthoflavone): 1 viaNADPH Generating System (100X): 1 viaβ-NADP+ Stock (100X): 1 viaCYP1A2 Substrate: 1 viaRecombinant Human CYP1A2: 2 vials

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Detection method Fluorescence (Ex/Em 406/468 nm)

Compatible Sample Types

Samples containing drugs, inhibitors or ligands (compounds that can interact and affect CYP1A2 activity)

Features & Benefits

• Simple, highly sensitive, high-throughput compatible• Rapid screening of CYP1A2 inhibitors or ligands • Kit includes the CYP1A2 inhibitor a-naphthoflavone and a stable, recombinant human CYP1A2 co-expressed with NADPH Reductase

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