CREATIVE BIOMART®
Assay Kit

Cytochrome P450 2D6 Inhibitor Screening Kit

Product Information

Cat.No. Kit-2135

Product Overview

CYP2D6 Inhibitor Screening Kit enables rapid screening of drugs and other new chemical entities (NCEs) for compound-CYP2D6 interaction in a reliable, high-throughput fluorescence-based assay. The kit provides a yeast microsomal preparation of human CYP2D6 and cytochrome P450 reductase (CPR) enzymes. The assay utilizes a non-fluorescent CYP2D6-selective substrate that is converted into a highly fluorescent metabolite detected in the visible range (Ex/Em = 390/468 nm), ensuring a high signal-to-background ratio with little interference by autofluorescence. The kit contains a complete set of reagents sufficient for performing 200 reactions in a 96-well plate format.

Size

200 assays

Description

Cytochrome P450 2D6 (CYP2D6, 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. CYP2D6 catalyzes oxidation of lipophilic bases with an aromatic ring and a nitrogen atom and is highly expressed in liver and brain tissue. The enzyme is responsible for metabolism of nearly 25% of all small molecule drugs commonly used by humans, particularly psychiatric drugs such as antidepressants, antipsychotics and stimulants. The CYP2D6 gene is highly polymorphic in the human population, with resulting CYP2D6 activity ranging from complete metabolic deficiency to ultra-rapid metabolism depending upon allelic variation and gene copy number. Due to this wide phenotypic variability, CYP2D6 is frequently implicated in drug toxicity and clinical drug/drug interactions. In addition, for drugs whose pharmacological activity requires metabolism from a pro-drug form, CYP2D6 inhibition or allelic deficiency can lead to decreased drug efficacy.

Applications

Tel: 1-631-559-9269 1-516-512-3133
Email:info@creative-biomart.org
Fax:1-631-938-8127
45-1 Ramsey Road, Shirley, NY 11967, USA

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Cytochrome P450 2D6 Inhibitor Screening Kit

Rapid, high-throughput screening of drugs and novel ligands. Development of structure-activity relationship (SAR) models to predict CYP2D6 inhibition liability of novel compounds.Prediction of adverse drug-drug interaction potential and bioavailability for compounds metabolized by CYP2D6.

Target Species

Eukaryotes

Storage

Store kit at -20°C and protected from light. Briefly centrifuge all small vials prior to opening. Allow the CYP2D6 Assay Buffer to warm to room temperature (RT) prior to use. Read entire protocol before performing the assay procedure.AHMC Standard: Reconstitute in 110 µl of DMSO and vortex until fully dissolved to yield a 2 mM stock solution. The AHMC stock solution should be stored at -20°C and is stable for at least 3 freeze/thaw cycles.CYP2D6 Inhibitor (Quinidine): Reconstitute in 220 µl of acetonitrile and vortex until fully dissolved to yield a 2 mM stock solution. The stock solution is stable for 2 months at -20°C. To obtain a 15 µM working solution of quinidine (5X final concentration), add 15 µl of the 2 mM stock solution to 1985 µl of CYP2D6 Assay Buffer. Store the 15 µM quinidine solution at -20°C and use within one week.NADPH Generating System (100X): Reconstitute with 220 µl CYP2D6 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 440 µl CYP2D6 Assay Buffer and vortex thoroughly (100X stock). Store at -20°C, stable for at least 3 freeze/thaw cycles.CYP2D6 Substrate: Reconstitute with 220 µl anhydrous HPLC-grade acetonitrile and vortex until fully dissolved. Store at -20°C. Whenusing the CYP2D6 Substrate stock solution, allow the vial to warm to RT before opening and promptly retighten cap after use to avoidabsorption of airborne moisture.Recombinant Human CYP2D6: The Recombinant Human CYP2D6 should be reconstituted immediately before use as directed in Protocol.2 below. Each vial is sufficient for one 96-well plate.

Kit Components

CYP2D6 Assay Buffer: 100 mlAHMC Standard: 1 vialCYP2D6 Inhibitor (Quinidine): 1 vialNADPH Generating System (100X): 1 vialβ-NADP+ Stock (100X): 1 vialCYP2D6 Substrate: 1 vialRecombinant Human CYP2D6: 2 vials

Detection method Fluorescence (Ex/Em 390/468 nm)

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Compatible Sample Types

Samples containing drugs, inhibitors or ligands (compounds that can interact and affect CYP2D activity)

Features & Benefits

• Simple, highly sensitive, high-throughput compatible • Rapid screening of CYP2D6 inhibitors or ligands Kit includes the canonical CYP2D6 inhibitor Quinidine and a stable, recombinant human CYP2D6 co-expressed with NADPH Reductase

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