CREATIVE BIOMART®
Assay Kit

Cytochrome P450 2C9 Inhibitor Screening Kit

Product Information

Cat.No.

Kit-2117

Product Overview

CYP2C9 Inhibitor Screening Kit enables rapid screening of drugs and other new chemical entities (NCEs) for compound-CYP2C9 interaction in a reliable, high-throughput fluorescence-based assay. The kit provides a yeast microsomal preparation of human CYP2C9 and human cytochrome P450 reductase (CPR) enzymes. The assay utilizes a non-fluorescent CYP2C9 substrate that is converted into a highly fluorescent metabolite detected in the visible range (Ex/Em = 415/502 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 2C9 (CYP2C9, 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. CYP2C9 is primarily expressed in liver and catalyzes oxidation of small, weakly acidic or hydrophobic molecules containing an aromatic moiety and at least one hydrogen bond donor. Isoforms of the CYP2C subfamily are responsible for metabolism of nearly 20% of all small molecule drugs commonly used by humans. The human CYP2C9 gene is highly polymorphic and CYP2C9 single nucleotide polymorphisms (SNPs) have been implicated in clinical drug/drug interactions involving widely-prescribed drugs with narrow therapeutic indices such as warfarin, phenytoin and indomethacin. In addition, for drugs whose pharmacological activity requires metabolism from a pro-drug form (such as the antihypertensive drug losartan), CYP2C9 inhibition or allelic deficiency can lead to decreased drug efficacy.

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Applications

Rapid, high-throughput screening of drugs and novel ligands.Development of structure-activity relationship (SAR) models to predict CYP2C9 inhibition liability of novel compounds.Prediction of adverse drug-drug interaction potential and bioavailability for compounds metabolized by CYP2C9.

Target Species

Eukaryotes

Storage

Store kit at -20°C and protected from light. Briefly centrifuge all small vials prior to opening. Allow the CYP2C9 Assay Buffer to warm to room temperature (RT) prior to use. Read entire protocol before performing the assay procedure.7-HFC Standard: Reconstitute in 110 µl of DMSO and vortex until fully dissolved to yield a 5 mM stock solution. The 7-HFC stock solution should be stored at -20°C and is stable for at least 3 freeze/thaw cycles.CYP2C9 Inhibitor (Sulfaphenazole): Reconstitute in 55 µl of acetonitrile and vortex until fully dissolved to yield a 20 mM stock solution. The stock solution is stable for 2 months at -20°C. To obtain a 300 µM working solution of sulfaphenazole (5X final concentration), add 15 µl of the 20 mM stock solution to 985 µl of CYP2C9 Assay Buffer. The 300 µM working solution should be stored at -20°C and used within one month.NADPH Generating System (100X): Reconstitute with 110 µl CYP2C9 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 CYP2C9 Assay Buffer and vortex thoroughly (100X stock). Store at -20°C, stable for at least 3 freeze/thaw cycles.CYP2C9 Substrate: Reconstitute with 55 µl anhydrous HPLC-grade acetonitrile and vortex until fully dissolved to obtain a 10 mM stocksolution. Store at -20°C. When using the CYP2C9 Substrate stock solution, allow the vial to warm to RT before opening and promptlyretighten cap after use to avoid absorption of airborne moisture.Recombinant Human CYP2C9: The Recombinant Human CYP2C9 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

CYP2C9 Assay Buffer: 100 ml7-HFC Standard: 1 vialCYP2C9 Inhibitor (Sulfaphenazole): 1 vialNADPH

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Generating System (100X): 1 vialβ-NADP+ Stock (100X): 1 vialCYP2C9 Substrate: 1 vialRecombinant Human CYP2C9: 2 vials

Detection method Fluorescence (Ex/Em 415/502 nm)

Compatible Sample Types

Samples containing drugs, inhibitors or ligands (compounds that can interact and affect CYP2C9 activity)

Features & Benefits

• Simple, highly sensitive, high-throughput compatible• Rapid screening of CYP2C9 inhibitors or ligands • Kit includes the CYP2C9 inhibitor Sulfaphenazole and a stable, recombinant human CYP2C9 co-expressed with NADPH Reductase

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