CREATIVE BIOMART®
Assay Kit

Cytochrome P450 2C19 Inhibitor Screening Kit

Product Information

Cat.No. Kit-2125

Product Overview

CYP2C19 Inhibitor Screening Kit enables rapid screening of drugs and other new chemical entities (NCEs) for compound-CYP2C19 interaction in a reliable, high-throughput fluorescence-based assay. The kit provides a yeast microsomal preparation of human CYP2C19 and cytochrome P450 reductase (CPR) enzymes. The assay utilizes a non-fluorescent CYP2C19 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 2C19 (CYP2C19, 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. CYP2C19 is primarily expressed in liver and intestinal tissue and catalyzes oxidation of neutral or weakly basic lipophilic molecules with 2- 3 hydrogen bond donor/acceptor sites. Isoforms of the CYP2C subfamily are responsible for metabolism of nearly 20% of all small molecule drugs commonly used by humans. Polymorphisms in the human CYP2C19 gene have been implicated in clinical drug/drug interactions involving widely-prescribed drugs, including proton pump inhibitors, antiplatelet agents and anticonvulsants. In addition, for drugs whose pharmacological activity requires metabolism from a pro-drug form (such as the antithrombotic drug clopidogrel), CYP2C19 inhibition or allelic deficiency can lead to decreased drug efficacy.

Applications

Rapid, high-throughput screening of drugs and novel ligands. Development of structure-activity relationship (SAR) models to predict CYP2C19 inhibition liability of novel compounds.Prediction of

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adverse drug-drug interaction potential and bioavailability for compounds metabolized by CYP2C19.

Target Species

Eukaryotes

Storage

Store kit at -20°C and protected from light. Briefly centrifuge all small vials prior to opening. Allow the CYP2C19 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.CYP2C19 Inhibitor (Ticlopidine): Reconstitute in 220 µl of deionized H2O and vortex until fully dissolved to yield a 10 mM stock solution. The stock solution is stable for 2 months at -20°C. To obtain a 150 µM working solution of ticlopidine (5X final concentration), add 15 µl of the 10 mM stock to 985 µl of CYP2C19 Assay Buffer. Store resulting 150 µM solution at -20°C and use within one week.NADPH Generating System (100X): Reconstitute with 110 µl CYP2C19 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 CYP2C19 Assay Buffer and vortex thoroughly (100X stock). Store at -20°C, stable for at least 3 freeze/thaw cycles.CYP2C19 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 CYP2C19 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 CYP2C19: The Recombinant Human CYP2C19 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

CYP2C19 Assay Buffer: 100 ml3-CHC Standard: 1 viaCYP2C19 Inhibitor (Ticlopidine): 1 viaNADPH Generating System (100X): 1 viaβ-NADP+ Stock (100X): 1 viaCYP2C19 Substrate: 1 viaRecombinant Human CYP2C19: 2 vials

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

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Compatible Sample Types

Samples containing drugs, inhibitors or ligands (compounds that can interact and affect CYP2C19 activity)

Features & Benefits

• Simple, highly sensitive, high-throughput compatible • Rapid screening of CYP2C19 inhibitors or ligands• Kit includes the CYP2C19 inhibitor Ticlopidine and a stable, recombinant human CYP2C19 co-expressed with NADPH Reductase

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