CREATIVE BIOMART®
Assay Kit

Cytochrome P450 3A4 (CYP3A4) Activity Assay Kit

Product Information

Cat.No. Kit-1918

Size

200 assays

Description

Cytochrome P450 3A4 (CYP3A4, EC 1.14.13.157) 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 transformed to more hydrophilic products to facilitate excretion from the body. CYP3A4 is expressed in high levels in the liver and intestines, where it catalyzes oxidation of an extraordinarily wide variety of structurally distinct ligands. More than half of all small molecule drugs commonly used by humans are metabolized by CYP3A4. Inhibition of CYP3A4- mediated metabolism is a common cause of adverse drug/drug and drug/food interactions and toxicity. In addition, for drugs whose pharmacological activity requires metabolism from a pro-drug form, CYP3A4 inhibition can lead to decreased drug efficacy. CYP3A4 Activity Assay Kit enables rapid measurement of native or recombinant CYP3A4 activity in biological samples such as liver microsomes. The assay utilizes a non-fluorescent CYP3A4 substrate that is converted into a highly fluorescent metabolite detected in the visible range (Ex/Em = 535/587 nm), ensuring a high signal-to-background ratio with little interference by autofluorescence. CYP3A4 specific activity is calculated by running parallel reactions in the presence and absence of the potent inhibitor Ketoconazole and subtracting any residual activity detected with the inhibitor present. The kit contains a complete set of reagents sufficient for performing 100 sets of paired reactions (in the presence and absence of inhibitor).

Applications

Rapid assessment of native/recombinant CYP3A4 activity in fractions prepared from tissues and cells.Screening of drugs and novel ligands for interaction with native/recombinant CYP3A4.

Storage

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Store kit at -20°C and protect from light. Briefly centrifuge all small vials prior to opening. Allow the CYP3A4 Assay Buffer to warm to room temperature prior to use. Read entire protocol before performing the assay procedure.

Kit Components

CYP3A4 Assay Buffer: 100 mlResorufin Standard (5 mM in DMSO): 50 μlCYP3A4 Inhibitor (Ketoconazole): 1 vialNADPH Generating System (100X): 1 vialβ-NADP+ Stock (100X): 1 vialCYP3A4 Substrate: 1 vialRecombinant Human CYP3A4: 1 vial

Compatible Sample Types

Human liver microsomes and liver S9 fractionsLysates of tissues and cultured cells, primary hepatocytesHeterologously expressed recombinant CYP3A4 preparations

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