A High Potency CYP3A4 Inhibitor for Pharmacoenhancement of Drugs

Tech ID: 30088 / UC Case 2018-508-0

BRIEF DESCRIPTION

CYP3A4 is the most clinically relevant drug metabolizing enzyme in the body, as it is responsible for the oxidation and breakdown of ~60% of current drugs on the market. Researchers at UCI have developed novel CYP3A4 inhibitors, that are highly potent and more specific, exhibit fewer side effects, and are both cheaper, and easier to-synthesize than current commercially available CYP3A4 inhibitors.

FULL DESCRIPTION

Inhibition of CYP3A4 has proven to be efficacious in prolonging the overall therapeutic effectiveness of a number of drugs, reducing repeated dosing and lowering costs. Current commercially available CYP3A4 inhibitors are used as pharmacoenhancers, but lack potency and are prone to causing serious side effects in both the liver and adrenal glands. As such, their utility is limited. In order to safely enhance the effectiveness of wider range of pharmaceutical treatments, there is a dire need for a class of CYP3A4 inhibitors with increased potency that are also non-toxic at therapeutic doses.

UCI researchers have used rational structure-based design to synthesize and characterize two new classes of CYP3A4 inhibitors with superior binding affinity and inhibitory potency. Furthermore, the demonstrated ease of production and reduced synthesis costs of these novel compounds provide commercial scalability advantages over current CYP3A4 inhibitors.

SUGGESTED USES

As a pharmacoenhancer or booster for otherwise quickly metabolized drugs for use in anti-HIV, anti-HCV, anti-cancer and immunosuppressive therapy

ADVANTAGES

» CYP3A4 inhibitors with high effectiveness due to superior binding affinity, inhibitory potency, increased solubility and specificity

» Increased ease of production in moderately high yields and reduced costs compared to commercially available inhibitors

PATENT STATUS

Patent Pending

STATE OF DEVELOPMENT

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OTHER INFORMATION

CATEGORIZED AS

» **Materials & Chemicals**
» Chemicals
» **Medical**
» Disease: Cancer
» New Chemical Entities, Drug Leads
» Screening
» Therapeutics

RELATED CASES

2018-508-0

Currently the CYP3A4 inhibitor design is being further optimized to identify functional groups leading to the strongest binding and inhibition of CYP3A4. The most potent inhibitors will be tested for liver toxicity using human liver microsomes and in cell culture, then the less toxic compounds will be tested in vivo for off-target effects, pharmacokinetics, pharmacodynamics and pharmacoenhancing effects.

RELATED MATERIALS

» Inhibition of Human CYP3A4 by Rationally Designed Ritonavir-Like Compounds: Impact and Interplay of the Side Group Functionalities - 01/02/2018

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