INNOVATION PARTNERSHIPS
UNIVERSITY OF MICHIGAN

Posaconazole Cocrystal

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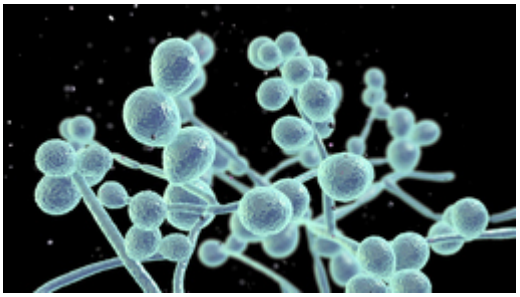

OVERVIEW

A cocrystal of posaconazole to treat patients with systemic fungal infections

- Enhanced pharmaceutical properties including solubility, dissolution, stability, and processability
- Particularly useful for patients whose clinical condition limits oral intake of medications

BACKGROUND

Systemic fungal diseases are typically chronic conditions that develop slowly and result from fungi that live normally in a patient's body or are endemic to the environment. The incidence of fungal infections is highest in tropical countries, though they have become increasingly common as opportunistic infections in immunocompromised hosts in developed countries. Fungal diseases are often confined to typical anatomic sites, and many involve a primary focus in the lung, with more characteristic manifestations of specific fungal infections appearing once the infection spreads from a primary site. Given that many patients suffering from systemic fungal infections are ill and may have gastroparesis or even be comatose, the ability to deliver medication via the oral route may be limited or impossible. As a result, insoluble or sparingly soluble antifungals such as itraconazole and posaconazole are difficult to administer intravenously, limiting their value to these patients. There is a need for crystal forms of anti-fungal medications that can be formulated as suspensions and solid dosage forms with less variability, better water solubility, dissolution, stability, and properties suitable for pharmaceutical processing.

INNOVATION

Researchers have discovered a cocrystal of posaconazole (posaconazole-4 aminobenzoic acid) which exhibits enhanced pharmaceutical properties including solubility, dissolution, stability, and processability. The invention provides novel soluble multicomponent crystalline systems comprising (a) an organic salt comprising the reaction product of cis intraconazole and an

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Life Sciences

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organic or inorganic acid; and (b) an organic solvent. While oral administration of posaconazole products is limited by solubility-pH dependence, the cocrystal mitigates those pH-dependent solubility issues. Cocrystal dissolution in fasted state simulated intestinal fluid achieves concentrations that are 15-fold higher than that achieved with posaconazole crystals. The invention also provides pharmaceutical compositions comprising, and processes for making, these crystalline forms. This novel approach can be applied in circumstances where patients with systemic fungal infections are limited their ability to take in medicines orally, or even in those situations where oral intake is not diminished.