عنوان مقاله:

Synthesis and SAR of Imidazo[۱,۲-a] Pyridinyl-Phenylacrylonitrile Derivatives as Potent Anticandidosis Agents

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نویسندگان:

Deto Ursul Jean-Paul N'Guessan - *Department of Therapeutic Chemistry and Organic Chemistry, UFR Pharmaceutical and Biological Sciences, FHB University, ۰۱ BP V۳۴ Abidjan, Ivory Coast*

Songuigama Coulibaly - *Department of Therapeutic Chemistry and Organic Chemistry, UFR Pharmaceutical and Biological Sciences, FHB University, ۰۱ BP V۳۴ Abidjan, Ivory Coast*

Fulgence Kondo Kassi Kassi - *Department of Parasitology-Mycology-Zoology, UFR Pharmaceutical and Biological Sciences, FHB University, ۰۱ BP V۳۴ Abidjan, Ivory Coast*

Pierre-Olivier Delaye - *University of Tours, Faculty of Pharmacy, EA ۷۵۰۲ SIMBA, ۳۱ Avenue Monge, ۳۷۲۰۰, Tours, France*

Melanie Penichon - *University of Tours, Faculty of Pharmacy, EA ۷۵۰۲ SIMBA, ۳۱ Avenue Monge, ۳۷۲۰۰, Tours, France*

Cécile Enguehard-Gueiffier - *University of Tours, Faculty of Pharmacy, EA ۷۵۰۲ SIMBA, ۳۱ Avenue Monge, ۳۷۲۰۰, Tours, France*

Hassan Allouchi - *University of Tours, Faculty of Pharmacy, EA ۷۵۰۲ SIMBA, ۳۱ Avenue Monge, ۳۷۲۰۰, Tours, France*

Mahama Ouattara - *Department of Therapeutic Chemistry and Organic Chemistry, UFR Pharmaceutical and Biological Sciences, FHB University, ۰۱ BP V۳۴ Abidjan, Ivory Coast*

خلاصه مقاله:

The increase of immunodeficiency situations such as HIV and cancer is stemmed from the expansion of fungal infections due to the genus Candida. Although Candida albicans remains the most widespread species in pathogenic isolates, its epidemiological impact in human infectiology has declined in favor of new emerging species of Candida refractory to conventional treatment. Faced with this situation, we decided to contribute to the development of some imidazo [۱,۲-a] pyridinyl-arylacrylonitriles, as potential new anticandidosics. We proposed the design by molecular hybridization and the synthesis of some imidazo [۱,۲-a]pyridinyl-arylacrylonitriles following a Knoevenagel condensation reaction between aldehydes and various arylacetonitriles. Furthermore, we carried out the evaluation of the antifungal activities of these hybrid derivatives against Candida albicans, Candida tropicalis and Candida glabrata using the microplate dilution methodology. In the end, imidazo[۱,۲-a]pyridinyl-arylacrylonitriles turned out to be molecules with strong antifungal activities. The best anticandidosis profile on the three Candida species tested was obtained with the ۳-chlorinated compound (۵), the MICs of which varied between ۳۵۷.۵ - ۰.۵۲ µM. Likewise, the

doubly modulated derivative (۳c), was particularly illustrated by its good efficacy against Candida tropicalis. These two best compounds can be proposed as the "hit molecules" for further pharmacomodulations in order to have a drug candidate for anticandidosis purpose.

کلمات کلیدی:

anticandidosis, Candida, imidazo[۱, ۲-a]pyridinyl-arylacrylonitriles, SAR

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