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论文

新型三唑类化合物的合成及抗真菌活性

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摘要:

目的寻找广谱、高效、低毒的新一代三唑类抗真菌药物。方法根据靶酶活性位点的空间特征、各种力场和关键残基 分布,设计并合成了21个1-(1,2,4-三唑-1H-1-基)-2-(2,4-二氟苯基)-3-(4-取代-1-哌嗪基)-2-丙醇类化合物,并 测定了体外抑菌活性。结果体外抑菌测试结果表明,所有化合物对8种致病真菌均有一定程度的抗真菌活性,对深 部真菌的活性明显优于浅部真菌。在哌嗪的各种取代基中,苯基和杂环取代的抗真菌活性明显优于苯甲酰基取代。 结论有多个化合物的体外抗真菌活性明显高于氟康唑和特比萘芬,其中化合物VIII-1,4,5和IX-3具有广谱、高活性 的优点, 值得进一步研究。

关键词: 三唑类 合成 抗真菌活性 构效关系

Synthesis and antifungal activity of novel triazole antifungal agents

SHENG Chun-quan; ZHU Jie; ZHANG Wan-nian; SONG Yun-long; ZHANG Min; JI Hai-tao; YU Jian-xin; YAO Jian-zhong; YANG Song; MIAO Zhen-yuan

Abstract:

AimA series of triazole antifungal agents were synthesized to search for novel triazole antifungal agents with more potent activity, less toxicity and broader spectrum. MethodsTwenty-one 1-(1H-1,2,4-triazolyl)-2-(2,4-diflurophenyl)-3-(4-substituted-1-piperazinyl)-2-propanols were synthesized, on the basis of the three dimensional structure of P450 cytochrome 14a-sterol demethylase(CYP51) and their antifungal activities were also evaluated. ResultsResults of preliminary biological tests showed that most of title compounds exhibited activity against the eight common pathogenic fungi to some extent and the activities against deep fungi were higher than that against shallow fungi. In general, phenyl and pyridinyl Article by analogues showed higher antifungal activity than that of the phenylacyl analogues. ConclusionSeveral title compounds showed higher antifungal activities than fluconazole and terbinafine. Compound VIII-1, 4, 5 and IX-3 showed the best antifungal activity with broad antifungal spectrum and were chosen for further study.

Keywords: synthesis antifungal activity structure-activity relationship triazole

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