

论文

1-(1H-1,2,4-三唑-1-基)-2-(2,4-二氟苯基)-3-取代-2-丙醇的合成及抗真菌活性研究

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摘要:

关键词: 抗真菌活性; 构效关系; 1, 2, 4-三唑; 硫醚; 砒

SYNTHESIS AND ANTIFUNGAL ACTIVITY OF 1-(1H-1,2,4-TRIAZOLE-1-YL)-2-(2,4-DIFLUOROPHENYL)-3-SUBSTITUTED-2-PROPANOLS

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Abstract:

Twenty-three 1-(1H-1,2,4-triazole-1-yl)-2-(2,4-difluorophenyl)-3-substituted-2-propanols were synthesized and evaluated for antifungal activities in vitro. The synthetic methods of the intermediates are also reported. The compounds belong to two kinds: sulfones and sulfides. All of the compounds are new. The results of preliminary antifungal test showed that most of the sulfide derivatives exhibited potent activities against six kinds of common pathogenic fungi such as Microsporum lanosum, Cryptococcus neoformans, Candida albicans, Aspergillus fumigatus, Cladosporium carrionii, and Saccharomyces torulopsis in vitro. Compounds IV_{e,f,i,j} showed equal or more potent activities when compared with ketoconazole and were markedly superior to fluconazole. The sulfone derivatives showed lower antifungal activity.

Keywords: Sulfide 1,2,4-Triazole Structure-activity relationship Sulfone Antifungal activity

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