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论文

1-[2-(取代苯基甲硫基)-2-(2,4-二氟苯基)乙基]-1H-1,2,4-三唑类化合物的合成及抗真菌活性

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摘要:

设计合成了21个1-[2-(取代苯基甲硫基)-2-(2,4-二氟苯基)乙基]-1H-1,2,4-三唑类化合物,其中19个为首次报道。体外抑菌试验表明:所有目标化合物对8种试验真菌均有不同程度的抗菌活性,其中化合物1,2,5对絮状表皮癣菌的活性为硫康唑的512倍以上;化合物5对白色念株菌的活性为硫康唑的32倍;化合物2对申克孢子丝菌的活性为硫康唑的32倍;化合物2,14对新型隐球菌的活性分别为硫康唑的64倍,32倍;化合物1,5对熏烟色曲菌的活性分别为硫康唑的16倍以上。

关键词: 三唑类 抗真菌活性

SYNTHESIS AND ANTIFUNGAL ACTIVITIES OF 1-{2-[(SUBSTITUTED-PHENYL) METHYL]THIO}-2-(2,4-DIFLUOROPHENYL)ETHYL-1H 1,2,4-TRIAZOLES

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Abstract:

Twenty-one 1-[2-[[(substituted-phenyl)methyl]thio]-2-(2,4-difluorophenyl)ethyl]-1H-1,2,4-triazoles were synthesized and 19 compounds are reported for the first time. Results of biological tests *in vitro* showed that the antifungal activities of all title compounds were better than or comparable to the activities of fluconazole. The antifungal activities of compounds 1～7 and 11～17 were better than or comparable to the activities of sulconazole. Compounds 1, 2 and 5 were 512 times more active than sulconazole against epidermophyton floccosum; compound 5 was 32 times more active against *Candida albicans*, compound 2 was 32 times more active against *Sporotrichum schenckii*; compounds 2 and 14 were shown to be 64 and 32 times more active against *Cryptococcus neoformans*; compounds 1 and 5 were 16 times more active against *Aspergillus fumigatus*.

Keywords: Antifungal activity Triazoles

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