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论文

1-[2-(*N*-甲基-*N*-取代苄基)氨基-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:

目的:1-[2-(N-甲基-N-取代苄基)氨基-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研究。方法:通过付-克反应、三唑烷基化、酮亚胺还原和Leuckart 反应,得到关键中间体,然后通过N-烷基化反应制得目标化合物,并用二倍稀释法测定了体外抑菌活性。结果:合成了23 个1-[2-(N-甲基-N-取代苄基) 氨基-2-(2,4-二氟苯基)乙基]-1H-1 ,2,4-三唑类化合物,均为首次报道。所有目标化合物对8 种致病真菌均有不同程度的抗真菌活性。大部分化合物对*Candida.albicans* 和*Candida.parapsilosis* 的抗菌活性明显高于布替萘芬,其中1 ,2 ,6 ,13,14 ,19 对*Candida.albicans* 的抗菌活性是益康唑的8～32 倍,2,13 对*Cryptococcu.neoformans* 的抗菌活性是布替萘芬的4～8 倍,是益康唑64 ～128 倍。所有化合物对*Microsporum .canis* 的抗菌活性均高于或相当于益康唑。结论:其中一些化合物显示了较强抗真菌的活性,值得进一步研究。

关键词: 三唑苄胺类 抗真菌活性

SYNTHESIS AND ANTIFUNGAL ACTIVITIES OF-1-[2-(-*N*-METHYL-*N*-SUBSTITUTED-BENZYL)AMINO-2-(2,4-DIFLUOROPHENYL) ETHYL]-1H-1,2,4-TRIAZOLES

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Abstract:

AIM: To study the synthesis and antifungal activities of 1-[2-(*N*methyl-*N*substituted-benzyl)amino-2-(2,4 difluorophenyl)ethyl]-1H-1,2,4-triazoles. METHODS: Key intermediate were prepared by Friedel-Crafts reaction, triazolyl alkylation, ketimine reduction and Leuckart reaction. The title compounds were synthesised by *N*alkylation. Antifungal activities *in vitro* were measured by the two times dilution method. RESULTS: Twentythree 1-[2-(*N*methyl-*N*substituted-benzyl)amino-2-(2,4-difluorophenyl)ethyl]-1H-1,2,4-triazoles were synthesised and first reported. All the title compounds exhibited activities against eight fungi. Many of them showed more potent antifungal activities than butenafine against *Candida albicans* and *Candida parapsilosis*. The antifungal activities of compounds 1,2,6,13,14 and 19 are 8～32 times more active than econazole against *Candida albicans*.Compounds 2 and 4 are 4 and 8 times more active than butenafine and 64 and 128 times more than econazole against *Cryptococcus neoformans* respectively. All the compounds showed equal or more potent activity against *Microsporum caniso* compared with econazole. CONCLUSION: Some title compounds showed strong antifungal activities and should be studied further.

Keywords: antifungal activity triazoly benzylamines

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