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Abstract

Synthesis of 2-(5-(2-((5-(Cyclohexylamino)-1,3,4-Thiadiazol-2-yl)thio)ethyl)-1,3,4-Oxadiazol-2-yl) Derivatives and Their Antimicrobial Activity †

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The rate of invasive fungal infections has increased since the 1980s, particularly in the vast populace of immunocompromised patients as well as those hospitalized with serious underlying disease [1]. The type of infections caused by Candida can be classified under two headings: superficial or systemic. Superficial diseases of the cutaneous or mucocutaneous tissues incorporate oropharyngeal candidiasis vaginitis, conjunctivitis, esophagitis, or gastrointestinal candidiasis. Systemic infections include endocarditis, pyelonephritis, esophagitis, meningitis, and disseminated candidiasis [2]. It is reported separately that oxadiazole, thiadiazole and cyclohexylamine have antimicrobial activity [3–5]. In light of this information, a skeleton composed of oxadiazole, thiadiazole and hexylamine was designed and 18 different novel derivatives were synthesized. All synthesized compounds were characterized by spectroscopic analysis such as FT-IR, ^{1}H-NMR, ^{13}C-NMR, and HRMS and screened for in vitro anticandidal activity against Candida species by broth microdiluation methods. Also, inhibition of ergosterol biosynthesis was measured by quantification of ergosterol amount in C. albicans by optimizing the LC-MS-MS method.

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References

1. Sardi, J.C.O.; Scorzoni, L.; Bernardi, T.; Fusco-Almeida, A.M.; Mendes Giannini, M.J.S. Candida species: current epidemiology, pathogenicity, biofilm formation, natural antifungal products and new therapeutic options. *J. Med. Microbiol.* **2013**, *62*, 10–24.
2. Jenkinson, H.F.; Douglas, L.J. Interactions between Candida Species and Bacteria in Mixed Infections. In *Polymicrobial Diseases*; Brogden, K.A., Guthmiller, J.M., Eds.; ASM Press: Washington, DC, USA, 2002.
3. Onkol, T.; Doğruer, D.S.; Uzun, L.; Adak, S.; Ozkan, S.; Sahin, M.F. Synthesis and antimicrobial activity of new 1,2,4-triazole and 1,3,4-thiadiazole derivatives. *J. Enzyme Inhib. Med. Chem.* **2008**, *23*, 277–284, doi:10.1080/14756360701408697.

4. Desai, N.C.; Dodiya, A.M.; Rajpara, K.M.; Rupala, Y.M. Synthesis and antimicrobial screening of 1,3,4-oxadiazole and clubbed thiophene derivatives. *J. Saudi Chem. Soc*. **2014**, *18*, 255–261.
5. Yurttas, L.; Kaya Çavuşoğlu, B.; Yılmaz Cankılıç, M.; Levent, S. New Cyclohexylamine-Dithiocarbamate Derivatives as Potential Antimicrobial Agents. *Lett. Drug Des. Discov.* **2017**, *14*, 1–8.