Synthesis and Biological Activities of Novel Triazole Compounds Containing 1,3-Dioxolane Rings

Abstract

Many triazole compounds have good fungicidal and plant growth regulating activities. In particular, triazole compounds containing 1,3-dioxolanes have been shown to have remarkable preventative and control activities for a variety of plant diseases. Propiconazole and difenoconazole are two important representatives of this class, especially the latter, which has been used as the most efficient triazole fungicide in the control of some common plant diseases. The key intermediates for its synthesis, however, are not easily obtained, which makes the costs of production and application too high. Following the concept of bioisosterism, we have now synthesized ten novel 1,2,4-triazole compounds containing 1,3-dioxolane rings, 3a~3j, using difenoconazole as the lead compound. In addition, according to empirical data, the presence of an exposed triazole ring is conducive toimprovements of the biological activity. To explore this idea three new compounds 5a~5c containing 1,2,4-triazole-substituted 1,3-dioxolanes were also synthesized.

Keywords: propiconazole • plant-growth • benzene •. mass spectroscopy.

Introduction

Compounds 3a~3j and 5a~5c were known by their CHN analysis, IR, 1H-NMR, Semitic deity and MS fragmentation pattern knowledge. The measured values within the elemental analyses were per the corresponding calculated ones. The IR spectra show medium or weak absorption bands for the benzene and triazole rings at around 3100 cm-1(vC-H), whereas the 2 C-O-C ether bonds provide comparatively robust absorption bands at around 1170cm-1 and 1140 cm-1. The absorption for the PhCH2-O-Ph ether bond seems at around 1240 cm-1. Within the oneH-NMR spectra of compounds 5a~5c the signals of the 2 protons of the CH2 cluster connecting the triazoles with the 1,3-dioxolane seem as a multiplet at around three.8 ppm.. It's believed that this can be thanks to the actual fact they're connected to Associate in nursing asymmetrical atom that makes the magnetic environments of the 2 CH2 cluster protons completely different. Once R2 is CH3, the protons of the CH3 cluster and triazole ring area unit all split into 2 sets of peaks [1-2]. The molecular particle peaks of the title compounds examined by mass spectroscopy area unit terribly weak, however all of the key fragment particle peaks seem. Further proof for the projected structures was provided by single crystal diffraction of a representative compound. The antifungal activities of the synthesized compounds against Gibberella zeae, Alternaria solani, Phoma asparagi, Physalospora pircola and fungus genus arachidicola were determined by the "contained poison within the medium" methodology. Plant-growth restrictive activities of the target compounds on wheat coleoptile elongation, cucumber cataphyll ontogeny, rape hypocotyls inhibition and growth of cucumber cataphyll were tested by the ways mentioned within the literature. The info in Table one show that almost all of the target compounds have some agent activity. Overall they exhibit higher potency against P. Pircolae, notably 3a, 3i and 3j, with inhibition rates at 50mg/L reaching seventy seven.8%, 84.1% and 84.1%, severally. As way because the relationships between structure and also the activity area unit involved, once the R1 cluster is 2-chlorophenyl, the compounds have additional comprehensive fungus-inhibiting properties. All 13 target compounds have plant-growth restrictive activity. They show inhibiting activity towards wheat coleoptile elongation, with rates starting from three. 1%~22.5%. Most of them have promoting effects towards ontogeny of cucumber cataphyll, with promoting rates reaching three.1%~69.3%. All the compounds displayed abundant less promoting activity towards growth of cucumber cataphyll [3].

Masahiro Onuma*

University of Surrey, United Kingdom

*Author for correspondence: masa234@yahoo.co.in

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Description

Thirteen novel triazole analogs of difenoconazole containing one,3-dioxolane rings are synthesized. Their structures are verified by 1H-NMR, IR, MS and diffraction knowledge and elemental analysis. a number of them show levels of plantgrowth restrictive activity almost like those of a difenoconazole customary, however compared to the business agent their antifungal activities weren't encouraging. it's doable that the existence of the {methylene|methylene cluster|methylene radical|group|radical|chemical group} on the phenyl group destroys the conjugated system of the molecule, therefore inflicting the lower agent activities discovered. IR spectra (KBr disks) were recorded on a Shimadzu-IR-435 photometer. 1H-NMR spectra were recorded with a JEOL-ECP600 magnetic resonance prism spectroscope (CDCl3 as solvent, TMS as internal standard). Mass spectra were taken on a HP-5988A prism spectroscope. Elemental analyses were determined on a Yana co-CHNCORDER MT-3 automatic elemental instrument. All agents were analytical grade and were used while not more purification [4].

Acknowledgements

None

Conflict of Interests

None

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