Toxic Effect of Novel Oxoazetidine Picolinamides against Fungi and Structure Activity Relationship

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ABSTRACT

We investigated the toxic effect of multifunctional novel picolinamide derivatives against phytopathogens. Picolinic acid is a microbial secondary metabolite reported to possess wide biological potential. Picolonic acid was esterified and condensed with hydrazine hydrate, further subsequent refluxing with various substituted aromatic aldehydes with hydrazide to form sixteen novel picolinamide Schiff bases. Schiff bases were further condensed with chloroacetylchloride and triethylamine in dry dioxane to synthesize novel N-(3-chloro-2-aryl-4-oxazetidin-1-yl) picolinamides. Synthesized Schiff bases and oxoazetidine picolinamide derivatives were characterized by various physico-spectral techniques. Structure antifungal activity relationship of the synthesized molecules was predicted by evaluating individual derivatives by poison food technique. Schiff bases and the synthesized oxoazetidine picolinamide derivatives were found to possess significant antifungal activity against wide range of soil borne phytopathogens. Among Schiff bases, N-phenyl-(3-chloro)-imino-picolinamide exhibited maximum antifungal activity against *R. solani* (EC_{50} 109.08 µg mL^{-1}) followed by *A. alternata* (EC_{50} 117.90 µg mL^{-1}). However, among oxoazetidine derivatives, N-(3-chloro-2-(3-chlorophenyl)-4-oxoazetidin-1yl)picolinamide exhibited maximum antifungal activity against *S. rolfsii* ITCC 5512 (EC_{50} 88.3 µg mL^{-1}) followed by N-(3-chloro-2-(2-chlorophenyl)-4-oxoazetidin-1yl)picolinamide (EC_{50} 97.11 µg mL^{-1}) and N-(3-chloro-2-(4-chlorophenyl)-4-oxoazetidin-1yl)picolinamide (EC_{50} 113.68 µg mL^{-1}). Antifungal bioassay results testify that these compounds can be of interest in search for new fungicides.