

Photochemical oxazole-nitrile conversion downstream of rhizoxin biosynthesis and its impact on antimitotic activity.

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Abstract

Through metabolic profiling of mutants and wild type of the endofungal bacterium *Burkholderia rhizoxinica* two novel rhizoxin derivatives with unusual nitrile substitutions were discovered. The nitrile groups result from a photochemical oxidative cleavage of the oxazolyl moiety. In vitro studies revealed that the photooxidation by singlet oxygen also takes place in the absence of a photosensitizer, and that also a thiazolyl-substituted rhizoxin analogue undergoes the same transformation. The resulting nitriles have antimitotic properties but are significantly less active than the parent compounds. These results highlight the impact of photoreactions onto the antiproliferative agent and encourage the introduction of bioisosteric groups that render the compound less susceptible towards photooxidation.

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