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Anti-methicillin-resistant *Staphylococcus aureus* assay of azalomycin F and its derivatives

Ganjun Yuan, Xuejiao Wu, Li xu and Shaoe Luo
Jiangxi Agricultural University, China

To discover anti-methicillin resistant *Staphylococcus aureus* (anti-MRSA) compounds, the anti-MRSA activities of many natural products from plants and microorganisms were evaluated in my lab, and even those of some known medicines were deduced from their structures and proved by reasonable experiments. Azalomycins F5a, F4a and F3a, three main components of azalomycin F with broad-spectrum antimicrobial activity, were 36-membered polyhydroxyl macrolides produced by *Streptomyces hygroscopicus* var. *azalomyceticus* or *Streptomyces* sp. 211726. After their relative configurations were reported by us, their anti-MRSA activities were first assayed with agar diffusion and broth microdilution methods. The results showed that their minimum inhibitory concentrations (MICs) and minimum bactericidal concentrations against MRSA ATCC33592 and eight clinical isolates MRSA 01-08 were 3.0-8.0 and 8.0-16.0 µg/mL, respectively. To further improve their anti-MRSA activity, stability and water solubility, eleven azalomycin F derivatives were synthesized through direct hydrolysis with NaOH in MeOH or through hydrocarbylation in hydrocarbyl alcoholic-AcOH and subsequent demalonylation with KOH in MeOH-H₂O. The antimicrobial assays of these derivatives showed that the anti-MRSA activities of demalonylazalomycin F and 17-alkyl demalonylazalomycin F5a derivatives were respectively 8-16 and 4-6 times those of azalomycin F and azalomycin F5a, while those of the 17-alkyl azalomycin F5a derivatives showed no enhancement. Moreover, the checkerboard assays indicated that azalomycin F5a, F4a or F3a combined with vitamin K3 showed synergistic activities against MRSA and their fractional inhibitory concentration indices were 0.25-0.50. With remarkable anti-MRSA activity, stronger stability, moderate water solubility and antifungal activity indicated that demalonylazalomycin F had a high potency as anti-MRSA agents.

Biography

Ganjun Yuan has completed his PhD from Hainan University and has been researching on pathogenic microbe in Luisana State University Health Sciences Center for six months. He is the Director of Analysis & Test Center, Jiangxi Agricultural University. He has published more than 40 papers in reputed journals and has been serving as a Council Member of Jiangxi Pharmacological Society in China and a Senior Member of Chinese Pharmaceutical Association.

sqlygj@126.com

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