

Modular solid-phase synthesis of antiprotozoal barnesin derivatives.

Roman D, Raguž L, Keiff F, Meyer F, Barthels F, Schirmeister T, Kloss F, Beemelmans C (2020) Modular solid-phase synthesis of antiprotozoal barnesin derivatives. *Org Lett* 22(10), 3744-3748.

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Abstract

Here, we applied and optimized a solid support (SP)-based Horner-Wadsworth-Emmons reagent to prepare SP-bound vinylogous amino acids. Subsequent SP-based peptide synthesis, global deprotection, and chemical modifications yielded 14 lipodipeptides carrying vinylogous amino acids, including the natural product barnesin A (1). Biological evaluation revealed that several synthesized derivatives show micromolar to nanomolar inhibitory activity against papain-like cysteine proteases, human cathepsin L, and rhodesain.

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