

抗菌活性を示すゼルンボン誘導体 (2*E*,6*E*,10*E*)-11-bromo-4,4,7-trimethylundeca-2,6,10-trienoic acid の合成検討

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Synthetic study of (2*E*,6*E*,10*E*)-11-bromo-4,4,7-trimethyldodeca-2,6,10-trienoic acid showing antibacterial activity (¹Graduate School of Agriculture, Kindai University) ○ Akane Taniguchi,¹ Gengo Kashiwazaki,¹ Miho Mori,¹ Takashi Kitayama¹

(2*E*,6*E*,10*E*)-11-Bromo-4,4,7-trimethylundeca-2,6,10-trienoic acid (**1**) can be synthesized from zerumbone (Figure 1). It was revealed that **1** inhibits the autophosphorylation of the histidine kinase (WalK) in the two-component system WalK/WalR and consequently inhibits the growth of *Bacillus subtilis*^{1,2}. In order to discuss the structure-activity relationships, it is necessary to prepare the analogues, and a couple of synthetic routes to **1** were examined. Using cross metathesis as a key reaction, we succeeded in synthesizing **1** by 11 steps, and the antibacterial activities of the obtained analogues were evaluated.

Keywords : Two-component system ; inhibitor ; Antibacterial activity

ゼルンボンから合成できる (2*E*,6*E*,10*E*)-11-Bromo-4,4,7-trimethylundeca-2,6,10-trienoic acid (**1**) は、細菌の情報伝達機構である二成分制御系 WalK/WalR のヒスチジンキナーゼ (WalK) の自己リン酸化を阻害し、かつ枯草菌の増殖を阻害することから^{1,2}、新規抗菌剤開発のリード化合物としての役割に期待し、全合成研究を行った (Figure 1)。クロスメタセシス反応を鍵反応として、計 11 工程で **1** の合成に成功し、得られた中間体の抗菌活性評価を行った。

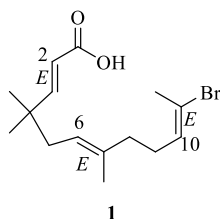


Figure 1. Structure of (2*E*,6*E*,10*E*)-11-Bromo-4,4,7-trimethylundeca-2,6,10-trienoic acid (**1**)

1) Kitayama, T. *et al. Bioorg. Med. Chem. Lett.*, **2004**, *14*, 5943–5946.

2) Casino, P. *et al. Curr. Opin. Struct. Biol.* **2010**, *20*, 763–771.