Total Synthesis of Antibiotic Saptomycin H

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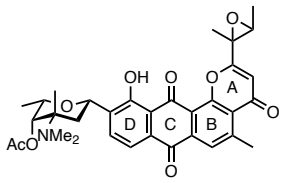
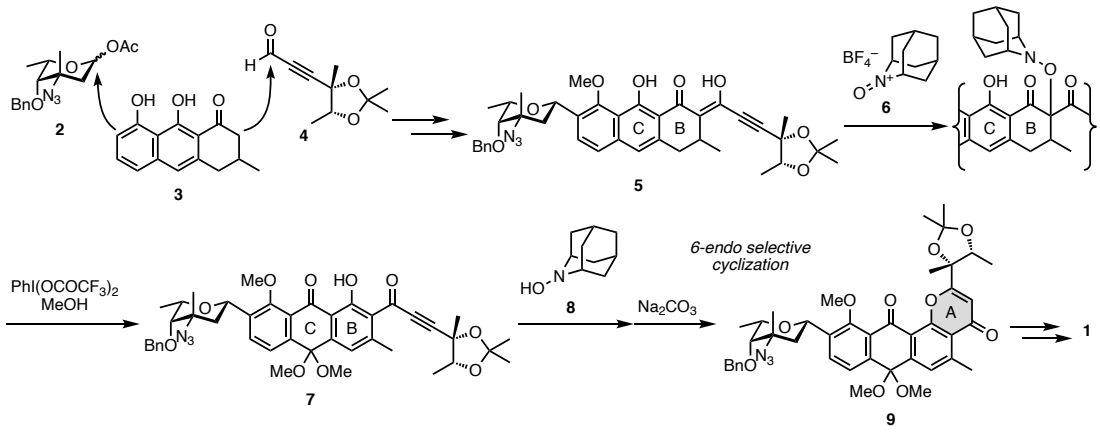
Saptomycin H (**1**) is a member of the pluramycin-class antitumor antibiotics,[1] featuring the anthrapyranone skeleton with one *C*-glycoside and the oxirane ring at the side chain. Although the oxirane is important for the bioactivity, total synthesis of the pluramycins bearing the oxirane ring has not been achieved.



saptomycin H (**1**)

Herein, we will disclose a successful synthetic route to **1** by exploiting efficient assembly of three building blocks, vancosamine **2**, anthrone **3**, and aldehyde **4**, which enables an access to diketone **5** with the full carbon skeleton. After the stepwise oxidation of the B and C rings by using oxoammonium salt **6** and $PhI(OCOCF_3)_2$, the A-ring formation from anthraquinone acetal **7** was carried out by the 6-*endo* selective cyclization exploiting a peculiar reactivity of hydroxylamine **8** to give anthrapyranone **9**.[2] Further transformations including deprotection on the sugar moiety and construction of the oxirane ring allowed the first total synthesis of saptomycin H (**1**).



1) N. Abe, N. Enoki, Y. Nakakita, H. Uchida, T. Nakamura, M. Munekata, *J. Antibiot.* **1993**, *46*, 1530.
2) J. Shimura, Y. Ando, K. Suzuki, *Org. Lett.* **2020**, *22*, 175.