Total Synthesis of ()-Caprazamycin A

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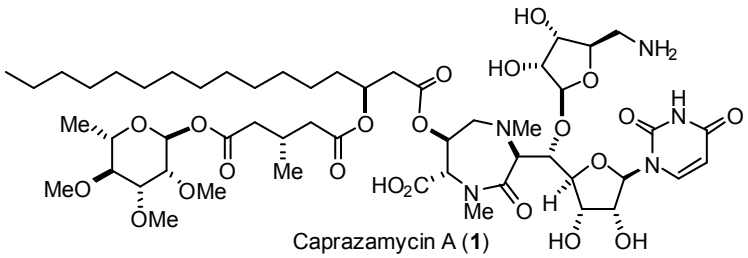
Caprazamycin A (**1**) was isolated from *Streptomyces sp.* by Igarashi and co-workers, which shows antibacterial activity against *Mycobacterium tuberculosis* including multidrug-resistant *tuberculosis.* So far, thirteen analogues were isolated by two groups, independently. The structure is characterized by a seven-membered diazepanone core, amino ribose, uridine, and a fatty-acid side chain. The complex structure and significant biological activities of caprazamycins have drawn much attention from synthetic chemists.

The first total synthesis of caprazamycin A (**1**) is herein reported and features (1) the scalable preparation of the syn-□-hydroxy amino acid with a thiourea catalyzed diastereoselective aldol reaction, (2) construction of a diazepanone with an unstable fatty-acid side chain, and (3) global deprotection by hydrogenation. This report provides a route for the synthesis of related liponucleoside antibiotics with fatty-acid side chains.



Caprazamycin A (**1**)

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