

ASYMMETRIC SYNTHESIS OF OPTICALLY ACTIVE AZETIDINONES
UTILIZING CHIRAL FIVE-MEMBERED HETEROCYCLES

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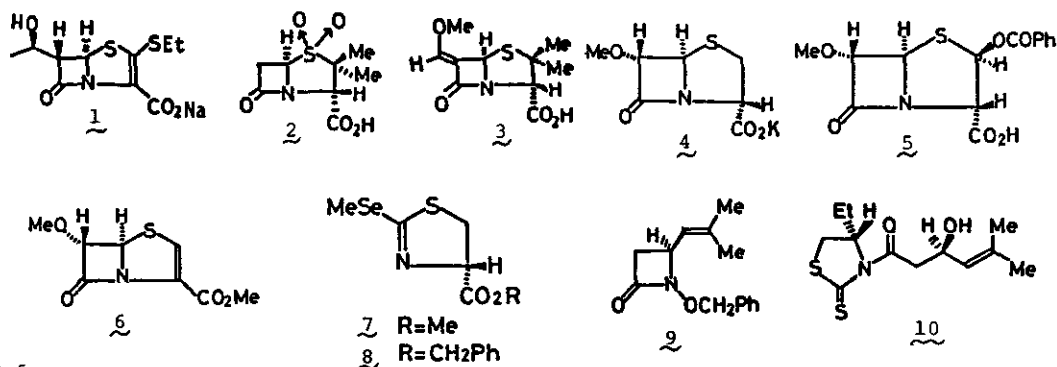
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Recently, we are interested very much in the development of a short-steps
synthesis of optically active penams and penems, because of fascinating biological
activities of a penem-type β -lactam antibiotic 1 and penam-type β -lactamase
inhibitors 2 and 3.

C4-Chiral five-membered heterocycles have been proved to be excellent reagents
for asymmetric syntheses.¹ We have developed a very simplified synthetic route
for chiral penam- and penem-type β -lactams 4, 5, and 6 employing 4(S)-2-MeSe- Δ^2 -
1,3-thiazoline derivatives (7 and 8). In this asymmetric synthesis, methylseleno-
promoted ketene-imine cycloaddition between methoxyacetyl chloride and chiral
imines (7 and 8) was effectively utilized as a key reaction.

We have readily prepared a chiral azetidinone 9 from an active amide 10 which
can be obtained by a highly diastereo-controlled aldol type reaction.²



References:

- 1.Y. Nagao and E. Fujita, J. Synth. Org. Chem. Jpn., 42, 622 (1984) and references cited therein.
- 2.Y. Nagao, et al., The abstracts of papers of the 16th Congress of Heterocyclic Chemistry, Osaka, Japan, p.237 (1984).