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2-Azetidinone:
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Chemists long believed that the activity of the penicillins was related to the presence of two fused rings, one of which was a β-lactam. Scientists at Fujisawa Laboratories then isolated the nocardicins, the first biologically active monocyclic β-lactams, while other monocyclic β-lactams (the monocarbams) have been shown at Squibb to be excellent antibacterials. The situation is reminiscent of the chemistry of the pyrethroids in which the cyclopropane moiety was considered essential until chemists at Sumitomo showed otherwise.

This makes the availability of the simplest β-lactam, 2-azetidinone (1-aza-2-cyclobutanone, β-propiolactam), very important.

Until the recent work of Pfandler and Hoppe, 2-azetidinone was exceedingly difficult to prepare. It will probably become the precursor of many easily accessible medicinally active compounds in all areas of pharmaceutical research because this heterocycle makes a very polar residue. It is closely related to dimethylformamide.

Preliminary work shows that the molecule is readily alkylated on nitrogen by reactive halides such as benzyl bromide according to the known procedure of Hoechst chemists, or by glyoxylic esters in the presence of 4A molecular sieves, i.e., following Woodward’s method, well known for the construction of numerous bicyclic β-lactam antibiotics.

Alternatively, N-protection using tert-butyldimethylsilyl chloride and triethylamine in dry DMF gives an intermediate — the lithium enolate — providing another path of reactivity; this enolate reacts with various electrophiles, e.g., acetaldehyde. The silyl protecting group can be removed under very mild conditions using tetraethylammonium fluoride.

The geometry of 2-azetidinone is interesting: it is exactly planar, in contrast to the extreme pyramidal nature of the amide nitrogen in strained β-lactam antibiotics. If only R.B. Woodward and his associates of the Woodward Research Institute had had 2-azetidinone to work with, what great discoveries they might have made!

References:
4) For a review, see Bader, A. Aldrichim. Acta 1976, 9(1), 49.
32,846-4 2-Azetidinone, 99% (1-aza-2-cyclobutanone, β-propiolactam) 250mg $7.50; 1g $21.00; 5g $80.00 (The preparation of this compound is covered under U.S. Patent 4 683 303.)

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New Cyclobutane Compounds

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<th>Compound</th>
<th>Description</th>
<th>Purity</th>
<th>Price</th>
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<td>1,2,3,4-Cyclobutanetetracarboxylic acid</td>
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<td>1g $12.00; 5g $40.00</td>
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<tr>
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<td>2-Biphenylenecarboxylic acid</td>
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<td>100mg $16.00</td>
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<td>2-Acetylbenzene</td>
<td>98%</td>
<td>100mg $14.00</td>
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