the spectral characteristics indicates that this NH-tautomer structure is characteristic for cyclopentaneporphyrins with alkyl groups on the pyrrole rings.

## LITERATURE CITED

1. G. V. Ponomarev and A. M. Shul'ga, Khim. Geterotsikl. Soedin., No. 4, 479 (1984).
2. A. M. Shul'ga and G. V. Ponomarev, Khim. Geterotsikl. Soedin., No. 7, 922 (1984).
3. G. V. Ponomarev and B. V. Rozynov, Khim. Geterotsikl. Soedin., No. 9, 1172 (1973).

---

# ASYMMETRIC METHYLATION OF 1-[(S)-α-PHENYLETHYL]-2-AZETIDINONE

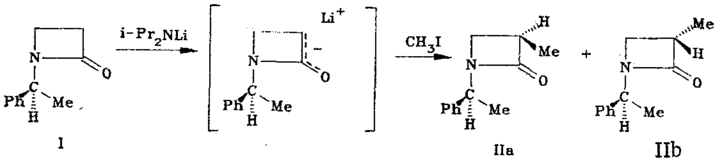
N. N. Romanova, V. A. Budylin,*
G. V. Grishina, V. M. Potapov,
and Yu. G. Bundel'

UDC 547.718.323.5:541.632

Monocyclic 2-azetidinones (β-lactams) have attracted the considerable attention and chemists and the medical profession since the discovery of nocardicine and monolactam antibiotics. Since the biological activity of these compounds depends stongly on the absolute configuration of the chiral centers of the β-lactam ring, special interest is found in the asymmetric of these compounds.

We have reported the asymmetric synthesis of 2-azetidinones upon alkylation of their metallated derivatives [1].

The methylation of the lithium derivative of 1-[(S)-α-phenylethyl]-2-azetidinone (I) by methyl iodide in THF at −78°C in an argon atmosphere gives of mixtures of diastereomers IIa and IIb in 33% yield.



Thin-layer chromatographic separation on silica gel gave the pure diastereomers IIa (with greater $R_f$ value) and IIb (with smaller $R_f$ value) with a 35% diastereomeric excess of IIb. The structures of the chromatographically pure isomers IIa and IIb was shown by spectral data [2] and the diastereomeric purity was 98%.

The action of sodium on pure diastereomers IIa and IIb in liquid ammonia gave enantiomeric-3-methyl-2-azetidinones, as indicated by the different configurations for C-3 in IIa and IIb.

Methylation of racemic 1-(α-phenylethyl)-2-azetidinone under the same conditions leads to a 1:4 mixture of racemic 3-methylated isomers IIa and IIb in 39% yield (60% diastereomeric excess).

A sample of 2 mmoles 1-[(S)-α-phenylethyl]-2-azetidinone in 10 ml THF was added dropwise with stirring at −78°C in an argon atmosphere to 2.3 mmoles lithium diisopropylamide obtained from 2.3 mmole diisopropylamine in 10 ml THF and 2.4 ml (2.3 mmoles) 0.99 N butyllithium in hexane. After 30 min, 4 mmoles $CH_3I$ in 10 ml THF was added dropwise and stirred for 45 min at 78°C. The reaction mixture was poured into 50 ml saturated aqueous NaCl and extracted with five 20-ml portions of ether. The extract was dired over $MgSO_4$. After removal of ether, the residue was subjected to chromatography on a column packed with silica gel L 40/100 using 2:1 benzene—ethyl acetate as the solvent to give 40.7 mg (32%) isomer IIa ($R_f$ 0.37) and 83.7 mg (68%) isomer IIb ($R_f$ 0.32 on Silufol-254 plates with 2:1 benzene—ethyl acetate as the eluent). All the physicochemical indices for IIa and IIb were identical to those previously described for these diastereomers [2].

---

M. V. Lomonosov Moscow State University, Moscow. Translated from Khimiya Geterotsiklicheskikh Soedinenii, No. 1, p. 134, January, 1985. Original article submitted July 12, 1984.

0009-3122/85/2101-0111$09.50

## LITERATURE CITED

1. T. Durst and M. J. Le Belle, Can. J. Chem., 50, 3196 (1972).
2. N. N. Romanova, V. A. Budylin, G. V. Grishina, V. M. Potapov, V. M. Torocheshnikov, M. L. Demchuk, and Yu. G. Bundel', Khim. Geterotsikl. Soedin., No. 12, 1644 (1984).