(q), 15.9 (q); IR (neat) 1671, 1620 cm^{-1}.

3-(1-Phenylethyl)-2-cyclohexen-1-one (2e). ^1H NMR (200 MHz, $CDCl_3$) δ 7.33-7.13 (5H, m), 6.04 (1H, d, J=1.3 Hz), 3.54 (1H, q, J=7.0 Hz), 2.34 (2H, t, J=6.7 Hz), 2.13 (2H, t, J=6.0 Hz), 1.90 (2H, quint, J=6.3 Hz), 1.42 (3H, d, J=7.1 Hz); ^{13}C NMR ($CDCl_3$) δ 200.2 (s), 168.7 (s), 142.8 (s), 128.7 (d, 2 x C), 126.9 (d, 2 x C), 125.0 (d), 46.9(d), 37.6 (t), 28.5 (t), 22.9 (t), 19.1 (q); IR (neat) 1669, 1623 cm^{-1}.

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A New Method for β-Lactam Formation Form β-Amino Acids Using N,N-[diethoxyphosphinyl] benzo-1,2,5-thiadiazolidine 1,1-dioxide

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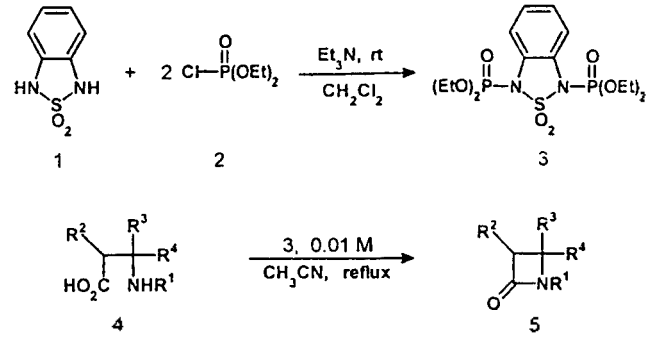
One of the most common synthetic methods the formation of β-lactams is based on the intramolecular condensation of β-amino acids in presence of suitable condensing reagents.[1] Recently, new oraganophosphate type condensing reagents have been introduced[2] for the construction of β-lactams, esters, thioesters, and peptides. The five and six-membered heterocycles such as imidazole, triazole, 2-oxazolone, and 2-thiazolidinethione play an important role in activating the carboxyl group for condensation as the bifunctional leaving moieties.[3]

In connection with our ongoing research program directed toward the development of new synthetic methodologies for the formation of β-lactam derivatives from β-amino acids and based on the excellent leaving ability of benzo-1,2,5-thiadiazolidine 1,1-dioxide skeletons, we have examined the β-lactams (**5**) formation from β-amino acids (**4**) using N,N-[diethoxyphosphinyl]benzo-1,2,5-thiadiazolidine 1,1-dioxide (**3**). Bisdiethyl phosphonate reagent (**3**) can be prepared by the reaction of benzo-1,2,5-thiadiazolidine 1,1-dioxide (**1**) with two equivalent of diethyl chlorophosphate (**2**), and triethylamine in dichloromethane at room temperature for 3 h. Reagent **3** was obtained as a reddish crystal in essentially quantitative yield (90-92%) and was generally used without further purification.



1 2 3

4 5

The solvent and concentration effects were briefly studied using 3-benzylaminobutanoic acid as a model compound with 1.2 equivalent of reagent **3** and triethylamine at room temperature or at refluxing condition. Among the solvents tested, acetonitrile gave the best results, even though dichloromethane and tetrahydrofuran were also effective, under high dilution (0.01 M) and refluxing condition.

Some experimental results are summarized in Table 1 to illustrate the efficiency of reagent. β-Amino acids were cleanly cyclized into the corresponding β-lactams in high yield whether the amino group is secondary or primary. In conclusion, this report describes the preparation of bisdiethyl phos-

Table 1. Synthesis of β-Lactams from β-Amino Acids

R^1	R^2	R^3	R^4	Yield (%)[a]
$PhCH_2$	H	CH_3	H	88
$PhCH_2$	H	CH_3	CH_3	90
$PhCH_2$	H	CH_2CH_3	H	80
$PhCH_2$	CH_3	H	H	87
$C_6H_3(OCH_3)_2CH_2$[b]	H	CH_3	H	85
$C_6H_3(OCH_3)_2CH_2$[b]	CH_3	H	H	81
H	H	Ph	H	80
H	H	CH_3	H	75

[a] Isolated yields by column chromatography. [b] 3,4-Dimethoxybenzyl

phonate reagent (3) activated by benzo-1,2,5-thiadiazolidine 1,1-dioxide which play an essential role in activating the carboxyl group for β-lactam formation as the new bifunctional condensing reagent. Further utility of the reagent 3 for the formation of esters, thioesters, and peptides is being explored.

Experimental

Melting points were determined with Buchi 510 apparatus and were uncorrected. Thin-layer chromatography (TLC) was performed on Silica gel 60 F254 (Merck) plates, and spots were detected by ultraviolet (UV) irradiation. ^{1}H NMR spectra were measured with a tetramethylsilane (TMS) in $CDCl_3$.

Preparation of N,N-bis[diethoxyphosphinyl]benzo-1,2,5-thiadiazolidine 1,1-dioxide (3). A mixture of benzo-1,2,5-thiadiazolidine 1,1-dioxide (6.80 g, 0.04 mol) and triethylamine (8.10 g, 0.08 mol) in dichloromethane (150 mL) was stirred at room temperature and a solution of diethyl chlorophosphate (13.80 g 0.08 mol) in dichloromethane (20 mL) was added dropwise. Stirring was continued for 3 h. The mixture was evaporated and the residue was extracted with chloroform. The organic layer was washed successively with 5% $NaHCO_3$ (100 mL), brine (200 mL) and dried with Na_2SO_4. The solvent was removed under reduced pressure and the residue was crystallized from chloroform-hexane. Yield 15.96 g (90%), mp 120-122 ℃; ^{1}H NMR (200 MHz, $CDCl_3$) δ 1.27 (t, 12H, J=7.1 Hz), 4.23 (q, 8H, J=7.1 Hz), 7.02-7.36 (m, 4H).

The typical experimental procedure for the reaction of β-amino acid with N,N-bis[diethoxyphosphinyl]benzo-1,2,5-thiadiazolidine 1,1-dioxide; To a mixture of 3-benzylaminobutanoic acid (289 mg, 1.5 mmol) and N,N-bis[diethoxyphosphinyl]benzo-1,2,5-thiazolidine 1,1-dioxide (400 mg, 0.9 mmol) in acetonitrile (150 mL) at room temperature. After being stirred at 80 ℃ for 10 h, the reaction mixture was concentrated under reduced pressure and the residue was passed through a short silica gel column using ether and chloroform (2 : 1) to yield 1-benzyl-4-methylazetidine-2-one (153 mg, 88%).

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Spectral Evidence of 1,2-Linkage in Antifungal Rhamnolipid Produced by *Pseudomonas aeruginosa*

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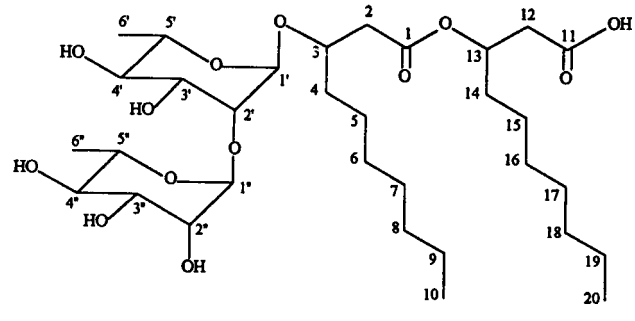
Biological efficacy of many antagonistic microorganisms may be mainly due to the formation of microbial metabolites acting as antibiotics. We reported the characterization of five fungicidal 4-quinolinones (PSC-A, B, C, D, E), which also showed red-pepper plant growth promoting effect, from *Pseudomonas cepacia* strain PC-II active against Phytophthora blight of red-pepper.[1,2] Recently, we isolated *Pseudomonas aeruginosa* strain B5 from pepper-growing soil,[3] which was highly antagonistic to *Phytophthora capsici* which causes foliar and stem blight of pepper (*Capsicum annuum* L.).[4] Antifungal substances P1, P2, and P3, which were inhibitory against some plant pathogenic fungi, were successfully purified from *P. aeruginosa* cultures.[3] Intensive structural analysis revealed that the isolate P2 was identical with cytotoxic and antiviral rhamnolipid B,[5,6] previously isolated from *P. aeruginosa*.



P2 (Rhamnolipid B)

Rhamnolipid B was first characterized by chemical degradation[5] and its structure containing two moles of each rhamnose and β-hydroxydecanoic acid was confirmed by stepwise enzymatic synthesis.[7] The connectivity within the disaccharide portion was first suggested to be a 1,3-linkage.[5] Later, rhamnose moeities were revised to be in 1,2-linkage by periodate oxidation and methylation.[8] However, NMR spectral data for the rhamnolipid have not yet been reported to show