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A Convenient Synthesis of Monocyclic β-Lactams by Means of Solid-Liquid Phase Transfer Reactions[1,2]

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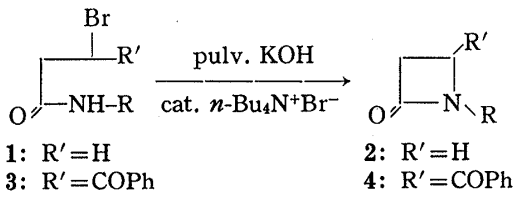
The intramolecular N-alkylation of β-bromopropionamides (1) under phase transfer conditions afforded monocyclic N-substituted β-lactams (2) in high yields. In a similar manner, cyclization by N_1–C_4 bond formation gave 4-benzoyl-2-azetidinones (4) from 3-benzoyl-3-bromopropionanilides (3).

Keywords——2-azetidinons; phase transfer catalyst; solid/liquid system; pulverized potassium hydroxide; intramolecular N-alkylation; β-bromopropionamides; high dilution; 4-benzoyl-2-azetidinones; 4-dimethylaminopyridine

The application of phase transfer catalysts in organic synthesis has been well documented.[3] In the previous paper[1] we reported a facile N-alkylation of lactams with alkyl halides under phase transfer conditions. In connection with our work on the utilization of phase transfer catalysts, we now describe a convenient method for the synthesis of monocyclic β-lactams by the intramolecular N-alkylation of β-bromopropionamides (**1**) in a solid/liquid binary phase system. Since the advent of new antibiotics, such as nocardicin A,[4] clavulanic acid,[5] thienamycin,[6] and totally synthetic penems,[7] which exhibit potent and broad-spectrum antibacterial activities, there has been considerable interest in the synthesis of β-lactams[8] in view of the antibiotic potential of simple β-lactams.

The annulation of β-halopropionamides to 2-azetidinones has been studied by several groups.[9–11] These methods involved the use of sodium amides,[9] dimsyl anion,[10] or sodium hydride[11] as a base together with highly polar solvents such as liquid ammonia,[9] dimethylsulfoxide (DMSO),[10] or dimethylformamide (DMF).[11] Therefore, these methods require incovenient apparatus and highly anhydrous solvents. We have investigated a more convenient procedure for the synthesis of a variety of N-substituted monocyclic β-lactams by means of phase transfer reactions using pulverized potassium hydroxide as a base together with tetra-*n*-butylammonium bromide (TBAB).[12]



1: R′=H **2**: R′=H
3: R′=COPh **4**: R′=COPh

Chart 1

First, β-bromopropionamides (**1**) were readily prepared by Schotten–Baumann coupling of β-bromopropionyl chloride with the corresponding free amines using N,N-dimethylaniline as a base. The yields of amides (**1**) ranged from 54 to 93% (see "Experimental," Table V).

The cyclization of the amides (**1**) to the β-lactams (**2**) was dependent on the concentration, the addition rate of the amides (**1**) to the base, and the solvent. Both high concentration (over 0.05 M) and rapid addition of the amides (**1**) resulted in low yields of the β-lactams (**2**) as well as substantial formation of acrylamides. In practice, high dilution was necessary in order to accomplish these cyclizations with CH_2Cl_2 (A), a mixture of CH_2Cl_2 and CH_3CN (19: 1) (B), or THF (C) as a solvent. The general procedure for the formation of N-substituted β-lactams (**2**) is described in "Experimental." The results are summarized in Table I.

TABLE I. Formation of 1-Substituted 2-Azetidinones (2)

Compd.	R	Yield[a] (%)	React. Solv.[b]	mp (°C)[c]	IR[d] ν(C=O) cm^{-1}	Formula	Analysis (%) Calcd (Found) C	H	N
2a	Ph	94	A	79—81[e]	1730	C_9H_9NO	73.45 (73.23	6.16 6.22	9.52 9.71)
2b	*p*-MeOPh	92	A	104—105[f]	1725	$C_{10}H_{11}NO_2$	67.77 (67.71	6.25 6.20	7.91 8.08)
2c	*p*-ClPh	94	B	137—139[g]	1730	C_9H_8ClNO	59.71 (59.86	4.45 3.96	7.74 7.69)
2d	*p*-O_2NPh	81	B	162—164	1745	$C_9H_8N_2O_3$	56.25 (56.03	4.20 4.35	14.58 14.52)
2e	α-Naphthyl	91	B	52—53	1740	$C_{13}H_{11}NO$	79.16 (79.25	5.62 5.58	7.10 7.10)
2f	Benzyl	86	A	Oil	1740	$C_{10}H_{11}NO$	74.51 (74.14	6.88 7.02	8.69 8.41)
2g	*p*-MeO-benzyl	85	B	Oil	1745	$C_{11}H_{13}NO_2$	69.09 (70.19	6.85 6.89	7.33 7.02)
2h	β-Phenethyl	83	A	Oil	1740	$C_{11}H_{13}NO$	75.40 (75.71	7.48 7.22	7.99 8.13)
2i	Cyclohexyl	63[h] 74	A C	Oil	1730	$C_9H_{15}NO$	70.55 (70.71	9.87 9.99	9.14 8.89)
2j	*n*-Propyl	67[i] 94	A C	Oil	1733	$C_7H_{11}NO_3$	63.68 (63.42	9.80 9.97	12.39 12.43)
2k	CH_2COOEt	85	B′	Oil	1733	$C_7H_{11}NO_3$	53.49 (53.34	7.05 7.12	8.91 8.79)
2l	$CH(CH_3)COOCH_3$	84	B′	Oil	1740	$C_7H_{11}NO_3 \cdot 3/4\ H_2O$	48.64 (48.88	7.24 7.50	8.11 7.82)
2m	$CH_2CH_2COOCH_3$	87	B′	Oil	1740	$C_7H_{11}NO_3 \cdot H_2O$	47.99 (47.70	7.48 7.48	8.00 7.82)
2n	$CHCOOCH_3$ $CH(CH_3)_2$	73	B′	Oil	1760	$C_9H_{15}NO_3 \cdot 1/3\ H_2O$	56.54 (56.13	8.20 8.00	7.32 7.04)
2o	$CH(Ph)COOCH_3$	83	A	Oil	1725	$C_{12}H_{13}NO_3$	65.74 (65.47	5.98 6.09	6.39 6.51)
2p[j]	$CH^*(CH_3)COOCH_3$	68	B′	Oil	1735				

a) **2f—p** were purified by column or preparative chromatography on silica.
b) A, B, and C (0.05 M) and B′ (0.025 M).
c) Recrystallized from ethyl acetate-isopropyl ether (**2a—d**) and ether– isopropyl ether.
d) **2a—e** (Nujol) and **2j—p** (Neat).
e) Ref. 10, 77—78°.
f) Ref. 10, 98—99°.
g) Ref. 10, 131.5—132.5°.
h) The acrylamide was obtained in 14% yield.
i) The acrylamide was obtained in 6% yield.
j) $[\alpha]_D^{25}$ −30.7° (c=1.21, benzene).

The desired products (**2**) were obtained in high yields without any by -product acrylamides. The reaction conditions could be adapted for the preparation of β-lactams having a functional group, such as the esters (**2k—o**) in addition to the chiral compound (**2p**).[13] This reaction proceeded at room temperature, the procedure is simple and straightforward, and work-up is easy. In addition, the β-lactams (**2**) readily prepared could serve as potential synthetic intermediates because of their high reactivities (Fries rearrangement,[14] carbon carbon bond formation at the C_3-position,[15] azidation at the C_3-position,[16] oxidation at the C_4-position[17] and so on[18]).

Next, it was found that this procedure was applicable to the synthesis of 4-substituted β-lactams (**4**). Very recently Abnoulla *et al.*, reported the conversion of 3-benzoyl-3-bromopropionanilides (**3**) into 4-benzoyl-2-azetidinone (**4**) using Amberlite (IRA-400) as a base.[19] The condensation of 3-benzoyl-3-bromopropionic acid with anilines by using dicyclohexyl-

Table II. NMR Data for 1-Substituted 2-Azetidinones (2)

Compd.	60 MHz, $CDCl_3$, ppm (Coupling constants, Hz)[a]		
	C_3–H	C_4–H	Others
2a	2.97 t (J=4)	3.50 t (J=4)	7.27 m (5H)
2b	3.10 t (J=4)	3.60 t (J=4)	3.83 s (3H), 6.93 d (J=9, 2H), 7.40 d (J=9, 2H)
2c	3.13 t (J=4)	3.67 t (J=4)	7.40 s (4H)
2d	3.17 t (J=4.5)	3.70 t (J=4.5)	7.40 d (J=9, 2H), 8.20 d (J=9, 2H)
2e	3.17 t (J=4.5)	3.83 t (J=4.5)	7.33—8.27 m (7H)
2f	2.87 t (J=4)	3.07 t (J=4)	4.37 s (2H), 7.37 s (5H)
2g	2.93 t (J=4)	3.10 t (J=4)	3.83 s (3H), 4.33 s (2H) 6.90 d (J=9, 2H), 7.23 d (J=9, 2H)
2h	2.67—3.33 m (6H)		3.50 t (J=7, 2H), 7.33 m (5H)
2i	2.83 t (J=4)	3.25 t (J=4)	0.67—2.10 m (10H), 3.50 m (1H)
2j	2.95 t (J=4)	3.23 t (J=4)	0.93 t (J=7, 3H), 1.63 m (2H) 2.10—3.33 m (6H)
2k	3.20 t (J=4)	3.60 t (J=4)	1.23 t (J=8, 3H), 4.10 s (2H), 4.20 q (J=8, 2H)
2l	3.00 t (J=4)	3.60 t (J=4)	1.33 d (J=7, 3H), 3.67 s (3H), 4.37 q (J=7, 1H)
2m	2.95 t (J=4)	3.30 t (J=4)	2.50 t (J=7, 2H), 3.43 t (J=7, 2H) 3.63 s (3H)
2n	2.95 t (J=4)	3.40 t (J=4)	0.97 d (J=7, 6H), 1.86—2.50 m (1H), 3.77 s (3H), 4.20 d (J=9, 1H)
2o	2.83—3.27 m (3H)	3.67 t (J=3.5, 1H)	3.83 s (3H), 5.67 s (1H), 7.45 s (5H)
2p	2.93 t (J=4)	3.37 t (J=4)	1.50 d (J=7, 3H), 3.73 s (3H), 4.50 q (J=7, 1H)

a) s=singlet, d=doublet, t=triplet, q=quartet, and m=multiplet.

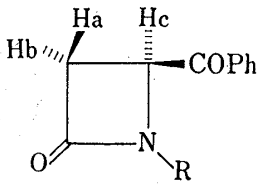
Table III. Formation of 1-Substituted 4-Benzoyl-2-azetidinones (4)

Compd.	R	Yield (%)	mp (°C)[a]	IR ν_{max}^{Nujol} cm^{-1}		Formula	Analysis (%) Calcd (Found)		
				Lactam	Ketone		C	H	N
4a	Ph	60	152—155[b]	1745	1690	$C_{16}H_{13}NO_2$	76.47 (76.34)	5.22 5.41	5.57 5.69)
4b	*p*-MeO-Ph	52	146—149	1720	1680	$C_{17}H_{15}NO_3$	72.58 (72.87	5.37 5.44	4.98 5.24)
4c	*p*-CH_3–Ph	56	177—178[c]	1738	1682	$C_{17}H_{15}NO_2$	76.96 (76.73	5.70 5.92	5.28 5.57)
4d	*p*-Cl–Ph	61	144—146[d]	1730	1680	$C_{16}H_{12}ClNO_2$	67.26 (67.15	4.23 4.25	4.90 4.61)

a) Recrystallized from ethyl acetate-isopropyl ether.
b) Ref. 21, 145—146°.
c) Ref. 19, 172—174°.
d) Ref. 19, 142—143°.

carbodiimide (DCC) as a coupling reagent in the presence of 4-dimethylaminopyridine[20] gave the anilides (3) in yields ranging from 83 to 92% (see "Experimental," Table VI). Cyclization by terminal N_1–C_4 bond formation was carried out under similar conditions to afford **4** in acceptable yields (Table III).

TABLE IV. NMR Data for 1,4-Disubstituted 2-Azetidinones (4)
60 MHz, $CDCl_3$ (Coupling Constants, J=Hz)[a]



Compd.	Ha	Hb	Hc	Others
4a	3.10, dd (14, 3)	3.63, dd (14, 6)	5.50, dd (6, 3)	7.40, s (5H), 7.57, m (3H), 8.10, m (2H)
4b	3.03, dd (15, 3)	3.60, dd (15, 6)	5.40, dd (6, 3)	3.70, s (3H), 6.83, 7.23, ABq (9, 2H each), 7.60, m (3H), 8.00, m (2H)
4c	3.07, dd (15, 4)	3.67, dd (15, 6)	5.50, dd (6, 4)	2.47, s (3H), 7.27, s (4H), 7.83, s (4H), 8.20, m (2H)
4d	3.03, dd (15, 3.5)	3.60, dd (15, 6.5)	5.43, dd (6.5, 3.5)	7.23, s (4H), 7.57, m (3H) 8.00, m (2H)

a) dd=double doublet.

Experimental

Melting points were determined with a Yanagimoto micro melting point apparatus and are uncorrected. Infrared (IR) spectra were recorded with JASCO IRA-1 spectrophotometer. PMR spectra were measured with a JEOL C-60H spectrometer and chemical shifts are expressed in ppm (δ) using tetramethylsilane as an internal standard.

General Procedure for the Preparation of N-Substituted β-Bromopropionamides (1)——A suspension of β-bromopropionyl chloride (50 ml) in CH_2Cl_2 (50 ml) was added dropwise with stirring to a solution of N,N-dimethylaniline (50 mmol) and an amine (25 mmol) in CH_2Cl_2 (300 ml) at 0°. The reaction mixture was stirred at room temperature for 2 hr. The mixture was washed successively with 5% HCl solution, water, saturated $NaHCO_3$ solution, and brine. The organic phase was dried over anhyd. $MgSO_4$ and concentrated *in vacuo* to leave a solid, which was recrystallized to give the desired amide (**1**).

General Procedure for the Preparation of N-Substituted 2-Azetidinone (2)——A solution containing N-substituted β-bromopropionamide (**1**) (5 mmol) in 50 ml or 100 ml of solvent [CH_2Cl_2 (A), a mixture of CH_2Cl_2 and CH_3CN (19: 1) (B) or THF (C)] was added to a suspension of pulv. KOH (6 mmol) and TBAB (1 mmol) in 50 ml or 100 ml of the same solvent over a period of 6 hr with stirring. After completion of the addition, the reaction mixture was stirred for 30 min. The precipitate was filtered off and then washed with CH_2Cl_2. After removal of the combined solvent, the residue was purified by recrystallization (**2a**—**e**) or by chromatography on silica gel using a mixture of $CHCl_3$ and MeOH (100: 1) as an eluant (**2f**—**p**) to give desired β-lactams (**2**) (Table I and II).

General Procedure for the Preparation of 3-Benzoyl-3-bromopropionanilides (3)——DCC (0.01 mol) was added to a solution of an aniline (0.01 mol), 3-benzoyl-3-bromopropionic acid (0.01 mol), and 4-dimethylaminopyridine (0.002 mol) in CH_2Cl_2 960 ml) with ice cooling. The reaction mixture was stirred for 3 hr at 0° and then for 1 hr at room temperature. The mixture was concentrated to leave an oil. Ethyl acetate (70 ml) was added to the residue and the resulting precipitate was filtered off. The filtrate was successively washed with 5% HCl solution, water, saturated $NaHCO_3$, and brine. The organic phase was dried over anhyd. $MgSO_4$ and concentrated *in vacuo* to give **3**, which was recrystallized from ethyl acetate–isopropyl ether.

General Procedure for the Preparation of 4-Benzoyl-2-azetidinones (4)——A solution containing **3** (5 mmol) in a mixture of CH_2Cl_2 and CH_3CN (19: 1) (100 ml) was added to a suspension of pulv. KOH (10 mmol) and TBAB (2 mmol) in the same solvent (100 ml) for 5 hr with stirring at room temperature. After completion of the addition, the reaction mixture was stirred for 30 min. The precipitate was filtered off and then washed with CH_2Cl_2. The combined solvent was concentrated to leave a solid, which was purified by column chromatography on silica gel with benzene–CH_2Cl_2 (1: 1) as an eluant to afford **4**.

TABLE V. β-Bromopropionamides (1)

Compd.	R	Yields (%)	mp (°C) (Recryst. solv.)[a]	IR ν_{max}^{Nujol} cm^{-1} NH	IR C=O	Formula	Analysis (%) Calcd (Found) C	H	N
1a	Ph	92	124—126[b] (A)	3290	1650	$C_9H_{10}BrNO$	47.37 (47.58)	4.42 (4.55)	6.14 (5.94)
1b	*p*-MeO–Ph	93	112—114[c] (B)	3240	1640	$C_{10}H_{12}BrNO_2$	46.53 (46.20)	4.65 (4.92)	5.43 (5.37)
1c	*p*-Cl–Ph	75	127—129[d] (A)	3240	1650	$C_9H_9BrClNO$	41.37 (41.17)	3.56 (3.45)	5.05 (5.34)
1d	*p*-O_2N–Ph	65	189—191 (B)	3240	1660	$C_9H_9BrN_2O_3$	39.58 (39.86)	3.32 (3.22)	10.26 (10.11)
1e	α-Naphthyl	74	117—119 (A)	3260	1640	$C_{13}H_{12}BrNO$	56.13 (56.39)	4.35 (4.31)	5.04 (4.98)
1f	Benzyl	85	102—104 (C)	3280	1635	$C_{10}H_{12}BrNO$	49.60 (49.85)	5.00 (5.18)	5.79 (5.52)
1g	*p*-MeO-benzyl	81	127—128 (D)	3280	1630	$C_{11}H_{14}BrNO_2$	48.54 (48.51)	5.18 (5.30)	5.15 (4.88)
1h	β-Phenethyl	86	44—47 (E)	3280	1635	$C_{11}H_{14}BrNO$	51.58 (51.82)	5.51 (5.49)	5.47 (5.38)
1i	Cyclohexyl	77	107—109 (B)	3290	1635	$C_9H_{16}BrNO$	46.16 (46.21)	6.89 (6.97)	5.98 (5.67)
1j	*n*-Propyl	69	50—52 (F)	3300	1630	$C_6H_{12}BrNO$	37.13 (37.31)	6.23 (6.02)	7.22 (7.05)
1k	CH_2COOEt	85	83 (A)	3240	1740 1640	$C_7H_{12}BrNO_3$	35.31 (35.59)	5.04 (5.14)	5.88 (6.12)
1l	$CH(CH_3)COOCH_3$	83	47—52 (E)	3320	1745 1620	$C_7H_{12}BrNO_3$	35.31 (35.53)	5.04 (5.10)	5.88 (6.04)
1m	$CH_2CH_2COOCH_3$	77	43—47 (E)	3300	1745 1640	$C_7H_{12}BrNO_3$	35.31 (35.22)	5.04 (5.18)	5.88 (5.98)
1n	$CHCOOCH_3CH(CH_3)_2$	69	44—46 (E)	3320	1730 1640	$C_9H_{16}BrNO_3$	41.87 (41.59)	6.25 (6.07)	5.43 (5.18)
1o	$CH(Ph)COOCH_3$	78	82—83 (A)	3260	1750 1630	$C_{12}H_{14}BrNO_3$	48.01 (47.72)	4.70 (4.90)	4.67 (4.40)
1p[e]	$CH^*(CH_3)COOCH_3$	54	54—56 (E)	3320	1740 1640	$C_7H_{12}BrNO_3$	35.31 (35.46)	5.40 (5.26)	5.88 (5.85)

a) A (ethyl acetate-isopropyl ether), B (CH_2Cl_2-isopropyl ether), C (CH_2Cl_2–*n*-hexane), D (ether), E (CH_2Cl_2–ether), F (isopropyl ether).
b) Lit. 10, 118—118.5°,
c) Lit. 10, 111—112°,
d) Lit. 10, 126—127°,
e) $[\alpha]_D^{25}$ +1.2° (*c*=0.76, chloroform).

TABLE VI. Yields and Spectral and Analytical Data for 3-Benzoyl-3-bromopropionamides (3)

Compd.	Yields (%)	mp (°C)[a]	IR ν_{max}^{Nujol} cm^{-1}			Formula	Analysis (%) Calcd (Found) C	H	N
3a	86	106—111	3310	1680	1640	$C_{16}H_{14}BrNO_2$	57.84 (57.62)	4.25 (4.09)	4.22 (4.34)
3b	83	136—140	3320	1680	1640	$C_{17}H_{16}BrNO_3$	56.36 (56.20)	4.45 (4.59)	3.87 (3.74)
3c	94	136—138	3300	1680	1640	$C_{17}H_{16}BrNO_2$	58.97 (59.25)	4.66 (4.72)	4.05 (4.40)
3d	84	134—136	3360	1680	1640	$C_{16}H_{13}BrClNO_2$	52.41 (52.38)	3.57 (3.82)	3.87 (4.00)

a) Recrystallized from ethyl acetate–isopropyl ether.

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