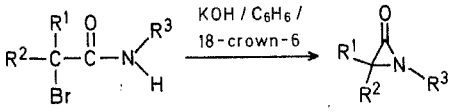
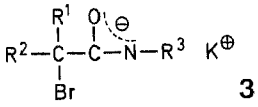
sium *t*-butoxide[1,2,3]; dioxopiperazines and/or oxazolidone derivatives arise, on the other hand, through base-catalyzed intermolecular reactions of α-haloamides devoid of bulky groups[4].

The efficiency of phase-transfer catalysis (PTC) in a host of reactions[5,6], including the synthesis of β-lactams[7], prompted us to test the reactions of α-haloamides under PTC conditions. α-Lactams **2a–e** are obtained in good to excellent yields from α-bromocarboxamides **1a–e** and solid potassium hydroxide in benzene or toluene in the presence of catalytic amounts of 18-crown-6 (Table 1).



1a-e **2a-e**

Liquid-liquid PTC proved far less effective than solid-liquid PTC in the conversion of **1a** into **2a** (Table 2). The observed trend can be ascribed to the different solvation of the hydroxide ion under the two sets of conditions[6]. Only in the solid-liquid system is the base capable of converting the poorly acidic α-halocarboxamides **1** into their conjugated anions **3**; these are probable intermediates in the subsequent intramolecular cyclization to produce the α-lactams **2**.



3

Table 2. Conversion of **1a** to **2a** under Liquid-Liquid Phase-Transfer-Catalyzed Conditions (50% Aqueous Sodium Hydroxide/Dichloromethane/15 mol-% Ammonium Salt)

Ammonium Salt Catalyst	Reaction Time [h]	Yield[a] [%]
$(n\text{-}C_4H_9)_4N^{\oplus}Br^{\ominus}$	125	19
$(n\text{-}C_4H_9)_4N^{\oplus}HSO_4^{\ominus}$	135	17
$(n\text{-}C_4H_9)_4N^{\oplus}J^{\ominus}$	110	≤5
$(C_2H_5)_3(C_6H_5CH_2)N^{\oplus}Br^{\ominus}$	110	23
none	135	0

[a] In all cases, the balance is unchanged **1a**.

Phase-Transfer-Catalyzed Reactions of α-Haloamides: Synthesis of α-Lactams

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α-Lactams (aziridinones, **2**) were previously synthesized from α- or *N*-haloamides bearing bulky aliphatic groups and potas-

Table 1. α-Lactams **2a–e** from α-Haloamides **1a–e** under Phase-Transfer-Catalyzed Conditions (Solid Potassium Hydroxide/Benzene or Toluene/18-Crown-6)

Product No.	R^1	R^2	R^3	Reaction conditions Temperature [°C]/ Time [h]	Yield [%]	m.p. [°C] or b.p. [°C]/torr found	reported	I.R. (KBr) ν [cm^{-1}]	^{1}H-N.M.R. ($CDCl_3$) δ [ppm]
2a	*t*-C_4H_9	H	*t*-C_4H_9	20°/12	80	50°/1.5	38°/0.4[8]	1840[a]	0.98 (s, 9H); 1.28 (s, 9H); 2.75 (s, 1H)
2b	1-adamantyl	H	*t*-C_4H_9	20°/12	94	58–60°	58–59°[9]	1835	1.27 (s, 9H); 1.5–1.8 (m, 15H); 2.61 (s, 1H)
2c	*t*-C_4H_9	H	1-adamantyl	20°/12	89	80–81°	82–83°[10]	1830	0.97 (s, 9H); 1.6–1.9 (m, 15H); 2.72 (s, 1H)
2d	1-adamantyl	H	1-adamantyl	20°/12	90	178–181°	180°[11]	1835	1.4–1.9 (m, 30H); 2.68 (s, 1H)
2e	CH_3	CH_3	*t*-C_4H_9	0°/3.5	50[b]	oil	22–24°[12]	1845[a]	1.36 (s, 9H); 1.47 (s, 6H)

[a] Liquid film.

[b] Yield by ^{1}H-N.M.R. spectrometey.

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The absence of bulky aliphatic groups at the carbon of **1**, as in **1e**, affects both the yield and the stability of **2e**[1], whereas no α-lactams can be obtained in the absence of a bulky group at the nitrogen.

As a conclusion, although PTC conditions are known to affect reaction rates and product distribution in reactions of ambifunctional compounds[6], the solid-liquid system (potassium hydroxide/benzene or toluene/18-crown-6) provides a highly efficient and simple method for obtaining α-lactams, the conversion being subjected to the same steric control as observed using potassium *t*-butoxide as base.

Aziridinones 2a–d; General Procedure:
2-Bromocarboxamide **1a–d** (3 mmol) in anhydrous benzene (30 ml) is treated with 18-crown-6 (0.45 mmol) and powdered potassium hydroxide (11 mmol). After stirring for 12 h at room temperature, the suspension is filtered, and the solution is washed with water (3 × 20 ml), dried with sodium sulfate, and evaporated to dryness. The crude product is recrystallized from *n*-heptane or distilled to afford the pure aziridinones **2a–d** (Table 1).

1-*t*-Butyl-3,3-dimethylaziridinone (2e):
2-Bromo-2-methyl-*N*-*t*-butylpropanamide (**1e**; 840 mg, 4 mmol) in anhydrous toluene (30 ml) is treated at 0 °C, with 18-crown-6 (160 mg, 0.6 mmol) and powdered potassium hydroxide (800 mg, 14.2 mmol). After stirring for 3.5 h, the toluene suspension is filtered and washed with ice-cold water (2 × 20 ml). The toluene solution is dried with sodium sulfate at 0 °C and, after filtration, the solvent is quickly removed in vacuo at 0 °C. The oil obtained is aziridinone **2e**; yield: 516 mg (50%); pure by ^{1}H-N.M.R. spectroscopy.

Upon trituration with water at 20 °C, **2e** is quantitatively converted to *2-hydroxy-2-methyl*-N-t-*butylpropanamide*; m.p. 93–95 °C (Ref.[12], m.p. 95–96 °C).

^{1}H-N.M.R. ($CDCl_3$): δ = 1.34 (s, 9H); 1.40 (s, 6H); 3.18 (br s, 1H); 6.66 ppm (br s, 1H).

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